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* * * * * Welcome to STN International * * * * *

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPCI
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIADB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRESEARCH reloaded with enhancements
NEWS	23	MAY 30	INPAFAMDB now available on STN for patent family searching
NEWS	24	MAY 30	DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option
NEWS	25	JUN 06	EPFULL enhanced with 260,000 English abstracts
NEWS	26	JUN 06	KOREAPAT updated with 41,000 documents
NEWS	27	JUN 13	USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications
NEWS	28	JUN 19	CAS REGISTRY includes selected substances from web-based collections
NEWS	29	JUN 25	CA/CAPLUS and USPAT databases updated with IPC reclassification data
NEWS	30	JUN 30	AEROSPACE enhanced with more than 1 million U.S.

patent records
NEWS 31 JUN 30 EMBASE, EMBAL, and LEMBASE updated with additional
options to display authors and affiliated
organizations
NEWS 32 JUN 30 STN on the Web enhanced with new STN AnaVist
Assistant and BLAST plug-in
NEWS 33 JUN 30 STN AnaVist enhanced with database content from EPFULL

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

NEWS HOURS STN Operating Hours Plus Help Desk Availability
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 16:22:39 ON 10 JUL 2008

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:22:44 ON 10 JUL 2008
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STRUCTURE FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0
DICTIONARY FILE UPDATES: 9 JUL 2008 HIGHEST RN 1033322-45-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when
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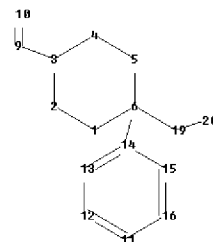
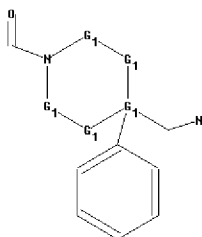
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Files\STNEXP\Queries\10538145_no8ring_norepeatinggroup_methyl.str



chain nodes :
9 10 19 20
ring nodes :
1 2 3 4 5 6 11 12 13 14 15 16
chain bonds :
3-9 6-14 6-19 9-10 19-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 11-12 11-16 12-13 13-14 14-15 15-16
exact/norm bonds :
1-2 1-6 2-3 3-4 3-9 4-5 5-6 6-14 6-19 9-10 19-20
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 11 :

G1:C,O

G2:C,N

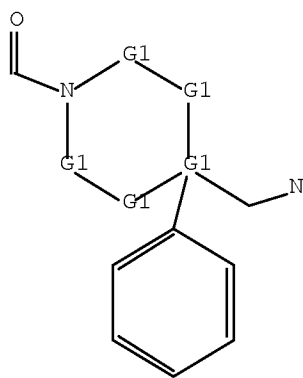
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:CLASS 10:CLASS 11:Atom 12:Atom
13:Atom 14:Atom 15:Atom 16:Atom 19:CLASS 20:CLASS

L1 STRUCTURE UPLOADED

=> d L1

L1 HAS NO ANSWERS

L1 STR



G1 C,O
G2 C,N

Structure attributes must be viewed using STN Express query preparation.

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.46

0.67

FILE 'CAPLUS' ENTERED AT 16:23:15 ON 10 JUL 2008

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FILE COVERS 1907 - 10 Jul 2008 VOL 149 ISS 2

FILE LAST UPDATED: 9 Jul 2008 (20080709/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

=> s L1 SSS full

REGISTRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 16:23:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 557722 TO ITERATE

100.0% PROCESSED 557722 ITERATIONS 1318 ANSWERS
SEARCH TIME: 00.00.03

L2 1318 SEA SSS FUL L1

L3 94 L2

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 94 ANSWERS - CONTINUE? Y/(N):y

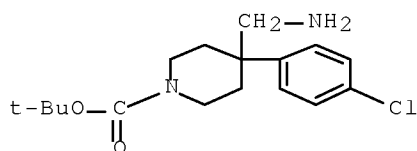
L3 ANSWER 1 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:337087 CAPLUS Full-text
DOCUMENT NUMBER: 148:393742
TITLE: Identification of 4-(4-Aminopiperidin-1-yl)-7H-
pyrrolo[2,3-d]pyrimidines as Selective Inhibitors of
Protein Kinase B through Fragment Elaboration
AUTHOR(S): Caldwell, John J.; Davies, Thomas G.; Donald,
Alastair; McHardy, Tatiana; Rowlands, Martin G.;
Aherne, G. Wynne; Hunter, Lisa K.; Taylor, Kevin;
Ruddle, Ruth; Raynaud, Florence I.; Verdonk, Marcel;
Workman, Paul; Garrett, Michelle D.; Collins, Ian
CORPORATE SOURCE: Cancer Research UK Centre for Cancer Therapeutics, The
Institute of Cancer Research, Sutton, Surrey, SM2 5NG,
UK
SOURCE: Journal of Medicinal Chemistry (2008), 51(7),
2147-2157
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fragment-based screening identified 7-azaindole as a protein kinase B
inhibitor scaffold. Fragment elaboration using iterative crystallog. of
inhibitor-PKA-PKB chimera complexes efficiently guided improvements in the
potency and selectivity of the compds., resulting in the identification of
nanomolar 6-(piperidin-1-yl)purine, 4-(piperidin-1-yl)-7-azaindole, and 4-
(piperidin-1-yl)pyrrolo[2,3-d]pyrimidine inhibitors of PKB β with
antiproliferative activity and showing pathway inhibition in cells. A
divergence in the binding mode was seen between 4-aminomethylpiperidine and 4-
aminopiperidine containing mols. Selectivity for PKB vs PKA was observed with
4-aminopiperidine derivs., and the most PKB-selective inhibitor (30-fold)
showed significantly different bound conformations between PKA and PKA-PKB
chimera.

IT 669068-16-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(piperidinyl pyrrolopyrimidines as protein kinase B inhibitors)

RN 669068-16-0 CAPLUS

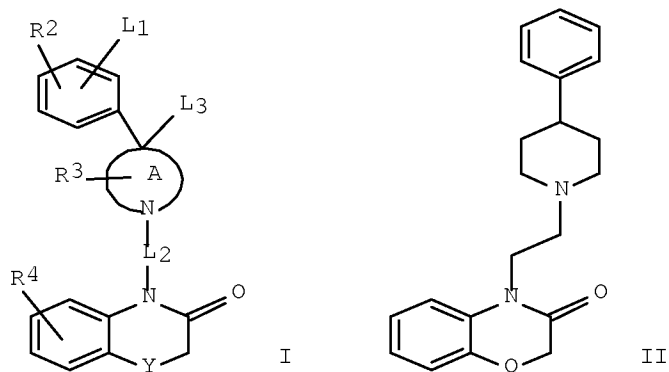
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-,
1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:159036 CAPLUS Full-text
 DOCUMENT NUMBER: 148:215065
 TITLE: Preparation of heterocyclic urotensin II receptor antagonists for use in therapy
 INVENTOR(S): Ghosh, Shyamali; Kinney, William A.; Lawson, Edward C.; Luci, Diane K.; Maryanoff, Bruce E.; Sommen, Francois Maria; Pan, Yongchun
 PATENT ASSIGNEE(S): Janssen Pharmaceutica, N.V., Belg.
 SOURCE: PCT Int. Appl., 133pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008016534	A1	20080207	WO 2007-US16806	20070726
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 20080039454 A1 20080214 US 2007-881268 20070726 PRIORITY APPLN. INFO.: US 2006-834720P P 20060731 OTHER SOURCE(S): MARPAT 148:215065 GI				

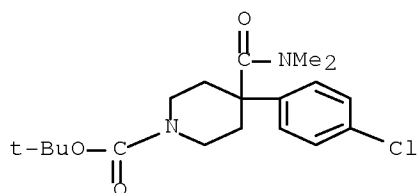


AB The invention is directed to Urotensin II receptor antagonists. More specifically, the present invention relates to certain novel compds. of general formula I (wherein Ring A is piperidiny1, 8-azabicyclo[3.2.1]oct-2-enyl, 8-azabicyclo[3.2.1]octyl, or 1,2,3,6-tetrahydropyridinyl; Y is CH₂, O and S; L₁ is absent or is -C(O)O-R₁, etc.; L₂ is C1-4alkyl; L₃ is absent or is -C(O)N(R₅)-R₇; R₁ is C1-8alkyl, aryl, etc.; R₂ is 1-3 substituents selected from H, C1-8alkyl, C1-8alkoxy and halo; R₃ is 1-3 substituents from H and C1-4alkyl; R₄ is 1-3 substituents selected from H, C1-8alkyl, C1-8alkoxy, OH, and halo; R₅ is H and C1-4alkyl; and R₇ is C1-8alkyl, aryl, etc.) and methods for preparing compds., compns., intermediates and derivs. thereof. Pharmaceutical compns. and methods for treating or ameliorating a Urotensin-II mediated disorder using compds. of the invention are also described. Example compound II was prepared by reacting (3-oxo-2,3-dihydrobenzo[1,4]oxazin-4-yl)acetaldehyde (preparation given) with 4-phenylpiperidine HCl. In an assay measuring inhibition of acetyl-cyclic[Cys-Phe-Trp-Lys-(2-Nal)-Cys]-NH₂ induced Ca²⁺ mobilization (FLIPR) in CHO cells transfected with rat UII receptor, II had an IC₅₀ of 24 μM.

IT 1000771-51-6P, 4-(4-Chlorophenyl)-4-(dimethylcarbamoyl)piperidine-1-carboxylic acid tert-butyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of heterocyclic urotensin II receptor antagonists for use in therapy)

RN 1000771-51-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[(dimethylamino)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1279243 CAPLUS Full-text

DOCUMENT NUMBER: 148:112275

TITLE: Phenylpiperidine-benzoxazinones as urotensin-II receptor antagonists: Synthesis, SAR, and in vivo assessment

AUTHOR(S): Luci, Diane K.; Ghosh, Shyamali; Smith, Charles E.; Qi, Jenson; Wang, Yuanping; Haertlein, Barbara; Parry, Tom J.; Li, Jian; Almond, Harold R.; Minor, Lisa K.; Damiano, Bruce P.; Kinney, William A.; Maryanoff, Bruce E.; Lawson, Edward C.

CORPORATE SOURCE: Research & Early Development, Johnson & Johnson Pharmaceutical Research & Development, Spring House, PA, 19477-0776, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(23), 6489-6492

CODEN: BMCLE8; ISSN: 0960-894X

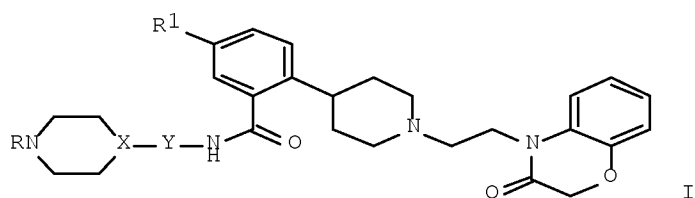
PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:112275

GI



AB Heterocyclyl-substituted aminocarbonylphenylpiperidineethyl benzoxazinones I (R = Boc, Cbz, PhCO, Me₃CCCH₂CO, PhCH₂NHCO, PhCH₂SO₂; R₁ = H, Cl; X = CH, N; Y = CH₂, CH₂CH₂; Boc = tert-butoxycarbonyl; Cbz = benzyloxycarbonyl) are prepared and tested as human and rat urotensin-II receptor antagonists. I (R = Boc; R₁ = Cl; X = N; Y = CH₂CH₂) binds to human and rat urotensin-II receptors with IC₅₀ values of 10 nM and 65 nM, resp. A dose of 2 mg/kg of I (R = Boc; R₁ = Cl; X = N; Y = CH₂CH₂) in rats shows a plasma half life of 127 min and a C_{max} of 553 ng/mL; when 300 µg/kg of I (R = Boc; R₁ = Cl; X = N; Y = CH₂CH₂) is administered i.v. to rats 15 min before administration of urotensin-II, the increase in ear temperature associated with administration of urotensin-II is diminished. (aminocarbonyl)(phenyl)piperidineethyl benzoxazinones are prepared and tested as rat urotensin-II receptor antagonists but are less effective than the corresponding (aminocarbonylphenyl)piperidineethyl benzoxazinones.

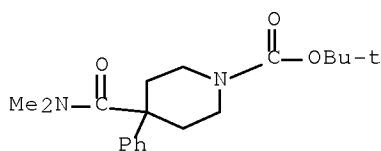
IT 167263-16-3P 619280-93-2P 619281-13-9P
1000771-51-6P 1000771-52-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of (aminocarbonyl)phenylpiperidineethyl benzoxazinones and their activities as antagonists of rat urotensin-II receptor)

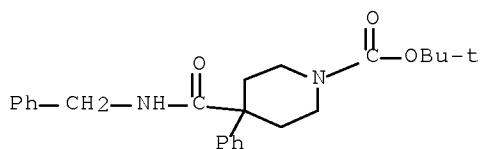
RN 167263-16-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



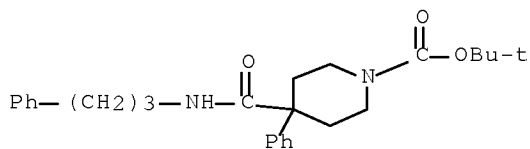
RN 619280-93-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[(phenylmethyl)amino]carbonyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



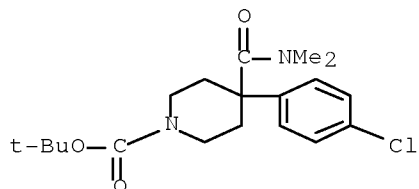
RN 619281-13-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[(3-phenylpropyl)amino]carbonyl-,
, 1,1-dimethylethyl ester (CA INDEX NAME)



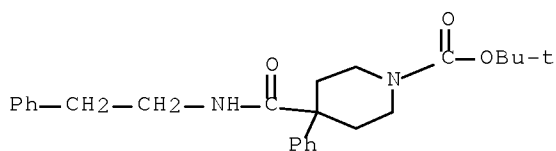
RN 1000771-51-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-
[(dimethylamino)carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 1000771-52-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[(2-phenylethyl)amino]carbonyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



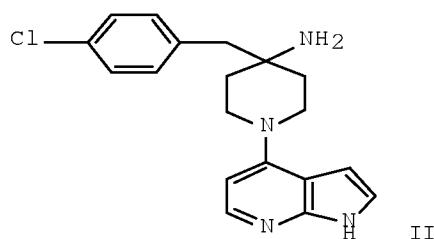
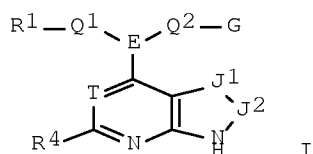
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1275232 CAPLUS Full-text
 DOCUMENT NUMBER: 147:522261
 TITLE: Preparation of purine and related analogues as ROCK kinase or protein kinase P70S6K inhibitors
 INVENTOR(S): Davies, Thomas Glanmor; Garrett, Michelle Dawn; Boyle, Robert George; Collins, Ian
 PATENT ASSIGNEE(S): Astex Therapeutics Limited, UK; The Institute of Cancer Research Royal Cancer Hospital; Cancer Research Technology Limited
 SOURCE: PCT Int. Appl., 212pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007125321	A2	20071108	WO 2007-GB1518	20070425
WO 2007125321	A3	20071227		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: GB 2006-8176 A 20060425
 GB 2006-8179 A 20060425

OTHER SOURCE(S): MARPAT 147:522261
 GI

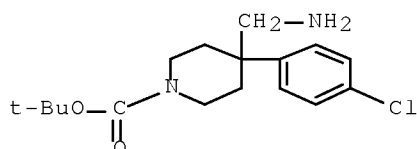


AB Title compds. I [T = N or CR₅; J₁-J₂ = N=C(R₆), (R₇)C=N, (R₈)N-C(O), (R₈)₂C-C(O), N=N or (R₇)C=C(R₆); E = 5- to 6-membered monocyclic carbocyclic or heterocyclic group; Q₁ = bond or (un)substituted saturated hydrocarbon linker, one of the C atoms being optionally be replaced by O or N, or an adjacent pair of C atoms may be replaced by CONH, NHCO, etc.; Q₂ = bond or (un)substituted saturated hydrocarbon linker, wherein one of the C atoms may optionally be replaced by O or N; G = H, NR₂R₃, OH or SH with the proviso that when E = aryl or heteroaryl and Q₂ = bond, then G = H; R₁ = H, aryl or heteroaryl, with the proviso that when R₁ = H and G = NR₂R₃, then Q₂ = bond; R₂ and R₃ independently = H, (un)substituted hydrocarbyl, acyl, etc.; R₄, R₆ and R₈ independently = H, halo, saturated hydrocarbyl, CN, CONH₂, CF₃, NH₂, etc.; R₅ and R₇ independently = H, halo, saturated hydrocarbyl, CN, or CF₃], and their pharmaceutically acceptable salts, solvates, tautomers or N-oxides thereof, are prepared and disclosed as ROCK kinase or protein kinase P70S6K inhibitors. Thus, e.g., II was prepared by condensation reaction of 4-fluoro-1-(triisopropylsilyl)-1H-pyrrolo[2,3-b]pyridine with [[4-(4-chlorophenyl)piperidin-4-yl]methyl]amine followed by deprotection. Many compds. of the invention showed antiproliferative activity in Alamar Blue assay and were found to have IC₅₀ values of < 25 μM. II exhibited inhibitory activity against ROCK-II and P70S6K with IC₅₀ values of < 0.01 μM and 0.03 μM, resp. I should prove useful for the treatment or prophylaxis of a disease or condition in which the modulation (e.g. inhibition) of ROCK kinase or protein kinase P70S6K.

IT 669068-16-0F, 4-Aminomethyl-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester 885500-47-0F,
4-(4-Chlorophenyl)-4-[(methylamino)methyl]piperidine-1-carboxylic acid tert-butyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of purine and related analogs as ROCK kinase or protein kinase P70S6K inhibitor)

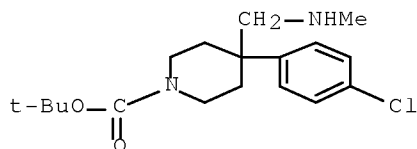
RN 669068-16-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



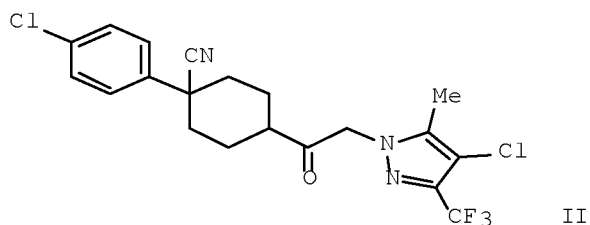
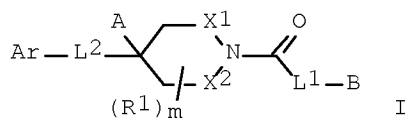
RN 885500-47-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[(methylamino)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 5 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:706386 CAPLUS Full-text
DOCUMENT NUMBER: 147:118149
TITLE: Piperidine derivatives as CCR1 antagonists and their preparation, pharmaceutical compositions and use in the treatment of CCR1 mediated diseases
INVENTOR(S): Zhang, Penglie; Pennell, Andrew M. K.; Chen, Wei; Greenman, Kevin Lloyd; Li, Lianfa; Sullivan, Edward J.; Araldi, Gian-Luca; Rohsheim, Matthew
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 98pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007073432	A2	20070628	WO 2006-US39980	20061011
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20070088036	A1	20070419	US 2006-546938	20061011
US 20070093467	A1	20070426	US 2006-580202	20061011
PRIORITY APPLN. INFO.:			US 2005-725980P	P 20051011
OTHER SOURCE(S):	MARPAT	147:118149		
GI				



AB Compds. of formula I are provided that act as potent antagonists of the CCR1 receptor, and have in vivo anti-inflammatory activity. Compds. of formula I wherein R1 is C1-8 (halo)alkyl, C3-6 cycloalkyl, CO2H and derivs., SO2H and derivs., OH and derive., CHO, acyl, CONH2 and derivs., NH2 and derivs., etc.; m is 0 to 4; X1 and X2 are independently (CH2)0-2, wherein at least one of X1 and X2 is other than 0; Ar is (un)substituted heteroaryl; A is H, (hetero)aryl, OH and derivs., CN, NO2, CO2, etc.; B is (un)substituted (hetero)aryl; L1 is a bond, (un)substituted C1-4 (hetero)alkylene, and (un)substituted C2-4 alkenylene; L2 is a bond, C1-3 alkylene, O, NH and derivs., CO, (un)substituted CH2, SO, SO2, etc.; and their pharmaceutically acceptable salts and N-oxides thereof, are claimed. The compds. are generally monocyclic and bicyclic compds. and are useful in pharmaceutical compns., methods for the treatment of CCR1-mediated diseases, and as controls in assays for the identification of competitive CCR1 antagonists. Example compound II was prepared by amidation of (4-chloro-5-methyl-3-trifluoromethylpyrazol-1-yl)acetic acid with 4-(4-chlorophenyl)piperidine-4-carbonitrile. All the invention compds. were evaluated for their CCR1 antagonistic activity. From the assay, it was determined that compound II exhibited an IC50 value < 1000 nM.

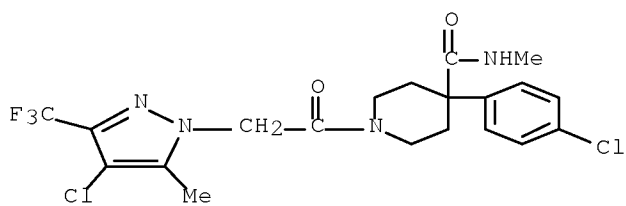
IT 934347-39-4F 934347-40-7F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

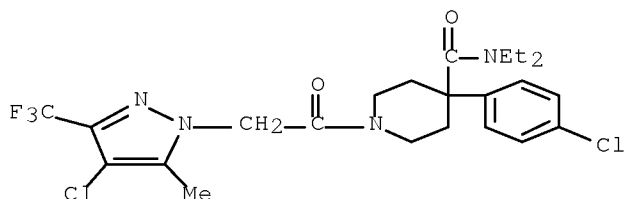
(drug candidate; preparation of piperidine derivs. as CCR1 antagonists useful in the treatment of CCR1-mediated diseases)

RN 934347-39-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-(4-chlorophenyl)-N-methyl- (CA INDEX NAME)



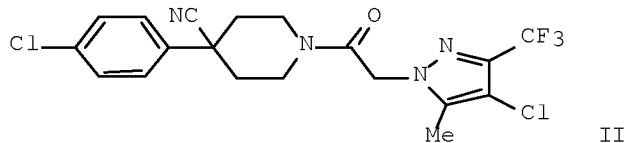
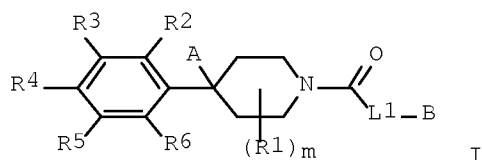
RN 934347-40-7 CAPLUS
CN 4-Piperidinecarboxamide, 1-[2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-(4-chlorophenyl)-N,N-diethyl- (CA INDEX NAME)



L3 ANSWER 6 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:439604 CAPLUS [Full-text](#)
DOCUMENT NUMBER: 146:421851
TITLE: Preparation of piperidine derivatives as antagonists of CCR1 receptor
INVENTOR(S): Zhang, Penglie; Pennell, Andrew M. K.; Chen, Wei; Greenman, Kevin Lloyd; Li, Lianfa; Sullivan, Edward J.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 86pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007044804	A2	20070419	WO 2006-US39713	20061011
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
US 20070088036	A1	20070419	US 2006-546938	20061011
US 20070093467	A1	20070426	US 2006-580202	20061011
PRIORITY APPLN. INFO.:			US 2005-725980P	P 20051011
OTHER SOURCE(S):	MARPAT 146:421851			

GI



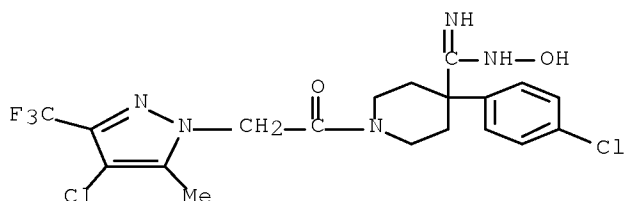
AB Title compds. I [R1 = cycloalkyl, (un)substituted alkyl, haloalkyl, etc.; any two R1 attached to the same or different carbon atoms may join together to form a 3- to 7-membered ring; m = 0-4; R2-6 independently = H, halo, CN, NO2, etc.; A = H, aryl, heteroaryl, etc.; B = (un)substituted aryl or heteroaryl; L1 = (un)substituted alkylene or heteroalkylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of CCR1 receptor. Thus, e.g., II was prepared via heterocyclization of 4-chlorobenzyl cyanide with bis(2-chloroethyl)amine followed by acylation with (4-chloro-5-methyl-3-trifluoromethylpyrazol-1-yl)acetic acid. Select compds. were evaluated for their inhibitory activity in CCR1 ligand binding assay or chemotaxis assay, e.g., II demonstrated IC50 value of < 1000 nM.

IT 934347-49-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidine derivs. as antagonists of CCR1 receptor)

RN 934347-49-6 CAPLUS

CN 4-Piperidinecarboximidamide, 1-[2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-(4-chlorophenyl)-N-hydroxy- (CA INDEX NAME)

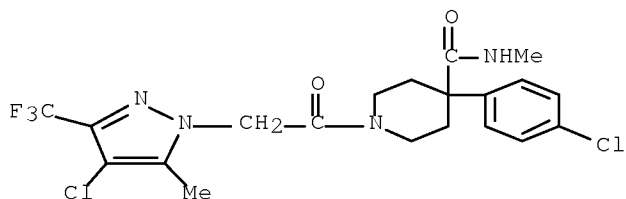


IT 934347-39-4P 934347-40-7P 934347-50-9P
934347-52-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as antagonists of CCR1 receptor)

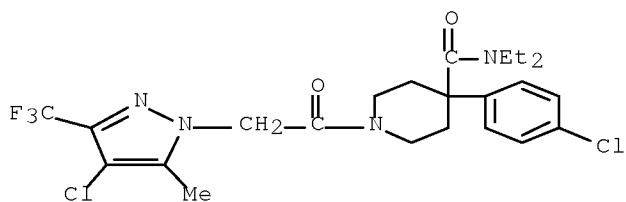
RN 934347-39-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-(4-chlorophenyl)-N-methyl- (CA INDEX NAME)



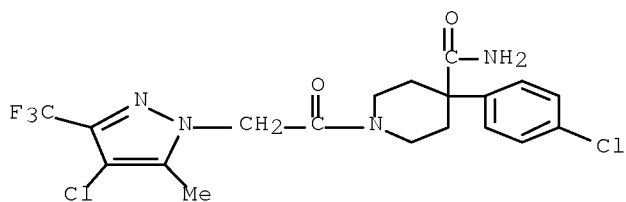
RN 934347-40-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-(4-chlorophenyl)-N,N-diethyl- (CA INDEX NAME)



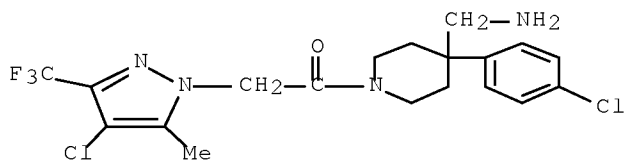
RN 934347-50-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]acetyl]-4-(4-chlorophenyl)- (CA INDEX NAME)



RN 934347-52-1 CAPLUS

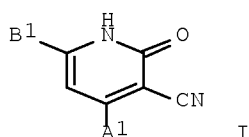
CN Ethanone, 1-[4-(aminomethyl)-4-(4-chlorophenyl)-1-piperidinyl]-2-[4-chloro-5-methyl-3-(trifluoromethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



L3 ANSWER 7 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:359039 CAPLUS Full-text
 DOCUMENT NUMBER: 146:379835
 TITLE: Preparation of cyanopyridones as survivin inhibitors
 INVENTOR(S): Wendt, Michael D.; Sun, Chaohong; Sauer, Daryl R.;
 Elmore, Steven W.; Kunzer, Aaron R.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 35pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20070072833	A1	20070329	US 2006-529845	20060929
PRIORITY APPLN. INFO.:			US 2005-721634P	P 20050929
OTHER SOURCE(S):	MARPAT	146:379835		

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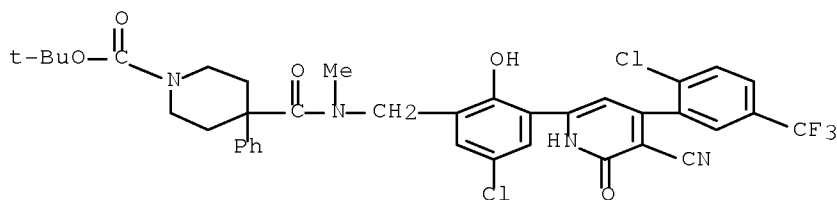


AB Title compds. [I; A1, B1 = R1, OR1, SOR1, SO2R1, COR1, CO2R1, NHCOR1, SO2NHR1, NHSO2NHR1, etc.; R1 = (fused) Ph, heteroaryl, cycloalkyl, cycloalkenyl, heterocycloalkyl, (substituted) alkyl, alkenyl, alkynyl], were prepared Thus, 5-bromo-2-hydroxyacetophenone, 4-methylbenzaldehyde, Et cyanoacetate, and ammonium acetate were refluxed together in EtOH for 6 h to give 6-(5-bromo-2-hydroxyphenyl)-4-(4-methylphenyl)-2-oxo-1,2-dihydro-3- pyridinecarbonitrile.
 I bound to survivin with binding affinities of 0.037-29 μ M.

IT 931113-03-0P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of cyanopyridones as survivin inhibitors)

RN 931113-03-0 CAPLUS

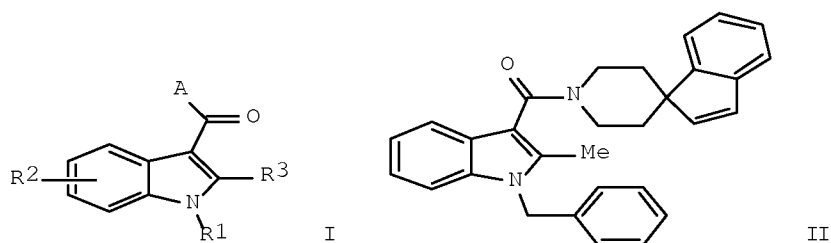
CN 1-Piperidinecarboxylic acid, 4-[[[5-chloro-3-[4-[2-chloro-5-(trifluoromethyl)phenyl]-5-cyano-1,6-dihydro-6-oxo-2-pyridinyl]-2-hydroxyphenyl]methyl]methylamino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 8 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:58314 CAPLUS Full-text
 DOCUMENT NUMBER: 146:163038
 TITLE: Indole-3-carbonyl-spiro-piperidine derivatives as V1a
 receptor antagonists and their preparation,
 pharmaceutical compositions and use in the treatment
 of diseases
 INVENTOR(S): Bissantz, Caterina; Grundschober, Christophe; Ratni,
 Hasane; Rogers-Evans, Mark; Schnider, Patrick
 PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
 SOURCE: PCT Int. Appl., 292pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007006688	A1	20070118	WO 2006-EP63846	20060704
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006268724	A1	20070118	AU 2006-268724	20060704
CA 2615726	A1	20070118	CA 2006-2615726	20060704
EP 1904477	A1	20080402	EP 2006-764048	20060704
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20070027173	A1	20070201	US 2006-483462	20060710
US 7332501	B2	20080219		
MX 200800585	A	20080314	MX 2008-585	20080111
US 20080146557	A1	20080619	US 2008-18823	20080124
KR 2008024231	A	20080317	KR 2008-702692	20080131
PRIORITY APPLN. INFO.:			EP 2005-106443	A 20050714
			EP 2005-109364	A 20051007
			WO 2006-EP63846	W 20060704
			US 2006-483462	A3 20060710
OTHER SOURCE(S):			MARPAT 146:163038	

GI



AB This invention relates to indol-3-yl-carbonyl-spiro-piperidine derivs. of formula I, which act as V1a receptor antagonists. The invention further relates to pharmaceutical compns. containing such compds., their use in medicaments against dysmenorrhea, hypertension, chronic heart failure, inappropriate secretion of vasopressin, liver cirrhosis, nephrotic syndrome, obsessive compulsive disorder, anxious and depressive disorders, and methods of preparation thereof. Compds. of formula I wherein A is (un)substituted spiro-indene-piperidine, (un)substituted spiro-indane-piperidine, (un)substituted spiro-indoline-piperidine, (un)substituted spiro-benzofuran-piperidine, etc.; R1 is H, (un)substituted C1-6 alkyl, (un)substituted aryl, (un)substituted 5- to 6-membered (hetero)aryl, and (un)substituted sulfonylaryl, etc.; R2 is H, halo, CN, NO2, (un)substituted C1-6 alkyl, etc.; R3 is H, halo, acyl, (un)substituted C1-6 alkyl, (un)substituted aryl, etc.; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by benzylation of 2-methyl-1H-indole-carboxylic acid with 1-benzyl bromide; the resulting 1-benzyl-2-methyl-1H-indole-carboxylic acid underwent amidation with spiro[indene-1,4'-piperidine] to give compound II. All the invention compds. were evaluated for their V1a receptor antagonistic activity. From the assay, it was determined that compound II exhibited an Ki value of 6.8 nM.

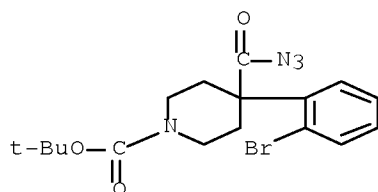
IT 920023-53-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of indolecarbonyl-spiro-piperidine derivs. as V1a receptor antagonists useful in the treatment of various diseases)

RN 920023-53-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(azidocarbonyl)-4-(2-bromophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:11886 CAPLUS Full-text

DOCUMENT NUMBER: 146:121827

TITLE: Piperidine derivatives useful as histamine H3 antagonists and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Aslanian, Robert G.; Berlin, Michael Y.; Boyce, Christopher W.; Chao, Jianhua; De Lera Ruiz, Manuel; Mangiaracina, Pietro; McCormick, Kevin D.; Mutahi, Mwangi W.; Rosenblum, Stuart B.; Shih, Neng-Yang; Solomon, Daniel M.; Tom, Wing C.; Vaccaro, Henry A.; Zheng, Junying; Zhu, Xiaohong

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 119pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

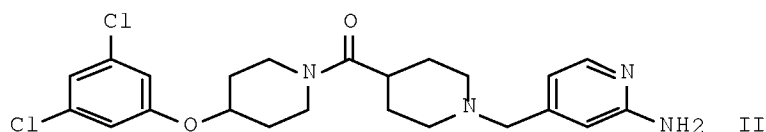
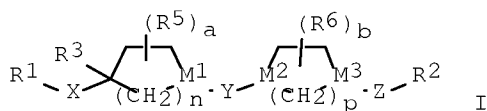
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2007001975	A1	20070104	WO 2006-US23800	20060619
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006262441	A1	20070104	AU 2006-262441	20060619
CA 2610959	A1	20070104	CA 2006-2610959	20060619
US 20070015807	A1	20070118	US 2006-455625	20060619
EP 1902046	A1	20080326	EP 2006-773528	20060619
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
MX 200800115	A	20080318	MX 2008-115	20071219
KR 2008021082	A	20080306	KR 2007-730855	20071228
PRIORITY APPLN. INFO.:			US 2005-692110P	P 20050620
			WO 2006-US23800	W 20060619

OTHER SOURCE(S): MARPAT 146:121827

GI



AB Disclosed are novel compds. of the formula I or a pharmaceutically acceptable salt thereof; compns. and methods of treating allergy-induced airway responses, congestions, obesity, metabolic syndrome, alc. fatty liver disease, hepatic steatosis, nonalcoholic steatohepatitis, cirrhosis, hepatocellular carcinoma and cognitive deficit disorders, using said compds., alone or in combination with other agents. Compds. of formula I wherein M1 and M3 are independently CH and N; M2 is CH, CF and N; Y is CO, CS, C1-5 alkyl, C-NOH and derivs., and SO1-2; X is NH and derivs., aminoalkyl, alkylamino, , C0-3 alkyl, etc.; Z is bond, (un)substituted C1-6 alkyl, (un)substituted alkoxy, (un)substituted alkylamino, etc.; R1 is H, (un)substituted alkyl, (un)substituted (hetero)cycloalkyl, (un)substituted (hetero)aryl, etc.; R2 is (un)substituted alkyl, (un)substituted alkenyl, (un)substituted (hetero)aryl, and (un)substituted (hetero)cycloalkyl; R3 is H, alkyl, (un)substituted (hetero)aryl, (un)substituted (hetero)cycloalkyl, and CONH2; R5 and R6 are independently halo, alkyl, OH, alkoxy, haloalkyl, CN, etc.; a and b are independently 0, 1 and 2; n and p are independently 1, 2 and 3; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by etherification of N-Boc-piperidin-4-ol with 3,5-dichlorophenol; the resulting N-Boc-4-(3,5-dichlorophenoxy) underwent hydrolysis to give 4-(3,5-dichlorophenoxy)piperidine, which underwent amidation with N-[2-(tert-butoxycarbonylamino)pyridin-4-ylmethyl]piperidine-4-carboxylic acid lithium salt; the resulting amide underwent hydrolysis to give compound II. All the invention compds. were evaluated for their histamine antagonistic activity (data given).

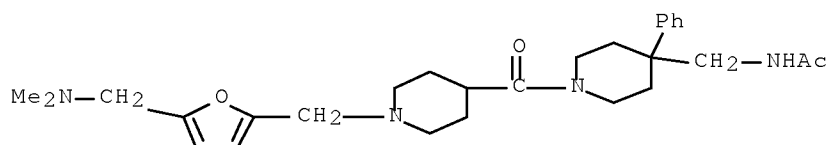
IT 918532-07-7P 918532-53-3P 918533-86-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of piperidine derivs. as histamine H3 antagonists useful in treatment of diseases)

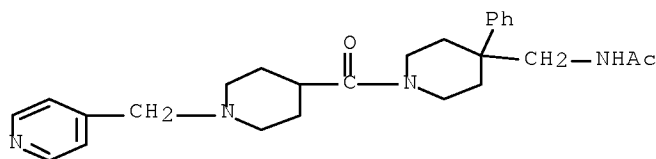
RN 918532-07-7 CAPLUS

CN Acetamide, N-[[1-[[1-[[5-[(dimethylamino)methyl]-2-furanyl]methyl]-4-piperidinyl]carbonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



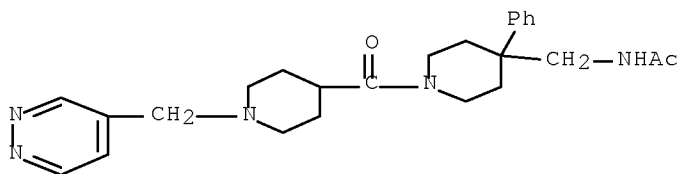
RN 918532-53-3 CAPLUS

CN Acetamide, N-[[4-phenyl-1-[[1-(4-pyridinylmethyl)-4-piperidinyl]carbonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 918533-86-5 CAPLUS

CN Acetamide, N-[[4-phenyl-1-[[1-(4-pyridazinylmethyl)-4-piperidinyl]carbonyl]-4-piperidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1356631 CAPLUS Full-text

DOCUMENT NUMBER: 146:100679

TITLE: Preparation of pyrazole derivatives as inhibitors of protein kinases

INVENTOR(S): Cancer, Research Technology Limited; Sore, Hannah Fiona; Boyle, Robert George; Hamlett, Christopher; Saxty, Gordon; Verdonk, Marinus Leendert; Walker, David Winter; Woodhead, Steven John; Howard, Steven

PATENT ASSIGNEE(S): Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Astrazeneca AB

SOURCE: PCT Int. Appl., 202pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

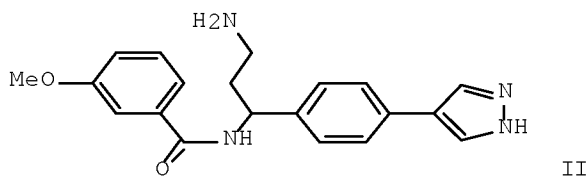
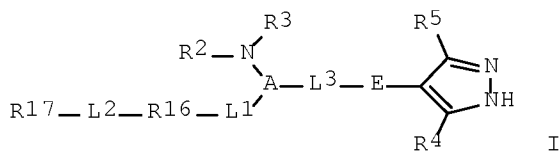
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006136829	A2	20061228	WO 2006-GB2286	20060621
WO 2006136829	A3	20070215		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG,

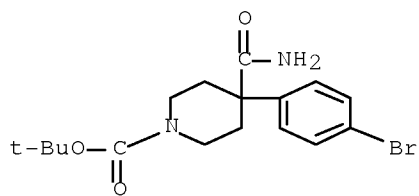
US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1919875 A2 20080514 EP 2006-755590 20060621
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 PRIORITY APPLN. INFO.: GB 2005-12654 A 20050621
 US 2005-692620P P 20050621
 US 2006-743658P P 20060322
 WO 2006-GB2286 W 20060621
 OTHER SOURCE(S): MARPAT 146:100679
 GI



AB The title pyrazole derivs. I [wherein A = an (un)substituted saturated hydrocarbon linker; E = a monocyclic or bicyclic (hetero)ring; L1 = a bond, alkenylene, alkynylene, S, SO2, etc.; L2 = absent, a bond, alkylene, alkenylene, etc.; L3 = a bond, -C(=O)-NH-, or -NH-C(=O)-; R2 and R3 = independently H, hydrocarbyl, acyl, etc.; R4 = H, halo, CN, CF3, etc.; R5 = H, halo, CN, NH2, etc.; R16 = (un)substituted monocyclic or bicyclic (hetero)ring; R17 = absent, alkyl, or (un)substituted (hetero)ring; with provisos], or salts, solvates, tautomers, or N-oxides thereof were prepared as inhibitors of protein kinase A (PKA) and protein kinase B (PKB). For example, II•formate was prepared in a multi-step synthesis. II•formate showed inhibitory activity with IC50 < 1 μM against PKA and PKB. The title compds. are useful in the prophylaxis or treatment of diseases arising from abnormal cell growth, such as proliferation, apoptosis, differentiation, or cancer. Capsules and injectable formulations were described.

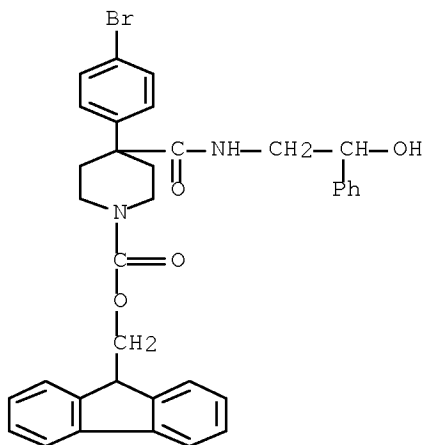
IT 917925-61-2P 917925-64-5P 917925-65-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of pyrazole derivs. as inhibitors of PKA and PKB)

RN 917925-61-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-(4-bromophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



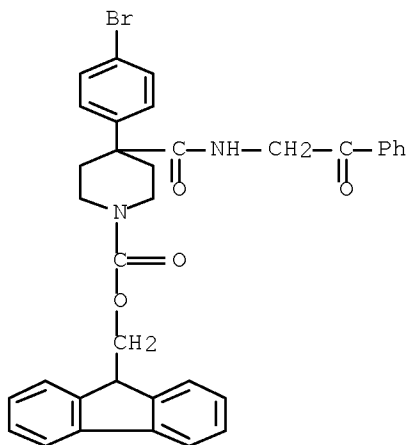
RN 917925-64-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-bromophenyl)-4-[[2-hydroxy-2-phenylethyl)amino]carbonyl]-, 9H-fluoren-9-ylmethyl ester (CA INDEX NAME)



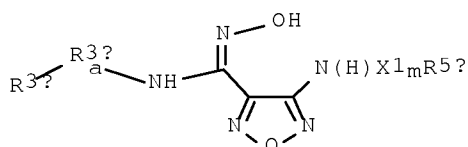
RN 917925-65-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-bromophenyl)-4-[[2-oxo-2-phenylethyl)amino]carbonyl]-, 9H-fluoren-9-ylmethyl ester (CA INDEX NAME)



L3 ANSWER 11 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1206440 CAPLUS Full-text
 DOCUMENT NUMBER: 145:489247
 TITLE: Preparation of 4-amino-N'-hydroxy-1,2,5-oxadiazole-3-carboximidamides and related compounds as modulators of indoleamine 2,3-dioxygenase for inhibiting immunosuppression and treating various disorders
 INVENTOR(S): Combs, Andrew P.; Yue, Eddy W.
 PATENT ASSIGNEE(S): Incyte Corporation, USA
 SOURCE: PCT Int. Appl., 154pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122150	A1	20061116	WO 2006-US17983	20060509
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006244068	A1	20061116	AU 2006-244068	20060509
CA 2606783	A1	20061116	CA 2006-2606783	20060509
US 20060258719	A1	20061116	US 2006-430441	20060509
EP 1879573	A1	20080123	EP 2006-759438	20060509
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007KN04130	A	20080328	IN 2007-KN4130	20071026
MX 200713977	A	20080205	MX 2007-13977	20071108
NO 2007005693	A	20080207	NO 2007-5693	20071108
KR 2008005954	A	20080115	KR 2007-726204	20071109
CN 101212967	A	20080702	CN 2006-80024326	20080103
PRIORITY APPLN. INFO.:			US 2005-679507P	P 20050510
			WO 2006-US17983	W 20060509
OTHER SOURCE(S):			MARPAT 145:489247	
GI				

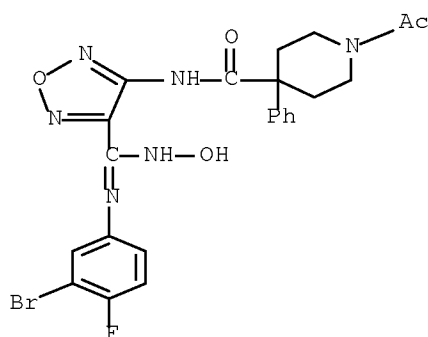


AB The present invention is directed to modulators of indoleamine 2,3-dioxygenase (no data) as well as compns. and pharmaceutical methods thereof. In addition to a very broad claim, I is claimed (e.g. 4-Amino-N-(3-fluorophenyl)-N'-hydroxy-1,2,5-oxadiazole-3-carboximidamide (1)), in which X1 is (CRaRb)t, or (CRaRb)uC(O)(CRaRb)v; R3a is C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl, each (un)substituted; R3b is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl, each (un)substituted; R5b is H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, aryl, cycloalkyl, heteroaryl, or heterocycloalkyl, each (un)substituted; Ra and Rb = H, halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C1-4 haloalkyl, aryl, cycloalkyl, heteroaryl, et al.; a = 0-1; m = 0-1; t = 1-6; u = 0-6; and v = 0-6; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, preps. and/or characterization data for 290 examples of I are included. For example, 1 was prepared in 2 steps (21 and 29 % yields, resp.) by 1st converting 4-amino-N'-hydroxy-1,2,5-oxadiazole-3-carboximidoyl chloride, followed by substitution with 3-fluoroaniline.

IT 914474-42-3P, 1-Acetyl-N-[4-[[(3-bromo-4-fluorophenyl)amino] (hydroxyimino)methyl]-1,2,5-oxadiazol-3-yl]-4-phenylpiperidine-4-carboxamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of 4-amino-N'-hydroxy-1,2,5-oxadiazole-3-carboximidamides and related compds. as modulators of indoleamine 2,3-dioxygenase for inhibiting immunosuppression and treating various disorders)

RN 914474-42-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-acetyl-N-[4-[[(3-bromo-4-fluorophenyl)imino] (hydroxyamino)methyl]-1,2,5-oxadiazol-3-yl]-4-phenyl- (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:978901 CAPLUS Full-text
 DOCUMENT NUMBER: 145:348596
 TITLE: Combination of a steroid sulfatase inhibitor and an ascomycin for the treatment of inflammatory disorders
 INVENTOR(S): Meingassner, Josef, Gottfried
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH

SOURCE: PCT Int. Appl., 104pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

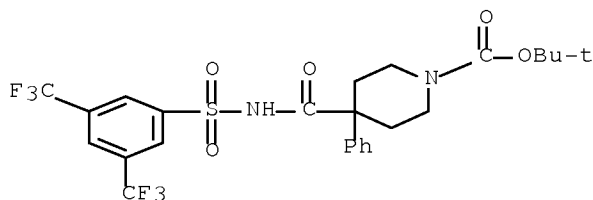
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097293	A2	20060921	WO 2006-EP2383	20060315
WO 2006097293	A3	20061221		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006224797	A1	20060921	AU 2006-224797	20060315
CA 2600329	A1	20060921	CA 2006-2600329	20060315
EP 1861099	A2	20071205	EP 2006-723452	20060315
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN06446	A	20070831	IN 2007-DN6446	20070820
CN 101137374	A	20080305	CN 2006-80007968	20070912
MX 200711434	A	20071012	MX 2007-11434	20070914
KR 2007112183	A	20071122	KR 2007-721074	20070914
PRIORITY APPLN. INFO.:			GB 2005-5539	A 20050317
			WO 2006-EP2383	W 20060315

AB A combination of a steroid sulfatase inhibitor and an ascomycin is prepd for the treatment of inflammatory disorders. Thus, 6.1 mL of a 50% propanephosphoric acid anhydride solution in DMF, 633 mg of N,N-dimethylaminopyridine in 50 mL of dimethylamine and 1.8 mL of diisopropylethylamine were added to a solution of 1.5 g of 8-aza-bicyclo[4.3.1]decane-8,10-dicarboxylic acid 8-tert-Bu ester, and 2.3 g of 3,5-bis(trifluoromethyl)phenylsulfonamide, the mixture obtained was stirred at 40° and diluted with EtAc. The mixture was distilled and the residue obtained was purified to obtain 10-(3,5-Bis- trifluoromethylbenzenesulfonylamino-carbonyl)-8-aza-bicyclo[4.3.1]decane-8- carboxylic acid tert-Bu ester in the form of a sodium salt which was treated with HCl to obtain the ester form (I). Efficacy of a combination of I and ascomycin in the treatment of skin inflammation in mice is shown.

IT 512819-37-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (combination of steroid sulfatase inhibitor and ascomycin for treatment of inflammatory disorders)

RN 512819-37-3 CAPLUS

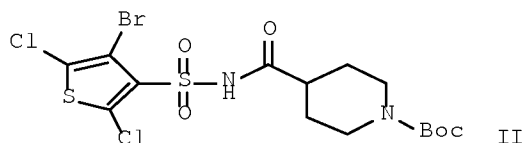
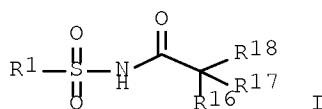
CN 1-Piperidinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 13 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:976823 CAPLUS Full-text
 DOCUMENT NUMBER: 145:356656
 TITLE: Preparation of (hetero)arylsulfonamides as steroid
 sulfatase inhibitors for treatment of inflammatory
 diseases
 INVENTOR(S): Meingassner, Josef Gottfried
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
 SOURCE: PCT Int. Appl., 104pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006097292	A1	20060921	WO 2006-EP2382	20060315
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006224796	A1	20060921	AU 2006-224796	20060315
CA 2599470	A1	20060921	CA 2006-2599470	20060315
EP 1861098	A1	20071205	EP 2006-707567	20060315
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
IN 2007DN06443	A	20070831	IN 2007-DN6443	20070820
CN 101137375	A	20080305	CN 2006-80008024	20070912
MX 200711320	A	20071108	MX 2007-11320	20070914
KR 2007113226	A	20071128	KR 2007-721073	20070914
PRIORITY APPLN. INFO.:			GB 2005-5541	A 20050317
			WO 2006-EP2382	W 20060315

GI



AB Title compds. represented by the formula I [wherein R1 = haloalkyl, (un)substituted alkenyl, Ph, thienyl, etc.; R16 = H, R17R18 = (un)substituted piperidiny, cycloalkyl, bridged cycloalkyl, etc.] were prepared as steroid sulfatase inhibitors. For example, II was provided in a multi-step synthesis starting from 4-bromo-2,5-dichlorothiophene-3- sulfonyl chloride. I showed activity in human steroid sulfatase assay (IC50 = 0.0046 ~ 10), in CHO/STS assay (IC50 = 0.05 ~ 10) and in human skin homogenate (IC50 = 0.03 ~ 10 μ M). The use of a steroid sulfatase inhibitor in the preparation of a medicament for the treatment of inflammatory diseases.

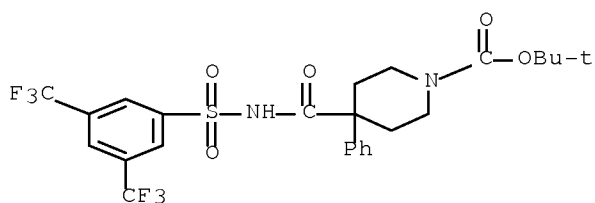
IT 512819-37-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (hetero)arylsulfonamide derivs. as steroid sulfatase inhibitors for treatment of inflammatory diseases)

RN 512819-37-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:655838 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:124560

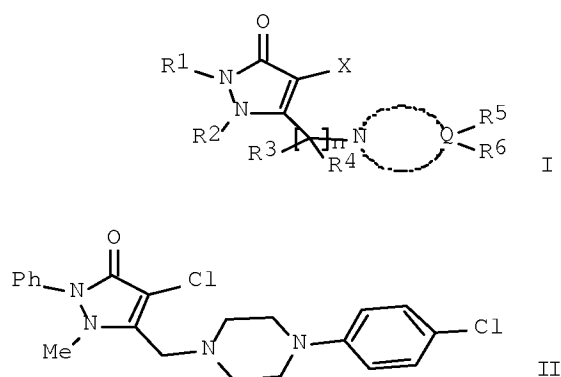
TITLE: Preparation of pyrazolones as metabotropic glutamate receptor agonists for the treatment of neurological and psychiatric disorders

INVENTOR(S): Balestra, Michael; Bunting, Heather; Chen, Deborah; Egle, Ian; Forst, Janet; Frey, Jennifer; Isaac, Methvin; Ma, Fupeng; Nugiel, David; Slassi, Abdelmalik; Steelman, Gary; Sun, Guang-Ri; Sundar, Babu; Ukkiramapandian, Radhakrishnan; Urbanek, Rebecca

A.; Walsh, Sally
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.
 SOURCE: PCT Int. Appl., 332 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071730	A1	20060706	WO 2005-US46606	20051222
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005322173	A1	20060706	AU 2005-322173	20051222
CA 2591003	A1	20060706	CA 2005-2591003	20051222
EP 1833800	A1	20070919	EP 2005-855204	20051222
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
IN 2007DN04444	A	20070824	IN 2007-DN4444	20070611
NO 2007003019	A	20070927	NO 2007-3019	20070613
MX 200707220	A	20070820	MX 2007-7220	20070614
KR 2007106690	A	20071105	KR 2007-713684	20070615
CN 101128435	A	20080220	CN 2005-80048198	20070817
PRIORITY APPLN. INFO.:			US 2004-638369P	P 20041227
			WO 2005-US46606	W 20051222

OTHER SOURCE(S): MARPAT 145:124560
 GI



AB The title compds. I [X = F, Cl, Br, I, CN, etc.; Q = C, O, S, and N; ring containing Q = 5-7 membered ring which is optionally fused with one or more 5-

7 membered rings; R1 = alkyl, aryl, heteroaryl, etc.; R2 = H, alkyl, alkenyl, and alkynyl; R3, R4 = H, alkyl, aryl, etc.; R5, R6 = H, OH, F, Cl, Br, I, etc.; n = 1-6; with provisos], useful in the treatment or prevention of neurol. and psychiatric disorders associated with glutamate dysfunction, were prepared Thus, reacting 5-(bromomethyl)-4-chloro-1-methyl- 2-phenylpyrazolidin-3-one with 1-(4-chlorophenyl)piperazine.2HCl afforded 91% II. Compds. I are active in assays of mGluR function with EC50 of less than about 10 μ M. Pharmaceutical compns. containing the compds. I are disclosed.

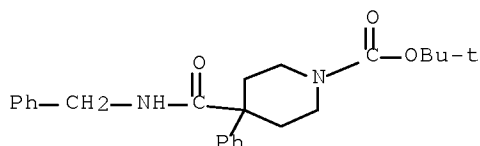
IT 619280-93-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazolones as metabotropic glutamate receptor agonists for the treatment of neurol. and psychiatric disorders)

RN 619280-93-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[(phenylmethyl)amino]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:655708 CAPLUS Full-text

DOCUMENT NUMBER: 145:124611

TITLE: Preparation of [1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperidine or -piperazine compounds as serine-threonine kinase modulators (p70S6K, Akt-1 and Akt-2) for the treatment of immunological, inflammatory and proliferative diseases

INVENTOR(S): Rice, Ken; Co, Erick Wang; Kim, Moon Hwan; Bannen, Lynn Canne; Bussenius, Joerg; Le, Donna; Tshako, Amy Lew; Nuss, John; Wang, Yong; Xu, Wei; Klein, Rhett Ronald

PATENT ASSIGNEE(S): Exelixis, Inc., USA

SOURCE: PCT Int. Appl., 126 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006071819	A1	20060706	WO 2005-US46938	20051227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,				

VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

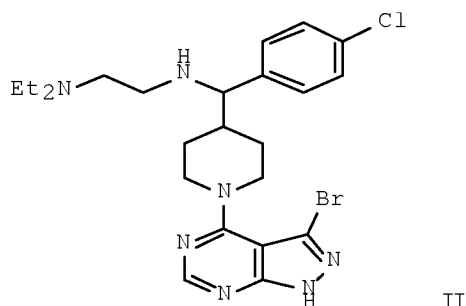
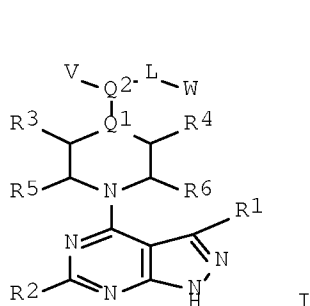
AU 2005322085 A1 20060706 AU 2005-322085 20051227
 CA 2590961 A1 20060706 CA 2005-2590961 20051227
 EP 1848719 A1 20071031 EP 2005-855490 20051227

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, YU

PRIORITY APPLN. INFO.:

US 2004-640200P P 20041228
 WO 2005-US46938 W 20051227

OTHER SOURCE(S): MARPAT 145:124611
 GI



AB The title compds. I [R1 = H, halo, CN, aryl, etc.; R2 = H, NH2, SH, OH or alkyl; R3-R6 = H, oxo, alkyl, alkoxy, etc.; L = alkylene, alkenylene, C(O), etc.; Q1 = N, CR13 (wherein R13 = H or C(O)NR12(CH2)nNR10R11); Q2 = a bond, CR14, O or N (R14 = H, OH, alkyl, etc.); n = 1-4; W = alkyl, NR10R11, aryl, cycloalkyl, etc.; or V, Q2, L and W together form aryl ring, heteroaryl ring, cycloalkyl ring, etc.; R10, R11, R12 = H or alkyl which is optionally substituted with aryl or heteroaryl; with provisos], useful for inhibition of kinases, more specifically p70S6 kinases, and more preferably p70S6, Akt-1 and Akt-2 kinases, were prepared E.g., a multi-step synthesis of II, starting from N-Boc-4-(4-chlorobenzoyl)piperidine and 2-(diethylamino)ethylamine, was given. Compds. I were tested against p70S6K, Akt-1 and Akt-2 (IC50 values were given for representative compds. I). The invention provides compds. for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration, chemoinvasion and metabolism Compds. I inhibit, regulate and/or modulate kinase receptor signal transduction pathways related to the changes in cellular activities as mentioned above, and the invention includes compns. which contain these compds., and methods of using them to treat kinase-dependent diseases and conditions.

IT 849106-03-2

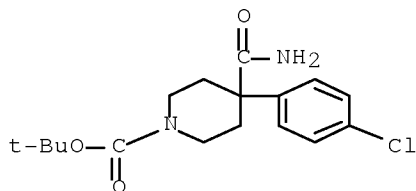
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of [1H-pyrazolo[3,4-d]pyrimidin-4-yl]piperidine or -piperazine compds. as serine-threonine kinase modulators (p70S6K, Akt-1 and Akt-2) for the treatment of immunol., inflammatory and proliferative diseases)

RN 849106-03-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-(4-chlorophenyl)-,

1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:635057 CAPLUS Full-text

DOCUMENT NUMBER: 145:61443

TITLE: Solid phase affinity ligands for antibody purification

INVENTOR(S): Johannsen, Ib; Gallego, Monica Ramos; Michael, Roice; Nothelfer, Franz; Ambrosius, Dorothee; Jacobi, Alexander

PATENT ASSIGNEE(S): Versamatrix A/S, Den.

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
WO 2006066598	A2	20060629	WO 2005-DK828	20051223
WO 2006066598	A3	20070208		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2005318700	A1	20060629	AU 2005-318700	20051223
CA 2591785	A1	20060629	CA 2005-2591785	20051223
EP 1831243	A2	20070912	EP 2005-822928	20051223
MX 200707703	A	20070814	MX 2007-7703	20070622
IN 2007KN02558	A	20070824	IN 2007-KN2558	20070709
KR 2007115871	A	20071206	KR 2007-716990	20070723
CN 101124238	A	20080213	CN 2005-80048400	20070815
PRIORITY APPLN. INFO.:			DK 2004-2010	A 20041223
			US 2005-643314P	P 20050113
			WO 2005-DK828	W 20051223

AB The authors disclose solid support materials having covalently immobilized affinity ligands comprising one or more hydrophobic functional group(s), one or more cationic functional group(s), or one or more heteroarom. functional group(s) wherein the hydrophobic functional group is separated from the cationic/heteroarom. functional group by a through bond distance of from 5Å to 20Å and the ligand has a mol. weight of from 120 Da to 5000 Da. Typically, the affinity resin has a binding capacity larger than 5 mg monoclonal antibody per mL of affinity resin. In one example, the affinity matrix comprises the trimethoxyphenylpropionate-tryptophan- arginine-glycine ligand conjugated to amino-activated Toyopearl resin.

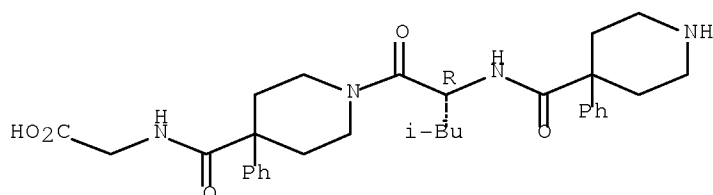
IT 891504-76-0 891505-04-7

RL: BUU (Biological use, unclassified); PRP (Properties); TEM (Technical or engineered material use); BIOL (Biological study); USES (Uses)
(solid phase immobilized; for affinity chromatog. of antibodies)

RN 891504-76-0 CAPLUS

CN Glycine, N-[(4-phenyl-4-piperidinyl)carbonyl]-D-leucyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

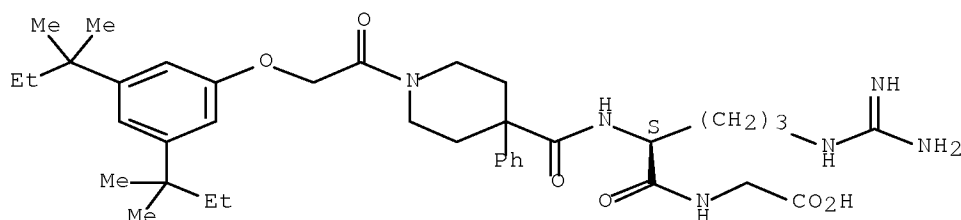
Absolute stereochemistry.



RN 891505-04-7 CAPLUS

CN Glycine, N2-[[1-[[3,5-bis(1,1-dimethylpropyl)phenoxy]acetyl]-4-phenyl-4-piperidinyl]carbonyl]-L-arginyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 17 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:625275 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:249070

TITLE: Preparation of 2,3-dihydro-1H-spiro[isoquinoline-4,4'-piperidine] via an N-sulfonyl Pictet-Spengler reaction
AUTHOR(S): Liu, Jian; Jian, Tianying; Sebhat, Iyassu; Nargund, Ravi

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Tetrahedron Letters (2006), 47(29), 5115-5117

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:249070

AB A high yielding synthesis of variously substituted 2,3-dihydro-1H-spiro[isoquinoline-4,4'-piperidine] is reported. N-(2-nitrophenyl)sulfonyl was successfully used as both an activating and protecting group for the key Pictet-Spengler reaction.

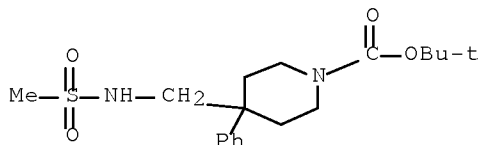
IT 199104-96-6P 906369-58-2P 906369-59-3P
906369-60-6P 906369-61-7P 906369-62-8P
906369-63-9P 906369-64-0P 906369-80-0P
906369-81-1P 906369-82-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of dihydro-spiro[isoquinoline-piperidine] by Pictet-Spengler reaction using N-(nitrophenyl)sulfonyl activating and protecting group)

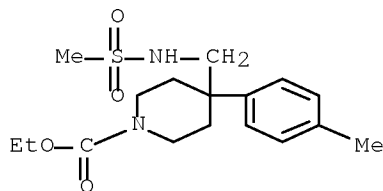
RN 199104-96-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(methylsulfonyl)amino]methyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



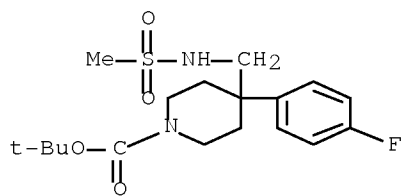
RN 906369-58-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-methylphenyl)-4-[[[(methylsulfonyl)amino]methyl]-, ethyl ester (CA INDEX NAME)



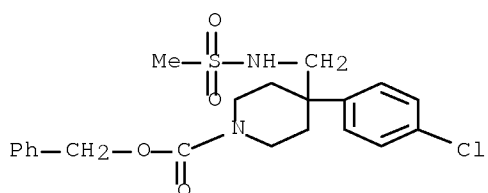
RN 906369-59-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-fluorophenyl)-4-[[[(methylsulfonyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



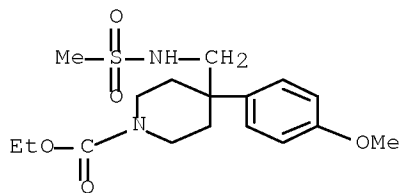
RN 906369-60-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-
[[(methylsulfonyl)amino]methyl]-, phenylmethyl ester (CA INDEX NAME)



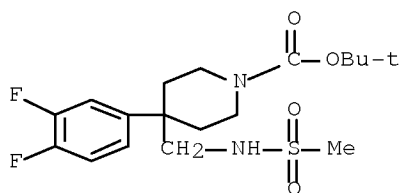
RN 906369-61-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-methoxyphenyl)-4-
[[(methylsulfonyl)amino]methyl]-, ethyl ester (CA INDEX NAME)



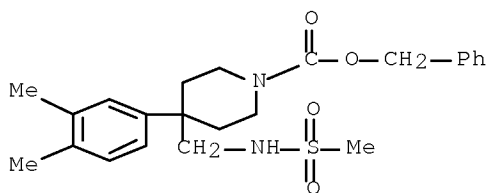
RN 906369-62-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3,4-difluorophenyl)-4-
[[(methylsulfonyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



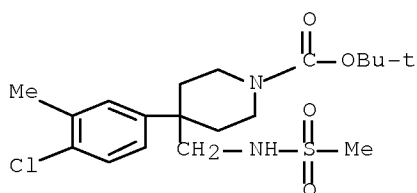
RN 906369-63-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3,4-dimethylphenyl)-4-
[[(methylsulfonyl)amino]methyl]-, phenylmethyl ester (CA INDEX NAME)



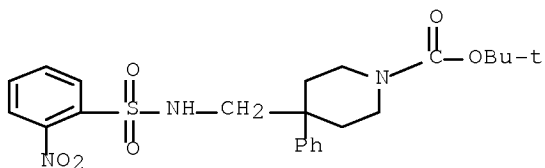
RN 906369-64-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chloro-3-methylphenyl)-4-
[[(methylsulfonyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



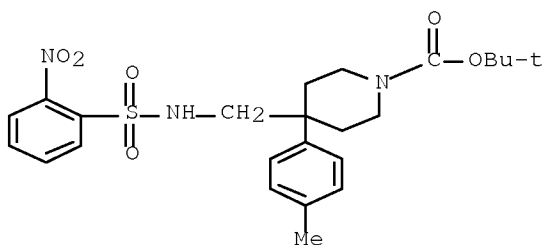
RN 906369-80-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-nitrophenyl)sulfonyl]amino]methyl]-4-
phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

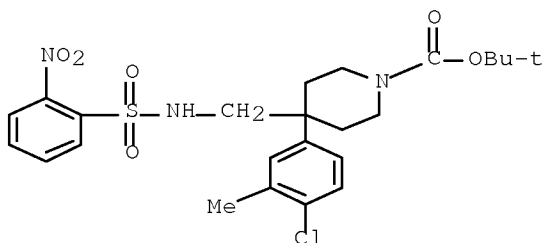


RN 906369-81-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-methylphenyl)-4-[[[(2-
nitrophenyl)sulfonyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX
NAME)



RN 906369-82-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(4-chloro-3-methylphenyl)-4-[[[(2-nitrophenyl)sulfonyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:465188 CAPLUS Full-text

DOCUMENT NUMBER: 144:488667

TITLE: Pharmaceutical compounds such as quinazolinones and their preparation, and use for treatment of protein kinase A and/or B mediated diseases

INVENTOR(S): Berdini, Valerio; Boyle, Robert George; Saxty, Gordon; Verdonk, Marinus Leendert; Woodhead, Steven John; Wyatt, Paul Graham; Sore, Hannah Fiona; Walker, David Winter; Caldwell, John; Collins, Ian

PATENT ASSIGNEE(S): Astex Therapeutics Limited, UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited

SOURCE: PCT Int. Appl., 178 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

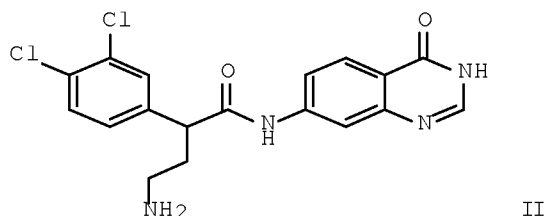
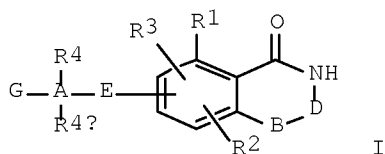
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006051290	A2	20060518	WO 2005-GB4323	20051109
WO 2006051290	A3	20060914		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
 KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1814552 A2 20070808 EP 2005-801609 20051109
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 JP 2008519087 T 20080605 JP 2007-540710 20051109
 PRIORITY APPLN. INFO.: GB 2004-24742 A 20041109
 US 2004-626403P P 20041109
 WO 2005-GB4323 W 20051109
 OTHER SOURCE(S): MARPAT 144:488667
 GI



AB The invention is related to quinazolinones I [B-D = N:CH and derivs., NHCO and derivs.; G = OH, NH2 ad derivs.; E = CONH and derivs., O, S, NH, etc., with proviso; A = a bond and R4 and R4a are absent; or A = saturated hydrocarbon linker containing 1-7 C's, wherein 1 of the C atoms may optionally be replaced by an O or N atom; R1-R3 = independently H, halo, (un)substituted hydrocarbyl; R4 = H, alkyl; R4a = H, alkyl, monocyclic or bicyclic carbocyclyl or heterocyclyl containing up to 3 heteroatoms; or R4 and R4a together with the intervening atom(s) of A form a saturated monocyclic heterocyclic group] or salts, solvates, tautomers or N-oxides thereof, that inhibit or modulate the activity of protein kinase A (PKA) and protein kinase B (PKB), and their use in the treatment or prophylaxis of disease states or conditions mediated by PKA and PKB, such as proliferative diseases. The invention is also related to the preparation of quinazolinones I. Thus, acylation of 4-[(tert-butoxycarbonyl)amino]-2- (3,4-dichlorophenyl)butyric acid with 7-amino-3H-quinazolin-4-one and Boc-deprotection gave quinazolinone II. Selected I inhibited protein kinase A and/or B with IC50 values of less than 50 μ M.
 IT 669068-16-0P, 4-Aminomethyl-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester 887128-75-8P,
 4-[(4-Oxo-3,4-dihydroquinazolin-7-yl)carbonyl]-4-phenylpiperidine-1-carboxylic acid 9H-fluoren-9-ylmethyl ester 887129-06-8P,

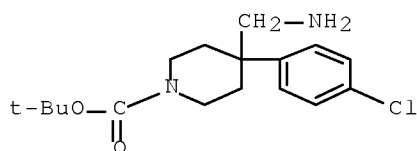
4-(4-Chlorophenyl)-4-[(4-oxo-3,4-dihydroquinazolin-7-yl)carbamoyl]piperidine-1-carboxylic acid 9H-fluoren-9-ylmethyl ester
 887129-10-4P, 4-(4-Chlorophenyl)-4-[[[3-(2,4-dimethoxybenzyl)-4-oxo-3,4-dihydroquinazolin-7-yl]amino]methyl]piperidine-1-carboxylic acid tert-butyl ester

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazolinones as protein kinase A and/or B inhibitors for treating proliferative diseases)

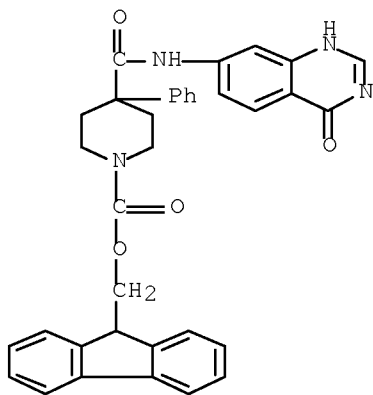
RN 669068-16-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



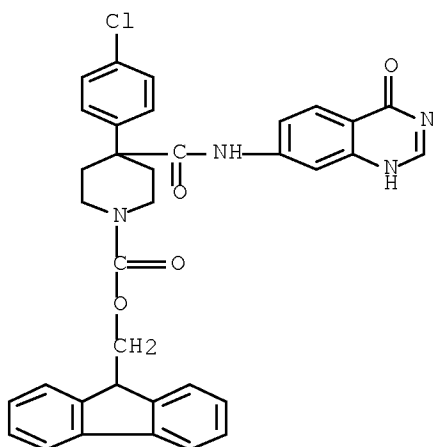
RN 887128-75-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3,4-dihydro-4-oxo-7-quinazolinyl)amino]carbonyl]-4-phenyl-, 9H-fluoren-9-ylmethyl ester (CA INDEX NAME)



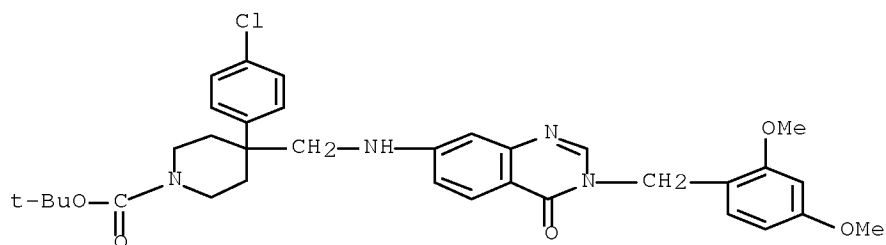
RN 887129-06-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[[[(3,4-dihydro-4-oxo-7-quinazolinyl)amino]carbonyl]-, 9H-fluoren-9-ylmethyl ester (CA INDEX NAME)



RN 887129-10-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[[[3-[(2,4-dimethoxyphenyl)methyl]-3,4-dihydro-4-oxo-7-quinazolinyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 19 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:411957 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:450728

TITLE: Ortho-condensed pyridine and pyrimidine derivatives (e. g. purines) as protein kinases inhibitors and their preparation, pharmaceutical compositions and use for treatment of protein kinase mediated diseases such as proliferative diseases

INVENTOR(S): Berdini, Valerio; Boyle, Robert George; Saxty, Gordon; Walker, David Winter; Woodhead, Steven John; Wyatt, Paul Graham; Caldwell, John; Collins, Ian; Da Fonseca, Tatiana Faria

PATENT ASSIGNEE(S): Astex Therapeutics Ltd., UK; The Institute of Cancer ResearchRoyal Cancer Hospital; Cancer Research Technology Limited

SOURCE: PCT Int. Appl., 223 pp., which
CODEN: PIXXD2

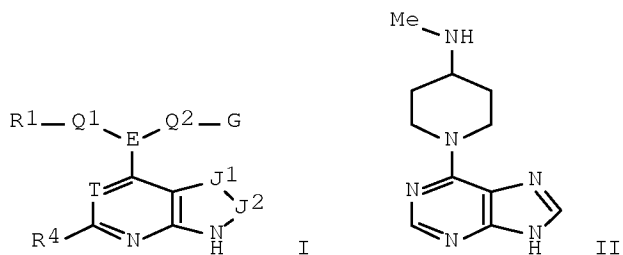
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2006046024	A1	20060504	WO 2005-GB4119	20051025
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1812004	A1	20070801	EP 2005-797685	20051025
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008517984	T	20080529	JP 2007-538500	20051025
PRIORITY APPLN. INFO.:			GB 2004-23655	A 20041025
			US 2004-621821P	P 20041025
			US 2005-684119P	P 20050524
			WO 2005-GB4119	W 20051025
OTHER SOURCE(S):			MARPAT 144:450728	
GI				



AB The invention provides a compound for use as a protein kinase B inhibitor, the compound being a compound of the formula I or salts, solvates, tautomers or N-oxides thereof. Comps. of formula I where in T is N or CR⁵; J¹-J² is N=CR⁶, R⁷C=N, R⁸NCO, (R⁸)₂CO, N=N, or R⁷C=CR⁶; E is 5- to 6-membered carbocyclic or heterocyclic group; Q¹ is a bond, C₁-3 saturated hydrocarbon where one of the carbon atoms may be optionally replaced by O or N, or an adjacent pair of carbons be replaced by CONH and derivs., or NHCO and derivs.; Q² is a bond, (un)substituted saturated C₁-3 hydrocarbon, where one of the carbon atoms may be optionally replaced by N or O; G is H, NH₂ and derivs., OH, or SH, with the provision that E is (hetero)aryl and Q² is a bond, then G is H; R¹ is H, or (hetero)aryl; R⁴, R⁶, and R⁸ are independently H, halo, C₁-5 saturated hydrocarbyl, CN, CONH₂, CONHR⁹, CF₃, NH₂, NHCOR⁹, or NHCONHR⁹; R⁵ and R⁷ are independently H, halo, C₁-5 saturated heterocarbyl, CN, or CF₃; R⁹ is (un)substituted Ph, or (un)substituted Bn; or their pharmaceutically acceptable salts, solvates, tautomers, or N-oxides thereof. Example compound II was prepared by amination of 9-(tetrahydropyran-2-yl)-6-chloropurine with 4-(N-Boc)piperidine; the resulting [1-[9-(tetrahydropyran-2-yl)-9H-purin-6-yl]piperidin-4-yl]carbamic acid tert-Bu ester underwent methylation with Me

iodide to give methyl-[1-[9-(tetrahydropyran-2-yl)-9H-purin-6-yl]piperidin-4-yl]carbamic acid tert-Bu ester, which underwent hydrolysis to give example compound II. All the invention compds. were tested for their protein kinase inhibitory activity. From the assay it was determined that compound II and some of the other example compds. exhibited IC50 values of less than 10 μ M against both protein kinase A and B. The invention compds. were also evaluated for their antiproliferative activity. Many of the invention compds. were found to have IC50 values of less than 25 μ M and the preferred compds. have IC50 values of less than 15 μ M.

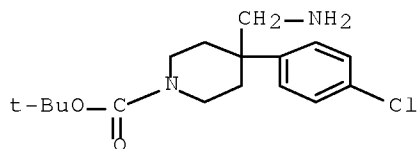
IT 669068-16-0P 885500-47-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of ortho-condensed pyridine and pyrimidine derivs. (e. g. purines) as protein kinases inhibitors useful for treatment of protein kinase mediated diseases such as proliferative diseases)

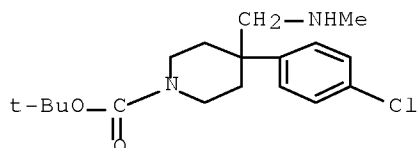
RN 669068-16-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 885500-47-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[(methylamino)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1331017 CAPLUS Full-text

DOCUMENT NUMBER: 144:69739

TITLE: Preparation of substituted piperidines that have antiangiogenic activity for use against tumors

INVENTOR(S): Haviv, Fortuna; Bradley, Michael F.; Schneider, Andrew J.

PATENT ASSIGNEE(S): Abbott Laboratories, USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

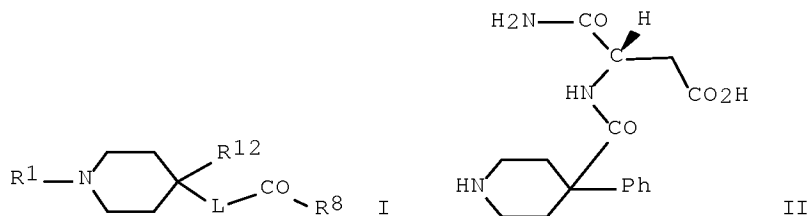
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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WO 2005121090	A1	20051222	WO 2005-US19128	20050526
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2004-576101P P 20040602
OTHER SOURCE(S): CASREACT 144:69739; MARPAT 144:69739
GI



AB Substituted piperidines (shown as I; variables defined below; e.g. (3S)-4-amino-4-oxo-3-[[[4-phenylpiperidin-4-yl]carbonyl]amino]butanoic acid trifluoroacetate (shown as II)) inhibit angiogenesis and are useful for treating conditions that arise from or are exacerbated by angiogenesis. Also disclosed are pharmaceutical compns. comprising I, methods of treatment comprising I, and methods of inhibiting angiogenesis comprising I. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.30 examples of I are included. For example, II was prepared by coupling deprotected 4-(2',4'- dimethoxyphenyl-Fmoc-aminomethyl)phenoxyacetamidonorleucyl-MBHA resin with Fmoc-Asp(OtBu)-OH, followed by coupling of the deprotected product with 1-Fmoc-4-phenylpiperidine-4-carboxylic acid, followed by TFA cleavage. For I: L = a bond and alkylene; R1 = H, alkyl, alkylcarbonyl, heteroarylalkylcarbonyl, heteroarylcarbonyl, heterocyclealkylcarbonyl, heterocyclecarbonyl, (NZ1Z2)alkylcarbonyl, R3R4NCH(R2)C(O), R6R7CH(R5)C(O)N(R3)CH(R2)C(O); R2 = H, alkyl, arylalkyl, heteroarylalkyl, heterocyclealkyl, (NZ3Z4)alkyl, and (NZ5Z6C(:NH)NZ7)alkyl; R3 = H and alkyl; R4 = H, alkyl, alkylcarbonyl, arylcarbonyl, arylalkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkylcarbonyl, heteroarylalkylcarbonyl, heteroarylcarbonyl, heterocyclealkylcarbonyl, and heterocyclecarbonyl. R5 = H, alkyl, arylalkyl, heteroarylalkyl, heterocyclealkyl, (NZ8Z9)alkyl, and (NZ10Z11C(:NH)NZ12)alkyl; R6 = H, alkyl, and alkylcarbonyl; R7 = H, alkyl, alkylcarbonyl, arylcarbonyl,

arylalkylcarbonyl, cycloalkylcarbonyl, cycloalkylalkylcarbonyl, heteroarylalkylcarbonyl, heteroarylcarbonyl, heterocyclealkylcarbonyl, and heterocyclecarbonyl; R8 = aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, hydroxy, NZ13Z14, and N(R9)CH(R10)C(O)R11; R9 = H and alkyl; R10 = carboxyalkyl and (NZ15Z16)carbonylalkyl; R11 = aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclealkyl, heteroaryl, heteroarylalkyl, hydroxy and NZ17Z18; R12 = H, R12a, and phenyl; addnl. details are given in the claims. Representative I (not specified) inhibited human endothelial cell migration between .apprx.8% and .apprx.97% when tested at a concentration of 10 nM; test results for antitumor effect against lung carcinoma and human fibrosarcoma are also presented.

IT 871811-05-1P, (3S)-3-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]-4-amino-4-oxobutanoic acid
 871811-06-2P, (3S)-3-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]-4-amino-4-oxobutanoic acid trifluoroacetate 871811-07-3P, (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid
 871811-08-4P, (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid trifluoroacetate
 871811-11-9P, (2S)-2-[[[1-(6-Aminohexanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid 871811-12-0P, (2S)-2-[[[1-(6-Aminohexanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid trifluoroacetate 871811-13-1P, (3S)-4-Amino-3-[[[1-(5-aminopentanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid 871811-14-2P, (3S)-4-Amino-3-[[[1-(5-aminopentanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid trifluoroacetate
 871811-15-3P, (3S)-4-Amino-3-[[[1-(4-aminobutanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid
 871811-16-4P, (3S)-4-Amino-3-[[[1-(4-aminobutanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid trifluoroacetate
 871811-25-5P, 3-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]propanoic acid 871811-26-6P, 3-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]propanoic acid trifluoroacetate 871811-29-9P, (3S)-4-Amino-3-[[[1-(6-aminohexanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid 871811-30-2P, (3S)-4-Amino-3-[[[1-(6-aminohexanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid trifluoroacetate
 871811-31-3P, (4S)-4-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]-5-amino-5-oxopentanoic acid
 871811-32-4P, (4S)-4-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]-5-amino-5-oxopentanoic acid trifluoroacetate 871811-33-5P, (3S)-4-Amino-3-[[[1-(3-aminopropanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid
 871811-34-6P, (3S)-4-Amino-3-[[[1-(3-aminopropanoyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-oxobutanoic acid trifluoroacetate
 871811-35-7P, (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]-4-amino-4-oxobutanoic acid
 871811-36-8P, (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]-4-amino-4-oxobutanoic acid trifluoroacetate 871811-37-9P, (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-(isopropylamino)hexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid 871811-38-0P, (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-(isopropylamino)hexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid trifluoroacetate 871811-40-4P, (2R)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid 871811-41-5P, (2R)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-

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 (2S)-2-[[[4-Phenyl-1-[(piperazin-1-yl)acetyl]piperidin-4-
 yl]carbonyl]amino]succinic acid 871811-49-3P,
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 (3S)-4-Amino-3-[[[1-((2S)-2,6-diaminohexanoyl)-4-phenylpiperidin-4-
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 871811-52-8P, (2S)-2-[[[1-((2S)-2,6-Diaminohexanoyl)-4-
 phenylpiperidin-4-yl]carbonyl]amino]succinic acid 871811-53-9P,
 (2S)-2-[[[1-((2S)-2,6-Diaminohexanoyl)-4-phenylpiperidin-4-
 yl]carbonyl]amino]succinic acid trifluoroacetate 871811-55-1P,
 (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-
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 (2S)-2-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-
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 (2S)-2-[[[1-[(2S)-2-((3R)-3-Amino-2-oxopyrrolidin-1-yl)-4-methylpentanoyl]-
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 , (2S)-2-[[[1-[(2S)-2-((3R)-3-Amino-2-oxopyrrolidin-1-yl)-4-
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 trifluoroacetate 871811-63-1P, (2S)-2-[[[1-[(2S)-6-Amino-2-[(6-
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 (2S)-2-[[[1-[(2S)-6-Amino-2-[(pyridin-3-ylcarbonyl)amino]hexanoyl]-4-
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 871811-67-5P, (2S)-2-[[[1-[(2S)-2-(Acetylamino)-5-
 [[amino(imino)methyl]amino]pentanoyl]-4-phenylpiperidin-4-
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 871811-69-7P, (2S)-2-[[[1-Acetyl-4-phenylpiperidin-4-
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 (3S)-4-Amino-3-[[[1-(aminoacetyl)-4-phenylpiperidin-4-yl]carbonyl]amino]-4-
 oxobutanoic acid 871811-74-4P, (3S)-3-[[[1-[(2S)-2-(Acetylamino)-
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 oxobutanoic acid 871811-75-5P, (2S)-2-[[[1-[(2S)-4-Methyl-2-
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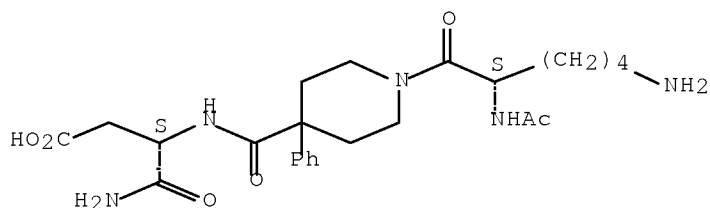
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 (2S)-2-[[[1-[2-(Acetylamino)-3-(piperidin-4-yl)propanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]succinic acid 871811-81-3P,
 3-[[[1-[2-(Acetylamino)-3-(piperidin-4-yl)propanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]propanoic acid 871811-82-4P,
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 , (3S)-3-[[[1-[(2S)-2-(Acetylamino)-6-aminohexanoyl]-4-phenylpiperidin-4-yl]carbonyl]amino]-4-(ethylamino)-4-oxobutanoic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted piperidines that have antiangiogenic activity for use against tumors)

RN 871811-05-1 CAPLUS

CN Butanoic acid, 3-[[[1-[(2S)-2-(acetylamino)-6-amino-1-oxohexyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-4-amino-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-06-2 CAPLUS

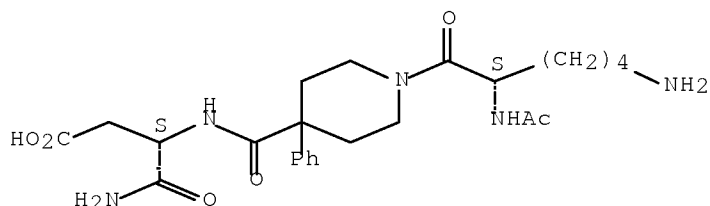
CN L- α -Asparagine, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-05-1

CMF C24 H35 N5 O6

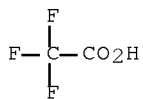
Absolute stereochemistry.



CM 2

CRN 76-05-1

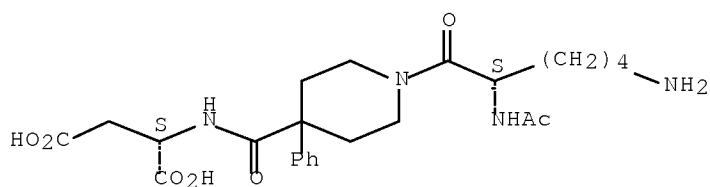
CMF C2 H F3 O2



RN 871811-07-3 CAPLUS

CN L-Aspartic acid, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 871811-08-4 CAPLUS

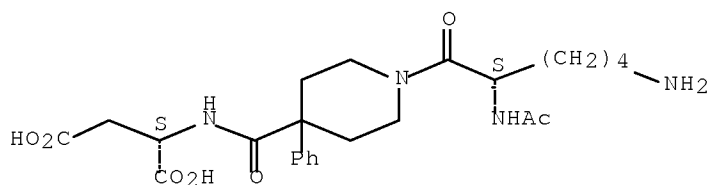
CN L-Aspartic acid, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-07-3

CMF C24 H34 N4 O7

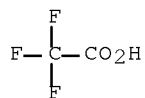
Absolute stereochemistry.



CM 2

CRN 76-05-1

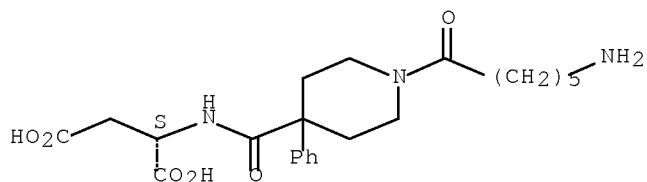
CMF C2 H F3 O2



RN 871811-11-9 CAPLUS

CN L-Aspartic acid, N-[[1-(6-amino-1-oxohexyl)-4-phenyl-4-piperidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-12-0 CAPLUS

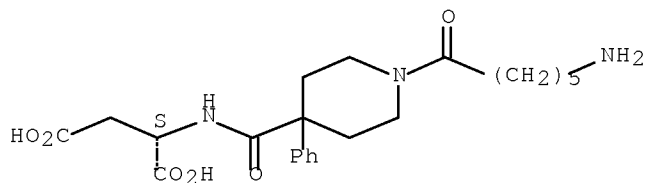
CN L-Aspartic acid, N-[[1-(6-amino-1-oxohexyl)-4-phenyl-4-piperidinyl]carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-11-9

CMF C22 H31 N3 O6

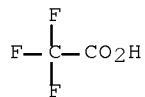
Absolute stereochemistry.



CM 2

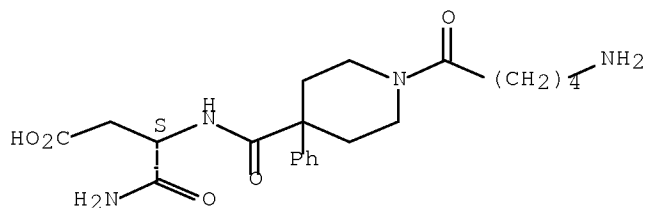
CRN 76-05-1

CMF C2 H F3 O2



RN 871811-13-1 CAPLUS
 CN Butanoic acid, 4-amino-3-[[[1-(5-amino-1-oxopentyl)-4-phenyl-4-piperidinyl]carbonyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

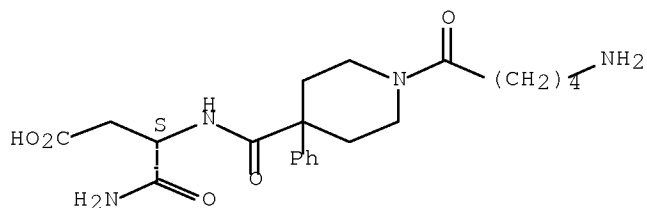


RN 871811-14-2 CAPLUS
 CN Butanoic acid, 4-amino-3-[[[1-(5-amino-1-oxopentyl)-4-phenyl-4-piperidinyl]carbonyl]amino]-4-oxo-, (3S)-, mono(trifluoroacetate) (9CI)
 (CA INDEX NAME)

CM 1

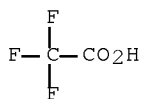
CRN 871811-13-1
 CMF C21 H30 N4 O5

Absolute stereochemistry.



CM 2

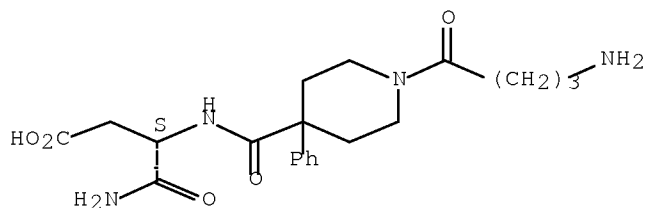
CRN 76-05-1
 CMF C2 H F3 O2



RN 871811-15-3 CAPLUS
 CN Butanoic acid, 4-amino-3-[[[1-(4-amino-1-oxobutyl)-4-phenyl-4-

piperidinyl]carbonyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-16-4 CAPLUS

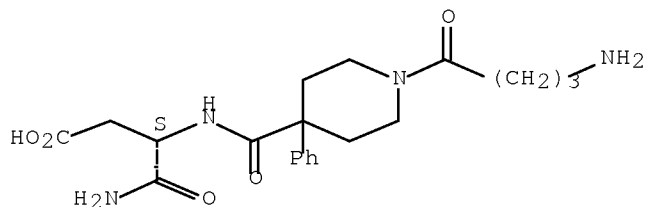
CN Butanoic acid, 4-amino-3-[[[1-(4-amino-1-oxobutyl)-4-phenyl-4-piperidinyl]carbonyl]amino]-4-oxo-, (3S)-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 871811-15-3

CMF C20 H28 N4 O5

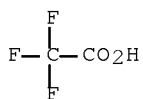
Absolute stereochemistry.



CM 2

CRN 76-05-1

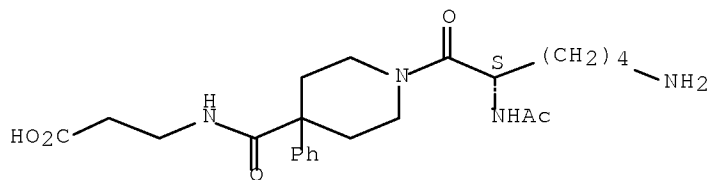
CMF C2 H F3 O2



RN 871811-25-5 CAPLUS

CN β -Alanine, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 871811-26-6 CAPLUS

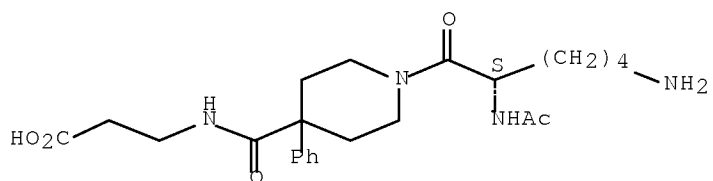
CN β -Alanine, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-25-5

CMF C23 H34 N4 O5

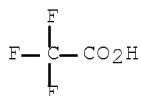
Absolute stereochemistry.



CM 2

CRN 76-05-1

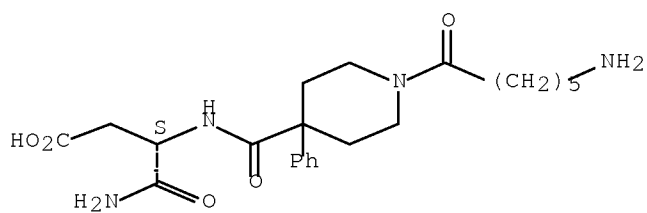
CMF C2 H F3 O2



RN 871811-29-9 CAPLUS

CN Butanoic acid, 4-amino-3-[[[1-(6-amino-1-oxohexyl)-4-phenyl-4-
piperidinyl]carbonyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-30-2 CAPLUS

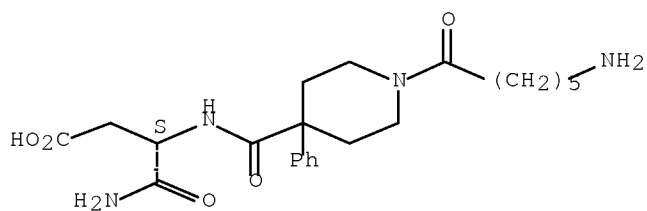
CN Butanoic acid, 4-amino-3-[[[1-(6-amino-1-oxohexyl)-4-phenyl-4-piperidinyl]carbonyl]amino]-4-oxo-, (3S)-, mono(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

CRN 871811-29-9

CMF C22 H32 N4 O5

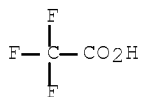
Absolute stereochemistry.



CM 2

CRN 76-05-1

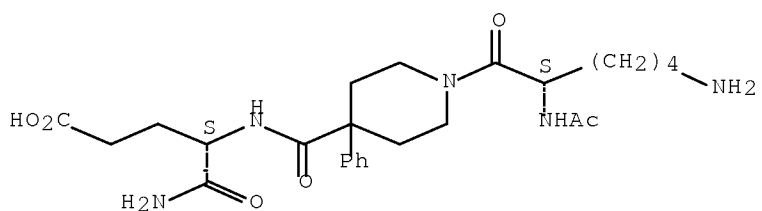
CMF C2 H F3 O2



RN 871811-31-3 CAPLUS

CN Pentanoic acid, 4-[[[1-[(2S)-2-(acetylamino)-6-amino-1-oxohexyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-5-amino-5-oxo-, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-32-4 CAPLUS

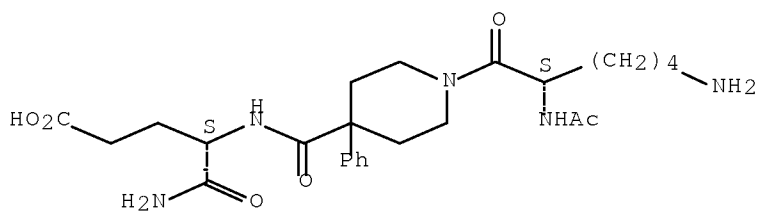
CN Pentanoic acid, 4-[[[1-[(2S)-2-(acetylamino)-6-amino-1-oxohexyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-5-amino-5-oxo-, (4S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 871811-31-3

CMF C25 H37 N5 O6

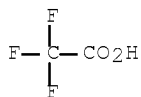
Absolute stereochemistry.



CM 2

CRN 76-05-1

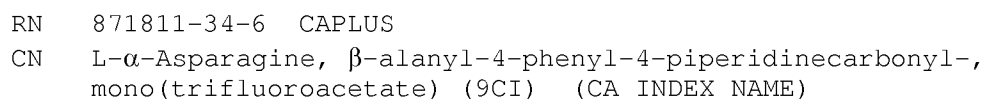
CMF C2 H F3 O2



RN 871811-33-5 CAPLUS

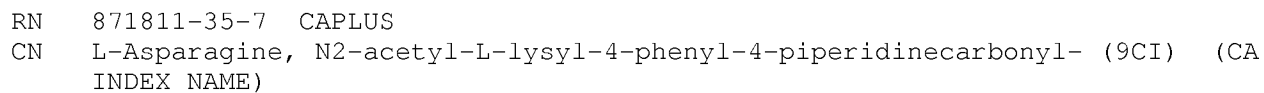
CN Butanoic acid, 4-amino-3-[[[1-(3-amino-1-oxopropyl)-4-phenyl-4-piperidinyl]carbonyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

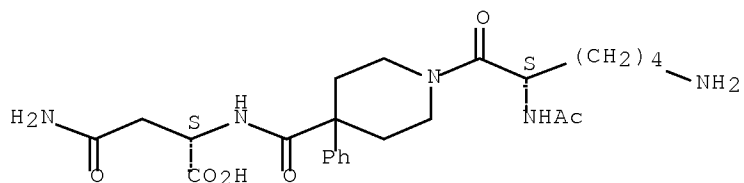


CRN 871811-33-5
CMF C19 H26 N4 O5

CRN 76-05-1
CMF C2 H F3 O2



Absolute stereochemistry.



RN 871811-36-8 CAPLUS

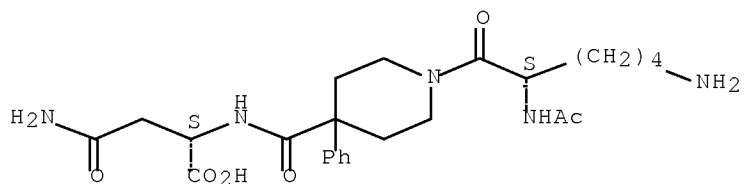
CN L-Asparagine, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarboxyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-35-7

CMF C24 H35 N5 O6

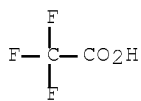
Absolute stereochemistry.



CM 2

CRN 76-05-1

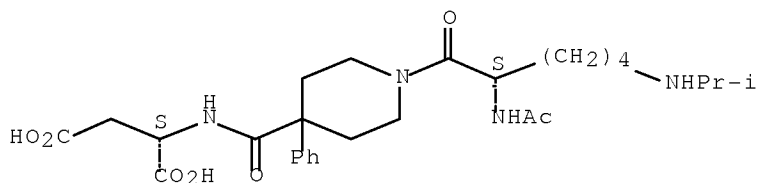
CMF C2 H F3 O2



RN 871811-37-9 CAPLUS

CN L-Aspartic acid, N2-acetyl-N6-(1-methylethyl)-L-lysyl-4-phenyl-4-
piperidinecarboxyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-38-0 CAPLUS

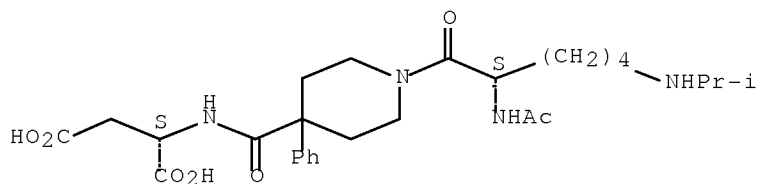
CN L-Aspartic acid, N2-acetyl-N6-(1-methylethyl)-L-lysyl-4-phenyl-4-piperidinecarboxyl-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-37-9

CMF C27 H40 N4 O7

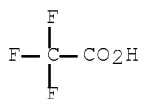
Absolute stereochemistry.



CM 2

CRN 76-05-1

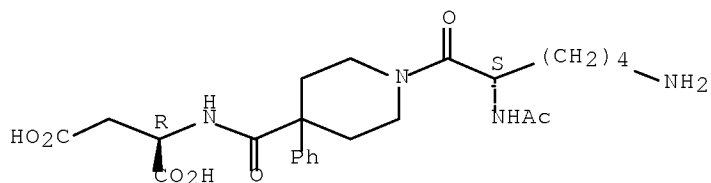
CMF C2 H F3 O2



RN 871811-40-4 CAPLUS

CN D-Aspartic acid, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarboxyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-41-5 CAPLUS

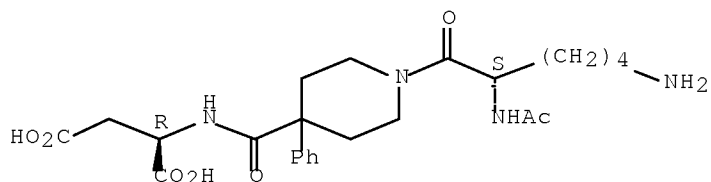
CN D-Aspartic acid, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-40-4

CMF C24 H34 N4 O7

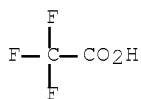
Absolute stereochemistry.



CM 2

CRN 76-05-1

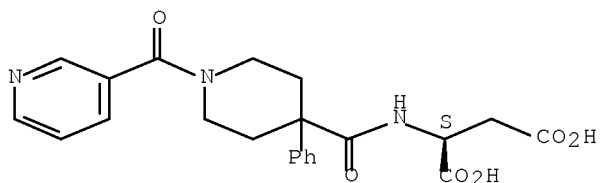
CMF C2 H F3 O2



RN 871811-42-6 CAPLUS

CN L-Aspartic acid, N-[[4-phenyl-1-(3-pyridinylcarbonyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.

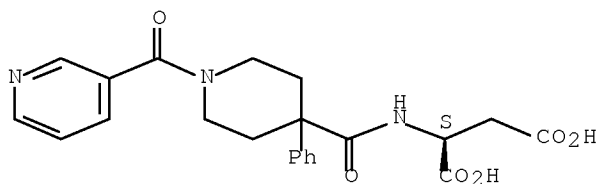


RN 871811-43-7 CAPLUS
 CN L-Aspartic acid, N-[[4-phenyl-1-(3-pyridinylcarbonyl)-4-piperidinyl]carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

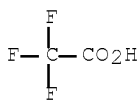
CRN 871811-42-6
 CMF C22 H23 N3 O6

Absolute stereochemistry.



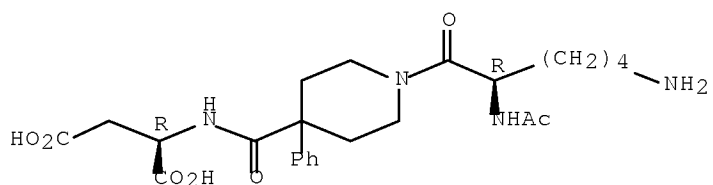
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



RN 871811-44-8 CAPLUS
 CN D-Aspartic acid, N2-acetyl-D-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-45-9 CAPLUS

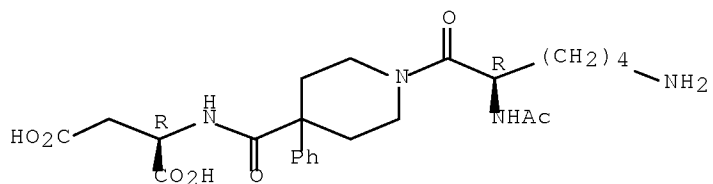
CN D-Aspartic acid, N2-acetyl-D-lysyl-4-phenyl-4-piperidinecarbonyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-44-8

CMF C24 H34 N4 O7

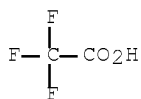
Absolute stereochemistry.



CM 2

CRN 76-05-1

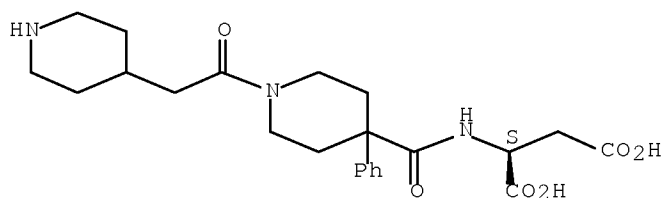
CMF C2 H F3 O2



RN 871811-46-0 CAPLUS

CN L-Aspartic acid, N-[[4-phenyl-1-(4-piperidinylacetyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-47-1 CAPLUS

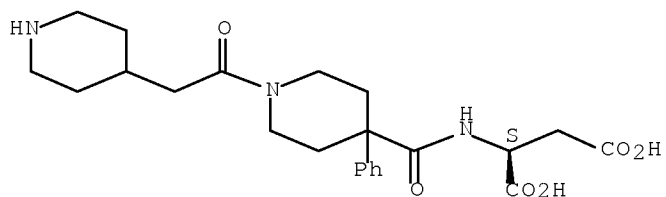
CN L-Aspartic acid, N-[[4-phenyl-1-(4-piperidinylacetyl)-4-piperidinyl]carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-46-0

CMF C23 H31 N3 O6

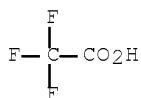
Absolute stereochemistry.



CM 2

CRN 76-05-1

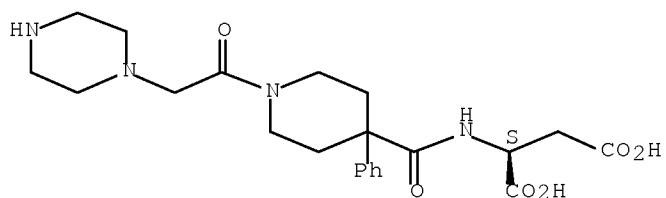
CMF C2 H F3 O2

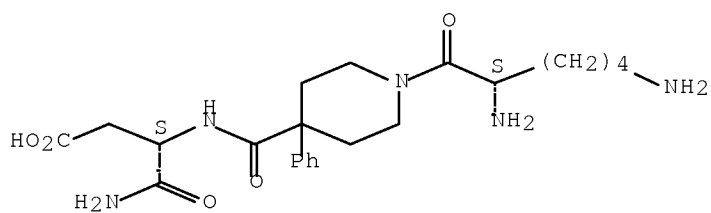


RN 871811-48-2 CAPLUS

CN L-Aspartic acid, N-[[4-phenyl-1-(1-piperazinylacetyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





RN 871811-51-7 CAPLUS

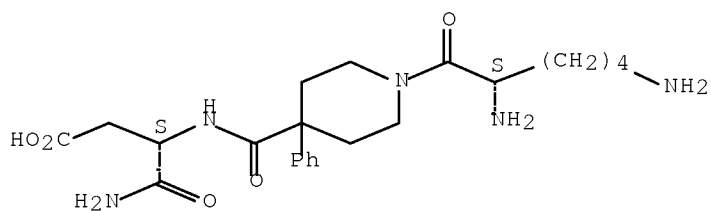
CN Butanoic acid, 4-amino-3-[[[1-[(2S)-2,6-diamino-1-oxohexyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-4-oxo-, (3S)-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 871811-50-6

CMF C22 H33 N5 O5

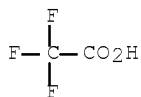
Absolute stereochemistry.



CM 2

CRN 76-05-1

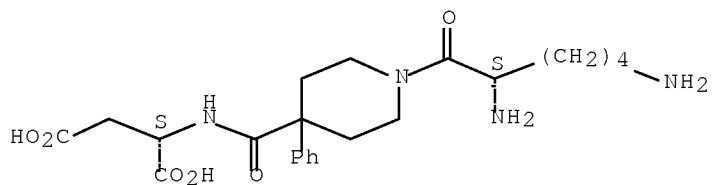
CMF C2 H F3 O2



RN 871811-52-8 CAPLUS

CN L-Aspartic acid, L-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-53-9 CAPLUS

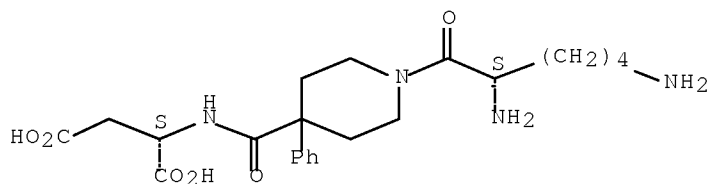
CN L-Aspartic acid, L-lysyl-4-phenyl-4-piperidinecarbonyl-, trifluoroacetate
(9CI) (CA INDEX NAME)

CM 1

CRN 871811-52-8

CMF C22 H32 N4 O6

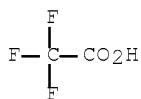
Absolute stereochemistry.



CM 2

CRN 76-05-1

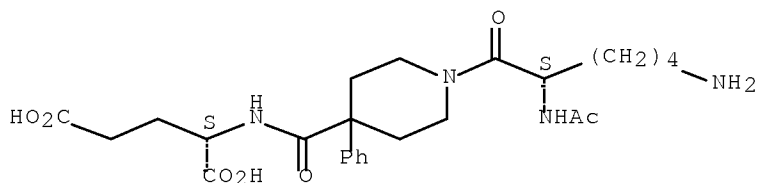
CMF C2 H F3 O2



RN 871811-55-1 CAPLUS

CN L-Glutamic acid, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 871811-56-2 CAPLUS

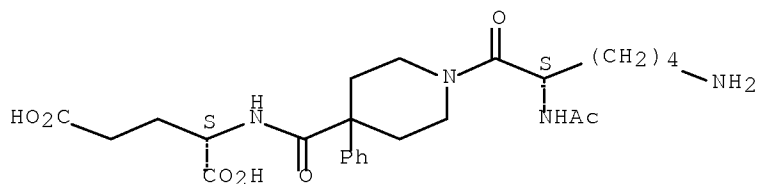
CN L-Glutamic acid, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarbonyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-55-1

CMF C25 H36 N4 O7

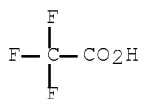
Absolute stereochemistry.



CM 2

CRN 76-05-1

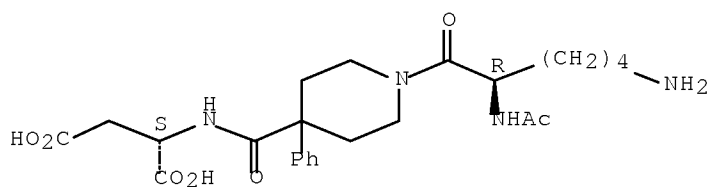
CMF C2 H F3 O2



RN 871811-57-3 CAPLUS

CN L-Aspartic acid, N2-acetyl-D-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 871811-58-4 CAPLUS

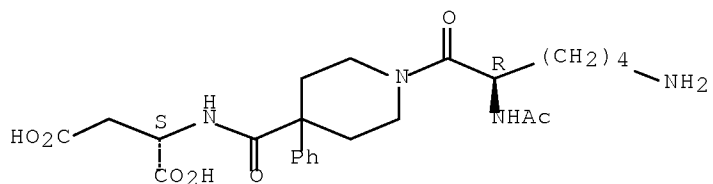
CN L-Aspartic acid, N2-acetyl-D-lysyl-4-phenyl-4-piperidinecarboxyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-57-3

CMF C24 H34 N4 O7

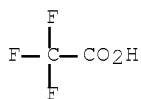
Absolute stereochemistry.



CM 2

CRN 76-05-1

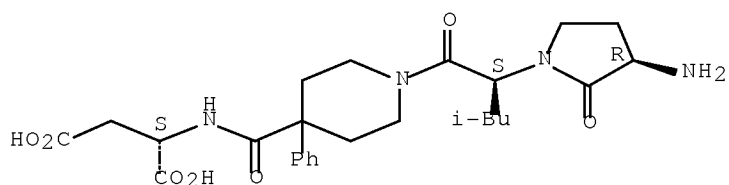
CMF C2 H F3 O2



RN 871811-59-5 CAPLUS

CN L-Aspartic acid, N-[[1-[(2S)-2-[(3R)-3-amino-2-oxo-1-pyrrolidinyl]-4-methyl-1-oxopentyl]-4-phenyl-4-piperidinyl]carbonyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-60-8 CAPLUS

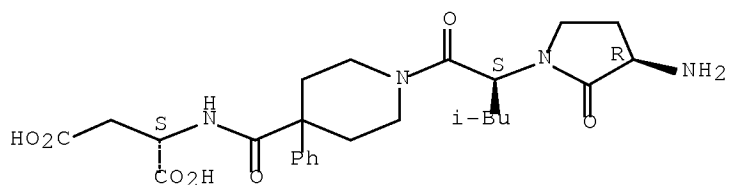
CN L-Aspartic acid, N-[[1-[(2S)-2-[(3R)-3-amino-2-oxo-1-pyrrolidinyl]-4-methyl-1-oxopentyl]-4-phenyl-4-piperidinyl]carbonyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-59-5

CMF C26 H36 N4 O7

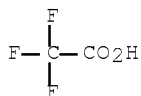
Absolute stereochemistry.



CM 2

CRN 76-05-1

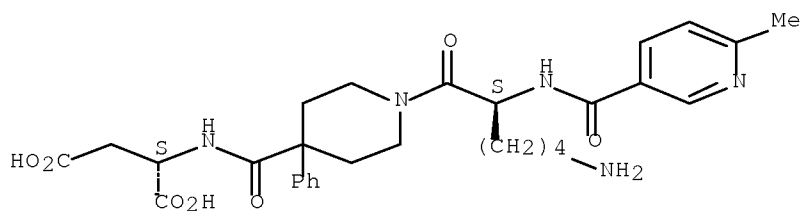
CMF C2 H F3 O2



RN 871811-63-1 CAPLUS

CN L-Aspartic acid, N2-[(6-methyl-3-pyridinyl)carbonyl]-L-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-64-2 CAPLUS

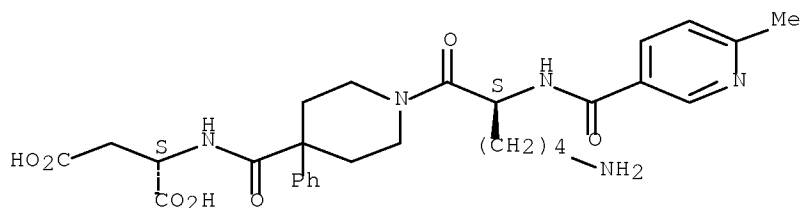
CN L-Aspartic acid, N2-[(6-methyl-3-pyridinyl)carbonyl]-L-lysyl-4-phenyl-4-piperidinecarbonyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 871811-63-1

CMF C29 H37 N5 O7

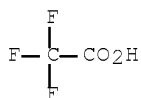
Absolute stereochemistry.



CM 2

CRN 76-05-1

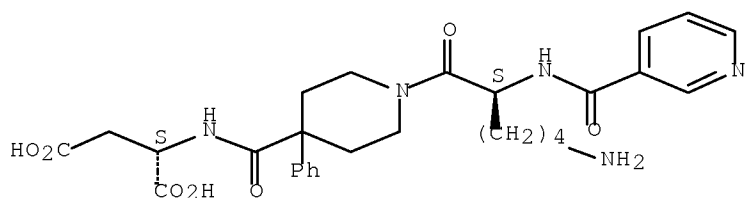
CMF C2 H F3 O2



RN 871811-65-3 CAPLUS

CN L-Aspartic acid, N2-(3-pyridinylcarbonyl)-L-lysyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-66-4 CAPLUS

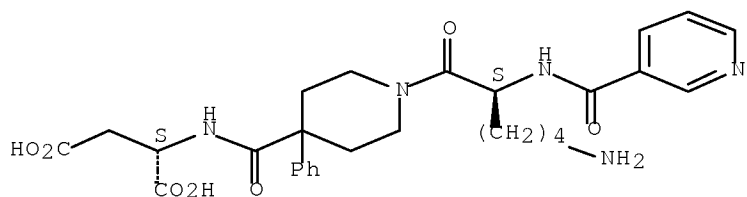
CN L-Aspartic acid, N2-(3-pyridinylcarbonyl)-L-lysyl-4-phenyl-4-piperidinecarboxyl-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 871811-65-3

CMF C28 H35 N5 O7

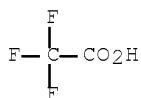
Absolute stereochemistry.



CM 2

CRN 76-05-1

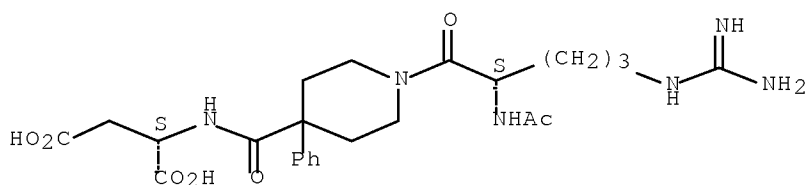
CMF C2 H F3 O2



RN 871811-67-5 CAPLUS

CN L-Aspartic acid, N2-acetyl-L-arginyl-4-phenyl-4-piperidinecarboxyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-68-6 CAPLUS

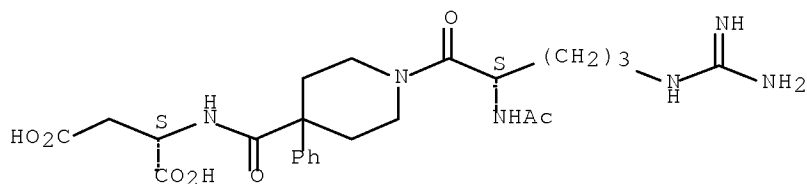
CN L-Aspartic acid, N2-acetyl-L-arginyl-4-phenyl-4-piperidinecarbonyl-,
mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 871811-67-5

CMF C24 H34 N6 O7

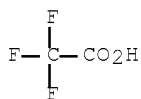
Absolute stereochemistry.



CM 2

CRN 76-05-1

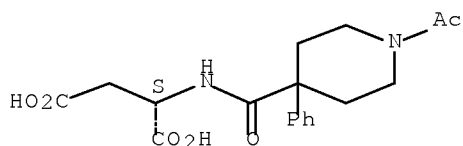
CMF C2 H F3 O2



RN 871811-69-7 CAPLUS

CN L-Aspartic acid, N-[(1-acetyl-4-phenyl-4-piperidinyl)carbonyl]- (CA INDEX
NAME)

Absolute stereochemistry.



CN Butanoic acid, 4-amino-3-[[[1-(2-aminoacetyl)-4-phenyl-4-piperidinyl]carbonyl]amino]-4-oxo-, (3S)- (CA INDEX NAME)

NC(=O)N1CCCCC1C(=O)NC(=O)C(N)C(=O)O

CN Butanoic acid, 3-[[[1-[(2S)-2-(acetylamino)-5-amino-1-oxopentyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-4-amino-4-oxo-, (3S)- (CA INDEX NAME)

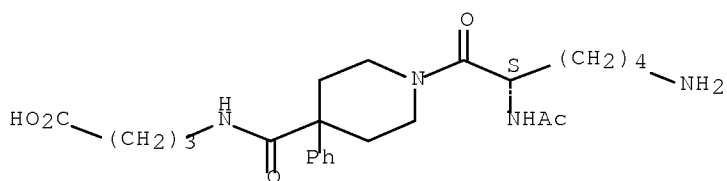
CC(=O)N[C@@H](S[C@@H](C(=O)O)CNC(=O)C1(CCN(C1)C(=O)C2=CC=CC=C2)C(=O)NCCCN

CN L-Aspartic acid, N-methyl-L-leucyl-4-phenyl-4-piperidinecarbonyl- (9CI)
(CA INDEX NAME)

CCCC(C(=O)NCC(C(=O)O)S(=O)(=O)C(=O)N1CCCC(C2=CC=CC=C2)CC1)C(=O)NCC(C(=O)O)S(=O)(=O)C(=O)N1CCCC(C2=CC=CC=C2)CC1

CN Butanoic acid, 4-[[[1-[(2S)-2-(acetylamino)-6-amino-1-oxohexyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (CA INDEX NAME)

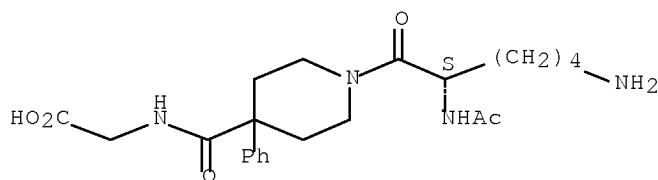
Absolute stereochemistry.



RN 871811-77-7 CAPLUS

CN Glycine, N2-acetyl-L-lysyl-4-phenyl-4-piperidinecarboxyl- (9CI) (CA INDEX NAME)

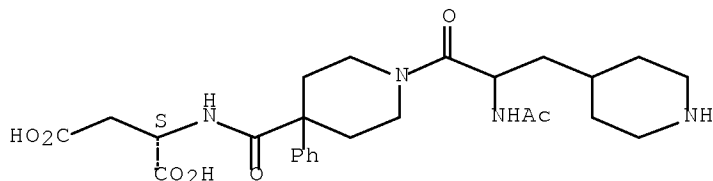
Absolute stereochemistry.



RN 871811-80-2 CAPLUS

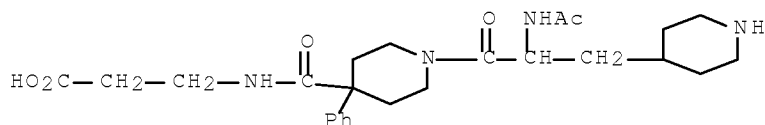
CN L-Aspartic acid, N-acetyl-3-(4-piperidiny)alanyl-4-phenyl-4-piperidinecarboxyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 871811-81-3 CAPLUS

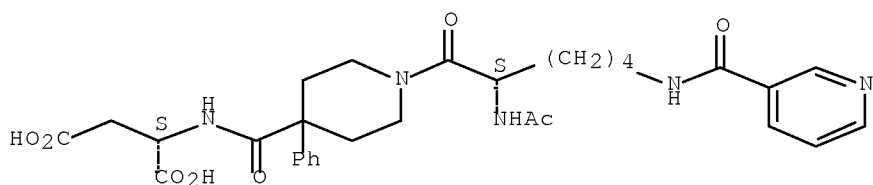
CN β -Alanine, N-acetyl-3-(4-piperidiny)alanyl-4-phenyl-4-piperidinecarboxyl- (9CI) (CA INDEX NAME)



RN 871811-82-4 CAPLUS

CN L-Aspartic acid, N2-acetyl-N6-(3-pyridinylcarbonyl)-L-lysyl-4-phenyl-4-piperidinecarboxyl- (9CI) (CA INDEX NAME)

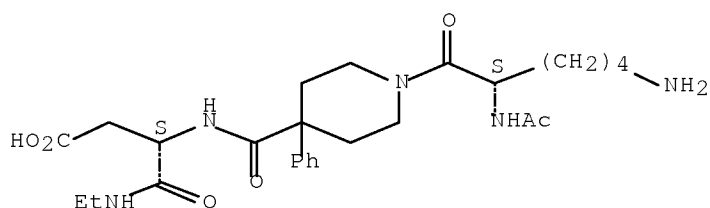
Absolute stereochemistry.



RN 871811-83-5 CAPLUS

CN Butanoic acid, 3-[[[1-[(2S)-2-(acetylamino)-6-amino-1-oxohexyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-4-(ethylamino)-4-oxo-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1289826 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 144:36328

TITLE: Preparation of substituted thiazoleacetic acids as CRTH2 receptor ligands

INVENTOR(S): Ulven, Trond; Frimurer, Thomas; Rist, Oeystein; Kostenis, Evi; Hoegberg, Thomas; Receveur, Jean-Marie; Grimstrup, Marie

PATENT ASSIGNEE(S): 7TM Pharma A/S, Den.

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116001	A1	20051208	WO 2005-EP5882	20050530
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			

AU 2005247610	A1	20051208	AU 2005-247610	20050530
CA 2568742	A1	20051208	CA 2005-2568742	20050530
EP 1758874	A1	20070307	EP 2005-748037	20050530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1980908	A	20070613	CN 2005-80022519	20050530
BR 2005011671	A	20080102	BR 2005-11671	20050530
JP 2008503447	T	20080207	JP 2007-513845	20050530
MX 2006PA13924	A	20070718	MX 2006-PA13924	20061129
NO 2006006049	A	20070227	NO 2006-6049	20061228
KR 2007044404	A	20070427	KR 2006-727509	20061228
IN 2006CN04780	A	20070629	IN 2006-CN4780	20061228
US 20080119456	A1	20080522	US 2007-597839	20070914

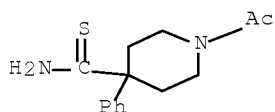
GB 2004-12198	A	20040529
GB 2004-14194	A	20040624
GB 2004-24016	A	20041029
WO 2005-EP5882	W	20050530

Chemical structure diagram showing a pyrazole ring substituted with various groups. The pyrazole ring is connected to a side chain at the 2-position, which includes a quaternary carbon atom (Q) bonded to L4, Ar2, L2, and the pyrazole ring. The pyrazole ring is also substituted with L1-A at the 3-position and Q1-Q2 at the 4-position. The side chain is further substituted with L3-Ar3-H at the 5-position.

IT 870862-27-4

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(preparation of substituted thiazoleacetic acids as CRTH2 receptor ligands)
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CN 4-Piperidinecarbothioamide, 1-acetyl-4-phenyl- (CA INDEX NAME)



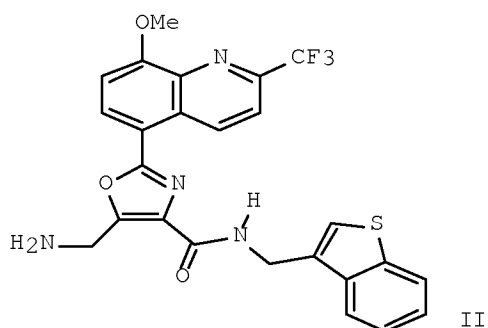
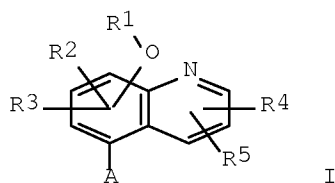
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1289687 CAPLUS Full-text
 DOCUMENT NUMBER: 144:51568
 TITLE: Preparation of substituted 2-quinolyl-oxazoles and their heterocyclic analogs useful as pde4 inhibitors
 INVENTOR(S): Kuang, Rongze; Blythin, David; Shih, Neng-Yang; Shue, Ho-Jane; Chen, Xiao; Cao, Jianhua; Gu, Danlin; Huang, Ying; Schwerdt, John H.; Ting, Pauline C.; Wong, Shing-Chun; Xiao, Li
 PATENT ASSIGNEE(S): Schering Corporation, USA
 SOURCE: PCT Int. Appl., 233 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005116009	A1	20051208	WO 2005-US17134	20050516
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005247906	A1	20051208	AU 2005-247906	20050516
CA 2565599	A1	20051208	CA 2005-2565599	20050516
US 20060106062	A1	20060518	US 2005-130359	20050516
EP 1758883	A1	20070307	EP 2005-750076	20050516
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1984901	A	20070620	CN 2005-80023666	20050516
BR 2005011295	A	20071204	BR 2005-11295	20050516
JP 2007537300	T	20071220	JP 2007-513471	20050516
TW 286475	B	20070911	TW 2005-94115924	20050517
MX 2006PA13414	A	20070123	MX 2006-PA13414	20061117
KR 2007013306	A	20070130	KR 2006-724186	20061117
IN 2006CN04254	A	20070629	IN 2006-CN4254	20061117
NO 2006005830	A	20070216	NO 2006-5830	20061215
PRIORITY APPLN. INFO.:			US 2004-572266P	P 20040518
			WO 2005-US17134	W 20050516

OTHER SOURCE(S):
GI

CASREACT 144:51568; MARPAT 144:51568



AB Title compds. I [R1 = H, alkyl, cycloalkyl; R2, R3 and R5 independently = H or halo; R4 = H, halo, alkyl, etc.; A = substituted oxazolyl, imidazole, thiazole or pyrrole], and their pharmaceutically acceptable salts, are prepared and disclosed as pde4 inhibitors. Thus, e.g., II was prepared in a multistep synthesis from 2-trifluoromethyl-8-methoxyquinolin-5-yl carboxylic acid. In PDE4 assays, selected compds. possessed IC50 values ranging from 0.01-1.8 nM. Also claimed are pharmaceutical compns., the use of the compds. as PDE4 inhibitors, and combinations with other actives.

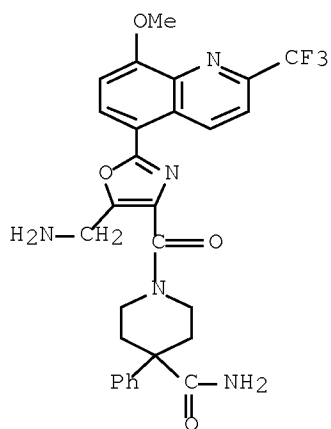
IT 871000-63-4P 871000-68-9P 871000-79-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted quinolyloxazoles and their heterocyclic analogs useful as PDE4 inhibitors)

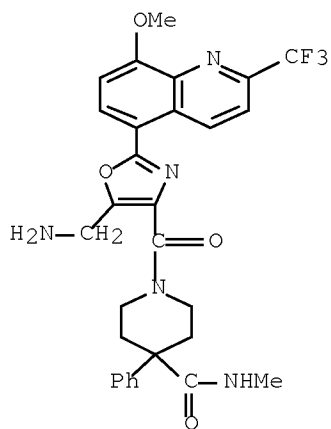
RN 871000-63-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[5-(aminomethyl)-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-4-oxazolyl]carbonyl]-4-phenyl- (CA INDEX NAME)



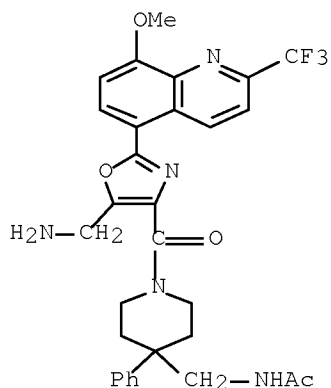
RN 871000-68-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[5-(aminomethyl)-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-4-oxazolyl]carbonyl]-N-methyl-4-phenyl- (CA INDEX NAME)



RN 871000-79-2 CAPLUS

CN Acetamide, N-[[1-[[5-(aminomethyl)-2-[8-methoxy-2-(trifluoromethyl)-5-quinolinyl]-4-oxazolyl]carbonyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:704280 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:367569

TITLE: A Combinatorial Approach toward the Generation of Ambiphilic Peptide-Based Inhibitors of Protein:Geranylgeranyl Transferase-1

AUTHOR(S): El Oualid, Farid; van den Elst, Hans; Leroy, Ingrid M.; Pieterman, Elsbeth; Cohen, Louis H.; Burm, Brigitte E. A.; Overkleeft, Herman S.; van der Marel, Gijs A.; Overhand, Mark

CORPORATE SOURCE: Leiden Institute of Chemistry, Gorlaeus Laboratories, Leiden University, Leiden, 2300 RA, Neth.

SOURCE: Journal of Combinatorial Chemistry (2005), 7(5), 703-713

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 143:367569

AB A combinatorial synthesis of oligopeptide analogs and their evaluation as protein geranylgeranyl transferase inhibitors is presented. The combinatorial strategy is based on the random mutation, in each new generation, of one of any of the four amino acid building blocks of which the most effective compds. of the previous generation are assembled. In this way, a progressive improvement of the average inhibitory activity was observed until the fifth generation. The most active inhibitors were found to inhibit PGGT-1 in the low micromolar range ($IC_{50} = 3.8-8.1 \mu M$).

IT 866225-36-7P 866225-77-6P

RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation);

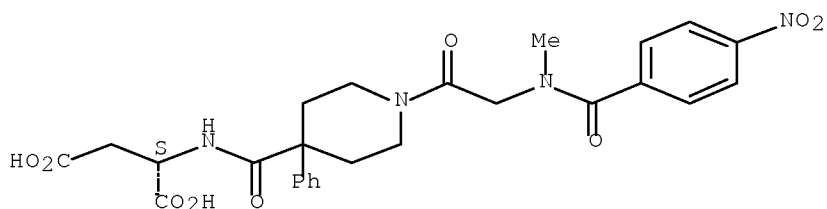
BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation)

(solid-phase combinatorial preparation of peptide derivs. as inhibitors of protein geranylgeranyl transferase-1)

RN 866225-36-7 CAPLUS

CN L-Aspartic acid, N-methyl-N-(4-nitrobenzoyl)glycyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

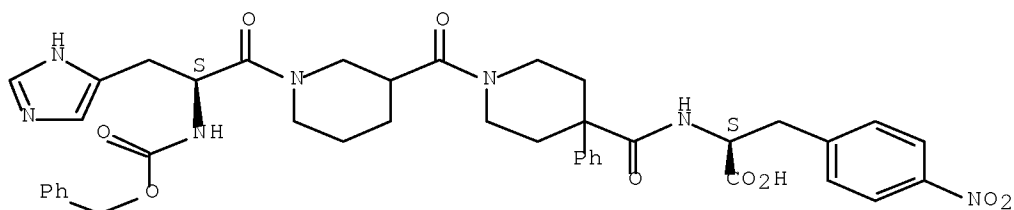
Absolute stereochemistry.



RN 866225-77-6 CAPLUS

CN L-Phenylalanine, N-[(phenylmethoxy)carbonyl]-L-histidyl-3-piperidinecarbonyl-4-phenyl-4-piperidinecarbonyl-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 66 THERE ARE 66 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:698366 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:166724

TITLE: Prodrugs of potassium channel inhibitors, and preparation thereof

INVENTOR(S): Gross, Michael F.; Lloyd, John

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp., Cont.-in-part of U.S. Ser. No. 417,355.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

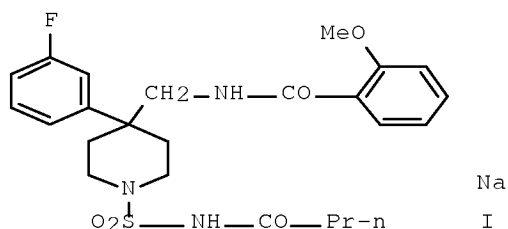
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

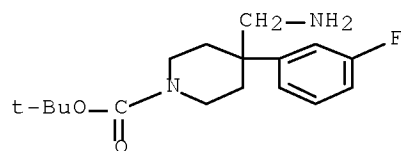
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050171156	A1	20050804	US 2005-28399	20050103
US 20040110793	A1	20040610	US 2003-417355	20030416
US 7005436	B2	20060228		
US 20060014792	A1	20060119	US 2005-186991	20050721
WO 2006073967	A1	20060713	WO 2005-US47183	20051227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,

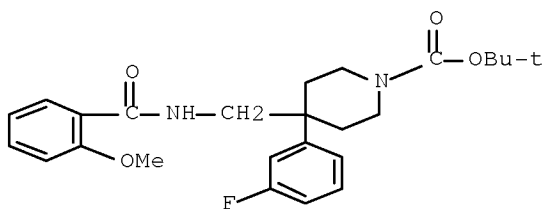
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1841741 A1 20071010 EP 2005-855697 20051227
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 PRIORITY APPLN. INFO.: US 2002-374279P P 20020419
 US 2003-417355 A2 20030416
 US 2005-28399 A 20050103
 WO 2005-US47183 W 20051227
 OTHER SOURCE(S): CASREACT 143:166724; MARPAT 143:166724
 GI



AB The invention discloses compds. useful as prodrugs of potassium channel inhibitor compds., in particular as prodrugs of Kv1.5 channel inhibitors. Preparation of compds. of the invention, e.g. I, is described.
 IT 619292-31-8P 619292-32-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (potassium channel inhibitor prodrugs, and preparation)
 RN 619292-31-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 619292-32-9 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(3-fluorophenyl)-4-[[2-methoxybenzoyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 25 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:470969 CAPLUS Full-text
 DOCUMENT NUMBER: 143:26636
 TITLE: Preparation of 4-[(Arylmethyl)aminomethyl]piperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases
 INVENTOR(S): Bosch, Michael; Wagnon, Jean
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: Fr. Demande, 31 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862968	A1	20050603	FR 2003-14172	20031201
FR 2862968	B1	20060804		
WO 2005054229	A1	20050616	WO 2004-FR3066	20041130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1694668	A1	20060830	EP 2004-805590	20041130
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JP 2007512384	T	20070517	JP 2006-541974	20041130
US 20070037819	A1	20070215	US 2006-420505	20060526
PRIORITY APPLN. INFO.:			FR 2003-14172	A 20031201
			WO 2004-FR3066	W 20041130
OTHER SOURCE(S):		MARPAT 143:26636		
GI				

AB Title compds. I [wherein X = (CH₂)_n; n = 1-2; R₁ = CF₃; R₂ = H, alkyl; R₃ = (un)substituted pyrrolyl, 1,2,3-thiadiazolyl, pyrazinyl, etc.; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II was prepared by reacting 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone (preparation given) and 1-methyl-2-pyrrolicarboxaldehyde in THF in the presence of NaBH(OAc)₃/AcOH. I inhibited the binding of 125I NGF to p75NTR receptor with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the biochem. level. I inhibited the pro-apoptotic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the cellular level.

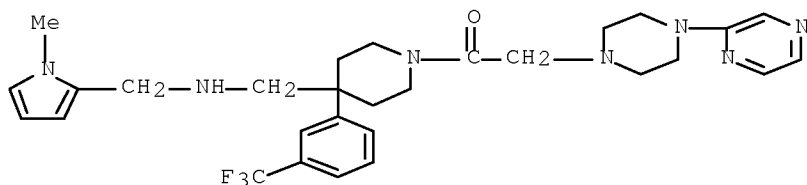
IT 852936-29-9P, [(1-Methyl-1H-pyrrol-2-yl)methyl][[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine 852936-31-3P 852936-32-4P, N-Methyl-1-[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(1,3-thiazol-2-yl)methyl]methanamine trihydrochloride 852936-33-5P, (2-Furylmethyl)[[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine 852936-34-6P, (3-Furylmethyl)[[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine 852936-35-7P, [(5-Methyl-2-furyl)methyl][[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine 852936-36-8P, [(4,5-Dimethyl-2-furyl)methyl](methyl)[[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine trihydrochloride 852936-37-9P, [(5-Chloro-2-furyl)methyl](methyl)[[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine 852936-38-0P, [[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl[(2-thienyl)methyl]amine 852936-39-1P, [[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl[(3-thienyl)methyl]amine 852936-40-4P, 1-Phenyl-N-[[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine 852936-41-5P, [[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl[(pyridin-2-yl)methyl]amine 852936-42-6P, N-Methyl-1-[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-2-yl)methyl]methanamine 852936-43-7P, N-Methyl-1-[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-3-yl)methyl]methanamine tetrahydrochloride 852936-44-8P, N-Methyl-1-[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyridin-4-yl)methyl]methanamine tetrahydrochloride 852936-45-9P, N-Methyl-1-(pyrazin-2-yl)-N-[[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methanamine tetrahydrochloride 852936-46-0P, [(6-Methylpyridin-2-yl)methyl][[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine 852936-47-1P, [(3-Methyl-2-thienyl)methyl][[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine trihydrochloride 852936-48-2P 852936-49-3P, N-Methyl-1-[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]-N-[(pyrimidin-5-yl)methyl]methanamine 852936-50-6P, (1H-Imidazol-2-ylmethyl)(methyl)[[1-[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methylamine 852936-51-7P, (1H-Imidazol-5-ylmethyl)(methyl)[[1-[4-(pyrazin-2-

yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl)methyl]amine tetrahydrochloride 852936-52-8F,
 N-Methyl-1-(4-methyl-1H-imidazol-5-yl)-N-[[1-[[4-(pyrazin-2-yl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]pyridin-4-yl)methyl]methanamine
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

RN 852936-29-9 CAPLUS

CN Ethanone, 1-[4-[[[(1-methyl-1H-pyrrol-2-yl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



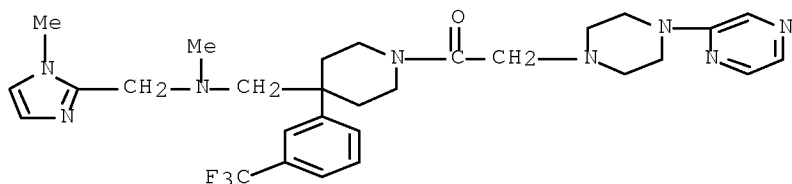
RN 852936-31-3 CAPLUS

CN Ethanone, 1-[4-[[methyl[(1-methyl-1H-imidazol-2-yl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 852936-30-2

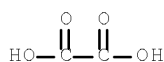
CMF C29 H37 F3 N8 O



CM 2

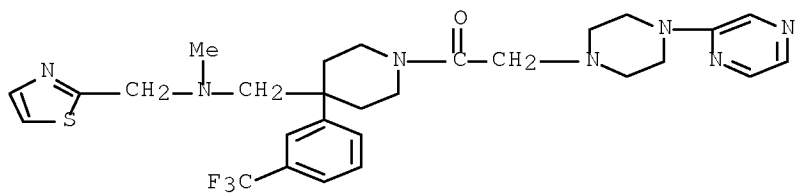
CRN 144-62-7

CMF C2 H2 O4



RN 852936-32-4 CAPLUS

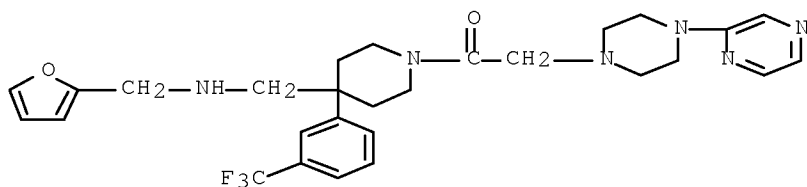
CN Ethanone, 1-[4-[[methyl(2-thiazolylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

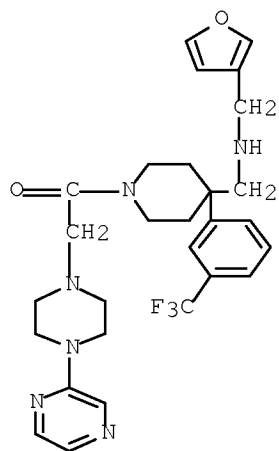
RN 852936-33-5 CAPLUS

CN Ethanone, 1-[4-[[(2-furanylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



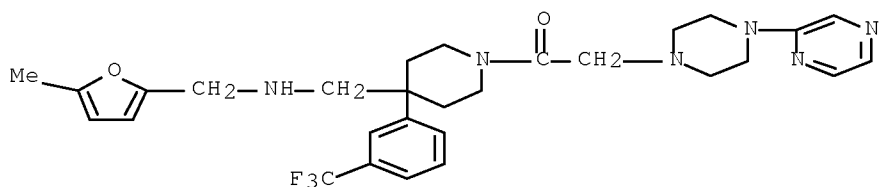
RN 852936-34-6 CAPLUS

CN Ethanone, 1-[4-[[(3-furanylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



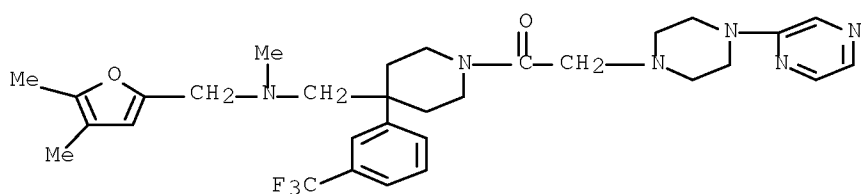
RN 852936-35-7 CAPLUS

CN Ethanone, 1-[4-[[[(5-methyl-2-furanyl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-
(CA INDEX NAME)



RN 852936-36-8 CAPLUS

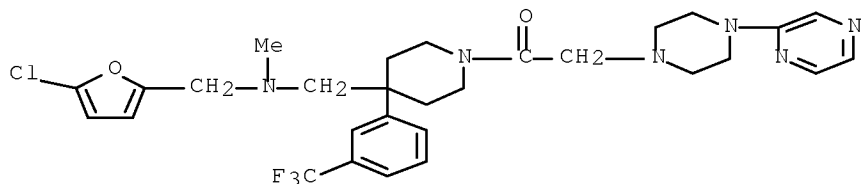
CN Ethanone, 1-[4-[[[(4,5-dimethyl-2-furanyl)methyl]methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-
, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

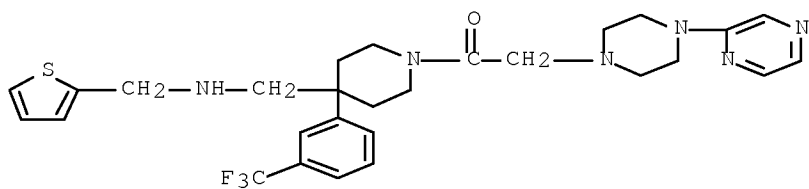
RN 852936-37-9 CAPLUS

CN Ethanone, 1-[4-[[[(5-chloro-2-furanyl)methyl]methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-
(CA INDEX NAME)



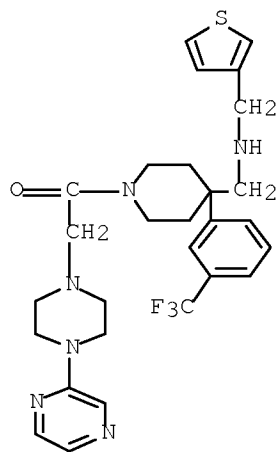
RN 852936-38-0 CAPLUS

CN Ethanone, 2-[4-(2-pyrazinyl)-1-piperazinyl]-1-[4-[[[(2-thienylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
(CA INDEX NAME)



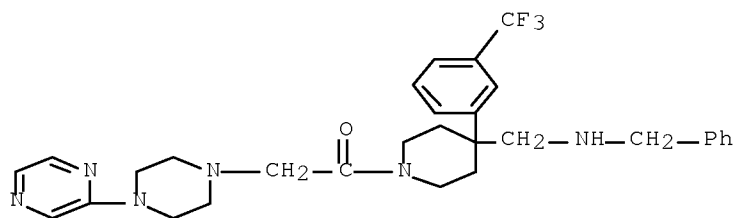
RN 852936-39-1 CAPLUS

CN Ethanone, 2-[4-(2-pyrazinyl)-1-piperazinyl]-1-[4-[[3-thienylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
(CA INDEX NAME)



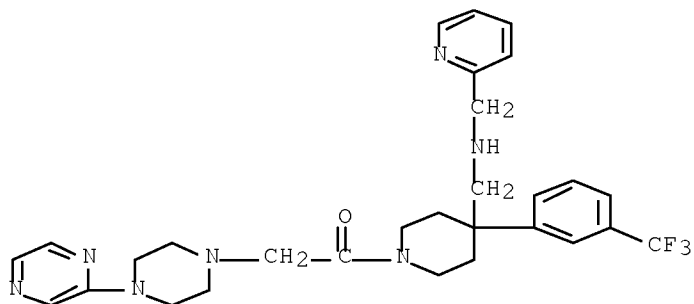
RN 852936-40-4 CAPLUS

CN Ethanone, 1-[4-[[3-(phenylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-
(CA INDEX NAME)



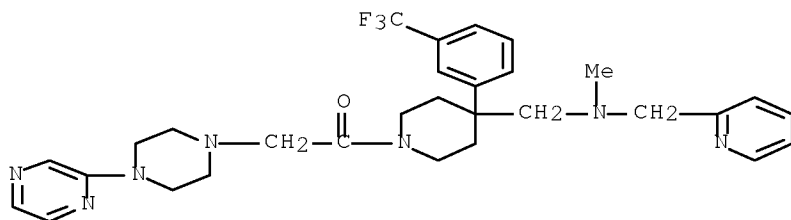
RN 852936-41-5 CAPLUS

CN Ethanone, 2-[4-(2-pyrazinyl)-1-piperazinyl]-1-[4-[[2-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
(CA INDEX NAME)



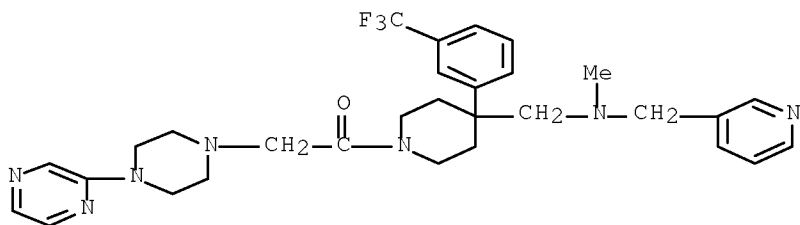
RN 852936-42-6 CAPLUS

CN Ethanone, 1-[4-[[methyl(2-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-(CA INDEX NAME)



RN 852936-43-7 CAPLUS

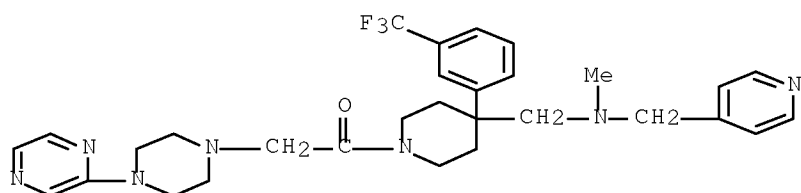
CN Ethanone, 1-[4-[[methyl(3-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)



●4 HCl

RN 852936-44-8 CAPLUS

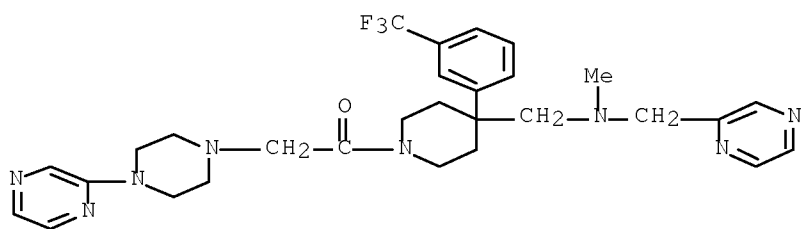
CN Ethanone, 1-[4-[[methyl(4-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)



●4 HCl

RN 852936-45-9 CAPLUS

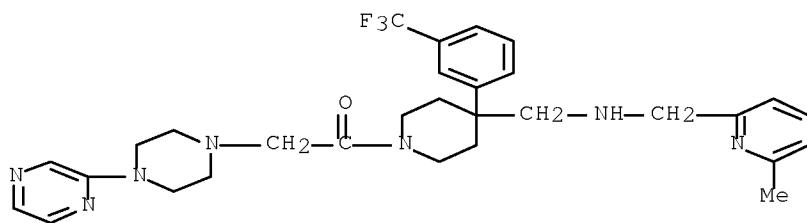
CN Ethanone, 1-[4-[[methyl(2-pyrazinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)



●4 HCl

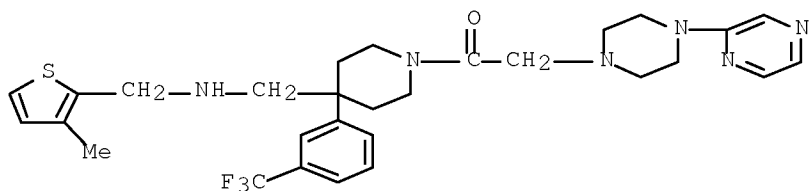
RN 852936-46-0 CAPLUS

CN Ethanone, 1-[4-[[[6-methyl-2-pyridinyl]methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



RN 852936-47-1 CAPLUS

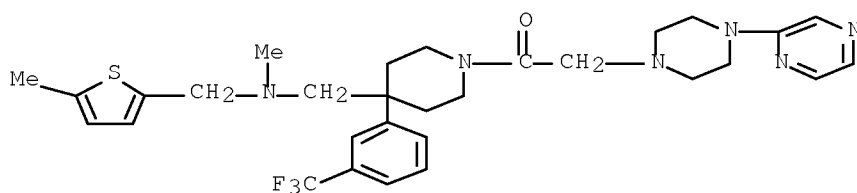
CN Ethanone, 1-[4-[[[3-methyl-2-thienyl]methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 852936-48-2 CAPLUS

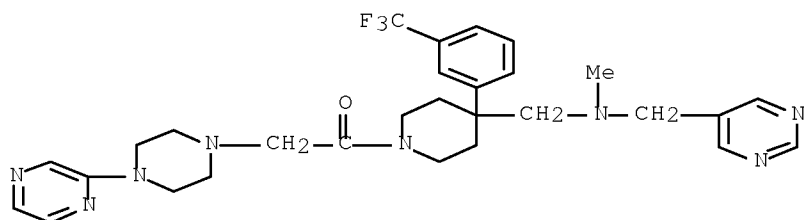
CN Ethanone, 1-[4-[[methyl(5-methyl-2-thienyl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

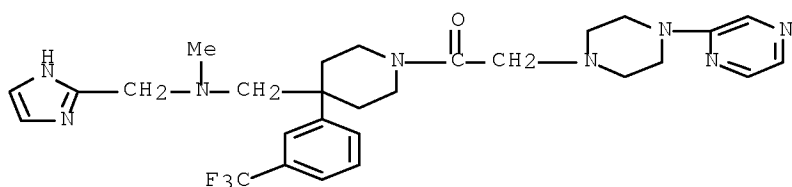
RN 852936-49-3 CAPLUS

CN Ethanone, 1-[4-[[methyl(5-pyrimidinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



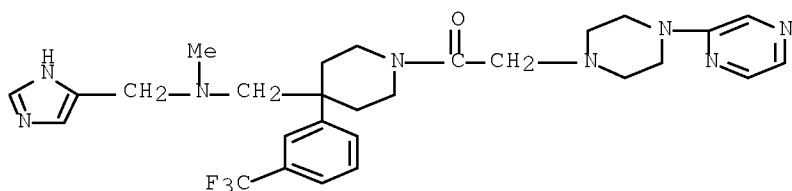
RN 852936-50-6 CAPLUS

CN Ethanone, 1-[4-[[[1H-imidazol-2-ylmethyl)methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



RN 852936-51-7 CAPLUS

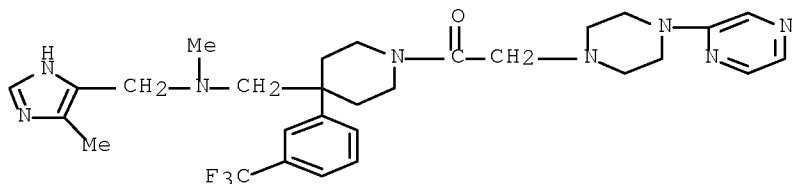
CN Ethanone, 1-[4-[[[1H-imidazol-5-ylmethyl)methylamino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:4) (CA INDEX NAME)



● 4 HCl

RN 852936-52-8 CAPLUS

CN Ethanone, 1-[4-[[methyl[(4-methyl-1H-imidazol-5-yl)methyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



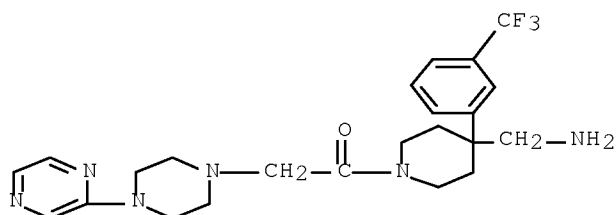
IT 634461-23-7P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
 634464-08-7P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
 634467-77-9P, tert-Butyl [1-(2-Chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methylcarbamate
 634468-41-0P, tert-Butyl [[1-(2-chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]methylcarbamate
 634469-57-1P, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]ethanoyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
 852936-54-0P, tert-Butyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 4-[(arylmethyl)aminomethyl]piperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

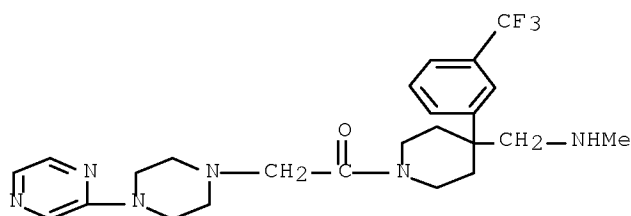
RN 634461-23-7 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



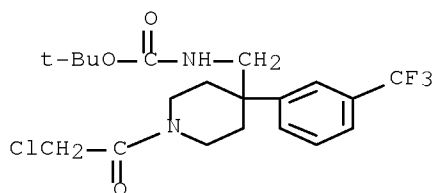
RN 634464-08-7 CAPLUS

CN Ethanone, 1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



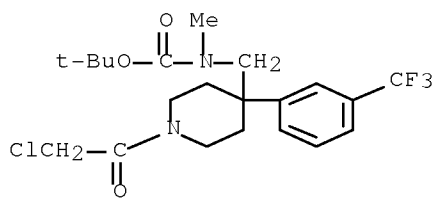
RN 634467-77-9 CAPLUS

CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



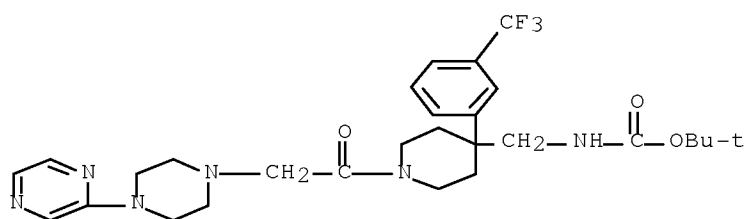
RN 634468-41-0 CAPLUS

CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



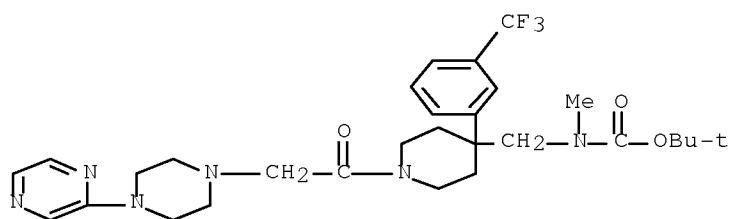
RN 634469-57-1 CAPLUS

CN Carbamic acid, [[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 852936-54-0 CAPLUS

CN Carbamic acid, methyl[[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:470968 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 143:26635

TITLE: Preparation of (4-Phenylpiperazin-1-yl)acylpiperidine derivatives as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases

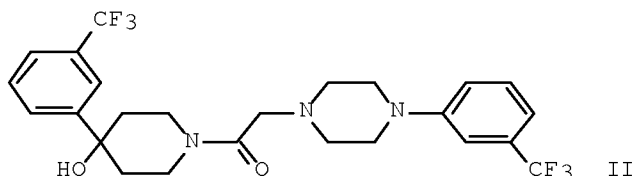
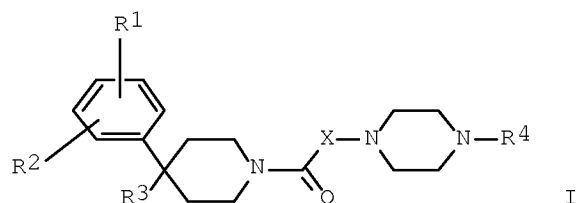
INVENTOR(S): Dos Santos, Victor; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: Fr. Demande, 49 pp.

CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2862967	A1	20050603	FR 2003-14173	20031201
FR 2862967	B1	20060804		
WO 2005054227	A1	20050616	WO 2004-FR3067	20041130
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JP 2007512385	T	20070517	JP 2006-541975	20041130
US 20070021609	A1	20070125	US 2006-420508	20060526
PRIORITY APPLN. INFO.:			FR 2003-14173	A 20031201
			WO 2004-FR3067	W 20041130
OTHER SOURCE(S):		MARPAT 143:26635		
GI				



AB Title compds. I [wherein n = 1-2; R1 = halo, CF3, alkyl, alkoxy, OCF3; R2 = H, halo; R3 = H, OH and derivs., NH2 and derivs., etc.; R4 = (un)substituted Ph; their free bases, or acid addition salts, and their hydrates or solvates] were prepared as inhibitors of the binding of 125I NGF to p75NTR (p75 neurotrophic)

receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) with 1-[3-(trifluoromethyl)phenyl]piperazine in the presence of KI/K₂CO₃/MeCN. I inhibited the binding of 125I NGF to p75NTR receptor with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the biochem. level. I inhibited the pro-apoptotic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the cellular level.

IT 852937-04-3P, [[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride
 852937-05-4P, (2-Furylmethyl)[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine
 852937-06-5P, [[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-thienyl)methyl]amine
 852937-09-8P 852937-11-2P, [[1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(pyridin-3-yl)methyl]amine dioxalate 852937-13-4P 852937-14-5P,
 N-Methyl-1-[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methanamine dihydrochloride
 852937-15-6P, N,N-Dimethyl-1-[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methanamine 852937-16-7P,
 N-Methyl-N-[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]ethanamine dihydrochloride
 852937-17-8P, [[1-[[4-(4-Fluorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride
 852937-18-9P, [[1-[[4-(3-Methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine dihydrochloride
 852937-19-0P, [[1-[[4-(3,4-Dichlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine
 852937-20-3P, [[1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methylaniline dihydrochloride 852937-21-4P, [[1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]dimethylamine dihydrochloride 852937-22-5P,
 [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine trihydrochloride
 852937-23-6P, [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]dimethylamine trihydrochloride 852937-24-7P, N-Ethyl-N-[[1-[[4-(3-methoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]ethanamine dihydrochloride 852937-26-9P,
 [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]methylaniline
 852937-31-6P, 1-[(4-Phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-32-7P,
 1-[[4-(2,4-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-33-8P,
 1-[[4-(2,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-34-9P,
 1-[[4-(2,4-Dichlorophenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidine-4-carboxamide 852937-39-4P,
 [[1-[[4-(3,4-Dimethoxyphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl][(2-furyl)methyl]methylaniline
 852937-40-7P, 9-(3-Furylmethyl)[[1-[(4-phenylpiperazin-1-yl)acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine
 852937-41-8P, [[1-[[4-(2,3-Dimethylphenyl)piperazin-1-yl]acetyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]amine
 852937-47-4P

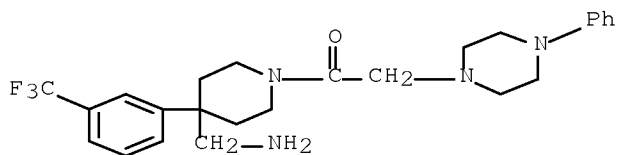
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of phenylpiperazinylacylpiperidines as NGF
binding inhibitors to p75NTR receptor and of the apoptosis induced by
NGF)

RN 852937-04-3 CAPLUS

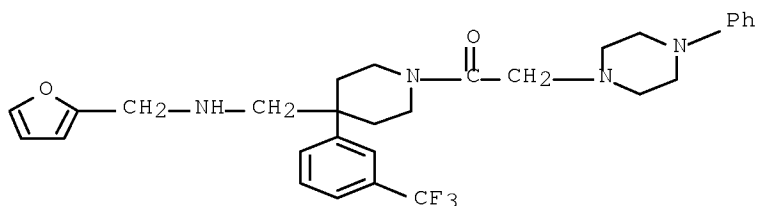
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

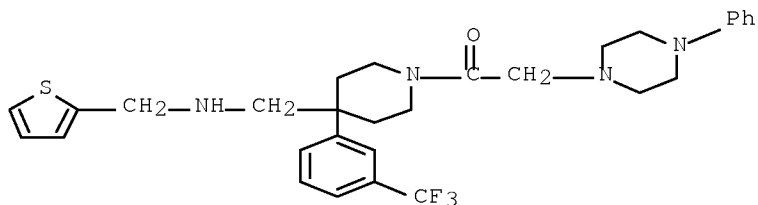
RN 852937-05-4 CAPLUS

CN Ethanone, 1-[4-[[2-(furan-2-ylmethyl)amino]methyl]-4-[3-(
(trifluoromethyl)phenyl)-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)- (CA
INDEX NAME)



RN 852937-06-5 CAPLUS

CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[[2-(thien-2-ylmethyl)amino]methyl]-
4-[3-(trifluoromethyl)phenyl]-1-piperidinyl)- (CA INDEX NAME)



RN 852937-09-8 CAPLUS

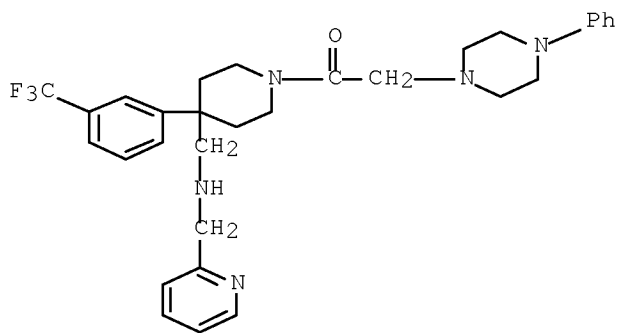
CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[[2-(
pyridin-2-ylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-

, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 852937-08-7

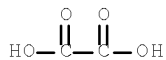
CMF C31 H36 F3 N5 O



CM 2

CRN 144-62-7

CMF C2 H2 O4



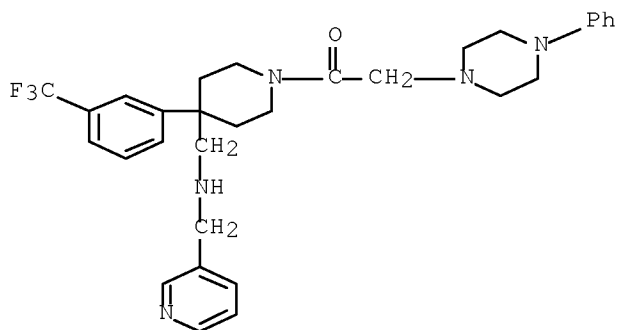
RN 852937-11-2 CAPLUS

CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[(3-pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, ethanedioate (1:2) (CA INDEX NAME)

CM 1

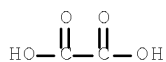
CRN 852937-10-1

CMF C31 H36 F3 N5 O



CM 2

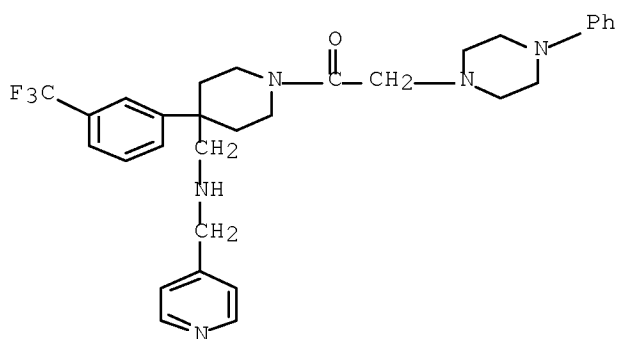
CRN 144-62-7
CMF C2 H2 O4



RN 852937-13-4 CAPLUS
CN Ethanone, 2-(4-phenyl-1-piperazinyl)-1-[4-[[4-(pyridinylmethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, ethanedioate (1:1) (CA INDEX NAME)

CM 1

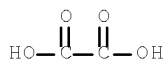
CRN 852937-12-3
CMF C31 H36 F3 N5 O



CM 2

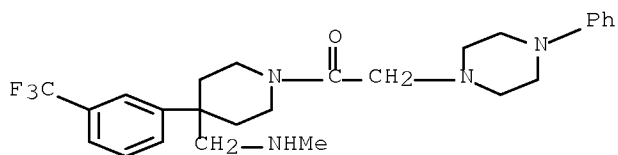
CRN 144-62-7

CMF C2 H2 O4



RN 852937-14-5 CAPLUS

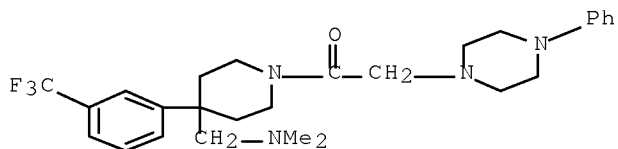
CN Ethanone, 1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

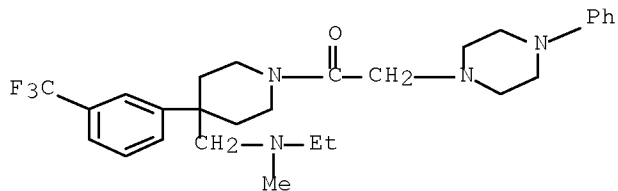
RN 852937-15-6 CAPLUS

CN Ethanone, 1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)- (CA INDEX NAME)



RN 852937-16-7 CAPLUS

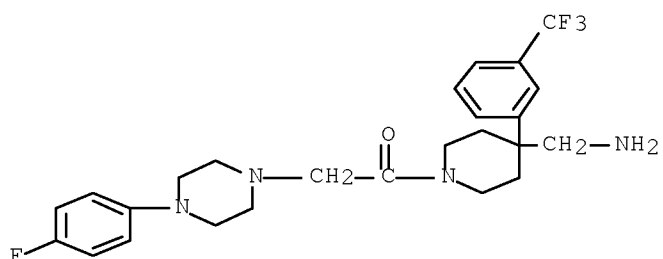
CN Ethanone, 1-[4-[(ethylmethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 852937-17-8 CAPLUS

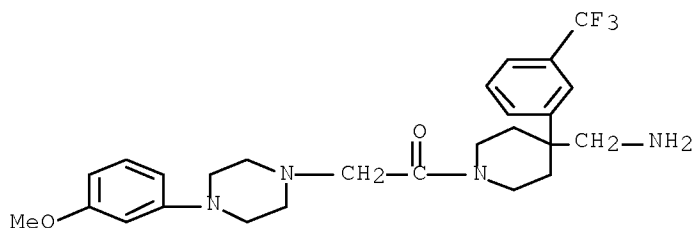
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
2-[4-(4-fluorophenyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX
NAME)



●3 HCl

RN 852937-18-9 CAPLUS

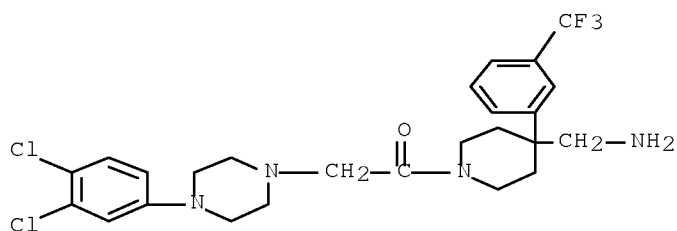
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
2-[4-(3-methoxyphenyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX
NAME)



●2 HCl

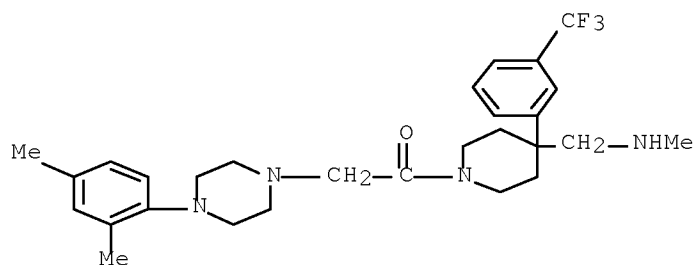
RN 852937-19-0 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
2-[4-(3,4-dichlorophenyl)-1-piperazinyl]- (CA INDEX NAME)



RN 852937-20-3 CAPLUS

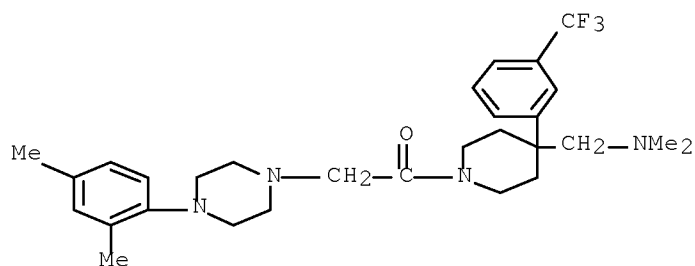
CN Ethanone, 2-[4-(2,4-dimethylphenyl)-1-piperazinyl]-1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 852937-21-4 CAPLUS

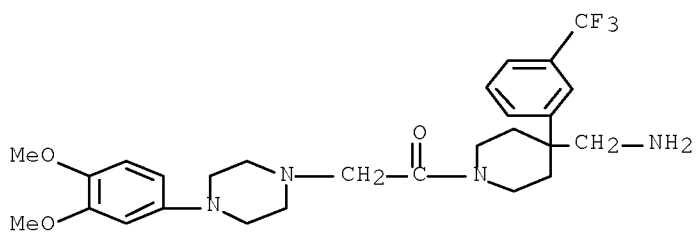
CN Ethanone, 1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2,4-dimethylphenyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

RN 852937-22-5 CAPLUS

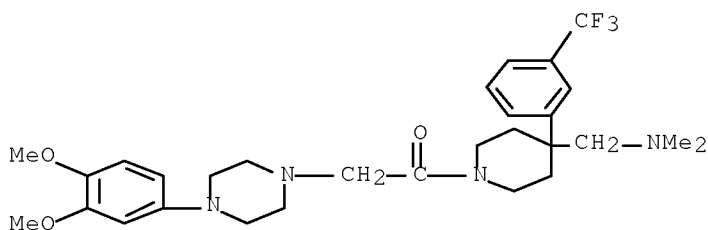
CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

RN 852937-23-6 CAPLUS

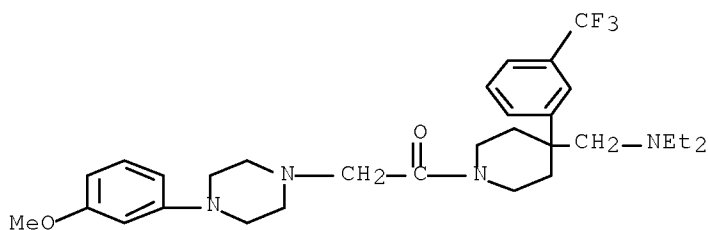
CN Ethanone, 2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

RN 852937-24-7 CAPLUS

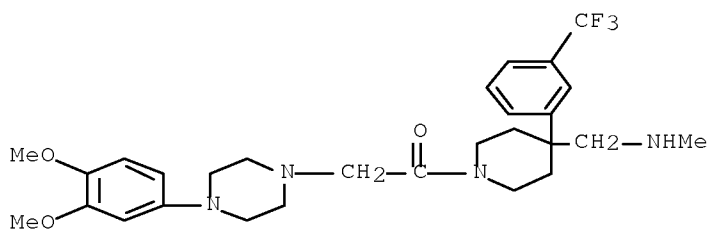
CN Ethanone, 1-[4-[(diethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(3-methoxyphenyl)-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

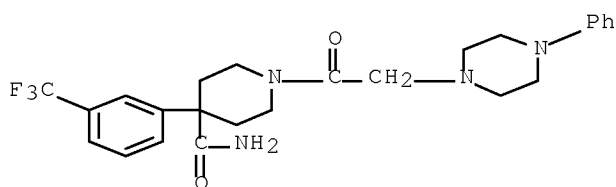
RN 852937-26-9 CAPLUS

CN Ethanone, 2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



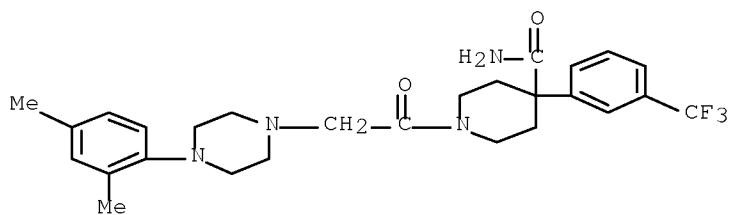
RN 852937-31-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



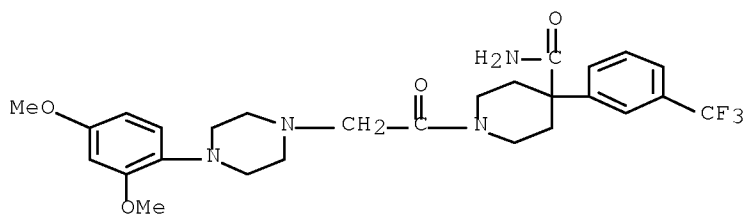
RN 852937-32-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-[4-(2,4-dimethylphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



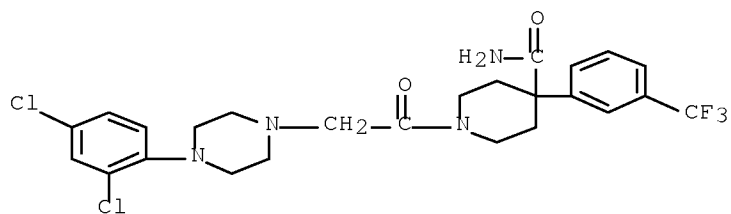
RN 852937-33-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-[4-(2,4-dimethoxyphenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



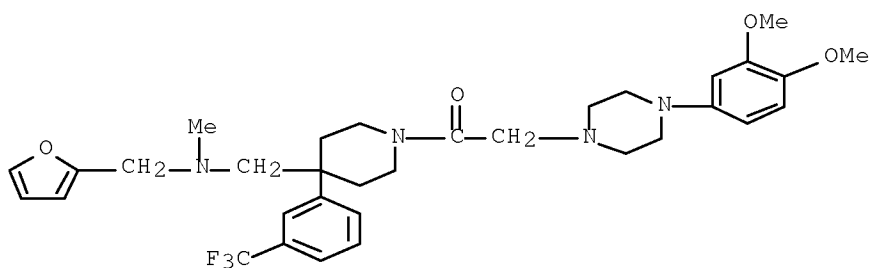
RN 852937-34-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-[4-(2,4-dichlorophenyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 852937-39-4 CAPLUS

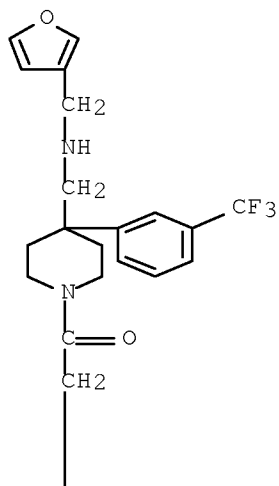
CN Ethanone, 2-[4-(3,4-dimethoxyphenyl)-1-piperazinyl]-1-[4-[[2-furanylmethyl)methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]- (CA INDEX NAME)



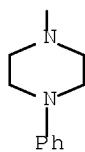
RN 852937-40-7 CAPLUS

CN Ethanone, 1-[4-[[3-(3-furanylmethyl)amino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-(4-phenyl-1-piperazinyl)- (CA INDEX NAME)

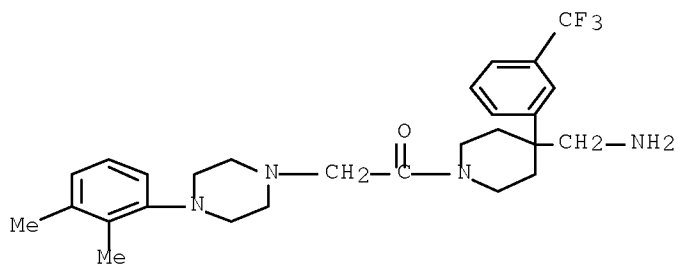
PAGE 1-A



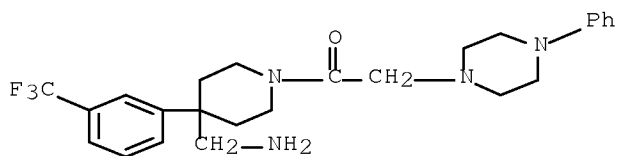
PAGE 2-A



RN 852937-41-8 CAPLUS
 CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
 2-[4-(2,3-dimethylphenyl)-1-piperazinyl]- (CA INDEX NAME)

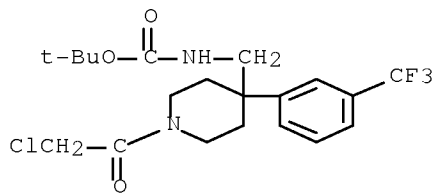


RN 852937-47-4 CAPLUS
 CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
 2-(4-phenyl-1-piperazinyl)-, hydrochloride (1:1) (CA INDEX NAME)

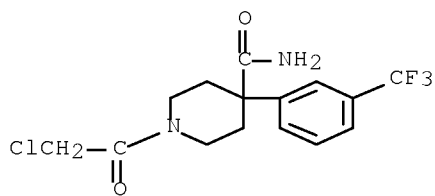


● HCl

- IT 634467-77-9P, tert-Butyl [[1-(2-Chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]carbamate
 634467-82-6P, 1-(2-Chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarboxamide 634468-41-0P, tert-Butyl [[1-(2-chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]methylcarbamate 852937-43-0P, tert-Butyl 4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]piperidine-1-carboxylate 852937-44-1P, tert-Butyl 4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]piperidine-1-carboxylate 852937-48-5P, tert-Butyl [[1-[2-(4-phenylpiperazin-1-yl)ethanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]carbamate 852937-49-6P, tert-Butyl methyl[[1-[2-(4-phenylpiperazin-1-yl)ethanoyl]-4-[3-(trifluoromethyl)phenyl]piperidin-4-yl]methyl]carbamate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of phenylpiperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
- RN 634467-77-9 CAPLUS
- CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

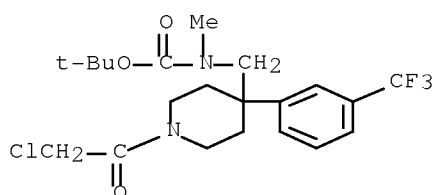


- RN 634467-82-6 CAPLUS
- CN 4-Piperidinecarboxamide, 1-(2-chloroacetyl)-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



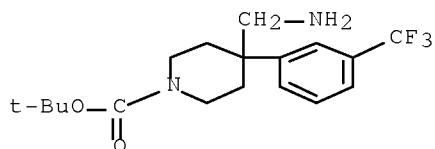
RN 634468-41-0 CAPLUS

CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



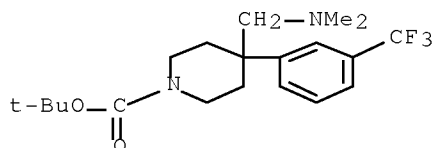
RN 852937-43-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852937-44-1 CAPLUS

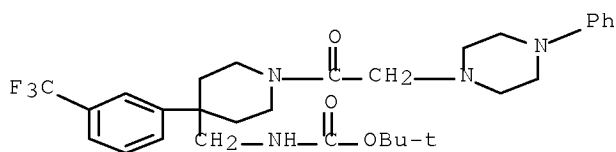
CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 852937-48-5 CAPLUS

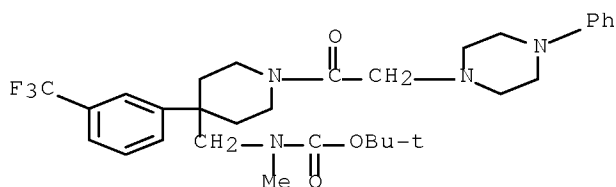
CN Carbamic acid, [[1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester

(9CI) (CA INDEX NAME)



RN 852937-49-6 CAPLUS

CN Carbamic acid, methyl[[1-[(4-phenyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester
(9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 27 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:394825 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:430293

TITLE: Preparation of quinazolinyl norepinephrine reuptake inhibitors for the treatment of central nervous system disorders

INVENTOR(S): Caprathe, Bradley William; Glase, Shelly Ann; Konstantinou, Zissis; Schelkun, Robert Michael; Sheehan, Susan M.; Thomas, Anthony Jerome; Yuen, Po-wai

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 44 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050096327	A1	20050505	US 2004-979651	20041102
CA 2543710	A1	20050512	CA 2004-2543710	20041026
WO 2005042501	A1	20050512	WO 2004-IB3535	20041026

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,

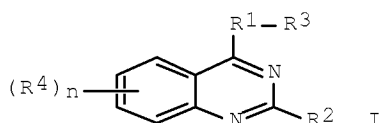
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG

EP 1685115 A1 20060802 EP 2004-791756 20041026
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

BR 2004015683 A 20061219 BR 2004-15683 20041026
 JP 2007510642 T 20070426 JP 2006-537469 20041026
 MX 2006PA05019 A 20060706 MX 2006-PA5019 20060503

PRIORITY APPLN. INFO.: US 2003-516879P P 20031103
 US 2004-611292P P 20040921
 WO 2004-IB3535 W 20041026

OTHER SOURCE(S): CASREACT 142:430293; MARPAT 142:430293
 GI

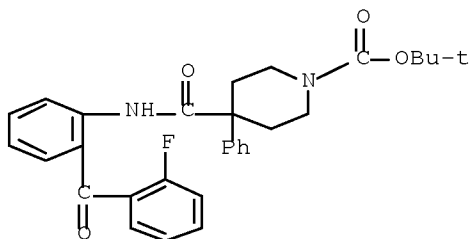


AB Title compds. I [R1 = alkyl, cycloalkyl, alkoxy, etc.; R2 = alkyl, cycloalkyl, amino, etc.; R3 = H, (cyclo)alkyl, etc.; R4 = H, halo, NO2, etc.] are prepared For instance, 2-(4-methylpiperazin-1-yl)-4- phenylquinazoline (II) is prepared in 3 steps from 2-aminobenzophenone, urea and 1-methylpiperazine. II has Ki = 29.7 nM for the norepinephrine transporter receptor. I are useful for the treatment of central nervous system disorders.

IT 939374-02-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazolinyl norepinephrine reuptake inhibitors for treatment of central nervous system disorders)

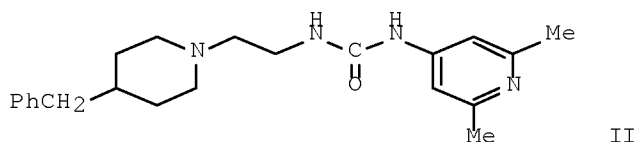
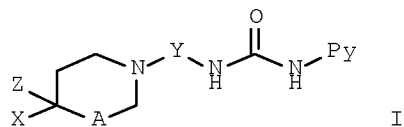
RN 939374-02-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-(2-fluorobenzoyl)phenyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



DOCUMENT NUMBER: 142:355172
 TITLE: Preparation of pyridinyl ureas as urotensin II antagonists
 INVENTOR(S): Mathys, Boris; Mueller, Claus; Scherz, Michael; Weller, Thomas; Clozel, Martine; Velker, Joerg; Bur, Daniel
 PATENT ASSIGNEE(S): Actelion Pharmaceuticals Ltd., Switz.
 SOURCE: PCT Int. Appl., 113 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005030209	A1	20050407	WO 2004-EP10559	20040921
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004275488	A1	20050407	AU 2004-275488	20040921
CA 2540196	A1	20050407	CA 2004-2540196	20040921
EP 1670470	A1	20060621	EP 2004-765436	20040921
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1856305	A	20061101	CN 2004-80027725	20040921
BR 2004014777	A	20061121	BR 2004-14777	20040921
JP 2007506692	T	20070322	JP 2006-527332	20040921
MX 2006PA03264	A	20060608	MX 2006-PA3264	20060323
KR 2007014108	A	20070131	KR 2006-705848	20060324
NO 2006001395	A	20060622	NO 2006-1395	20060327
US 20070043081	A1	20070222	US 2006-573516	20060327
IN 2006CN01415	A	20070622	IN 2006-CN1415	20060425
PRIORITY APPLN. INFO.:			WO 2003-EP10746	A 20030926
			WO 2004-EP10559	W 20040921
OTHER SOURCE(S):			CASREACT 142:355172; MARPAT 142:355172	
GI				

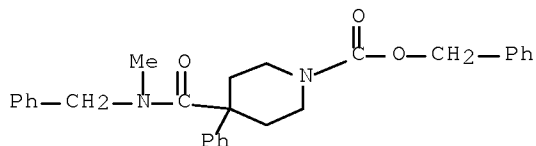


AB Title compds. I [wherein Py = pyridin-4-yl disubstituted in positions 2 and 6; X = aryl, arylalkyl, aryloxy, etc.; A = (CH₂)_n; XCZ form an exocyclic bond which bears an Ar group and the just formed CH₂ group; Z = H; when X = aryl or arylalkyl, Z = H, OH, CO₂H, etc.; when X = aryl, arylalkyl and n = 0, Z = H, OH, CO₂H, aryl, etc.; Y = CR₆R₇(CH₂)_m, (CH₂)_mCR₆R₇; m = 1-2; n = 0-1; R₆ = H, alkyl, aryl, arylalkyl; or R₆CR₇ = carbocycle; R₇ = H, Me; and their enantiomers, diastereomers, racemates, pharmaceutically acceptable salts, solvate complexes, and morphol. forms thereof] were prepared as neurohormonal antagonists. For example, reacting 2-(4-benzylpiperidino)-1-ethanamine with 1,3-Bis(2,6-dimethylpyridin-4-yl)urea gave II. In binding assays of human [125I]-urotensin II to human-derived TE-671 rhabdomyosarcoma cells, compds. of the invention showed activity with IC₅₀ values ranging from 0.1 nM to 1000 nM. Thus, I and their pharmaceutical compns., optionally comprising other pharmacol. active compds., are useful for treating a variety of disorders associated with dysregulation of urotensin II, such as heart disease, hypertension, kidney disease, diabetes, asthma, and pulmonary disease (no data).

IT 849226-26-2P, 4-(N-Benzyl-N-methylcarbamoyl)-4-phenylpiperidine-1-carboxylic acid benzyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of novel 2-piperidinoethyl quinolinyl ureas for use as urotensin II antagonists in combination with other pharmacol. active compds.)

RN 849226-26-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[methyl(phenylmethyl)amino]carbonyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:284138 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:355256

TITLE: Preparation of tricyclic-substituted piperidinols and analogs as chemokine receptor antagonists

INVENTOR(S): Luly, Jay R.; Nakasato, Yoshisuke; Ohshima, Etsuo; Harriman, Geraldine C. B.; Carson, Kenneth G.; Ghosh, Shomir; Elder, Amy M.; Mattia, Karen M.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 194 pp., Cont.-in-part of U.S. Ser. No. 989,086.
 CODEN: USXXCO

DOCUMENT TYPE: Patent

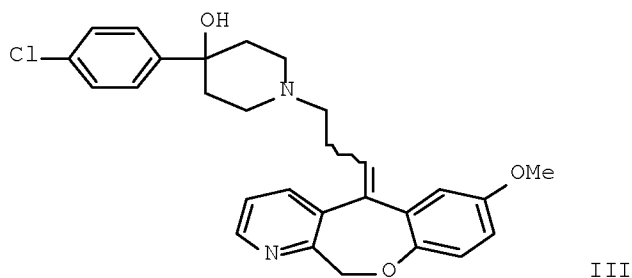
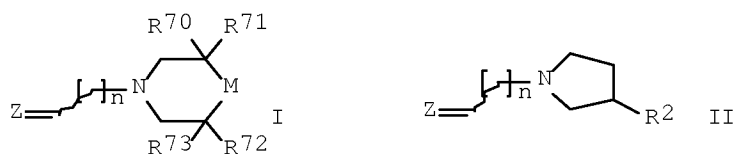
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050070549	A1	20050331	US 2004-487168	20041007
US 7271176	B2	20070918		
US 6613905	B1	20030902	US 1998-148823	19980904
US 6329385	B1	20011211	US 1999-235102	19990121
US 20020119973	A1	20020829	US 1999-362837	19990728
US 6509346	B2	20030121		
US 20020169155	A1	20021114	US 2001-989086	20011121
WO 2003045942	A2	20030605	WO 2002-US36953	20021113
WO 2003045942	A3	20030912		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20070060592	A1	20070315	US 2006-595653	20061110
AU 2007200261	A1	20070208	AU 2007-200261	20070123
PRIORITY APPLN. INFO.:				US 1998-148823 A2 19980904
				US 1999-235102 A2 19990121
				US 1999-362837 A2 19990728
				US 2000-627886 B2 20000728
				US 2001-989086 A2 20011121
				WO 2002-US36953 W 20021113
				US 1998-10320 B2 19980121
				AU 2002-352772 A3 20021113
				US 2004-487168 A1 20041007

OTHER SOURCE(S): MARPAT 142:355256
GI

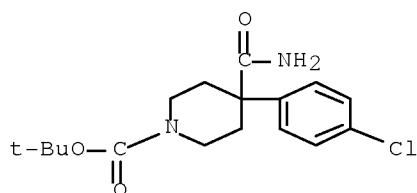


AB Therapeutically effective compds. I [Z = (un)substituted heterocyclic ring fused to one or more carbocyclic aromatic rings; n = 1-4; M = NR₂, CR₁R₂; R₁ = H, OH, N₃, etc.; R₂ = OH, halo, acyl, aryl, etc.; R₇₀, R₇₁ = H, OH, N₃, etc.; R₇₂, R₇₃ = O, N₂, halo, etc.] and II [Z, n are defined as above; R₂ = OH, halo, acyl, aryl, etc.] were prepared for treatment of diseases associated with aberrant leukocyte recruitment and/or activation (no data). I and II displayed chemokine binding activities with IC₅₀ values ranging from < 1 μM to < 1000 μM. Thus, the [(1]benzoxepino[2,3-b]pyridinylidene)propyl]piperidinol III was prepared in three steps by reaction of 5,11-dihydro-7-methoxy[1]benzoxepino[2,3-b]pyridin-5-one with cyclopropylmagnesium bromide in THF, followed by ring cleavage-dehydration-bromination with HBr, and addition of 4-(4-chlorophenyl)-4-hydroxypiperidine to the bromide in DMF. Major and minor isomers were separated The pharmaceutical compns. comprising the compound I or II is disclosed.

IT 849106-03-2P, 4-Carbamoyl-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of tricyclic piperidinols and pyrrolidines as chemokine receptor antagonists for treatment of diseases associated with aberrant leukocyte recruitment and activation)

RN 849106-03-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 151 THERE ARE 151 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 30 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:220128 CAPLUS Full-text

DOCUMENT NUMBER: 142:298111

TITLE: Preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for the treatment of obesity and related disorders

INVENTOR(S): Burnett, Duane A.; Wu, Wen-Lian; Sasikumar, Thavalakulamgara K.; Greenlee, William J.; Caplen, Mary Ann; Guo, Tao; Hunter, Rachael Catherine

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 57 pp.
 CODEN: USXXCO

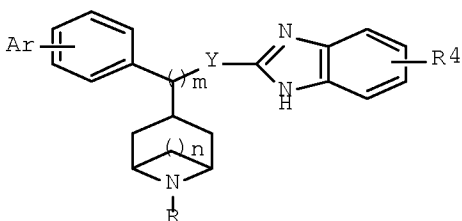
DOCUMENT TYPE: Patent

LANGUAGE: English

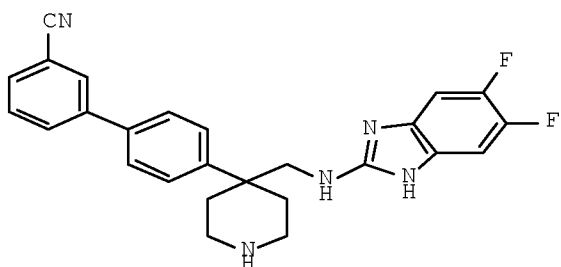
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050054628	A1	20050310	US 2004-926557	20040826
CA 2536929	A1	20050317	CA 2004-2536929	20040826
WO 2005023798	A1	20050317	WO 2004-US27734	20040826
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1664022	A1	20060607	EP 2004-782252	20040826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1845916	A	20061011	CN 2004-80024937	20040826
JP 2007504146	T	20070301	JP 2006-524846	20040826
MX 2006PA02372	A	20060620	MX 2006-PA2372	20060228
PRIORITY APPLN. INFO.:			US 2003-498876P	P 20030829
			WO 2004-US27734	W 20040826
OTHER SOURCE(S):			CASREACT 142:298111; MARPAT 142:298111	
GI				



I



II

AB Title compds. I [Y = bond, divalent alkyl, etc.; M = 0-1; n = 0, 2, 3; Ar = (hetero)aryl, R1 = H, alkyl, cycloalkyl, etc.; R4 = OH, alkoxy, etc.] are prepared For instance, II is prepared in 9 steps from 4-aminomethyl-1-benzyl-4-phenylpiperidine, 4,5-difluorobenzene-1,2-diamine and 3-cyanobenzeneboronic acid. In a selected example, a Ki of 3 nM for the melanin concentrating hormone (MCH) receptor is observed I are useful in treating obesity, metabolic disorders, eating disorders, e.g., hyperphagia and diabetes.

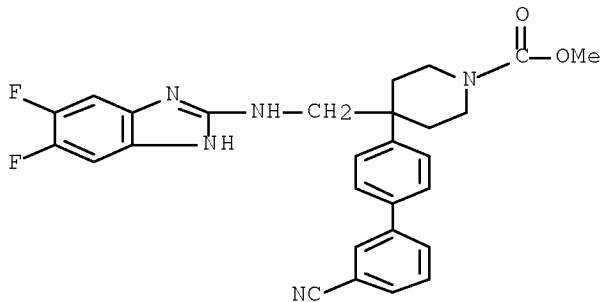
IT 847614-74-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for treatment of obesity and related disorders)

RN 847614-74-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(3'-cyano[1,1'-biphenyl]-4-yl)-4-[[(5,6-difluoro-1H-benzimidazol-2-yl)amino]methyl]-, methyl ester (CA INDEX NAME)



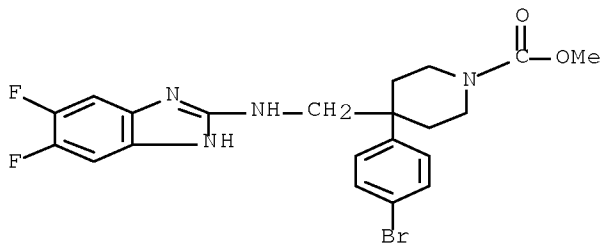
IT 847615--45-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for treatment of obesity and related disorders)

RN 847615-45-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-bromophenyl)-4-[[5,6-difluoro-1H-benzimidazol-2-yl)amino]methyl]-, methyl ester (CA INDEX NAME)



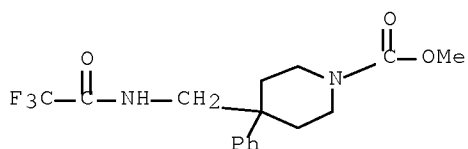
IT 847614-99-7P 847615-00-3P 847615-01-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-substituted benzimidazole piperidines as selective melanin concentrating hormone receptor antagonists for treatment of obesity and related disorders)

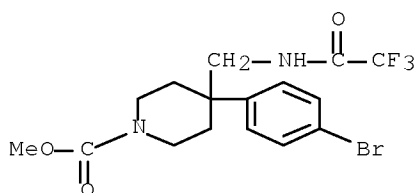
RN 847614-99-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[(2,2,2-trifluoroacetyl)amino]methyl]-, methyl ester (CA INDEX NAME)



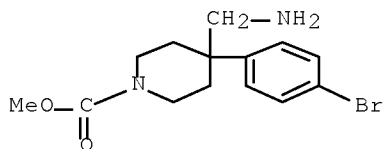
RN 847615-00-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-bromophenyl)-4-[[2,2,2-trifluoroacetyl)amino]methyl]-, methyl ester (CA INDEX NAME)



RN 847615-01-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-bromophenyl)-, methyl ester (CA INDEX NAME)



L3 ANSWER 31 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:1067791 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 142:198338

TITLE: The Effects of Conformational Constraints and Steric Bulk in the Amino Acid Moiety of Philanthotoxins on AMPAR Antagonism

AUTHOR(S): Jorgensen, Malene R.; Olsen, Christian A.; Mellor, Ian R.; Usherwood, Peter N. R.; Witt, Matthias; Franzyk, Henrik; Jaroszewski, Jerzy W.

CORPORATE SOURCE: Department of Medicinal Chemistry, The Danish University of Pharmaceutical Sciences, Copenhagen, DK-2100, Den.

SOURCE: Journal of Medicinal Chemistry (2005), 48(1), 56-70
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:198338

AB Philanthotoxin-343 (PhTX-343), a synthetic analog of wasp toxin PhTX-433, is a noncompetitive antagonist at ionotropic receptors (e.g., AChR or iGluR). To determine possible effects of variations of the amino acid side chain, a library consisting of seventeen PhTX-343 analogs was prepared. Thus, tyrosine was replaced by either apolar, conformationally constrained, or bulky amino acids, whereas the acyl unit and the polyamine moiety were kept unchanged. Analogs with tertiary amide groups were also prepared. Pentafluorophenyl esters were employed for amide bond formation, establishing general protocols for philanthotoxin solution- and solid-phase synthesis (39-90% and 42-54% overall yields, resp.). The analogs were tested for their ability to antagonize kainate-induced currents of 2-amino-3-(3-hydroxy-5-methyl-4-isoxazolyl)propanoic acid receptors (AMPA) expressed in *Xenopus* oocytes from rat brain mRNA. This showed that steric bulk in the amino acid moiety is well tolerated and suggests that binding to AMPAR does not involve the α -NHCO group as a donor in hydrogen bonding.

IT 839720-16-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(effects of conformational constraints and steric bulk in the amino acid moiety of philanthotoxin analogs on AMPAR antagonism)

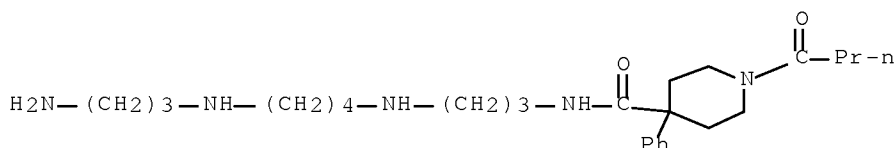
RN 839720-16-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]-1-(1-oxobutyl)-4-phenyl-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)

CM 1

CRN 839720-15-9

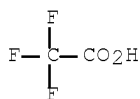
CMF C26 H45 N5 O2



CM 2

CRN 76-05-1

CMF C2 H F3 O2



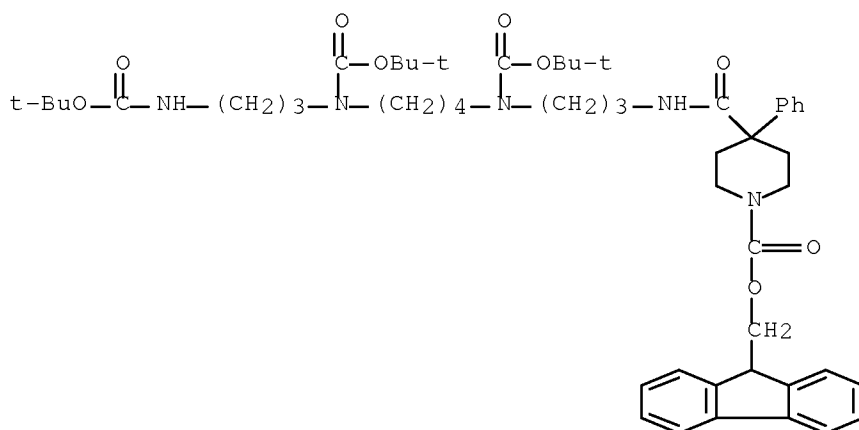
IT 839720-44-4P 839720-46-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(effects of conformational constraints and steric bulk in the amino acid moiety of philanthotoxin analogs on AMPAR antagonism)

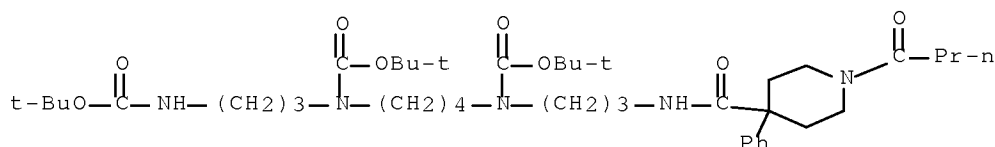
RN 839720-44-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[6,11-bis[(1,1-dimethylethoxy)carbonyl]-18,18-dimethyl-1,16-dioxo-17-oxa-2,6,11,15-tetraazanonadec-1-yl]-4-phenyl-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



RN 839720-46-6 CAPLUS

CN 2,6,11,15-Tetraazahexadecanoic acid, 6,11-bis[(1,1-dimethylethoxy)carbonyl]-16-oxo-16-[1-(1-oxobutyl)-4-phenyl-4-piperidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 32 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:872662 CAPLUS Full-text

DOCUMENT NUMBER: 141:366128

TITLE: Preparation of cycloalkylcarbonyl or heterocycloalkylcarbonyl-substituted spiropiperidines as melanocortin-4 receptor agonists for the treatment of conditions such as obesity

INVENTOR(S): Guo, Liangqin; He, Shuwen; Jian, Tianying; Lai, Yingjie; Liu, Jian; Nargund, Ravi P.; Sebat, Iyassu K.; Ujjainwalla, Feroze; Ye, Zhixiong; Young, Jonathan R.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 200 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089307	A2	20041021	WO 2004-US9751	20040331
WO 2004089307	A3	20050331		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004227835	A1	20041021	AU 2004-227835	20040331
AU 2004227835	B2	20070614		
CA 2520114	A1	20041021	CA 2004-2520114	20040331
EP 1613601	A2	20060111	EP 2004-749540	20040331
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
BR 2004009078	A	20060418	BR 2004-9078	20040331
CN 1768041	A	20060503	CN 2004-80009148	20040331
JP 2006522132	T	20060928	JP 2006-509489	20040331
JP 3856815	B2	20061213		
CN 101108825	A	20080123	CN 2007-10141003	20040331
US 20060183904	A1	20060817	US 2005-548350	20050907
US 7329673	B2	20080212		
ZA 2005007638	A	20060830	ZA 2005-7638	20050921
IN 2005DN04299	A	20070831	IN 2005-DN4299	20050922
MX 2005PA10724	A	20051215	MX 2005-PA10724	20051004
NO 2005005166	A	20051230	NO 2005-5166	20051103
PRIORITY APPLN. INFO.:			US 2003-460293P	P 20030404
			CN 2004-80009148	A3 20040331
			WO 2004-US9751	W 20040331
OTHER SOURCE(S):	MARPAT 141:366128			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I or II [X,Y = R62C, R9N, C(:O); Y,X = R62C, R6N, C(:O), R6N:C, O, S, S(:O), SO₂; XY = CR6:CR6; Z = R1C, N; A = (CH₂)_m; E = (CH₂)_p; R1 = H, amidino, (un)substituted aminoalkyl, iminoalkyl, alkyl, cycloalkylalkyl, phenylalkyl, naphthylalkyl, or heteroarylalkyl; R2 = (un)substituted Ph, naphthyl, heteroaryl; R4 = H, (un)substituted alkyl, halogen, alkoxy, O₂N, F₃C, F₃CCH₂, F₃CO, F₃CCH₂O; R6, R9 = H, (un)substituted alkyl, phenylalkyl, naphthylalkyl, heteroarylalkyl, cycloalkylalkyl, heterocycloalkylalkyl, aminoalkyl, carboxyalkyl, etc.; m, p = 1, 2; n = 0-3] such as III•HCl are prepared as melanocortin-4 receptor agonists for the treatment of obesity and related conditions such as diabetes, bulimia, insulin resistance, and hyperlipidemia; a variety of other conditions, particularly male and female sexual dysfunction and erectile dysfunction, are also potentially treatable with the title compds. Oxindanospiropiperidinecarboxylate IV is reduced with sodium borohydride and the alc. eliminated in the presence of p-toluenesulfonic acid to give the indenospirpiperidinecarboxylate; Jacobsen

epoxidn. of the indene double bond, opening of the epoxide with sodium azide, aziridine formation using a fluorous phosphine, N-methylation of the aziridine, regioselective reduction of the aziridine with sodium borohydride to yield the aminoindanospiropiperidinecarboxylate, acylation with 2-acetoxyisobutyryl chloride, hydrolysis of the ester with sodium methoxide and methylation of the alc. with Me iodide, deprotection of the piperidine nitrogen, and acylation with nonracemic trans-4-(2,4- difluorophenyl)-1-tert-butyl-3-pyrrolidinecarboxylic acid yields III. Some of the title compds. bind to the melanocortin-4 receptor with IC50 values of <10 μ M and <5 μ M (no data).

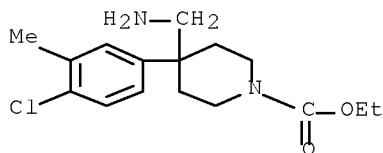
IT 778627-62-6P 778627-63-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of cycloalkylcarbonyl or heterocycloalkylcarbonyl-substituted spiropiperidines as melanocortin-4 receptor agonists for the treatment of conditions such as obesity and male or female sexual dysfunction)

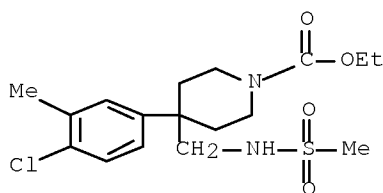
RN 778627-62-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chloro-3-methylphenyl)-, ethyl ester (CA INDEX NAME)



RN 778627-63-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chloro-3-methylphenyl)-4-[[methylsulfonyl]amino]methyl]-, ethyl ester (CA INDEX NAME)



L3 ANSWER 33 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:610028 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 141:150947

TITLE: Affinity fishing for ligands and protein receptors by an efficient process involving protein mixtures and ligand libraries

INVENTOR(S): St. Hilaire, Phaedria Marie; Yin, Haifeng; Surve, Sheryl; Wenckens, Martin

PATENT ASSIGNEE(S): Carlsberg A/S, Den.

SOURCE: PCT Int. Appl., 172 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004062553	A2	20040729	WO 2004-DK23	20040116
WO 2004062553	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
US 20040142379	A1	20040722	US 2003-346737	20030116
AU 2004204276	A1	20040729	AU 2004-204276	20040116
CA 2551593	A1	20040729	CA 2004-2551593	20040116
EP 1588173	A2	20051026	EP 2004-702645	20040116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060257875	A1	20061116	US 2005-541501	20050707
IN 2005CN01903	A	20070914	IN 2005-CN1903	20050811
PRIORITY APPLN. INFO.:				
			US 2003-346737	A 20030116
			DK 2003-749	A 20030519
			WO 2004-DK23	W 20040116

AB The invention provides putative "drugable" protein targets and actively binding ligands identified in an efficient and reproducible process by determining the affinity of protein mixts. to libraries of ligand compds. of defined size and composition The libraries are used to isolate and identify previously unknown corresponding protein-ligand binding pairs from a mixture of proteins and a library of compds., and are particularly useful to identify differentially selective protein-ligand binding pairs, for example, representing a single physiol. state or several varied but related states, such as disease vs. normal conditions. The invention also provides processes for identifying such protein-ligand binding pairs.

IT 724785-44-8P 724785-46-0P
 RL: BSU (Biological study, unclassified); CPN (Combinatorial preparation); THU (Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study); PREP (Preparation); USES (Uses)
 (affinity fishing for ligands and protein receptors by an efficient process involving protein mixts. and ligand libraries)

RN 724785-44-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(2S)-2-[[2-[(3S)-3-[[[1-[(2S,4S)-1-[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]-4-[[bis[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]-2-pyrrolidinyl]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]hexahydro-2-oxo-1H-azepin-1-yl]acetyl]amino]-1-oxo-3-phenylpropyl]-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.

CN L-Histidine, L-phenylalanyl-L-threonyl-3-(3-pyridinyl)-L-alanyl-4-phenyl-4-piperidinecarbonyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

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L3 ANSWER 34 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:589139 CAPLUS Full-text
 DOCUMENT NUMBER: 141:140767
 TITLE: Affinity fishing for ligands and protein receptors
 INVENTOR(S): St. Hilaire, Phaedria Marie; Yin, Haifeng; Surve,
 Sheryl
 PATENT ASSIGNEE(S): Carlsberg Research Laboratory, Den.

SOURCE: U.S. Pat. Appl. Publ., 55 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040142379	A1	20040722	US 2003-346737	20030116
AU 2004204276	A1	20040729	AU 2004-204276	20040116
CA 2551593	A1	20040729	CA 2004-2551593	20040116
WO 2004062553	A2	20040729	WO 2004-DK23	20040116
WO 2004062553	A3	20050127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ				
EP 1588173	A2	20051026	EP 2004-702645	20040116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 20060257875	A1	20061116	US 2005-541501	20050707
IN 2005CN01903	A	20070914	IN 2005-CN1903	20050811
PRIORITY APPLN. INFO.:			US 2003-346737	A 20030116
			DK 2003-749	A 20030519
			WO 2004-DK23	W 20040116

AB The invention provides a process for identifying specific members of a previously unknown protein-ligand binding pair which comprises the steps of (a) synthesizing a ligand library onto resin beads to form an immobilized ligand library, (b) incubating the immobilized ligand library with one or more protein mixts., (c) detecting an immobilized ligand-protein binding pair from the incubation mixture, and (d) identifying the ligand and the protein of the ligand-binding pair. The identified ligand and protein are specific members of a previously unknown ligand-protein binding pair, which, e.g., represent a single physiol. state or several varied but related states, such as disease vs. normal conditions. Thus, a peptide library which contains a photolabile linker and a spacer was used in solid-phase screening of labeled myocyte proteins.

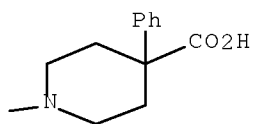
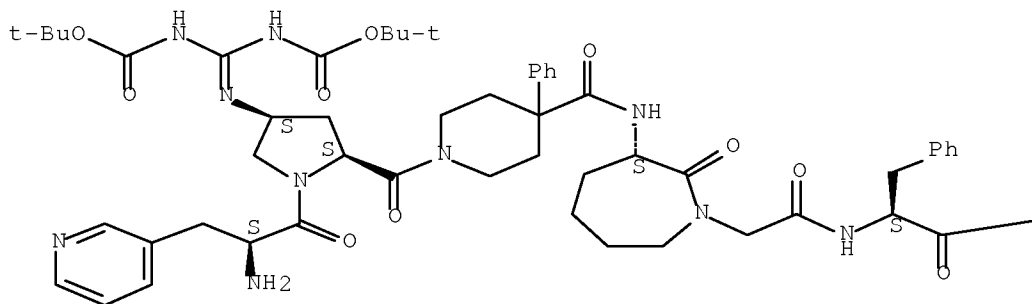
IT 724785-44-8P 724785-46-0P
 RL: ANT (Analyte); DGN (Diagnostic use); SPN (Synthetic preparation); ANST (Analytical study); BIOL (Biological study); PREP (Preparation); USES (Uses)

(affinity fishing for ligands and proteins receptors)

RN 724785-44-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[(2S)-2-[[2-[(3S)-3-[[[1-[(2S,4S)-1-[(2S)-2-amino-1-oxo-3-(3-pyridinyl)propyl]-4-[[bis[(1,1-dimethylethoxy)carbonyl]amino]methylene]amino]-2-pyrrolidinyl]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]hexahydro-2-oxo-1H-azepin-1-yl]acetyl]amino]-1-oxo-3-phenylpropyl]-4-phenyl- (CA INDEX NAME)

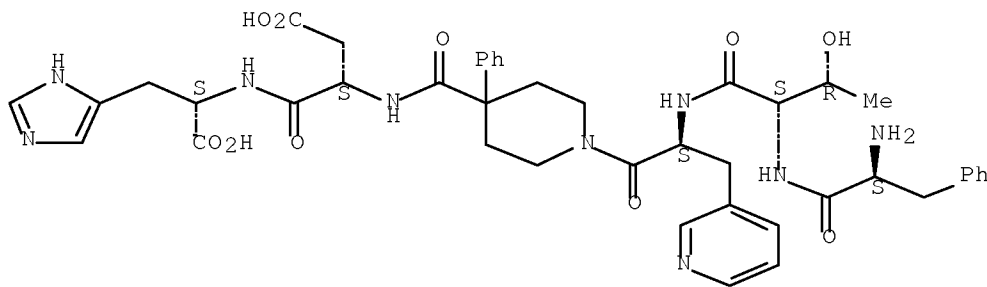
Absolute stereochemistry.



RN 724785-46-0 CAPLUS

CN L-Histidine, L-phenylalanyl-L-threonyl-3-(3-pyridinyl)-L-alanyl-4-phenyl-4-piperidinecarbonyl-L- α -aspartyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 35 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:550937 CAPLUS [Full-text](#)

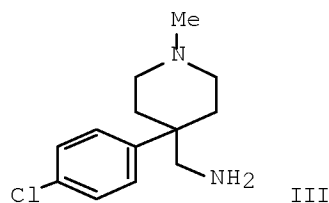
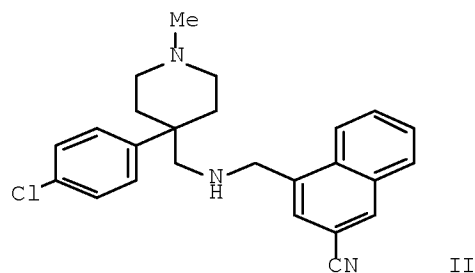
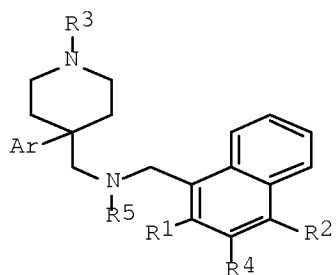
DOCUMENT NUMBER: 141:106379

TITLE: A preparation of (piperidinylmethyl)amine derivatives, useful as NK1 antagonists and selective serotonin reuptake inhibitors (SSRI)

INVENTOR(S): Bernstein, Peter; Warwick, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
 SOURCE: PCT Int. Appl., 34 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056771	A1	20040708	WO 2003-SE2004	20031218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003291589	A1	20040714	AU 2003-291589	20031218
EP 1581495	A1	20051005	EP 2003-768468	20031218
EP 1581495	B1	20070418		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006512363	T	20060413	JP 2004-562205	20031218
AT 360001	T	20070515	AT 2003-768468	20031218
ES 2286470	T3	20071201	ES 2003-768468	20031218
US 20060058352	A1	20060316	US 2005-539140	20050616
PRIORITY APPLN. INFO.:			US 2002-435130P	P 20021220
			WO 2003-SE2004	W 20031218
OTHER SOURCE(S):			MARPAT 141:106379	
GI				



AB The invention relates to a preparation of piperidinylamine derivs. of formula I [wherein: R1 and R2 are independently selected from H, CN, CF3, OCF3, halogen, or alk(en/yn)yl, etc.; R3 is H or alkyl; R4 is H, CN, alkyl, or alkoxy; R5 is H or alkyl; Ar is (un)substituted Ph], useful as NK1 antagonists and selective serotonin reuptake inhibitors (SSRI). The prepared invention compds. were screened in SERT binding assay ($2\text{nM} < K_i < 180\text{nM}$) and NK1 FLIPR assay ($70\text{nM} < \text{IC}_{50} < 2\mu\text{M}$). For instance, piperidine derivative II was prepared via amination of 1-iodomethyl-3- cyanonaphthalene by piperidine derivative III with a yield of 51% (example 1).

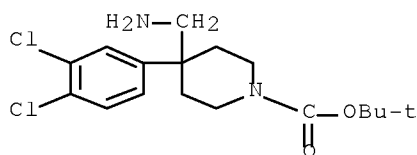
IT 669068-09-1P 669068-74-0P 719276-18-3P
719276-23-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of piperidinylamine derivs. with NK1 antagonist activity and SSRI activity)

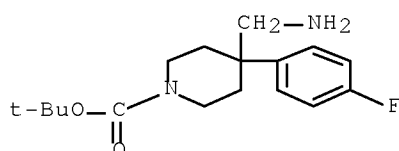
RN 669068-09-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



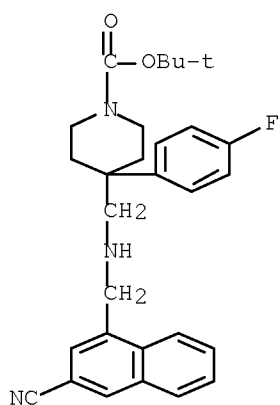
RN 669068-74-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



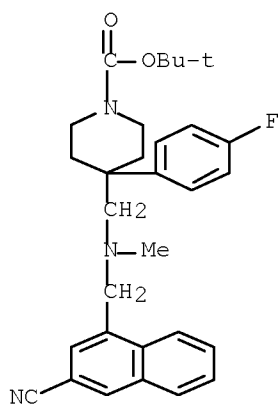
RN 719276-18-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)methyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 719276-23-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)methyl]methylamino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

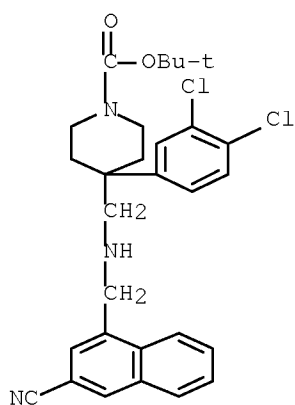


IT 719276-01-4P

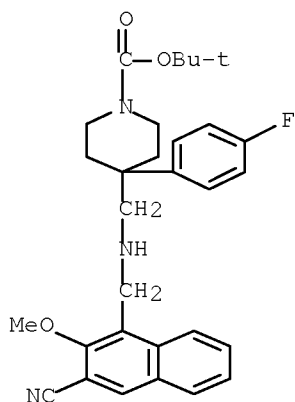
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of piperidinyllamine derivs. with NK1 antagonist activity and SSRI activity)

RN 719276-01-4 CAPLUS

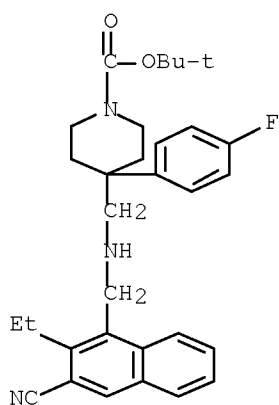
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)methyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 719276-25-2 719276-27-4
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of piperidinyllamine derivs. with NK1 antagonist
 activity and SSRI activity)
 RN 719276-25-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-methoxy-1-
 naphthalenyl)methyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl
 ester (CA INDEX NAME)



RN 719276-27-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-ethyl-1-
 naphthalenyl)methyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl
 ester (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:252507 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:287409

TITLE: Preparation of carbamoylpiperazines as melanocortin-4 receptor agonists

INVENTOR(S): Bakshi, Raman Kumar; Nargund, Ravi P.; Palucki, Brenda L.; Park, Min K.; Ye, Zhixiong

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

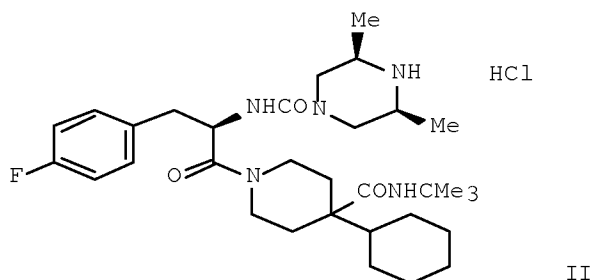
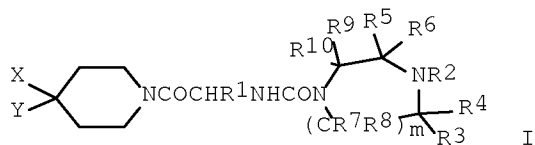
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024720	A1	20040325	WO 2003-US27892	20030905
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2498272	A1	20040325	CA 2003-2498272	20030905
AU 2003268493	A1	20040430	AU 2003-268493	20030905
EP 1539735	A1	20050615	EP 2003-749459	20030905
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505531	T	20060216	JP 2004-536116	20030905
US 20060040906	A1	20060223	US 2005-526178	20050228
PRIORITY APPLN. INFO.:			US 2002-409879P	P 20020911
			WO 2003-US27892	W 20030905

OTHER SOURCE(S): MARPAT 140:287409

GI



AB Piperazines I [R1 = H, (un)substituted alkyl, cycloalkyl, aryl, heteroaryl; R2 = H, (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl, CH2C.tplbond.CH, CH2CHF2; R3-R10 = H, (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl, heteroaryl; R3R5, R3R9, R5R7, R7R9 = atoms required to complete a 5-7-membered ring; X = (un)substituted alkyl, cycloalkyl, aryl, heteroaryl, heterocyclyl, CN, CONH2, CO2H, acyl, NH2, SH, s(O)H, SO2H, OH; Y = H, (un)substituted alkyl, alkenyl, cycloalkyl, aryl, heteroaryl, heterocyclyl; m = 1, 2] were prepared for use as agonists of the human melanocortin-4 receptor (MC-4R) and, in particular, as receptor-subtype selective agonists of MC-4R. They are useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity and diabetes. Thus, (R)-4-FC6H4CH2CH(CO2H)NHCO2CMe3 was treated with 1-cyclohexyl-4-tert.-butoxycarbamoylpiperidine hydrochloride, followed by deblocking and reaction with cis-2,6-dimethylpiperazine to give the title compound II.

IT 674791-00-5P 674792-92-8P

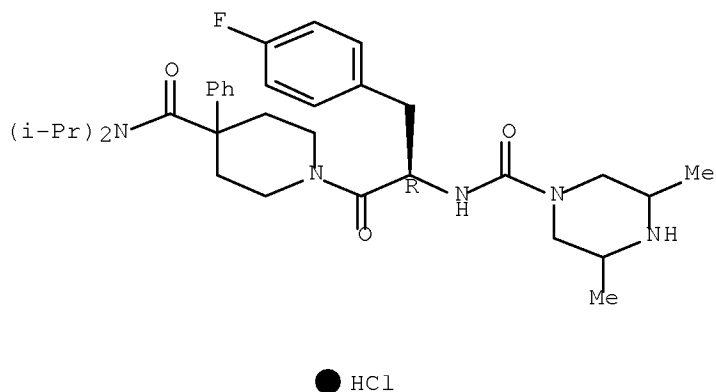
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carbamoylpiperazines as melanocortin-4 receptor agonists)

RN 674791-00-5 CAPLUS

CN 1-Piperazinecarboxamide, N-[(1R)-2-[4-[[bis(1-methylethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-3,5-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

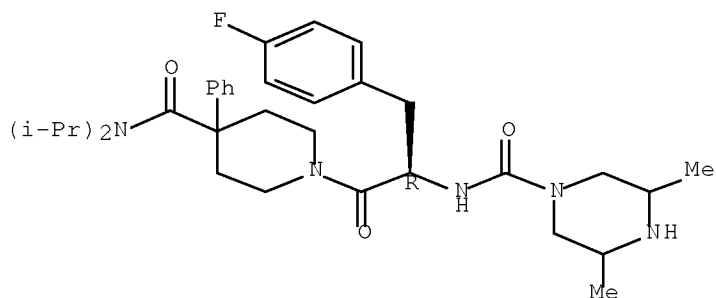
Absolute stereochemistry.



RN 674792-92-8 CAPLUS

CN 1-Piperazinecarboxamide, N-[(1R)-2-[4-[[bis(1-methylethyl)amino]carbonyl]-4-phenyl-1-piperidinyloxy]-1-[(4-fluorophenyl)methyl]-2-oxoethyl]-3,5-dimethyl- (CA INDEX NAME)

Absolute stereochemistry.



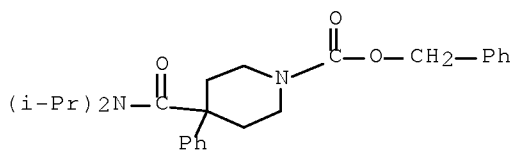
IT 674791-72-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbamoylpiperazines as melanocortin-4 receptor agonists)

RN 674791-72-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[bis(1-methylethyl)amino]carbonyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:203811 CAPLUS Full-text

DOCUMENT NUMBER: 140:253448

TITLE: Preparation of N-piperidinylmethyl naphthamide derivatives as NK1 receptor antagonists and serotonin reuptake inhibitors and their therapeutic uses

INVENTOR(S): Bernstein, Peter; Dantzman, Cathy; Dedinas, Robert; Shen, Lihong; Warwick, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

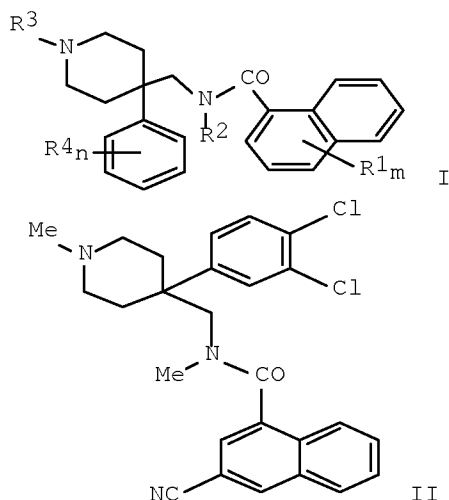
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020411	A1	20040311	WO 2003-SE1329	20030826
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003253558	A1	20040319	AU 2003-253558	20030826
EP 1549615	A1	20050706	EP 2003-791529	20030826
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006502239	T	20060119	JP 2004-569744	20030826
US 20060241142	A1	20061026	US 2005-525303	20051104
PRIORITY APPLN. INFO.:			SE 2002-2567	A 20020829
			SE 2002-2986	A 20021009
			WO 2003-SE1329	W 20030826

OTHER SOURCE(S): MARPAT 140:253448

GI



AB N-piperidinylmethyl naphthamide derivs. (shown as I; variables defined below; e.g. II as monocationic hemihydrate), in vivo-hydrolyzable precursors thereof, pharmaceutically-acceptable salts thereof, the use in therapy and pharmaceutical compns. and methods of treatment using the same are disclosed. For I: R1 = CN, CF₃, OCF₃, OCHF₂, halogen, C2-4alkenyl, C2-4alkynyl, Ra, Rb, SRa, NRaRb, CH₂NRaRb, ORa or CH₂ORa, where Ra and Rb = H, C1-6alkyl, C(O)Rc, C(O)NHRc or CO₂Rc, where Rc = C1-6alkyl; or, Ra and Rb together are (CH₂)_jG(CH₂)_k or G(CH₂)_jG, where G is O or S, j = 1-4, and k = 0-2; m = 1-3 where at least one R1 moiety is other than H; R2 and R3 = H, C1-6alkyl or C1-6alkyl substituted with C1-4alkoxy; R4 = H, CN, CF₃, OCF₃, OCHF₂, halogen, C1-4alkyl, C2-4alkenyl, C2-4alkynyl, SRa, NRaRb, CH₂NRaRb, ORa or CH₂ORa, where Ra and Rb = H, C1-6alkyl, C(O)Rc, C(O)NHRc or CO₂Rc where Rc = C1-6alkyl; or, Ra and Rb together are (CH₂)_jG(CH₂)_k or G(CH₂)_jG, and n is 0-3. Although the methods of preparation are not claimed, .apprx.80 example preps. are included. For example, II was prepared from 3-cyano-1-naphthoyl chloride and 1-methyl-4-(3,4-dichlorophenyl)-4-(N-methylaminomethyl)piperidine; the 2nd reactant was prepared in 4 steps starting with cyclization of 3,4-dichlorophenylacetonitrile with N-methylbis(2-chloroethyl)amine hydrochloride to give 1-methyl-4-(3,4-dichlorophenyl)-4-cyanopiperidine, which was hydrogenated to 1-methyl-4-aminomethyl-4-(3,4-dichlorophenyl)piperidine, which was ethoxycarbonylated to 1-methyl-4-(3,4-dichlorophenyl)-4-(ethoxycarbonylamino)methylpiperidine, which was reduced with LiAlH₄ to 1-methyl-4-(3,4-dichlorophenyl)-4-(N-methylaminomethyl)piperidine. Compds. I exhibit a K_i of 1-100 nM in the SERT assay and have an IC₅₀ = 1-100 nM in the NK1 FLIPR assay.

IT 669068-08-0P, 1-Boc-4-(3,4-dichlorophenyl)-4-[[[(3-cyano-2-methoxynaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-09-1P, 1-Boc-4-aminomethyl-4-(3,4-dichlorophenyl)piperidine 669068-15-9P, 1-Boc-4-(4-chlorophenyl)-4-[[[(3-cyano-2-methoxynaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-16-0P, 1-Boc-4-aminomethyl-4-(4-chlorophenyl)piperidine 669068-23-9P, 1-Boc-4-(3,4-dichlorophenyl)-4-[[[(3-cyano-2,4-dimethoxynaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-27-3P, 1-Boc-4-(3,4-dichlorophenyl)-4-[[[(3-cyano-2-ethylnaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-73-9P, 1-Boc-4-(4-fluorophenyl)-4-[[[(3-cyanonaphth-1-yl)carbonyl]amino]methyl]piperidine 669068-74-0P, 1-Boc-4-aminomethyl-4-(4-fluorophenyl)piperidine 669068-77-3P,

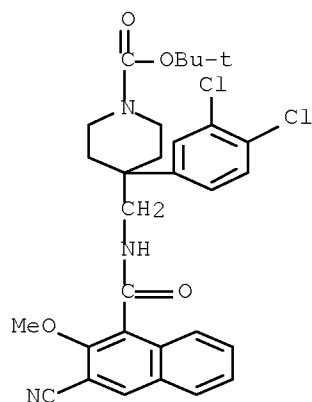
1-Boc-4-(4-fluorophenyl)-4-[[[(3-cyanonaphth-1-yl)carbonyl](methyl)amino]methyl]piperidine 669068-82-0P,
 1-Boc-4-(4-fluorophenyl)-4-[[[(3-cyano-2-ethylnaphth-1-yl)carbonyl]amino]methyl]piperidine

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of N-piperidinylmethyl naphthamide derivs. as NK1 receptor antagonists and serotonin reuptake inhibitors and their therapeutic uses)

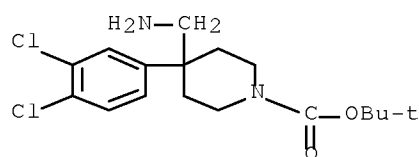
RN 669068-08-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-methoxy-1-naphthalenyl)carbonyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



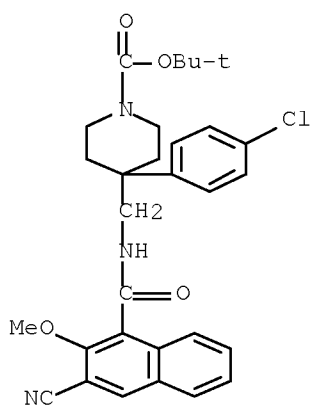
RN 669068-09-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



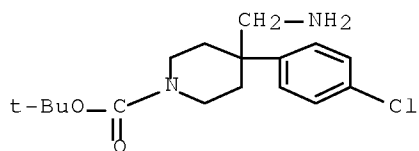
RN 669068-15-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-chlorophenyl)-4-[[[(3-cyano-2-methoxy-1-naphthalenyl)carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



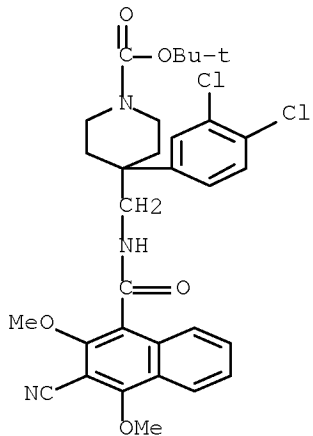
RN 669068-16-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 669068-23-9 CAPLUS

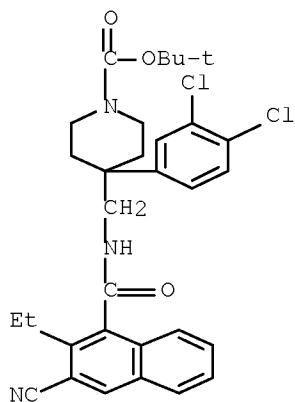
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2,4-dimethoxy-1-naphthalenyl)carbonyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 669068-27-3 CAPLUS

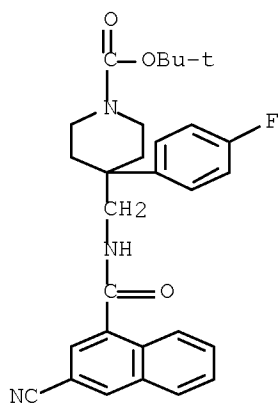
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-ethyl-1-naphthalenyl)carbonyl]amino]methyl]-4-(3,4-dichlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

1,1-dimethylethyl ester (CA INDEX NAME)



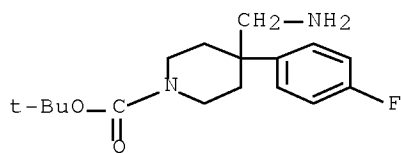
RN 669068-73-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)carbonyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 669068-74-0 CAPLUS

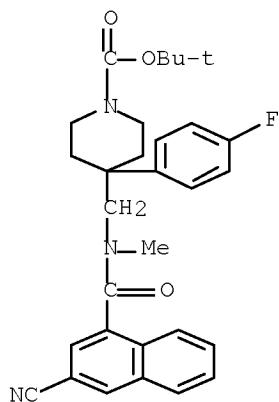
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 669068-77-3 CAPLUS

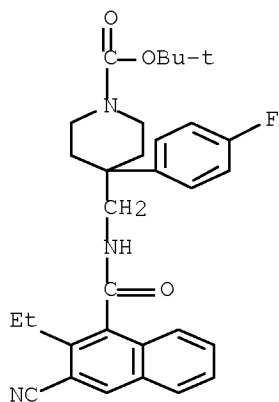
CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-1-naphthalenyl)carbonyl]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

mino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 669068-82-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-cyano-2-ethyl-1-naphthalenyl)carbonyl]amino]methyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:41442 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 140:111281

TITLE: Preparation of substituted piperidines as NK1 receptor ligands

INVENTOR(S): Alvaro, Giuseppe; Cardullo, Francesca; Di, Fabio Romano; Giovannini, Riccardo; Piga, Elisabetta; Tranquillini, Maria Elvira

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Di Fabio, Romano

SOURCE: PCT Int. Appl., 129 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005256	A2	20040115	WO 2003-EP7127	20030702
WO 2004005256	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003257433	A1	20040123	AU 2003-257433	20030702
EP 1558577	A2	20050803	EP 2003-762615	20030702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005535650	T	20051124	JP 2004-518696	20030702
US 20060128752	A1	20060615	US 2006-520143	20060117
PRIORITY APPLN. INFO.:			GB 2002-15393	A 20020703
			GB 2003-6454	A 20030320
			WO 2003-EP7127	W 20030702
OTHER SOURCE(S):			MARPAT 140:111281	
GI				

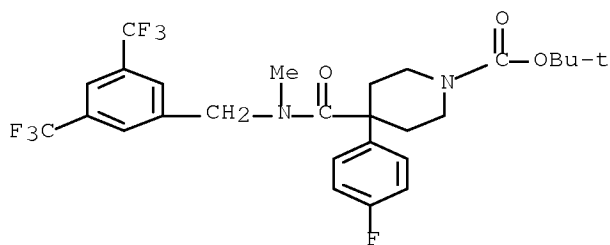
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R = alkyl, cyano, alkoxy, etc.; R1 = H, halo, cycloalkyl, OH, etc.; R2 = H, alkyl; R3-4 = H, CN, alkyl, etc.; R5 = CF3, SO0-2, alkyl, etc.; R6 = H, alkyl; m = 1-4; n = 1-2; p = 0-3; q = 1-3] are prepared For instance, 4-carboxymethyl-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-Bu ester (preparation given) is coupled to 3,5- (DMF, EDCI, HOBt) and deprotected (CH2Cl2, TFA) to give II. Example compds. inhibit (rat) serotonin transporter with pIC50 in the range of 7.50 - 5.30. I are useful in the treatment of conditions mediated by tachykinins and/or by selective inhibition of serotonin reuptake transporter protein.

IT 644981-90-8P, 4-[(3,5-Bis(trifluoromethyl)benzyl)(methyl)carbamoyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 644981-95-3P, 4-[(3,5-Bistrifluoromethylbenzyl)(methyl)carbamoyl]-4-(4-chlorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 644981-96-4P, 4-[(3,5-Dichlorobenzyl)(methyl)carbamoyl]-4-(4-fluorophenyl)piperidine-1-carboxylic acid tert-butyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of substituted (homo)piperidines as NK1 receptor ligands)

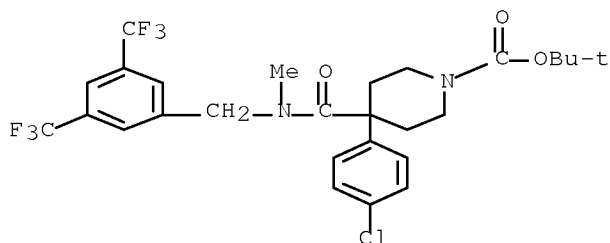
RN 644981-90-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



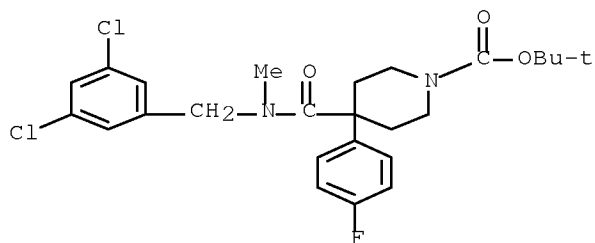
RN 644981-95-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]methyl]methylamino]carbonyl]-4-(4-chlorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 644981-96-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[3,5-dichlorophenyl]methyl]methylamino]carbonyl]-4-(4-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 39 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:991507 CAPLUS [Full-text](#)

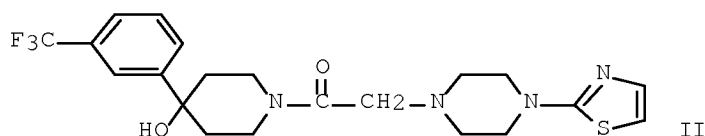
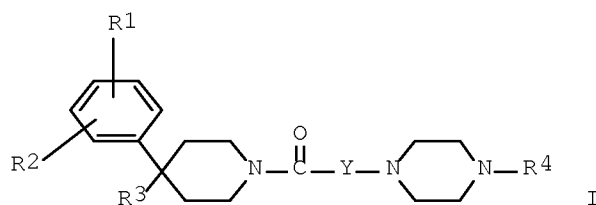
DOCUMENT NUMBER: 140:42206

TITLE: Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases

INVENTOR(S): Bono, Françoise; Bosch, Michael; Dos Santos, Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003104226	A1	20031218	WO 2003-FR1686	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003255645	A1	20031222	AU 2003-255645	20030605
EP 1513836	A1	20050316	EP 2003-757109	20030605
EP 1513836	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1675203	A	20050928	CN 2003-818808	20030605
JP 2005533051	T	20051104	JP 2004-511296	20030605
AT 325122	T	20060615	AT 2003-757109	20030605
AT 336491	T	20060915	AT 2003-757108	20030605
PT 1513836	T	20060929	PT 2003-757109	20030605
ES 2264001	T3	20061216	ES 2003-757109	20030605
ES 2271637	T3	20070416	ES 2003-757108	20030605
TW 283671	B	20070711	TW 2003-92115416	20030606
ZA 2004009823	A	20060726	ZA 2004-9823	20041203
US 20060167007	A1	20060727	US 2004-516808	20041203
US 7294628	B2	20071113		
PRIORITY APPLN. INFO.:			FR 2002-7001	A 20020607
			WO 2003-FR1686	W 20030605
OTHER SOURCE(S):			MARPAT 140:42206	
GI				



AB Title compds. I [wherein: Y = (CH₂)_n; n = 1 or 2; R₁ = halo, CF₃, alkyl, alkoxy, trifluoromethoxy; R₂ = H, halo; R₃ = H, OR₅, CH₂OR₅, NH₂ and derivs., NHCOR₆ and derivs., NHCONH₂ and derivs., CH₂NR₇R₈, CH₂NHCONH₂ and derivs., alkoxycarbonyl, CONH₂ and derivs.; or R₃ forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R₄ = 1,3-thiazol-2-yl; R₅ = H, alkyl, alkylcarbonyl; R₆ = alkyl, (CH₂)_mNH₂ and derivs.; m = 1,2, or 3; R₇, R₈ = independently H, alkyl; R₈ = (CH₂)_qOH, (CH₂)_qSM_e; q = 2 or 3; or R₇R₈N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of ¹²⁵I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, I (m.p. = 157-158°) was prepared by reacting 2-chloro-1-[4-hydroxy-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) and 1-(1,3-thiazol-2-yl)piperazine dihydrochloride (preparation given) in the presence of KI/K₂CO₃/MeCN. I inhibited the binding of ¹²⁵I NGF to p75NTR receptor with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the biochem. level. I inhibited the pro-apoptotic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the cellular level.

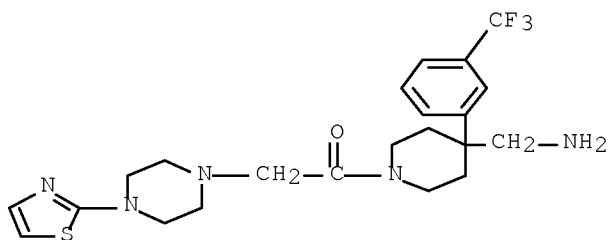
IT 634613-45-9P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone Trihydrochloride

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)

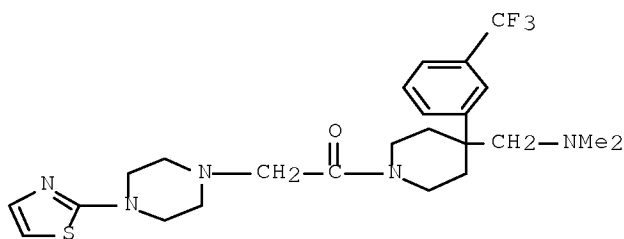
RN 634613-45-9 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-thiazolyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)

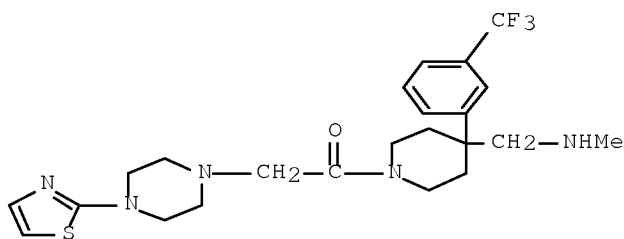


●3 HCl

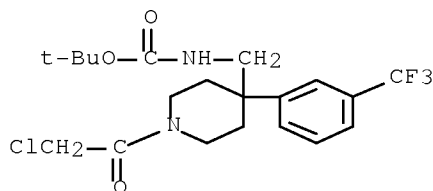
IT 634613-47-1P, 1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone 634613-48-2P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(1,3-thiazol-2-yl)-1-piperazinyl]-1-ethanone
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (NGF binding inhibitor; preparation of piperazinylacylpiperidines as NGF binding inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
 RN 634613-47-1 CAPLUS
 CN Ethanone, 1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-thiazolyl)-1-piperazinyl]- (CA INDEX NAME)



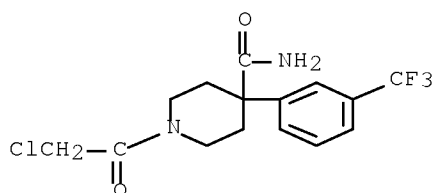
RN 634613-48-2 CAPLUS
 CN Ethanone, 1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-thiazolyl)-1-piperazinyl]- (CA INDEX NAME)



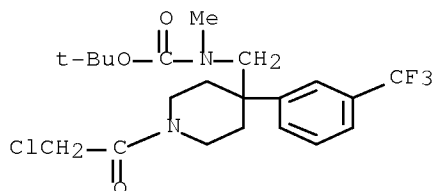
IT 634467-77-9P 634467-82-6P 634468-41-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (intermediate; preparation of piperazinyllacylpiperidines as NGF binding
 inhibitors to p75NTR receptor and of the apoptosis induced by NGF)
 RN 634467-77-9 CAPLUS
 CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-
 piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 634467-82-6 CAPLUS
 CN 4-Piperidinecarboxamide, 1-(2-chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-
 (CA INDEX NAME)



RN 634468-41-0 CAPLUS
 CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-
 piperidinyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:991506 CAPLUS Full-text

DOCUMENT NUMBER: 140:27846

TITLE: Preparation of piperazinylacylpiperidines as inhibitors of NGF binding (nerve growth factor) to p75NTR (p75 neurotrophic) receptor for treating p75NTR related diseases

INVENTOR(S): Bono, Francoise; Bosch, Michael; Dos, Santos Victor; Herbert, Jean Marc; Nisato, Dino; Tonnerre, Bernard; Wagnon, Jean

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.; Dos Santos, Victor

SOURCE: PCT Int. Appl., 81 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

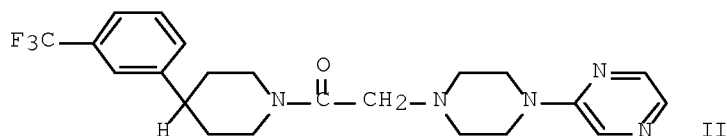
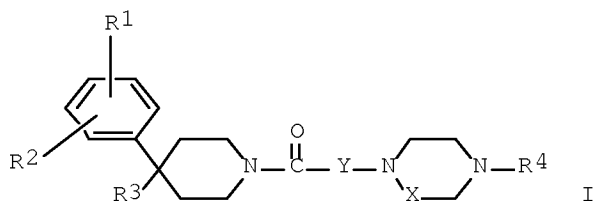
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003104225	A1	20031218	WO 2003-FR1685	20030605
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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AU 2003255644	A1	20031222	AU 2003-255644	20030605
EP 1513835	A1	20050316	EP 2003-757108	20030605
EP 1513835	B1	20060816		
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JP 2005534661	T	20051117	JP 2004-511295	20030605
AT 325122	T	20060615	AT 2003-757109	20030605
NZ 537044	A	20060831	NZ 2003-537044	20030605
AT 336491	T	20060915	AT 2003-757108	20030605
PT 1513836	T	20060929	PT 2003-757109	20030605
ES 2264001	T3	20061216	ES 2003-757109	20030605
ES 2271637	T3	20070416	ES 2003-757108	20030605
TW 283671	B	20070711	TW 2003-92115416	20030606
US 20050176722	A1	20050811	US 2004-516704	20041202
ZA 2004009823	A	20060726	ZA 2004-9823	20041203
NO 2004005331	A	20050307	NO 2004-5331	20041206
IN 2004KN01862	A	20060407	IN 2004-KN1862	20041206
MX 2004PA12341	A	20050930	MX 2004-PA12341	20041207
PRIORITY APPLN. INFO.:			FR 2002-7001	A 20020607
			WO 2003-FR1685	W 20030605

OTHER SOURCE(S): MARPAT 140:27846

GI



AB Title compds. I [wherein: Y = (CH₂)_n; n = 1 or 2; X = (CH₂)_p; p = 1 or 2; R₁ = halo, CF₃, alkyl, alkoxy, trifluoromethoxy; R₂ = H, halo; R₃ = H, OR₅, CH₂OR₅, NH₂ and derivs., NHCOR₆ and derivs., NHCONH₂ and derivs., CH₂NR₇R₈, CH₂NHCONH₂ and derivs., alkoxycarbonyl, CONH₂ and derivs.; or R₃ forms a double bond between the carbon atom where it is bound to and the neighboring carbon atom of the piperidine cycle; R₄ = (un)substituted pyridinyl, pyrazinyl, pyrimidinyl, pyridazinyl, 3(2H)-pyridazinon-5-yl, 3(2H)-pyridazinon-4-yl; R₅ = H, alkyl, alkylcarbonyl; R₆ = alkyl, (CH₂)_mNH₂ and derivs.; m = 1,2, or 3; R₇, R₈ = independently H, alkyl; R₈ = (CH₂)_qOH, (CH₂)_qMe; q = 2 or 3; or R₇R₈N = aziridine, azetidine, pyrrolidine, piperidine, morpholine; and their salts, hydrates and solvates] were prepared as inhibitors of the binding of ¹²⁵I NGF to p75NTR (p75 neurotrophic) receptor and of the apoptosis induced by NGF (nerve growth factor) for treating p75NTR related diseases (no data). For example, II•HCl was prepared by reacting 1-(2-pyrazinyl)piperazine (preparation given) with 2-chloro-1-[4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-1-ethanone (preparation given) in the presence of KI/K₂CO₃/MeCN, followed by acidulation with HCl. I inhibited the binding of ¹²⁵I NGF to p75NTR receptor with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the biochem. level. I inhibited the pro-apoptotic effect induced by NGF, via growing cells expressing preferentially p75NTR, with IC₅₀ in the range of 10⁻¹¹ M to 10⁻⁶ M at the cellular level.

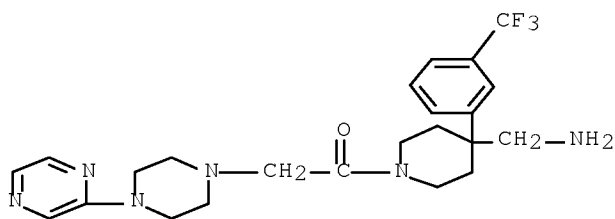
IT 634461-23-7F, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone
634464-53-2F

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

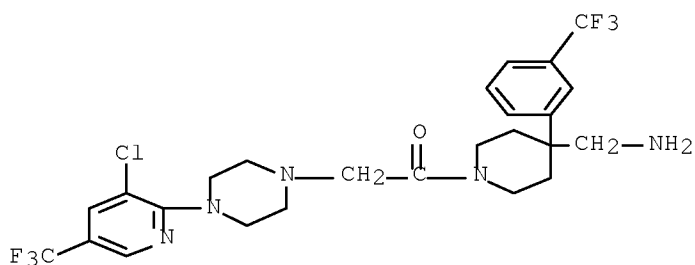
RN 634461-23-7 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



RN 634464-53-2 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-[3-chloro-5-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]-, hydrochloride (1:2) (CA INDEX NAME)



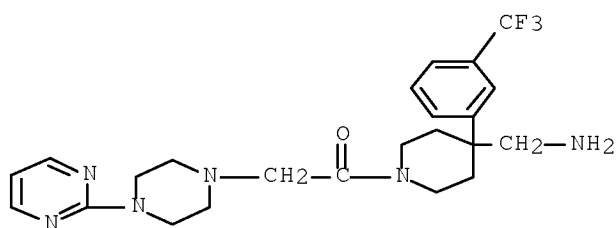
● 2 HCl

IT 634461-29-3P, 1-[4-(Aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrimidinyl)-1-piperazinyl]-1-ethanone Trihydrochloride 634462-38-7P 634462-68-3P 634462-83-2P, 1-[4-[(Dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634463-03-9P, 1-[4-(Aminomethyl)-4-(4-chlorophenyl)-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trihydrochloride 634464-03-2P 634464-08-7P, 1-[4-[(Methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634464-15-6P, 1-[4-[(Isopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634464-20-3P, 1-[4-[(N-Methylisopropylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trihydrochloride 634464-24-7P 634464-29-2P 634464-34-9P 634464-39-4P 634464-44-1P 634464-48-5P, 1-[4-(Aminomethyl)-4-(3-chlorophenyl)-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone 634464-72-5P, 1-[4-(Aminomethyl)-4-(3-methoxyphenyl)-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Dioxalate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

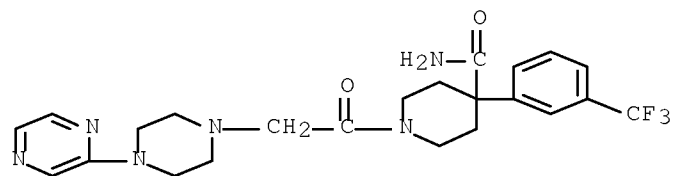
(NGF binding inhibitor; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

RN 634461-29-3 CAPLUS
 CN Ethanone, 1-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-
 2-[4-(2-pyrimidinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

RN 634462-38-7 CAPLUS
 CN 4-Piperidinecarboxamide, 1-[2-[4-(2-pyrazinyl)-1-piperazinyl]acetyl]-4-[3-(trifluoromethyl)phenyl]-, hydrochloride (1:3) (CA INDEX NAME)



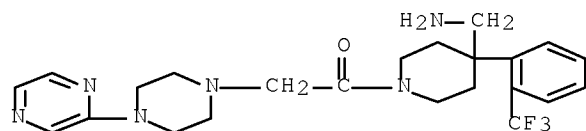
●3 HCl

RN 634462-68-3 CAPLUS
 CN Ethanone, 1-[4-(aminomethyl)-4-[2-(trifluoromethyl)phenyl]-1-piperidinyl]-
 2-[4-(2-pyrazinyl)-1-piperazinyl]-, ethanedioate (2:3) (CA INDEX NAME)

CM 1

CRN 634462-67-2

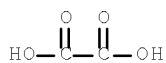
CMF C23 H29 F3 N6 O



CM 2

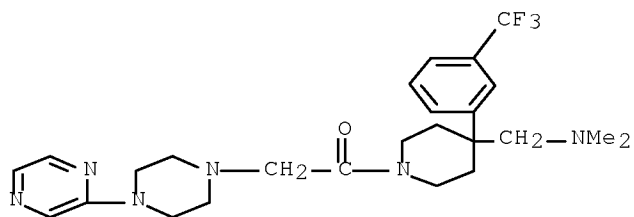
CRN 144-62-7

CMF C2 H2 O4



RN 634462-83-2 CAPLUS

CN Ethanone, 1-[4-[(dimethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



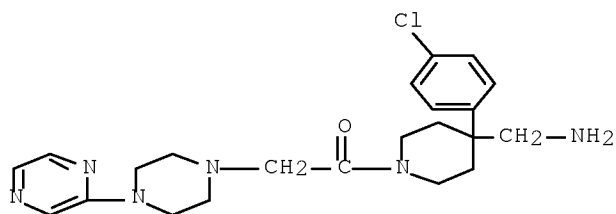
RN 634463-03-9 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-(4-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 634463-02-8

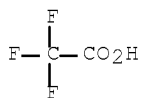
CMF C22 H29 Cl N6 O



CM 2

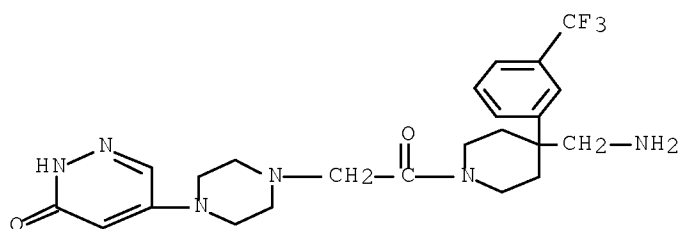
CRN 76-05-1

CMF C2 H F3 O2



RN 634464-03-2 CAPLUS

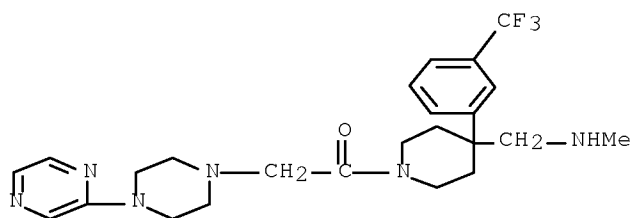
CN 3(2H)-Pyridazinone, 5-[4-[2-[4-(aminomethyl)-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-oxoethyl]-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

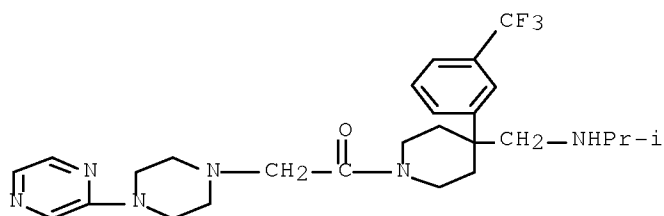
RN 634464-08-7 CAPLUS

CN Ethanone, 1-[4-[(methylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



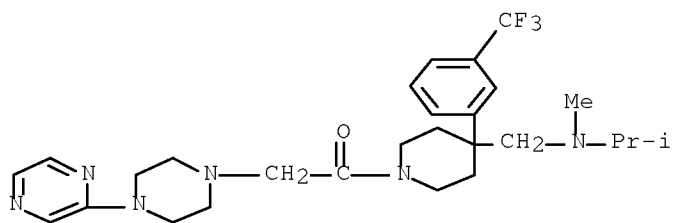
RN 634464-15-6 CAPLUS

CN Ethanone, 1-[4-[[[1-methylethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



RN 634464-20-3 CAPLUS

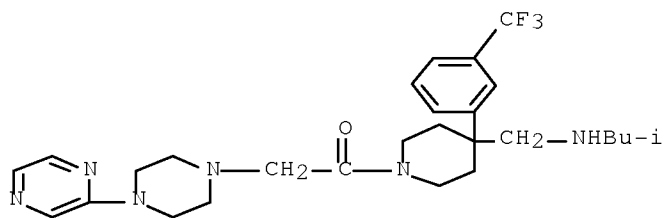
CN Ethanone, 1-[4-[[methyl(1-methylethyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

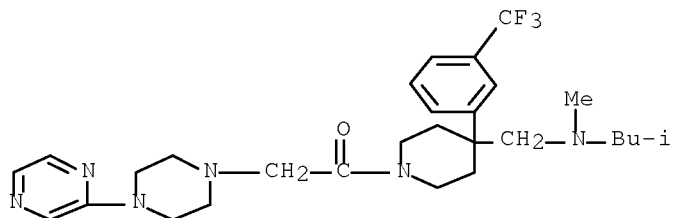
RN 634464-24-7 CAPLUS

CN Ethanone, 1-[4-[[(2-methylpropyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



RN 634464-29-2 CAPLUS

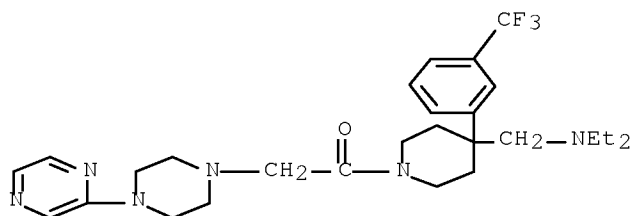
CN Ethanone, 1-[4-[[methyl(2-methylpropyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



●3 HCl

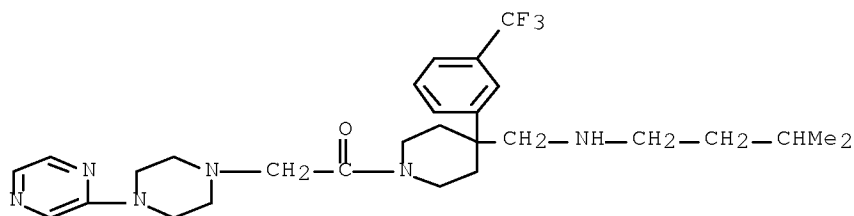
RN 634464-34-9 CAPLUS

CN Ethanone, 1-[4-[(diethylamino)methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



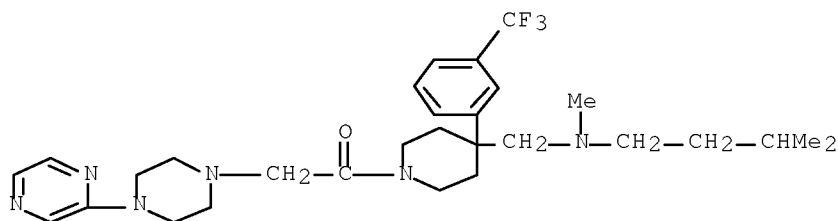
RN 634464-39-4 CAPLUS

CN Ethanone, 1-[4-[[(3-methylbutyl) amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



RN 634464-44-1 CAPLUS

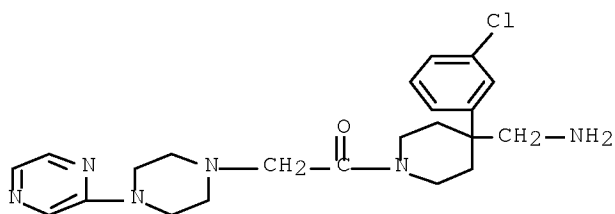
CN Ethanone, 1-[4-[[methyl (3-methylbutyl) amino]methyl]-4-[3-(trifluoromethyl)phenyl]-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, hydrochloride (1:3) (CA INDEX NAME)



● 3 HCl

RN 634464-48-5 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-(3-chlorophenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



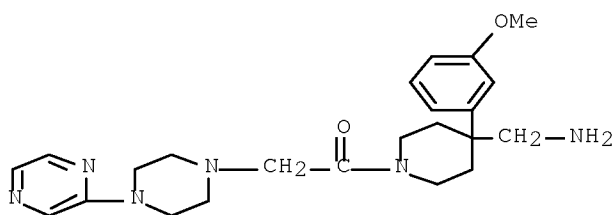
RN 634464-72-5 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-(3-methoxyphenyl)-1-piperidiny]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, ethanedioate (1:2) (CA INDEX NAME)

CM 1

CRN 634464-71-4

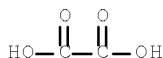
CMF C23 H32 N6 O2



CM 2

CRN 144-62-7

CMF C2 H2 O4



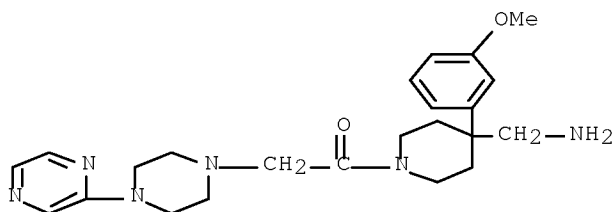
IT 634464-71-4P 634467-77-9P, tert-Butyl
 [[1-(2-Chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidiny]methyl]carbamate 634467-82-6P, 1-(2-Chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinecarboxamide 634468-41-0P
 , tert-Butyl [[1-(2-chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidiny]methyl]methylcarbamate 634469-57-1P, tert-Butyl
 [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidiny]methyl]carbamate
 634469-86-6P, tert-Butylmethyl [[1-[2-[4-(2-pyrazinyl)-1-piperazinyl]-1-oxoethyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidiny]methyl]carbamate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

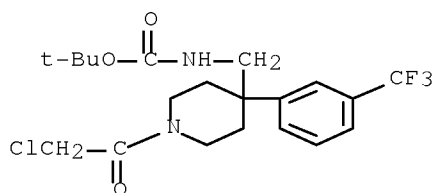
RN 634464-71-4 CAPLUS

CN Ethanone, 1-[4-(aminomethyl)-4-(3-methoxyphenyl)-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



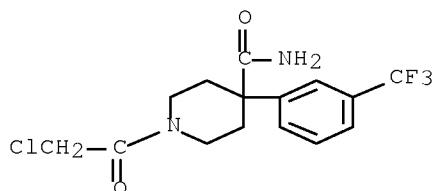
RN 634467-77-9 CAPLUS

CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



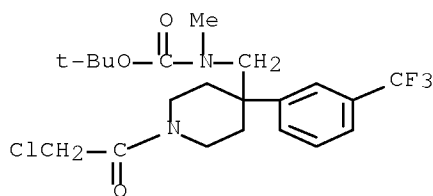
RN 634467-82-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-chloroacetyl)-4-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



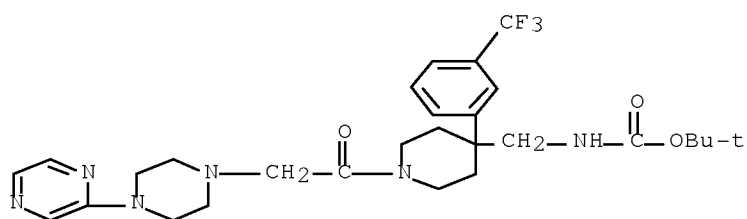
RN 634468-41-0 CAPLUS

CN Carbamic acid, [[1-(chloroacetyl)-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



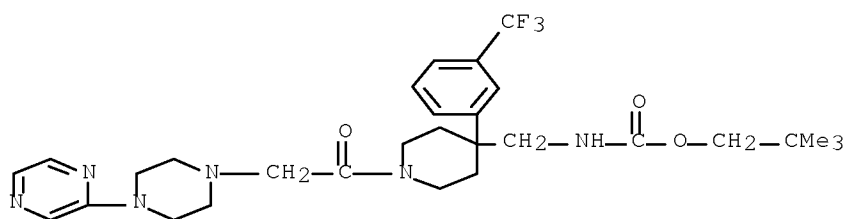
RN 634469-57-1 CAPLUS

CN Carbamic acid, [[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 634469-86-6 CAPLUS

CN Carbamic acid, [[1-[(4-pyrazinyl-1-piperazinyl)acetyl]-4-[3-(trifluoromethyl)phenyl]-4-piperidinyl]methyl]-, 2,2-dimethylpropyl ester (9CI) (CA INDEX NAME)



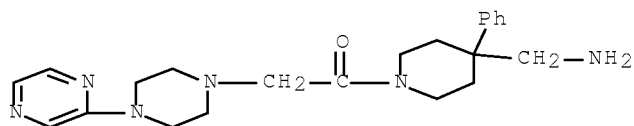
IT 634469-80-0P, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone

RL: SPN (Synthetic preparation); PREP (Preparation)

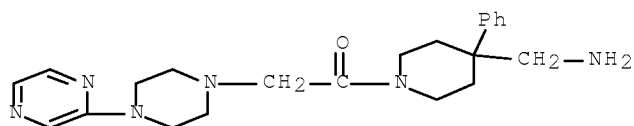
(intermediate; preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)

RN 634469-80-0 CAPLUS

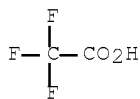
CN Ethanone, 1-[4-(aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]- (CA INDEX NAME)



IT 634469-81-1P, 1-[4-(Aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-1-ethanone Trifluoroacetate
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of piperazinylacylpiperidines as inhibitors of the binding of NGF to p75NTR receptor and of the apoptosis induced by NGF)
 RN 634469-81-1 CAPLUS
 CN Ethanone, 1-[4-(aminomethyl)-4-phenyl-1-piperidinyl]-2-[4-(2-pyrazinyl)-1-piperazinyl]-, 2,2,2-trifluoroacetate (1:3) (CA INDEX NAME)
 CM 1
 CRN 634469-80-0
 CMF C22 H30 N6 O



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 41 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:951026 CAPLUS Full-text
 DOCUMENT NUMBER: 140:4965
 TITLE: Heterocyclic oxophenyl-cyclohexyl-propanolamine derivatives, and the production and use thereof in therapeutics as β 3 receptor agonists
 INVENTOR(S): Bovy, Philippe R.; Cecchi, Roberto; Croci, Tiziano; Venier, Olivier
 PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
 SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

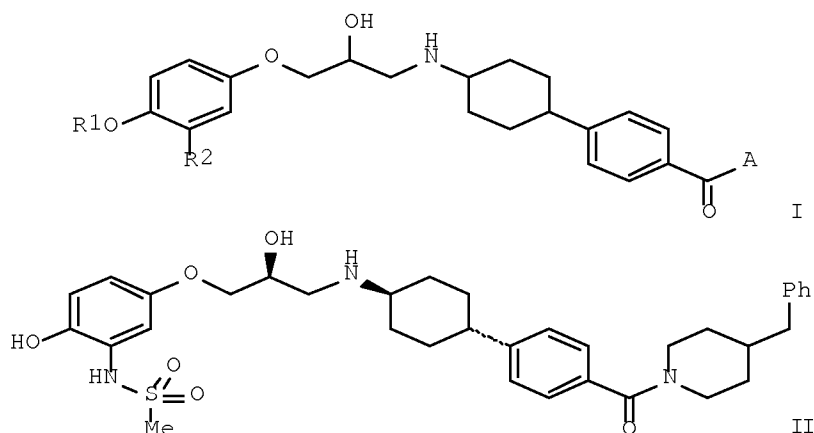
LANGUAGE:

French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2003099819	A3	20040401		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2840304	A1	20031205	FR 2002-6560	20020529
FR 2840304	B1	20070518		
AU 2003260569	A1	20031212	AU 2003-260569	20030526
EP 1511728	A2	20050309	EP 2003-755201	20030526
EP 1511728	B1	20070314		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005535599	T	20051124	JP 2004-507476	20030526
AT 356805	T	20070415	AT 2003-755201	20030526
US 20050176731	A1	20050811	US 2004-515093	20041119
PRIORITY APPLN. INFO.:			FR 2002-6560	A 20020529
			WO 2003-FR1579	W 20030526
OTHER SOURCE(S):			MARPAT 140:4965	
GI				



AB The invention relates to title compds. I [wherein: R1 = H, alkyl, alkanoyl, (un)substituted phenylalkyl, (un)substituted PhCO; R2 = H, halo, S(O)nR3,

NHSO₂R₃, (un)substituted NHSO₂Ph or NHSO₂-alkyl-Ph; n = 0, 1, or 2; R₃ = alkyl; A = (un)substituted piperidino, piperazino, 4-spirocyclic piperidino, or their 5- and 7-membered homologs; piperidino substituents (2) = H, alkyl, OH, cyano, Ph, PhCH₂, piperidyl, CONH₂, C(Ph), COOR₃, CH(Ph)(OH), and C(Ph)₂(OH) (at least one substituent is not H); or substituents form (un)substituted 6-membered aromatic ring; piperazino substituent (1) = H, alkyl, Ph, or CH₂Ph; spiro ring = (un)substituted, (un)saturated carbocycle or N1-2 heterocycle which may be benzo-fused; including acid addition salts, hydrates, and/or solvates]. The invention also relates to a method for the production of I, and the use of I in therapeutics. A table of 25 compds. I is given, and preps. of several I and various intermediates are described. Usage of I in a wide variety of specific therapeutic applications is claimed. For instance, reductive amination of Et 4-(4-oxocyclohexyl)benzoate with benzylamine gave trans-Et 4-[4-(benzylamino)cyclohexyl]benzoate. This amine underwent N-alkylation with a corresponding benzyl-protected epoxide alc., followed by saponification of the ester (94%), amidation of the acid with 4-benzylpiperidine, and hydrogenolytic debenzoylation of two benzyl groups, to give title compound II. In an assay for β ₃ receptor agonism in human neuroblastoma cells SKNMC, in the presence of the selective β ₁ and β ₂ antagonists CGP 20712 and ICI 118551, compds. I had a pK_a of \geq 6.0, generally 6.0-7.6. The efficacy of I was generally 60-90%. Tests against β ₁ and β ₂ receptor subtypes showed that I were at least 50 times more selective for β ₃ receptors.

IT 628722-56-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

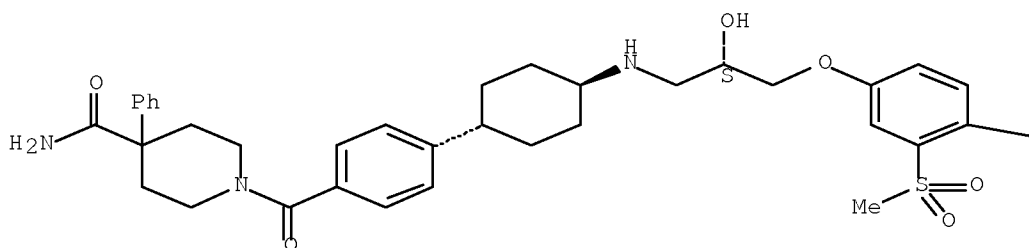
(drug candidate; preparation of heterocyclic oxophenyl-cyclohexyl-propanolamine derivs. as β ₃ adrenoceptor agonists)

RN 628722-56-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[4-[trans-4-[[(2S)-2-hydroxy-3-[4-hydroxy-3-(methylsulfonyl)phenoxy]propyl]amino]cyclohexyl]benzoyl]-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

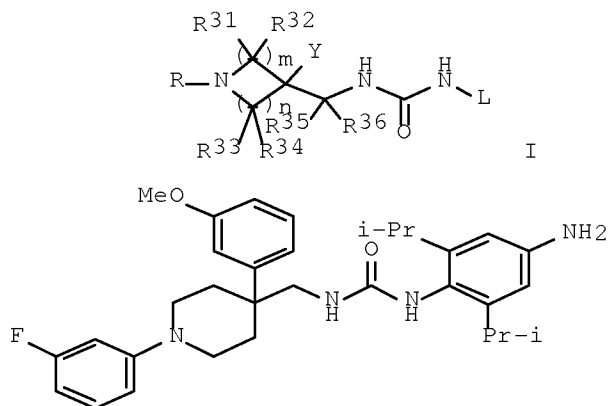


PAGE 1-B

—OH

L3 ANSWER 42 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:875252 CAPLUS Full-text
 DOCUMENT NUMBER: 139:364832
 TITLE: Preparation of piperidine derivatives as ACAT
 inhibitors for treatment of hyperlipemia and
 arteriosclerosis
 INVENTOR(S): Ban, Hitoshi; Muraoka, Masami
 PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091216	A1	20031106	WO 2003-JP5124	20030422
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003231388	A1	20031110	AU 2003-231388	20030422
EP 1500648	A1	20050126	EP 2003-725627	20030422
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US 20050165057	A1	20050728	US 2004-511319	20041015
PRIORITY APPLN. INFO.:			JP 2002-124311	A 20020425
			WO 2003-JP5124	W 20030422
OTHER SOURCE(S):			MARPAT 139:364832	
GI				

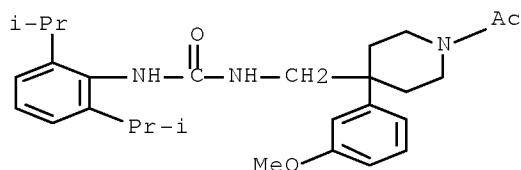


AB The title compds. I [wherein m and n = independently 0-4; m + n = 4; L = (un)substituted cycloalkyl or aryl; Y = (un)substituted aryl; R = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, or COH; R31-R34 = independently H, OH, alkoxy, aralkyloxy, or (un)substituted alkyl, etc.; R35 and R36 = independently H or (un)substituted alkyl; or R35 and R36 together form an oxo group] and prodrugs or pharmaceutically acceptable salts thereof are prepared as acyl-CoA:cholesterol acyltransferase (ACAT) inhibitors, and are useful for the treatment of hyperlipemia, arteriosclerosis, etc. (no data). For example, the compound II was prepared in a multi-step synthesis. II showed 63% inhibitory activity against human ACAT at the concentration of 500 nM.

IT 620593-36-4P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of piperidine derivs. as ACAT inhibitors for treatment of hyperlipemia and arteriosclerosis)

RN 620593-36-4 CAPLUS

CN Urea, N-[[1-acetyl-4-(3-methoxyphenyl)-4-piperidinyl]methyl]-N'-[2,6-bis(1-methylethyl)phenyl]- (CA INDEX NAME)



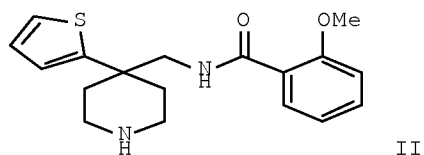
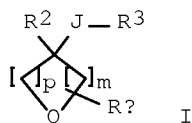
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 43 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:855758 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 139:364829
 TITLE: Preparation of heterocyclo inhibitors of potassium channel function
 INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Beaudoin, Serge; Gross, Michael F.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
 SOURCE: PCT Int. Appl., 330 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088908	A2	20031030	WO 2003-US11807	20030416
WO 2003088908	A3	20040527		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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 AU 2003223651 A1 20031103 AU 2003-223651 20030416
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 NO 2004004351 A 20041013 NO 2004-4351 20041013
 PRIORITY APPLN. INFO.: US 2002-374279P P 20020419
 WO 2003-US11807 W 20030416
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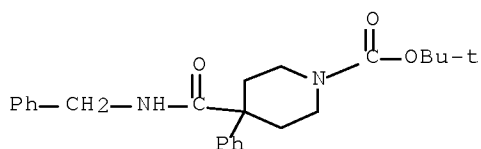


AB The title compds. [I; m, p = 0-3 (provided that the sum of m and p is at least 2); Q = NR1, O, S, SO, SO2; R1 = H, C(:W)NR6R7, SO2NR6R7, OCONR6R7, etc.; R2 = heteroaryl, heteroarylalkyl, aryl, etc.; J = a bond, alkylene; R3 = R5, OR5, SO2R5, etc.; R5 = CN, heteroaryl, aryl, etc.; R6, R7 = H, alkyl, OH, etc.; W = (un)substituted NH, N(CO2H), N(CN), N(SO2H), CH(NO2); Rx = H, alkyl, hydroxyalkyl, aryl, etc.], useful as inhibitors of potassium channel function (especially inhibitors of the Kv1 subfamily of voltage gated K+ channels, especially inhibitors Kv1.5 which has been linked to the ultra-rapidly activating delayed rectifier K+ current IKur) in the prevention and treatment of arrhythmia and IKur-associated conditions, were prepared E.g., a multi-step synthesis of II [starting from bis(2-chloroethyl)amine], was given. Pharmaceutical composition comprising the compound I is claimed.

IT 619280-93-2P 619292-31-8P 619292-35-2P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of substituted piperidines as inhibitors of potassium channel function)

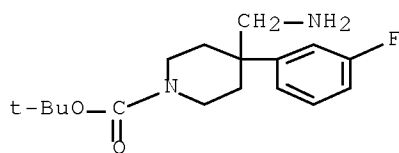
RN 619280-93-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[(phenylmethyl)amino]carbonyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



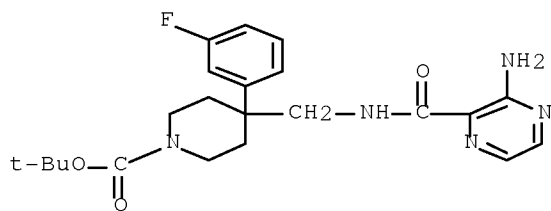
RN 619292-31-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(3-fluorophenyl)-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 619292-35-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-amino-2-pyrazinyl)carbonyl]amino]meth
yl]-4-(3-fluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 619280-97-6P 619281-01-5P 619281-05-9P
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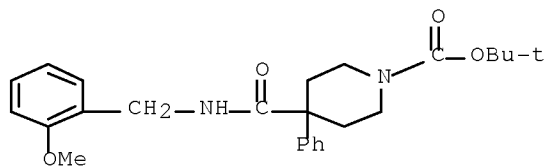
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of substituted piperidines as inhibitors of potassium channel
 function)

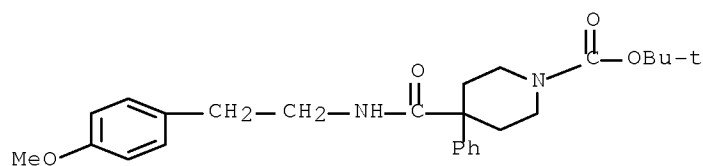
RN 619280-97-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxyphenyl)methyl]amino]carbonyl]-
 4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



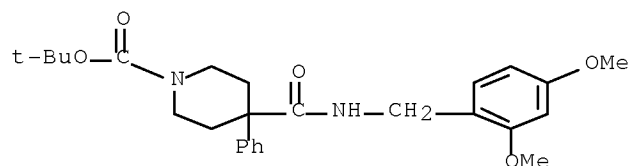
RN 619281-01-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-(4-methoxyphenyl)ethyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



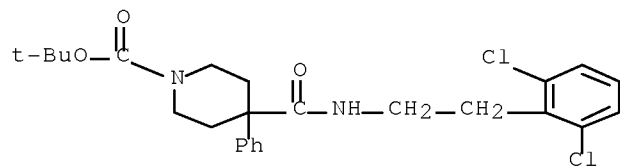
RN 619281-05-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2,4-dimethoxyphenyl)methyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 619281-09-3 CAPLUS

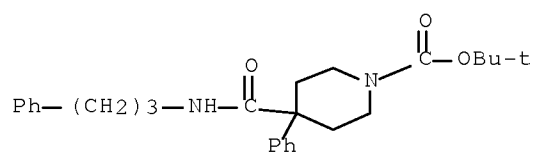
CN 1-Piperidinecarboxylic acid, 4-[[[2-(2,6-dichlorophenyl)ethyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 619281-13-9 CAPLUS

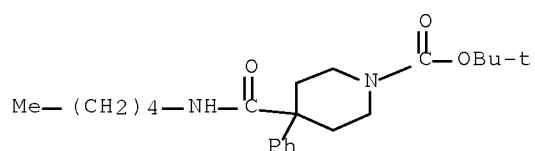
CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[[3-phenylpropyl]amino]carbonyl]-1,1-dimethylethyl ester (CA INDEX NAME)

, 1,1-dimethylethyl ester (CA INDEX NAME)



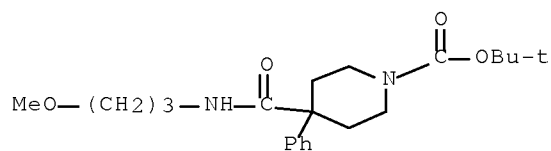
RN 619281-17-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(pentylamino)carbonyl]-4-phenyl-,
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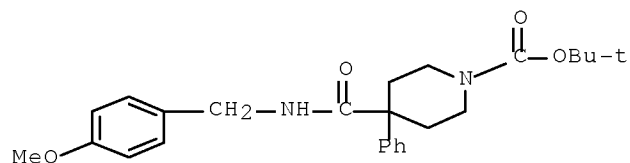
RN 619281-21-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-methoxypropyl)amino]carbonyl]-4-phenyl-
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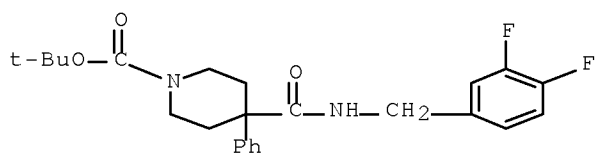
RN 619281-25-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4-methoxyphenyl)methyl]amino]carbonyl]-
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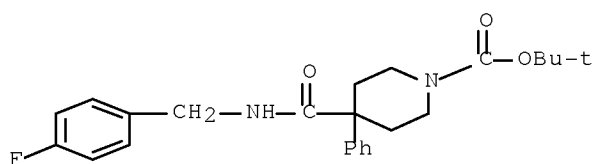
RN 619281-29-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3,4-difluorophenyl)methyl]amino]carbonyl]-
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RN 619281-33-3 CAPLUS

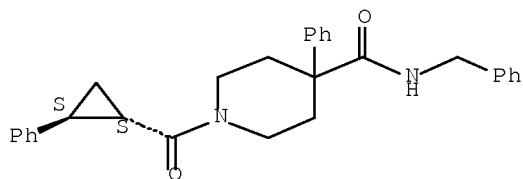
CN 1-Piperidinecarboxylic acid, 4-[[[(4-fluorophenyl)methyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 619281-62-8 CAPLUS

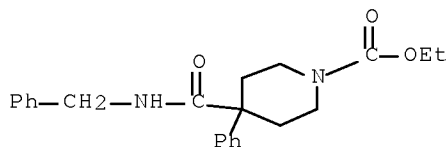
CN 4-Piperidinecarboxamide, 4-phenyl-1-[[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-(phenylmethyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 619281-68-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[[(phenylmethyl)amino]carbonyl]-, ethyl ester (CA INDEX NAME)

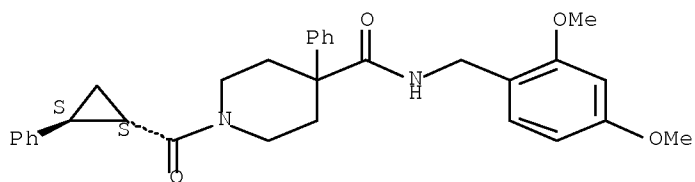


RN 619281-71-9 CAPLUS

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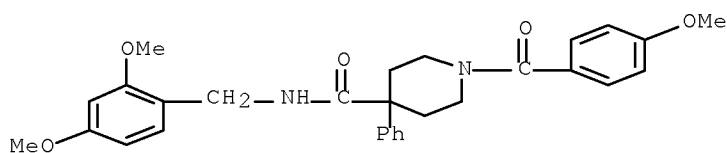
[[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



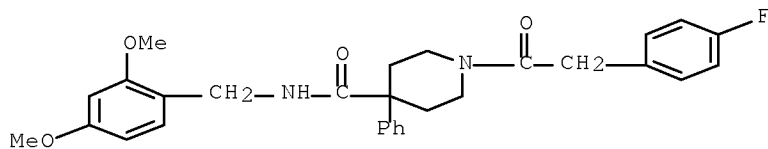
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CN 4-Piperidinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-1-(4-methoxybenzoyl)-4-phenyl- (CA INDEX NAME)



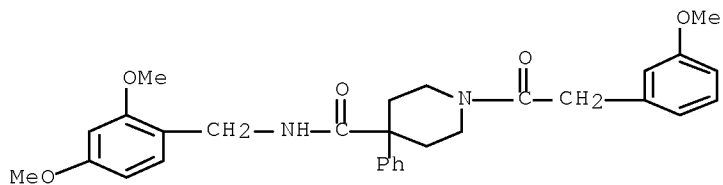
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CN 4-Piperidinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-1-[2-(4-fluorophenyl)acetyl]-4-phenyl- (CA INDEX NAME)



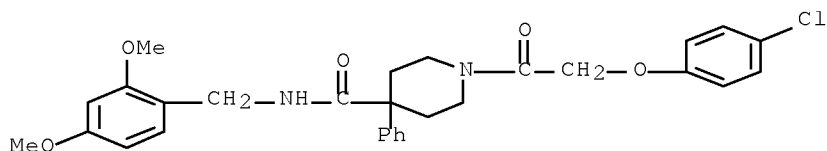
RN 619281-80-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-1-[2-(3-methoxyphenyl)acetyl]-4-phenyl- (CA INDEX NAME)



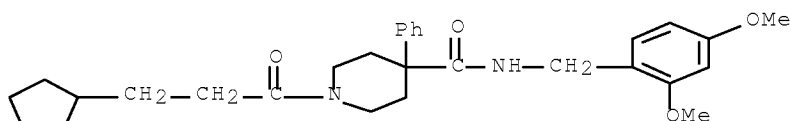
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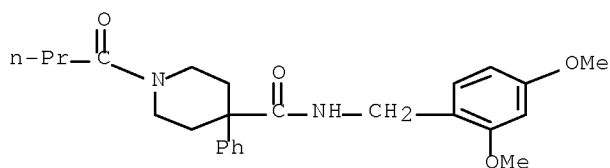
RN 619281-86-6 CAPLUS

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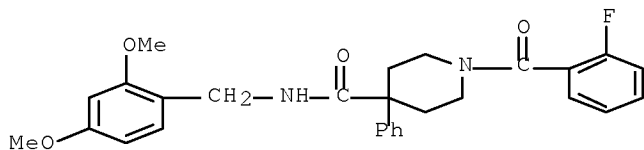
RN 619281-89-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-1-(1-oxobutyl)-4-phenyl- (CA INDEX NAME)



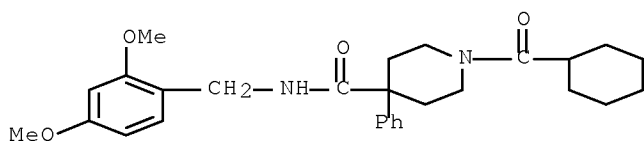
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RN 619281-95-7 CAPLUS

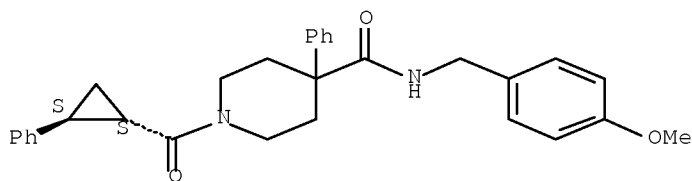
CN 4-Piperidinecarboxamide, 1-(cyclohexylcarbonyl)-N-[(2,4-dimethoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



RN 619282-25-6 CAPLUS

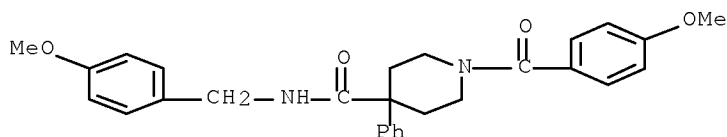
CN 4-Piperidinecarboxamide, N-[(4-methoxyphenyl)methyl]-4-phenyl-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



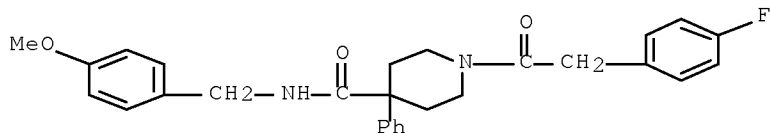
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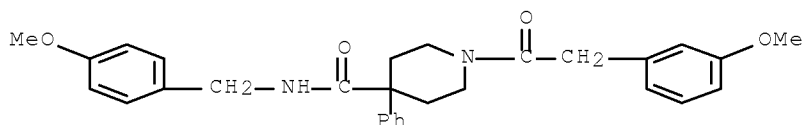
RN 619282-31-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-fluorophenyl)acetyl]-N-[(4-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



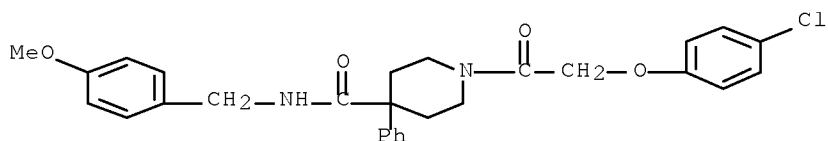
RN 619282-34-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)acetyl]-N-[(4-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



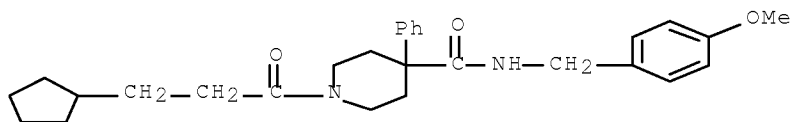
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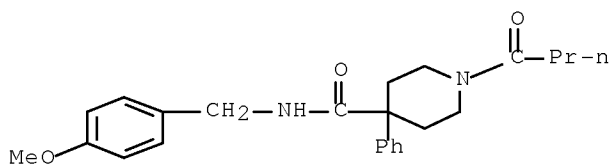
RN 619282-40-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-cyclopentyl-1-oxopropyl)-N-[(4-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



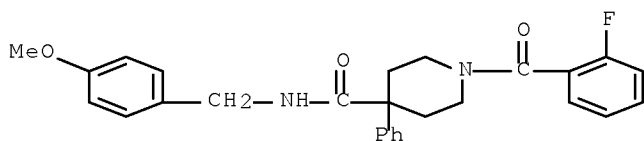
RN 619282-43-8 CAPLUS

CN 4-Piperidinecarboxamide, N-[(4-methoxyphenyl)methyl]-1-(1-oxobutyl)-4-phenyl- (CA INDEX NAME)



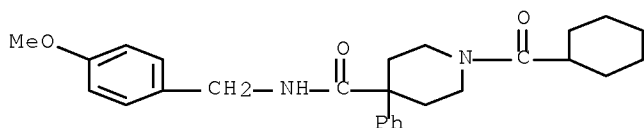
RN 619282-46-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-fluorobenzoyl)-N-[(4-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



RN 619282-49-4 CAPLUS

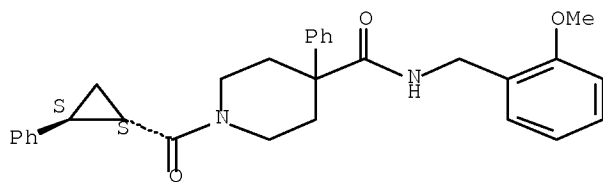
CN 4-Piperidinecarboxamide, 1-(cyclohexylcarbonyl)-N-[(4-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



RN 619282-53-0 CAPLUS

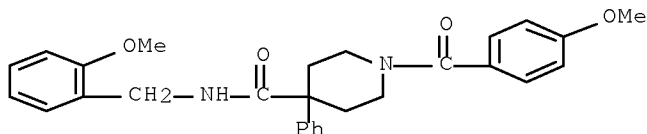
CN 4-Piperidinecarboxamide, N-[(2-methoxyphenyl)methyl]-4-phenyl-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



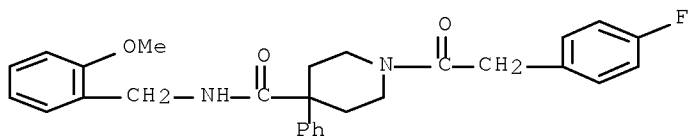
RN 619282-57-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-(4-methoxybenzoyl)-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



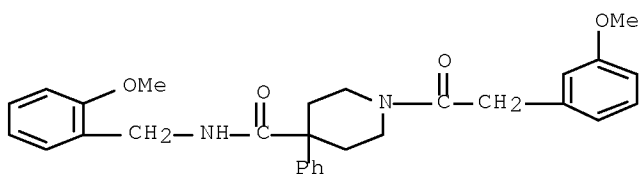
RN 619282-60-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-fluorophenyl)acetyl]-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



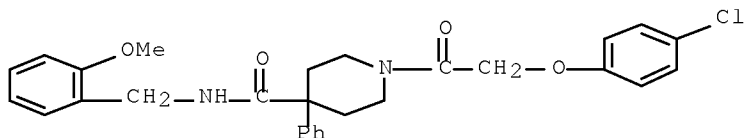
RN 619282-63-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)acetyl]-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



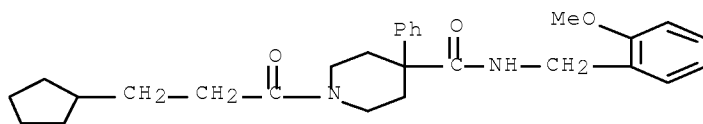
RN 619282-66-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-chlorophenoxy)acetyl]-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



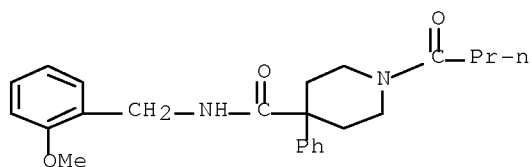
RN 619282-69-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-cyclopentyl-1-oxopropyl)-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



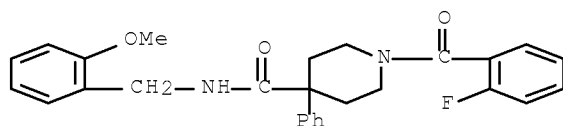
RN 619282-72-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[(2-methoxyphenyl)methyl]-1-(1-oxobutyl)-4-phenyl- (CA INDEX NAME)



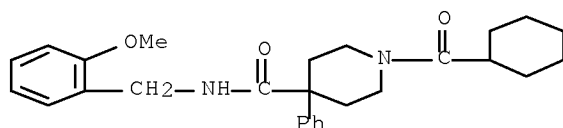
RN 619282-75-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-fluorobenzoyl)-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



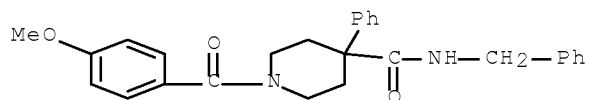
RN 619282-78-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-(cyclohexylcarbonyl)-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)



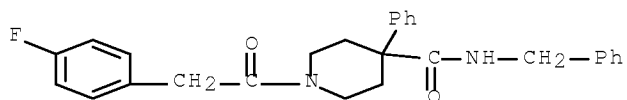
RN 619282-81-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-(4-methoxybenzoyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



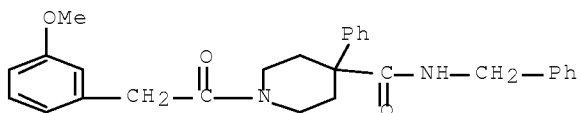
RN 619282-84-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-fluorophenyl)acetyl]-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



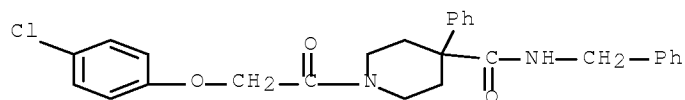
RN 619282-87-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)acetyl]-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



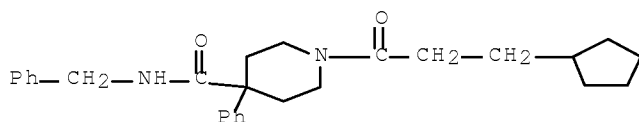
RN 619282-91-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-chlorophenoxy)acetyl]-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



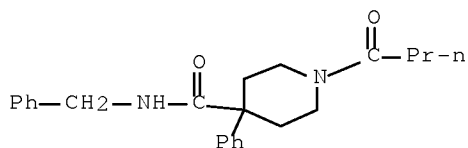
RN 619282-95-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-cyclopentyl-1-oxopropyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



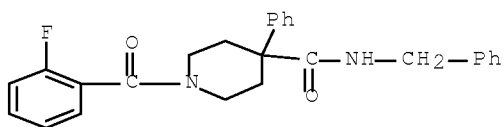
RN 619282-98-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxobutyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



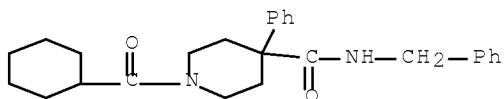
RN 619283-01-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-fluorobenzoyl)-4-phenyl-N-(phenylmethyl)-
(CA INDEX NAME)



RN 619283-04-4 CAPLUS

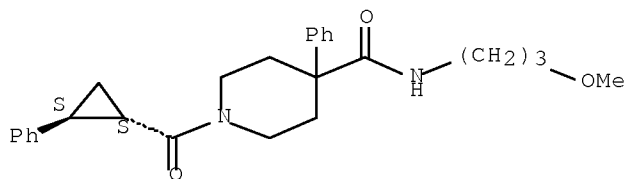
CN 4-Piperidinecarboxamide, 1-(cyclohexylcarbonyl)-4-phenyl-N-(phenylmethyl)-
(CA INDEX NAME)



RN 619283-07-7 CAPLUS

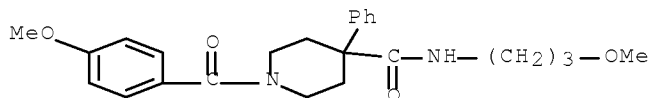
CN 4-Piperidinecarboxamide, N-(3-methoxypropyl)-4-phenyl-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



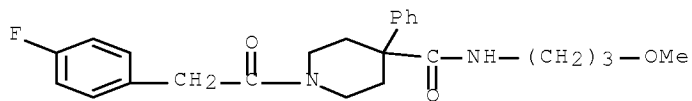
RN 619283-10-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-(4-methoxybenzoyl)-N-(3-methoxypropyl)-4-phenyl-
(CA INDEX NAME)



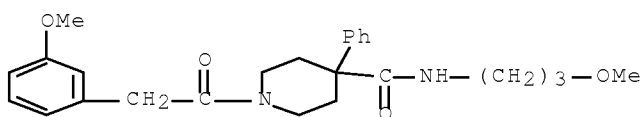
RN 619283-13-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-fluorophenyl)acetyl]-N-(3-methoxypropyl)-
4-phenyl- (CA INDEX NAME)



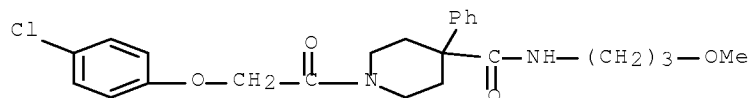
RN 619283-16-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)acetyl]-N-(3-methoxypropyl)-
4-phenyl- (CA INDEX NAME)



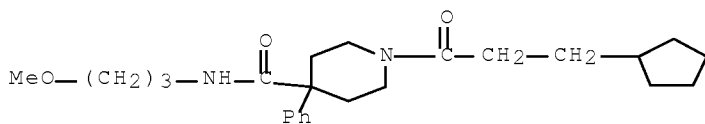
RN 619283-19-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-chlorophenoxy)acetyl]-N-(3-methoxypropyl)-
4-phenyl- (CA INDEX NAME)



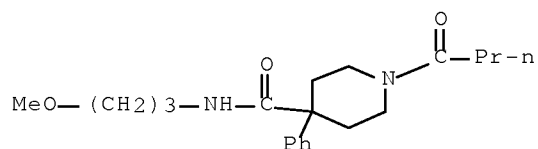
RN 619283-22-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-cyclopentyl-1-oxopropyl)-N-(3-methoxypropyl)-
4-phenyl- (CA INDEX NAME)



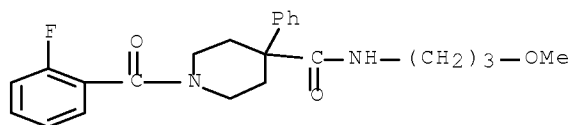
RN 619283-25-9 CAPLUS

CN 4-Piperidinecarboxamide, N-(3-methoxypropyl)-1-(1-oxobutyl)-4-phenyl- (CA
INDEX NAME)



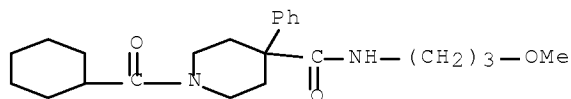
RN 619283-28-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-fluorobenzoyl)-N-(3-methoxypropyl)-4-phenyl-
(CA INDEX NAME)



RN 619283-31-7 CAPLUS

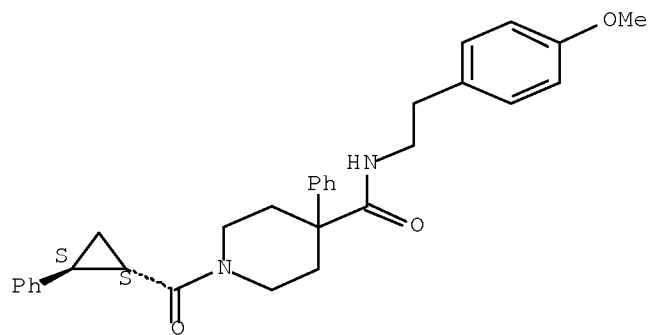
CN 4-Piperidinecarboxamide, 1-(cyclohexylcarbonyl)-N-(3-methoxypropyl)-4-phenyl-
(CA INDEX NAME)



RN 619283-34-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(4-methoxyphenyl)ethyl]-4-phenyl-1-[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-, rel- (CA INDEX NAME)

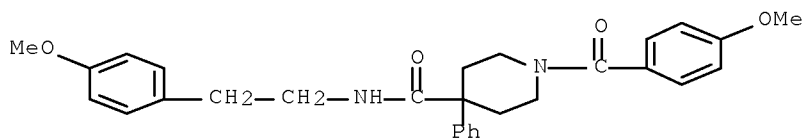
Relative stereochemistry.



RN 619283-37-3 CAPLUS

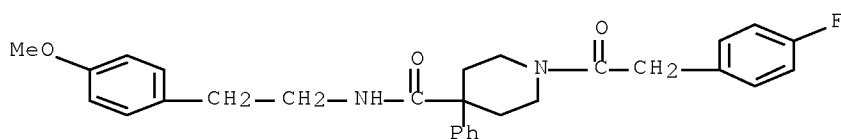
CN 4-Piperidinecarboxamide, 1-(4-methoxybenzoyl)-N-[2-(4-methoxyphenyl)ethyl]-

4-phenyl- (CA INDEX NAME)



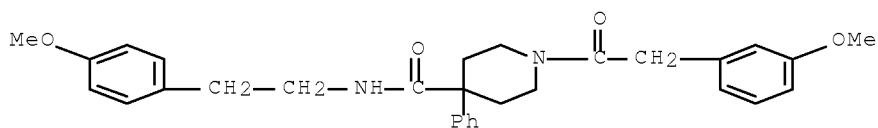
RN 619283-39-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-fluorophenyl)acetyl]-N-[2-(4-methoxyphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



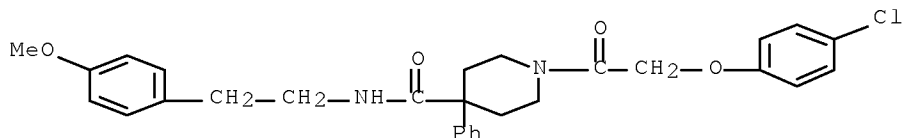
RN 619283-42-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)acetyl]-N-[2-(4-methoxyphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



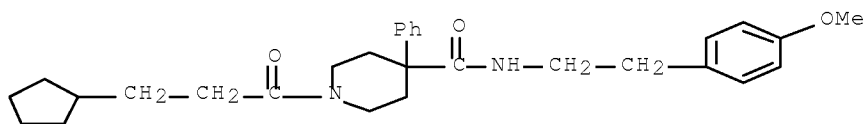
RN 619283-44-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-chlorophenoxy)acetyl]-N-[2-(4-methoxyphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



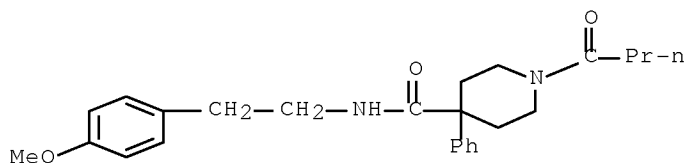
RN 619283-47-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-cyclopentyl-1-oxopropyl)-N-[2-(4-methoxyphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



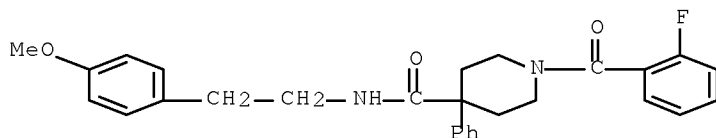
RN 619283-50-0 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(4-methoxyphenyl)ethyl]-1-(1-oxobutyl)-4-phenyl- (CA INDEX NAME)



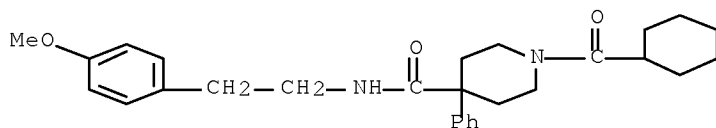
RN 619283-53-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-fluorobenzoyl)-N-[2-(4-methoxyphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



RN 619283-56-6 CAPLUS

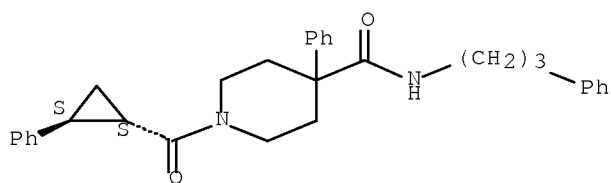
CN 4-Piperidinecarboxamide, 1-(cyclohexylcarbonyl)-N-[2-(4-methoxyphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



RN 619283-59-9 CAPLUS

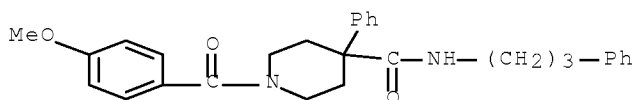
CN 4-Piperidinecarboxamide, 4-phenyl-1-[[[(1R,2R)-2-phenylcyclopropyl]carbonyl]-N-(3-phenylpropyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



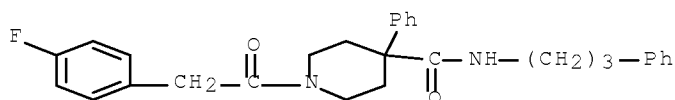
RN 619283-62-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-(4-methoxybenzoyl)-4-phenyl-N-(3-phenylpropyl)-
(CA INDEX NAME)



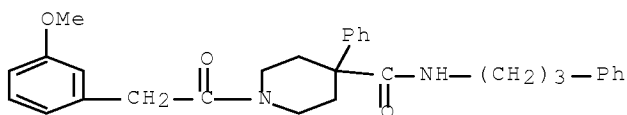
RN 619283-64-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-fluorophenyl)acetyl]-4-phenyl-N-(3-phenylpropyl)-
(CA INDEX NAME)



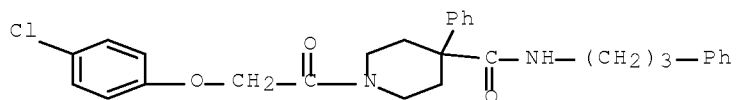
RN 619283-67-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(3-methoxyphenyl)acetyl]-4-phenyl-N-(3-phenylpropyl)-
(CA INDEX NAME)



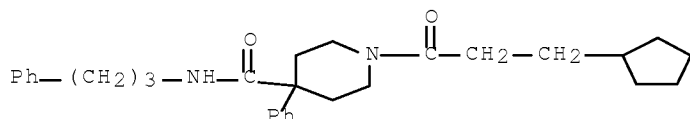
RN 619283-70-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[2-(4-chlorophenoxy)acetyl]-4-phenyl-N-(3-phenylpropyl)-
(CA INDEX NAME)



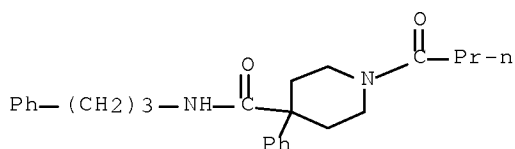
RN 619283-73-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-(3-cyclopentyl-1-oxopropyl)-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



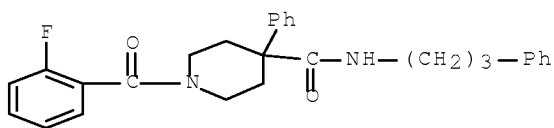
RN 619283-76-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxobutyl)-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



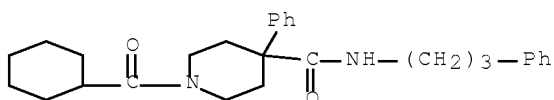
RN 619283-79-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-fluorobenzoyl)-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



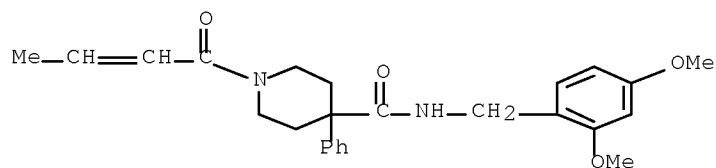
RN 619283-82-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-(cyclohexylcarbonyl)-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



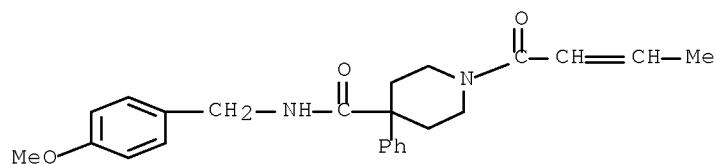
RN 619283-85-1 CAPLUS

CN 4-Piperidinecarboxamide, N-[(2,4-dimethoxyphenyl)methyl]-1-(1-oxo-2-buten-1-yl)-4-phenyl- (CA INDEX NAME)



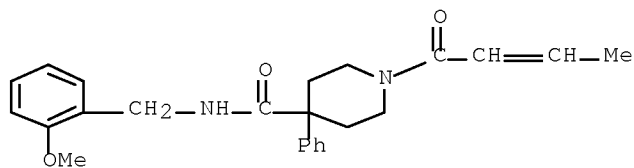
RN 619283-97-5 CAPLUS

CN 4-Piperidinecarboxamide, N-[(4-methoxyphenyl)methyl]-1-(1-oxo-2-buten-1-yl)-4-phenyl- (CA INDEX NAME)



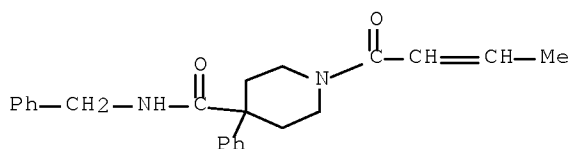
RN 619284-03-6 CAPLUS

CN 4-Piperidinecarboxamide, N-[(2-methoxyphenyl)methyl]-1-(1-oxo-2-buten-1-yl)-4-phenyl- (CA INDEX NAME)



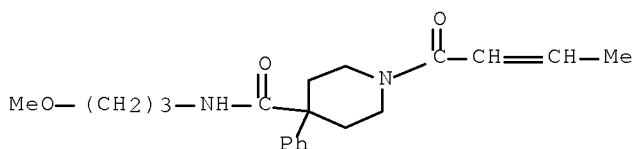
RN 619284-08-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxo-2-buten-1-yl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



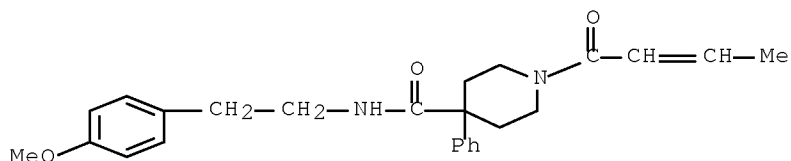
RN 619284-11-6 CAPLUS

CN 4-Piperidinecarboxamide, N-(3-methoxypropyl)-1-(1-oxo-2-buten-1-yl)-4-phenyl- (CA INDEX NAME)



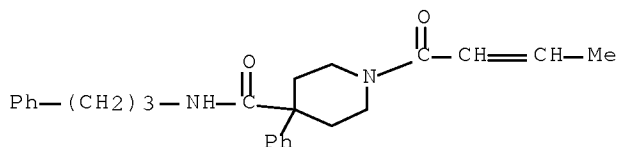
RN 619284-17-2 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(4-methoxyphenyl)ethyl]-1-(1-oxo-2-buten-1-yl)-4-phenyl- (CA INDEX NAME)



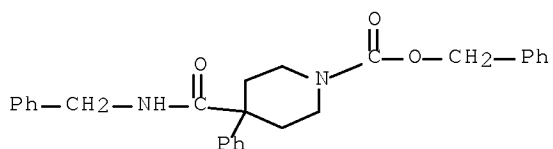
RN 619284-23-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxo-2-buten-1-yl)-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



RN 619284-28-5 CAPLUS

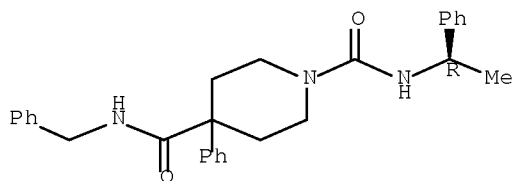
CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[(phenylmethyl)amino]carbonyl]-, phenylmethyl ester (CA INDEX NAME)



RN 619284-31-0 CAPLUS

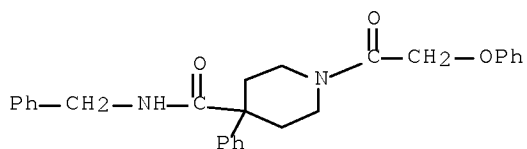
CN 1,4-Piperidinedicarboxamide, 4-phenyl-N1-[(1R)-1-phenylethyl]-N4-(phenylmethyl)- (CA INDEX NAME)

Absolute stereochemistry.



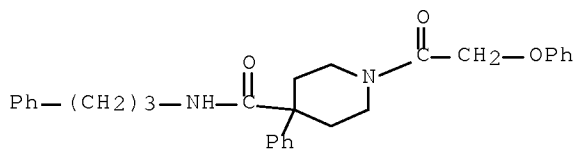
RN 619284-55-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-phenoxyacetyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



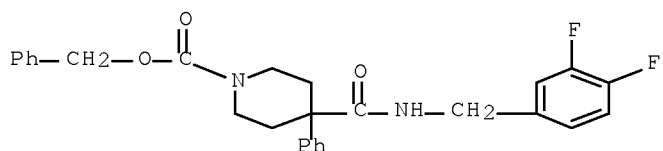
RN 619284-58-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-phenoxyacetyl)-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



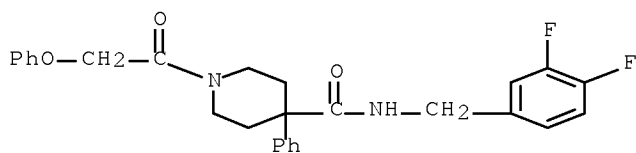
RN 619284-61-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3,4-difluorophenyl)methyl]amino]carbonyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



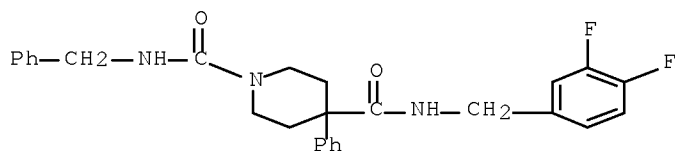
RN 619284-64-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-1-(2-phenoxyacetyl)-4-phenyl- (CA INDEX NAME)



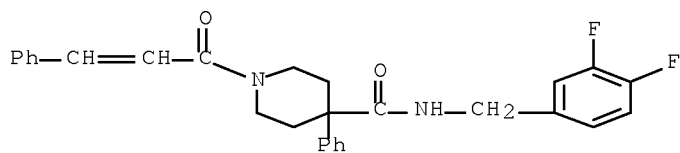
RN 619284-70-7 CAPLUS

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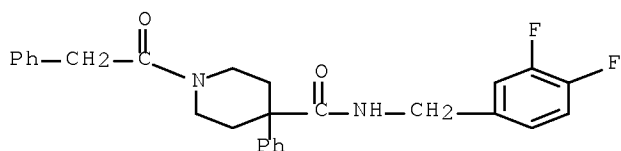
RN 619284-73-0 CAPLUS

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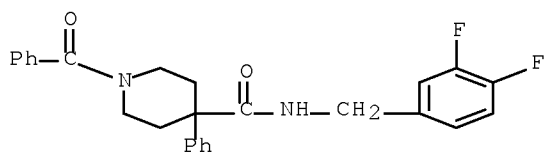
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CN 4-Piperidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-4-phenyl-1-(2-phenylacetyl)- (CA INDEX NAME)



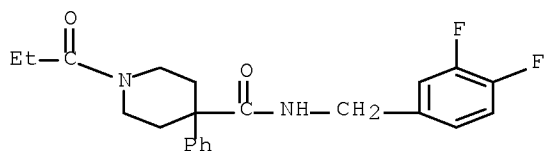
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CN 4-Piperidinecarboxamide, 1-benzoyl-N-[(3,4-difluorophenyl)methyl]-4-phenyl-
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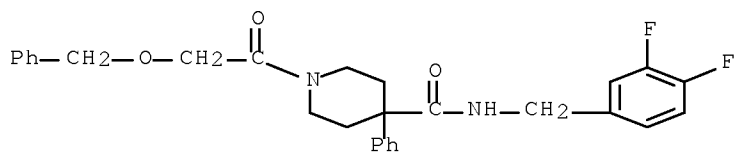
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CN 4-Piperidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-1-(1-oxopropyl)-4-phenyl-
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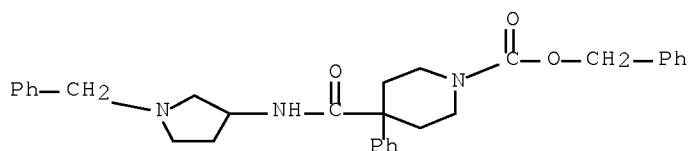
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CN 4-Piperidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-4-phenyl-1-[2-(phenylmethoxy)acetyl]-
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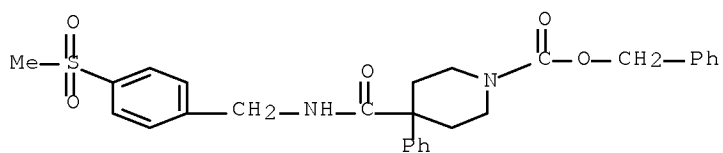
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CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[[1-(phenylmethyl)-3-pyrrolidinyl]amino]carbonyl]-, phenylmethyl ester
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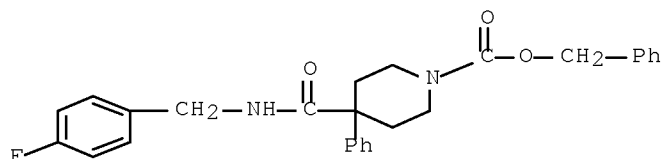
RN 619284-91-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-(methylsulfonyl)phenyl]methyl]amino]carbonyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



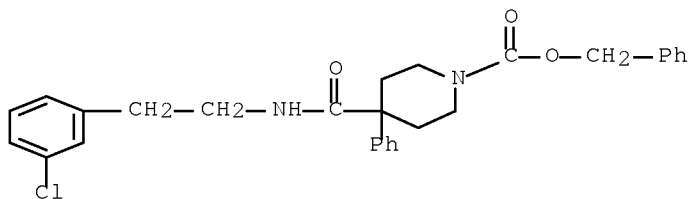
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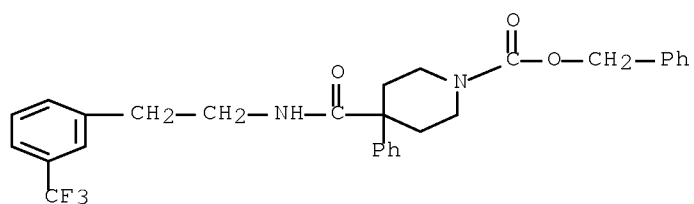
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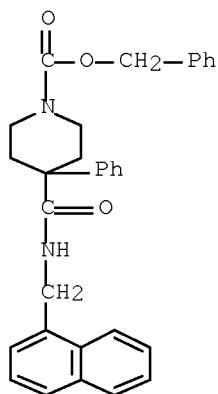
RN 619285-02-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[[2-[3-(trifluoromethyl)phenyl]ethyl]amino]carbonyl]-, phenylmethyl ester (CA INDEX NAME)



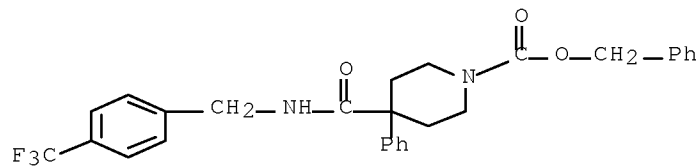
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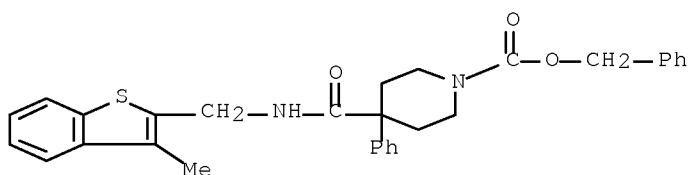
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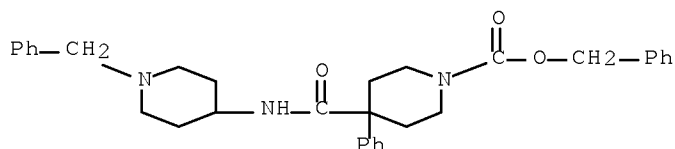
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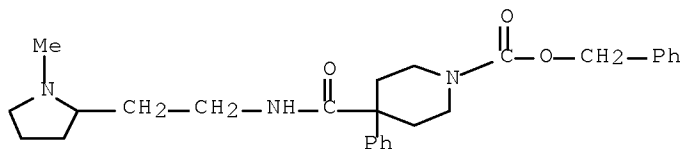
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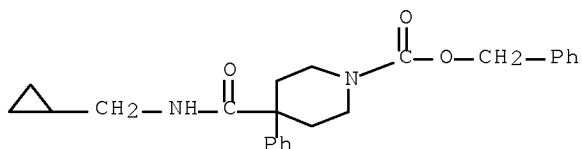
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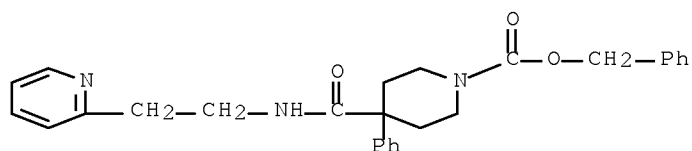
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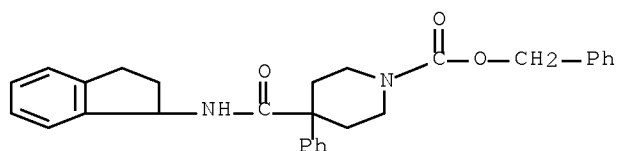
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CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]-, phenylmethyl ester (CA INDEX NAME)



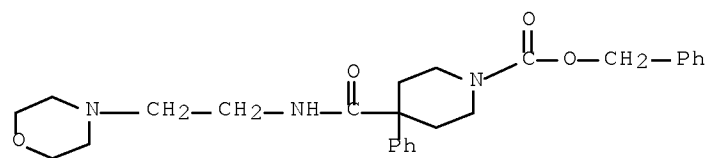
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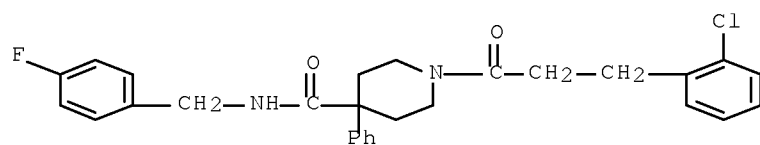
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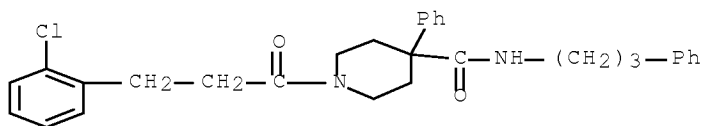
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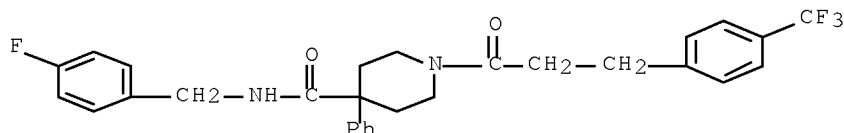
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CN 4-Piperidinecarboxamide, 1-[3-(2-chlorophenyl)-1-oxopropyl]-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



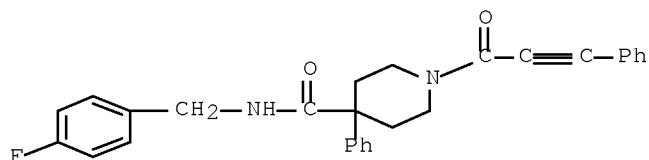
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CN 4-Piperidinecarboxamide, N-[(4-fluorophenyl)methyl]-1-[1-oxo-3-[4-(trifluoromethyl)phenyl]propyl]-4-phenyl- (CA INDEX NAME)



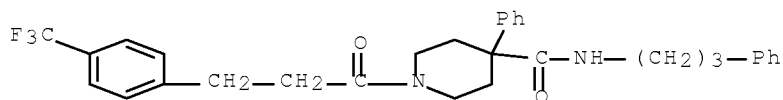
RN 619285-45-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[(4-fluorophenyl)methyl]-1-(1-oxo-3-phenyl-2-propyn-1-yl)-4-phenyl- (CA INDEX NAME)



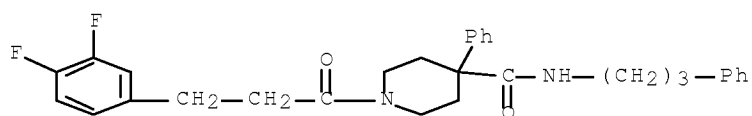
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CN 4-Piperidinecarboxamide, 1-[1-oxo-3-[4-(trifluoromethyl)phenyl]propyl]-4-phenyl-N-(3-phenylpropyl)- (CA INDEX NAME)



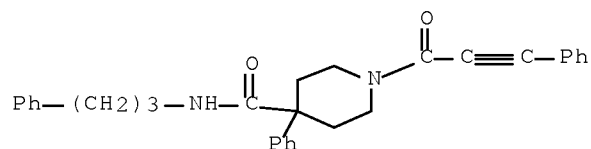
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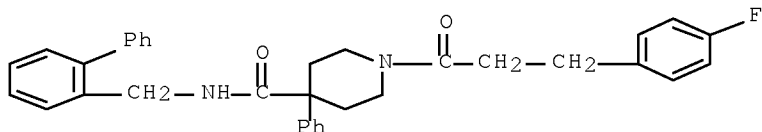
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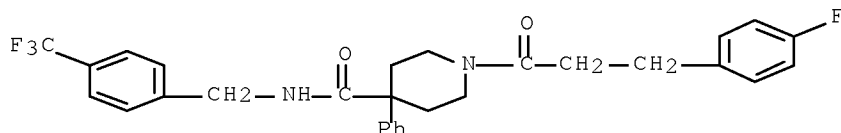
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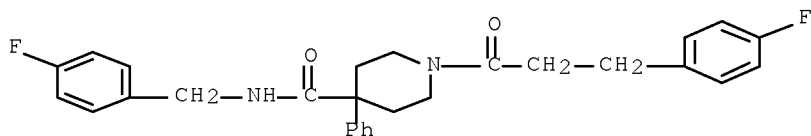
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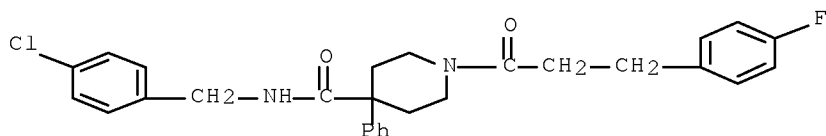
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CN 4-Piperidinecarboxamide, N-[(4-fluorophenyl)methyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



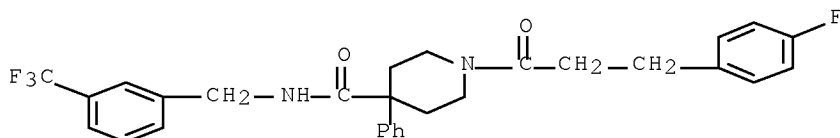
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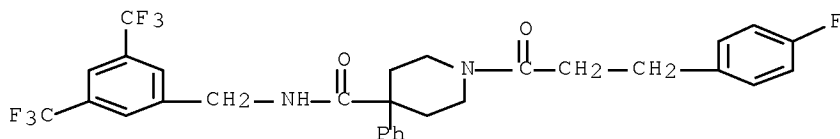
RN 619285-72-2 CAPLUS

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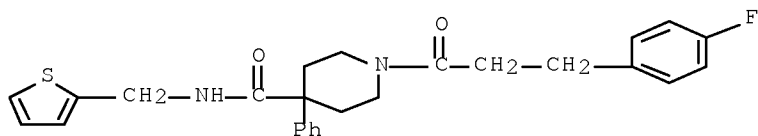
RN 619285-75-5 CAPLUS

CN 4-Piperidinecarboxamide, N-[[3,5-bis(trifluoromethyl)phenyl]methyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



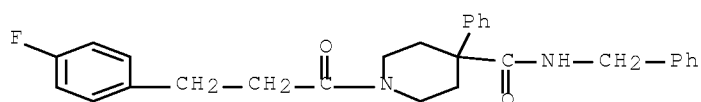
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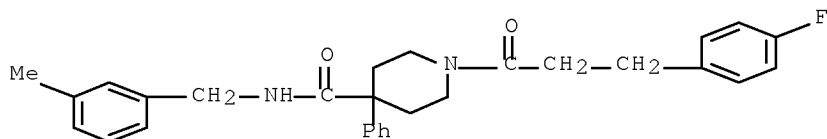
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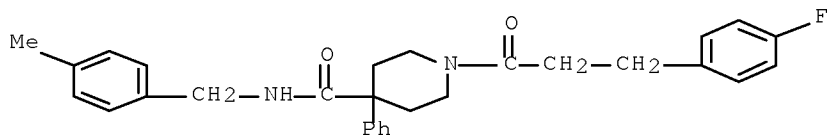
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CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-[(3-methylphenyl)methyl]-4-phenyl- (CA INDEX NAME)



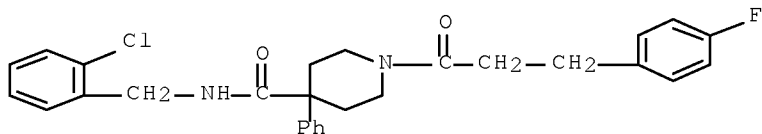
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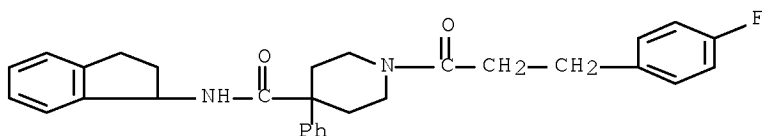
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RN 619285-93-7 CAPLUS

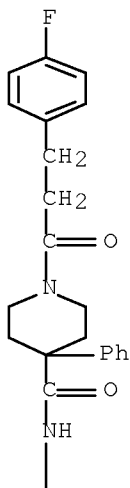
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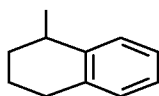
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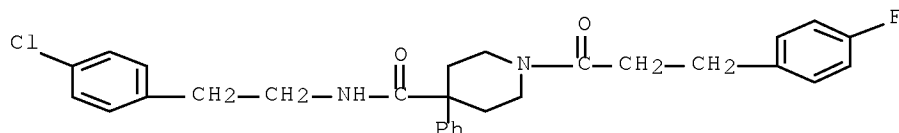


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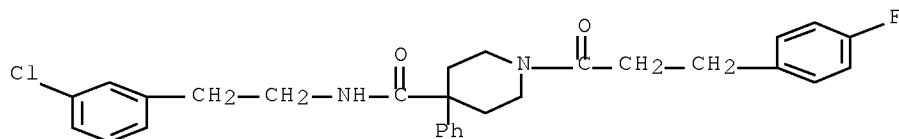
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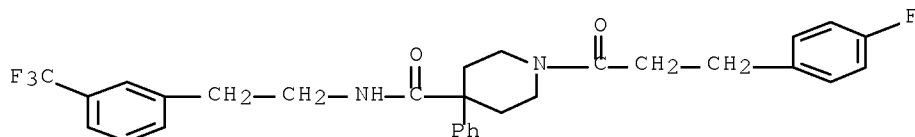
RN 619286-02-1 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(3-chlorophenyl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



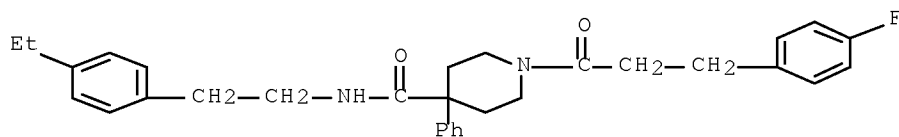
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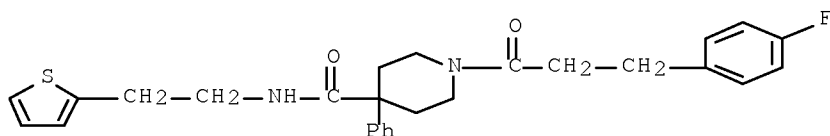
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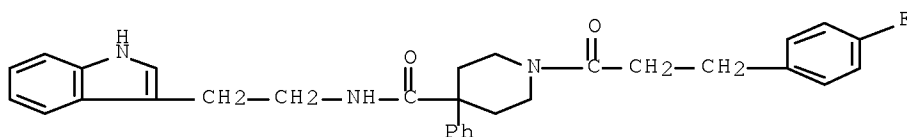
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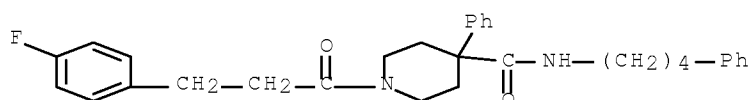
RN 619286-14-5 CAPLUS

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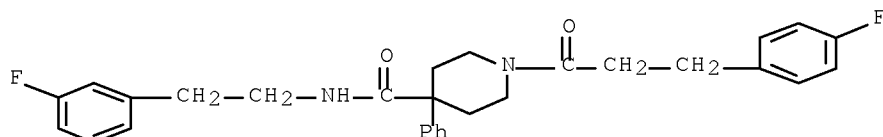
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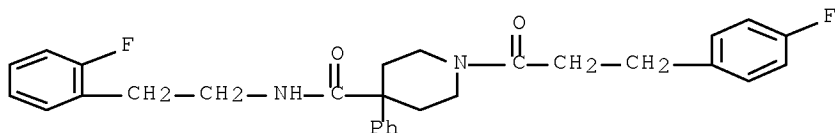
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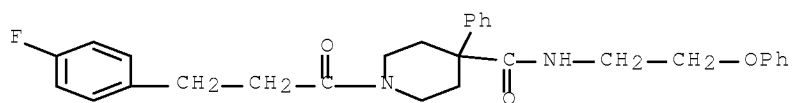
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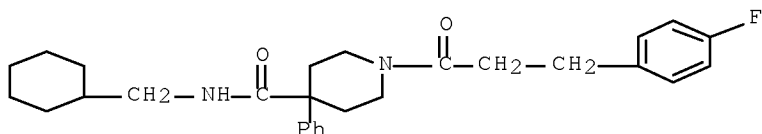
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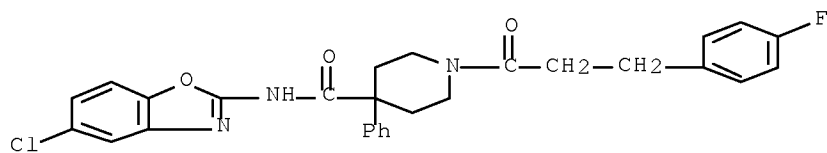
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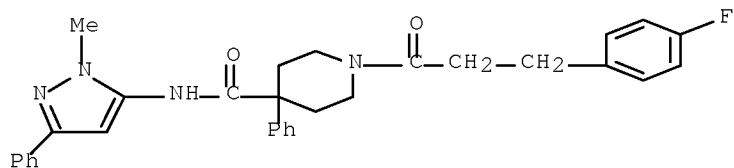
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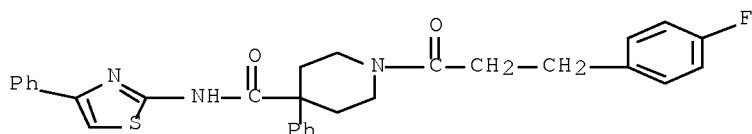
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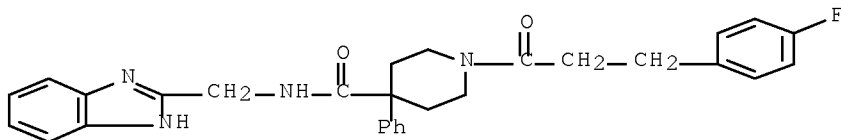
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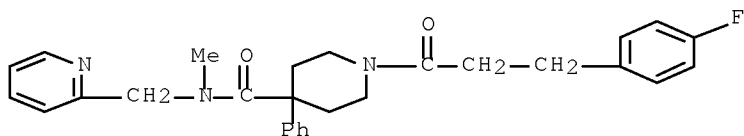
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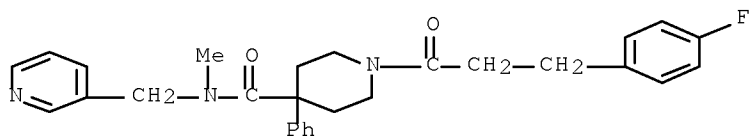
RN 619286-47-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-methyl-4-phenyl-N-(2-pyridinylmethyl)- (CA INDEX NAME)



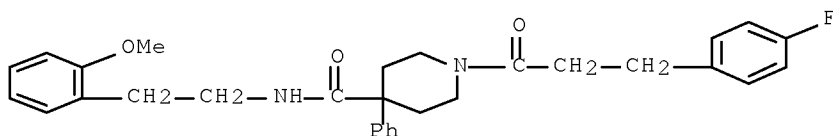
RN 619286-50-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-methyl-4-phenyl-N-(3-pyridinylmethyl)- (CA INDEX NAME)



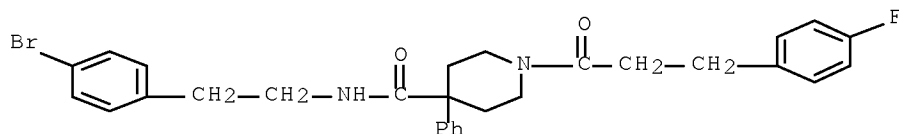
RN 619286-53-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-[2-(2-methoxyphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



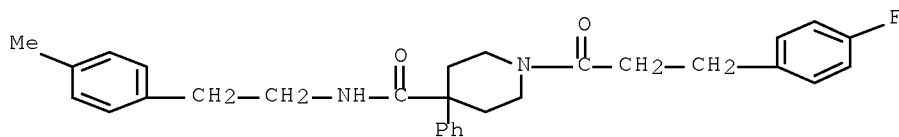
RN 619286-56-5 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(4-bromophenyl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



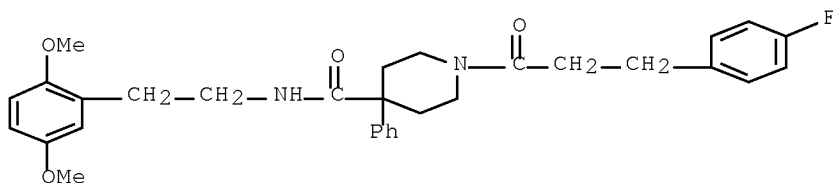
RN 619286-59-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-[2-(4-methylphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



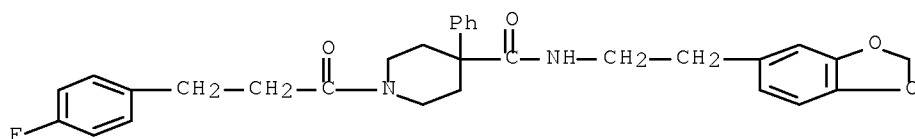
RN 619286-62-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(2,5-dimethoxyphenyl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



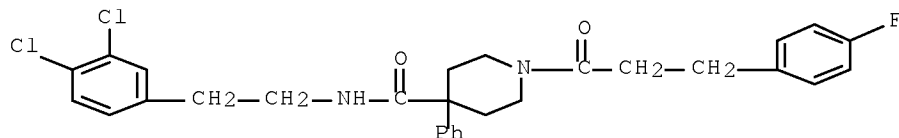
RN 619286-65-6 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(1,3-benzodioxol-5-yl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



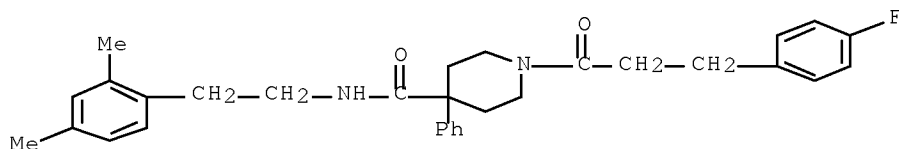
RN 619286-68-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(3,4-dichlorophenyl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



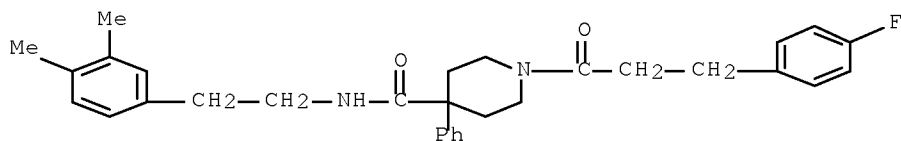
RN 619286-71-4 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(2,4-dimethylphenyl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



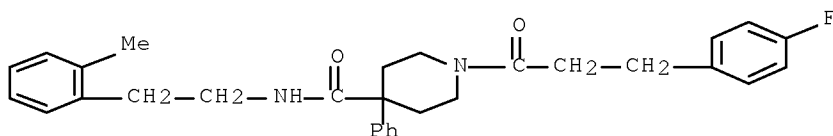
RN 619286-74-7 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(3,4-dimethylphenyl)ethyl]-1-[3-(4-fluorophenyl)-1-oxopropyl]-4-phenyl- (CA INDEX NAME)



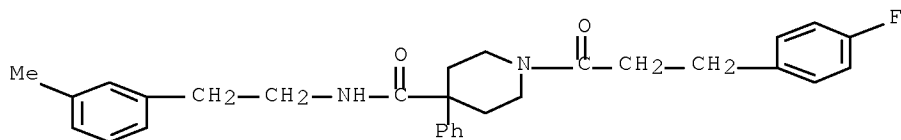
RN 619286-77-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-[2-(2-methylphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



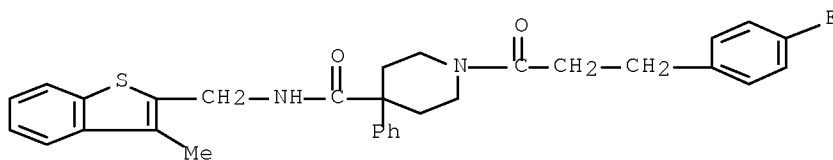
RN 619286-80-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-[2-(3-methylphenyl)ethyl]-4-phenyl- (CA INDEX NAME)



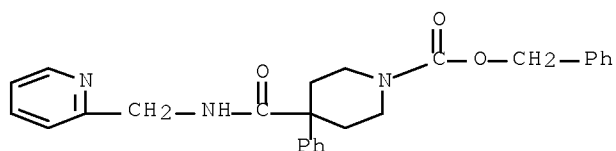
RN 619286-83-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[3-(4-fluorophenyl)-1-oxopropyl]-N-[(3-methylbenzo[b]thien-2-yl)methyl]-4-phenyl- (CA INDEX NAME)



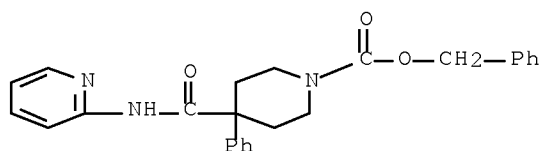
RN 619286-86-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[[(2-pyridinylmethyl)amino]carbonyl]-, phenylmethyl ester (CA INDEX NAME)



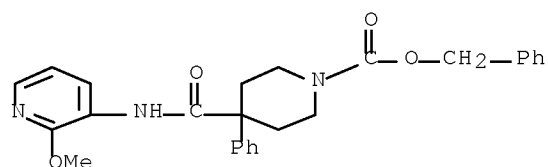
RN 619286-89-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[(2-pyridinylamino)carbonyl]-, phenylmethyl ester (CA INDEX NAME)



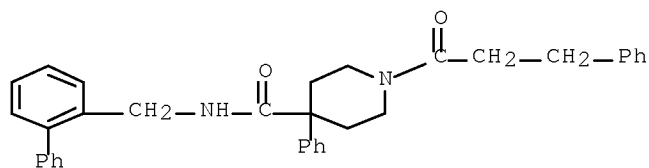
RN 619286-92-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[(2-methoxy-3-pyridinyl)amino]carbonyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



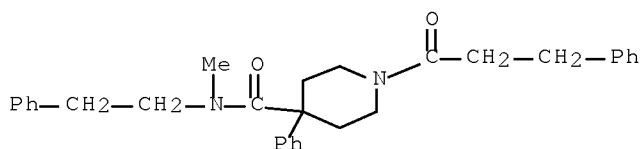
RN 619287-29-5 CAPLUS

CN 4-Piperidinecarboxamide, N-([1,1'-biphenyl]-2-ylmethyl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



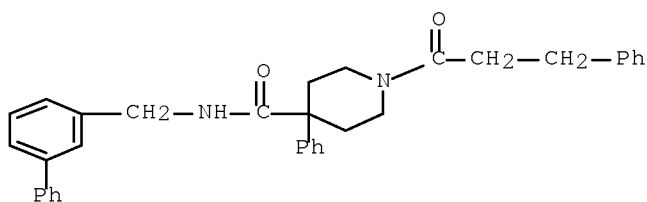
RN 619287-31-9 CAPLUS

CN 4-Piperidinecarboxamide, N-methyl-1-(1-oxo-3-phenylpropyl)-4-phenyl-N-(2-phenylethyl)- (CA INDEX NAME)



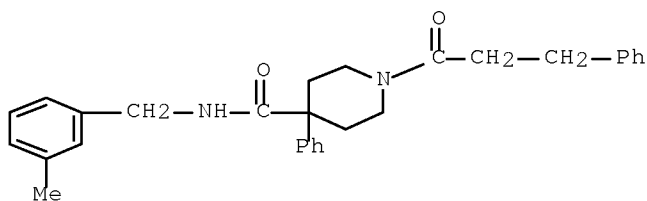
RN 619287-33-1 CAPLUS

CN 4-Piperidinecarboxamide, N-([1,1'-biphenyl]-3-ylmethyl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



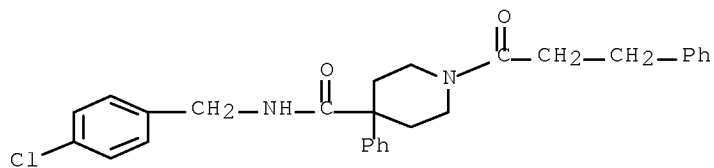
RN 619287-35-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[(3-methylphenyl)methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



RN 619287-37-5 CAPLUS

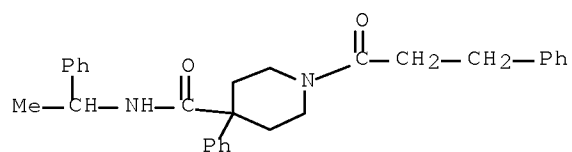
CN 4-Piperidinecarboxamide, N-[(4-chlorophenyl)methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



RN 619287-39-7 CAPLUS

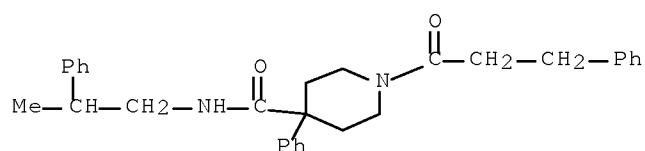
CN 4-Piperidinecarboxamide, 1-(1-oxo-3-phenylpropyl)-4-phenyl-N-(1-

phenylethyl)- (CA INDEX NAME)



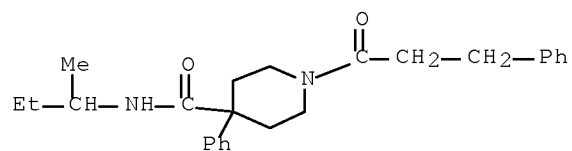
RN 619287-41-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxo-3-phenylpropyl)-4-phenyl-N-(2-phenylpropyl)- (CA INDEX NAME)



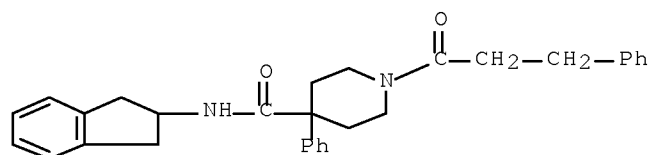
RN 619287-43-3 CAPLUS

CN 4-Piperidinecarboxamide, N-(1-methylpropyl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



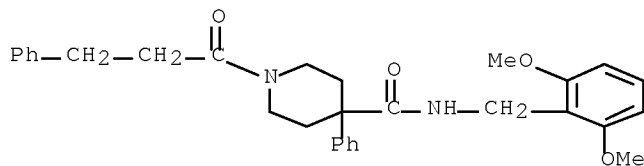
RN 619287-45-5 CAPLUS

CN 4-Piperidinecarboxamide, N-(2,3-dihydro-1H-inden-2-yl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



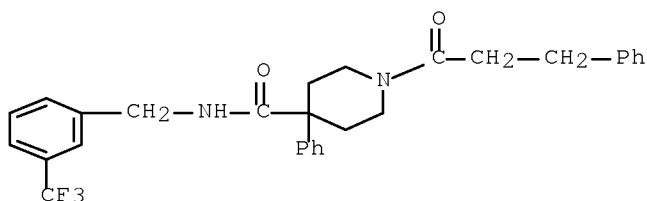
RN 619287-47-7 CAPLUS

CN 4-Piperidinecarboxamide, N-[(2,6-dimethoxyphenyl)methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



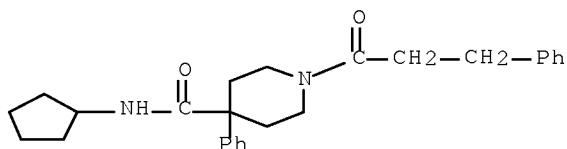
RN 619287-49-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxo-3-phenylpropyl)-4-phenyl-N-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)



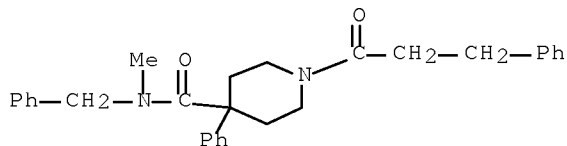
RN 619287-51-3 CAPLUS

CN 4-Piperidinecarboxamide, N-cyclopentyl-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



RN 619287-53-5 CAPLUS

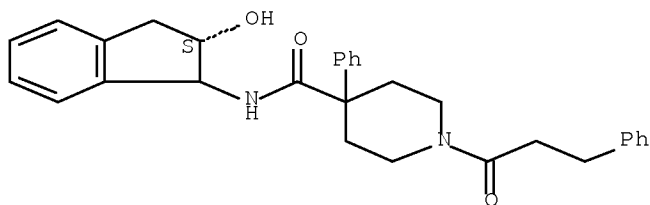
CN 4-Piperidinecarboxamide, N-methyl-1-(1-oxo-3-phenylpropyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



RN 619287-55-7 CAPLUS

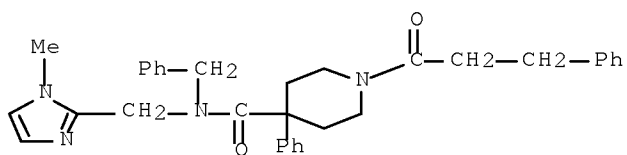
CN 4-Piperidinecarboxamide, N-[(2S)-2,3-dihydro-2-hydroxy-1H-inden-1-yl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



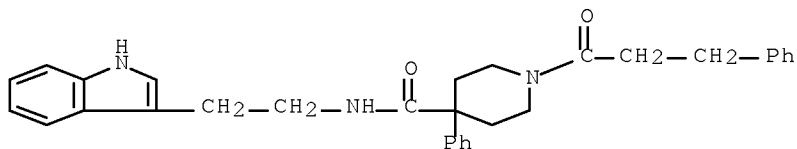
RN 619287-57-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[(1-methyl-1H-imidazol-2-yl)methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



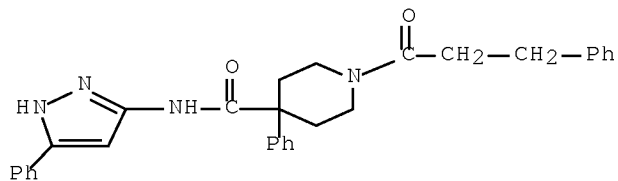
RN 619287-65-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(1H-indol-3-yl)ethyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



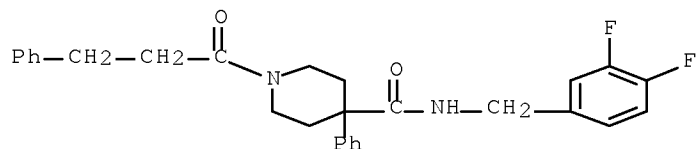
RN 619287-69-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxo-3-phenylpropyl)-4-phenyl-N-(5-phenyl-1H-pyrazol-3-yl)- (CA INDEX NAME)



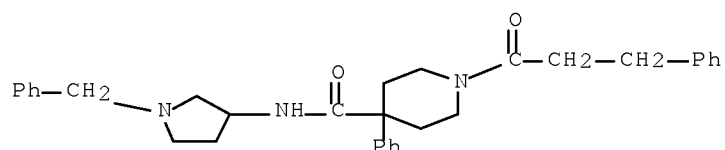
RN 619287-73-9 CAPLUS

CN 4-Piperidinecarboxamide, N-[(3,4-difluorophenyl)methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



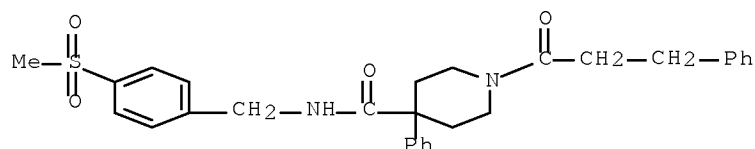
RN 619287-75-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxo-3-phenylpropyl)-4-phenyl-N-[1-(phenylmethyl)-3-pyrrolidinyl]- (CA INDEX NAME)



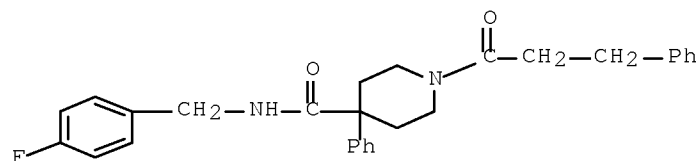
RN 619287-77-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[[4-(methylsulfonyl)phenyl]methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



RN 619287-79-5 CAPLUS

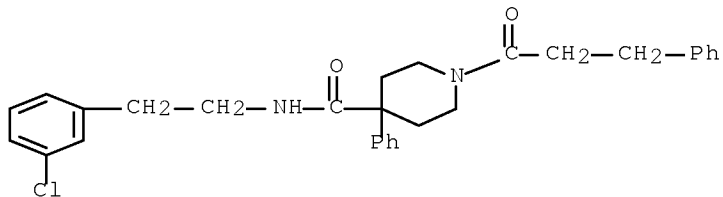
CN 4-Piperidinecarboxamide, N-[(4-fluorophenyl)methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



RN 619287-81-9 CAPLUS

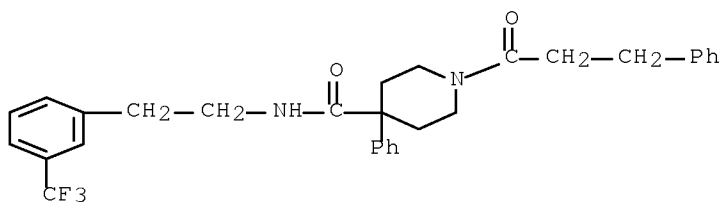
CN 4-Piperidinecarboxamide, N-[2-(3-chlorophenyl)ethyl]-1-(1-oxo-3-

phenylpropyl)-4-phenyl- (CA INDEX NAME)



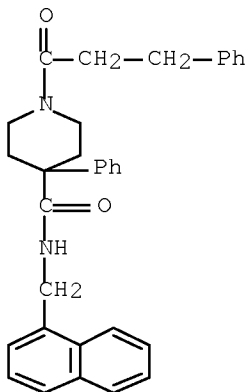
RN 619287-83-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-(1-oxo-3-phenylpropyl)-4-phenyl-N-[2-[3-(trifluoromethyl)phenyl]ethyl]- (CA INDEX NAME)



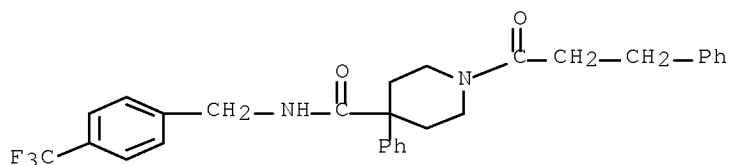
RN 619287-85-3 CAPLUS

CN 4-Piperidinecarboxamide, N-(1-naphthalenylmethyl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



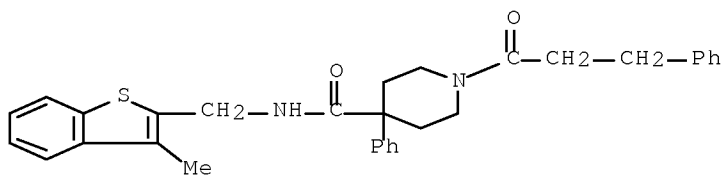
RN 619287-87-5 CAPLUS

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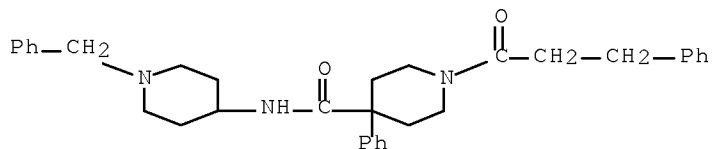
RN 619287-89-7 CAPLUS

CN 4-Piperidinecarboxamide, N-[(3-methylbenzo[b]thien-2-yl)methyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



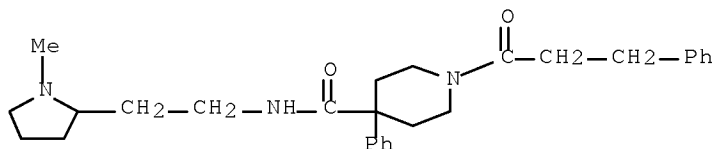
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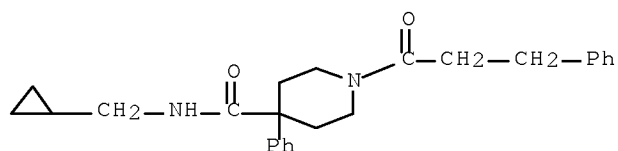
RN 619287-93-3 CAPLUS

CN 4-Piperidinecarboxamide, N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



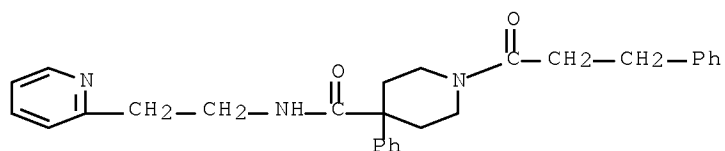
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CN 4-Piperidinecarboxamide, N-(cyclopropylmethyl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



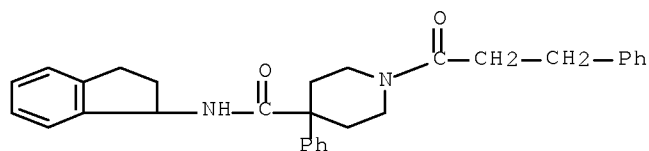
RN 619287-97-7 CAPLUS

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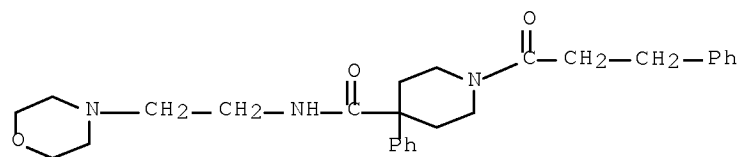
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CN 4-Piperidinecarboxamide, N-(2,3-dihydro-1H-inden-1-yl)-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



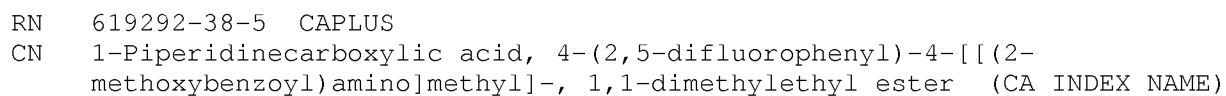
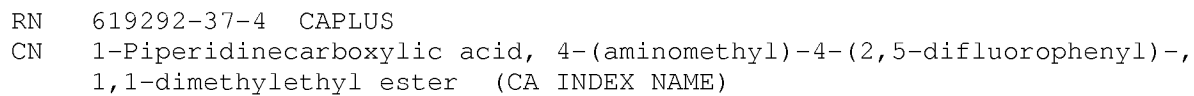
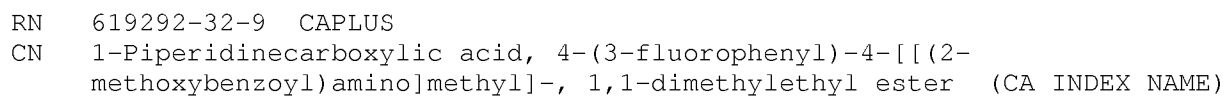
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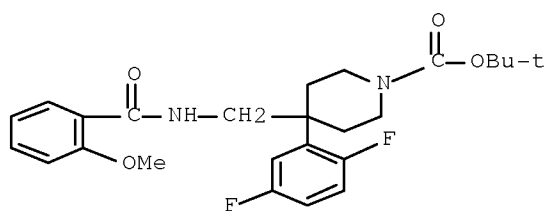
CN 4-Piperidinecarboxamide, N-[2-(4-morpholinyl)ethyl]-1-(1-oxo-3-phenylpropyl)-4-phenyl- (CA INDEX NAME)



RN 619290-90-3 CAPLUS

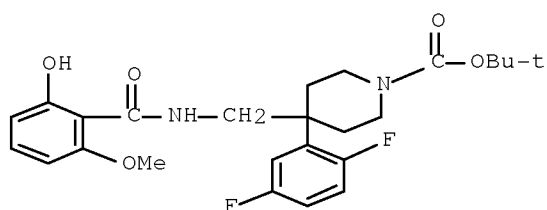
CN 1-Propanone, 1-[4-[(1-isoquinolinylamino)methyl]-4-phenyl-1-piperidinyl]-3-phenyl- (CA INDEX NAME)





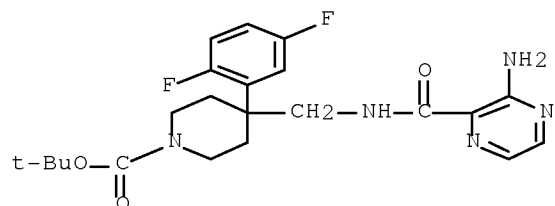
RN 619292-39-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(2,5-difluorophenyl)-4-[[2-hydroxy-6-methoxybenzoyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



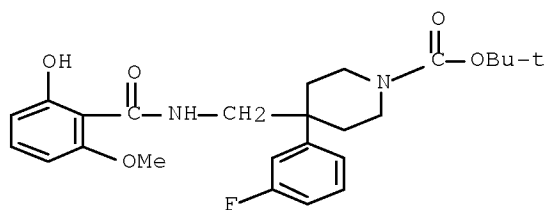
RN 619292-40-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-amino-2-pyrazinyl)carbonyl]amino]methyl]-4-(2,5-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)

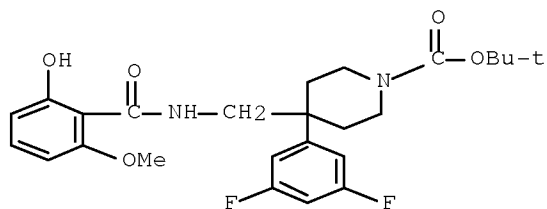


RN 619292-49-8 CAPLUS

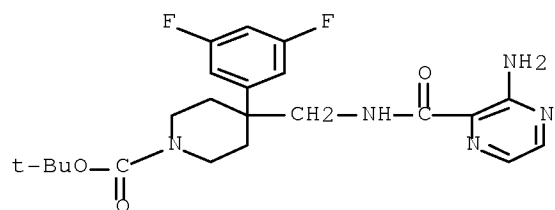
CN 1-Piperidinecarboxylic acid, 4-(3-fluorophenyl)-4-[[2-hydroxy-6-methoxybenzoyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



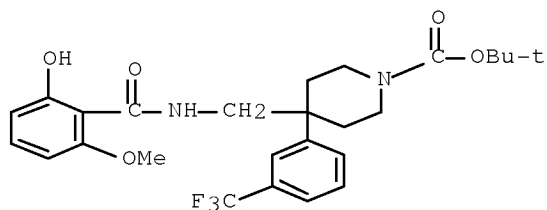
RN 619292-51-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(3,5-difluorophenyl)-4-[[(2-hydroxy-6-methoxybenzoyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



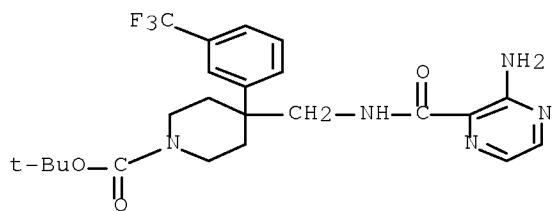
RN 619292-52-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(3-amino-2-pyrazinyl)carbonyl]amino]methyl]-4-(3,5-difluorophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 619292-53-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[(2-hydroxy-6-methoxybenzoyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

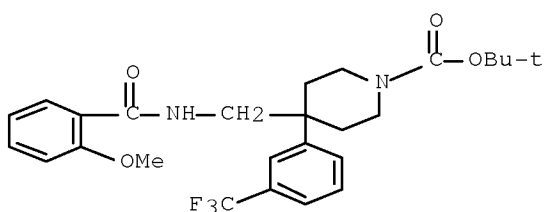


RN 619292-54-5 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(3-amino-2-pyrazinyl)carbonyl]amino]methyl]-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



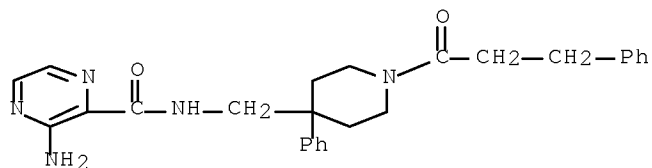
RN 619292-55-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxybenzoyl)amino]methyl]-4-[3-(trifluoromethyl)phenyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



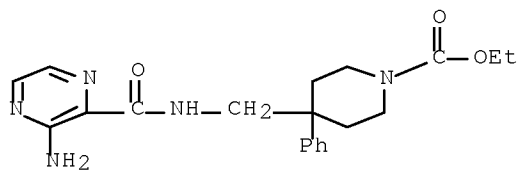
RN 619295-01-1 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[1-(1-oxo-3-phenylpropyl)-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



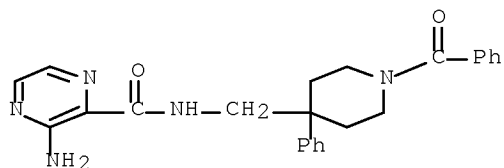
RN 619295-02-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-amino-2-pyrazinyl)carbonyl]amino]methyl]-4-phenyl-, ethyl ester (CA INDEX NAME)



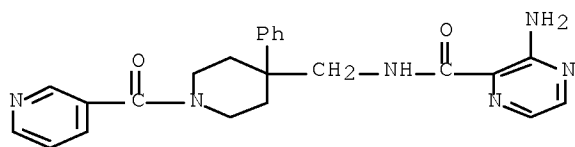
RN 619295-03-3 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[(1-benzoyl-4-phenyl-4-piperidinyl)methyl]- (CA INDEX NAME)



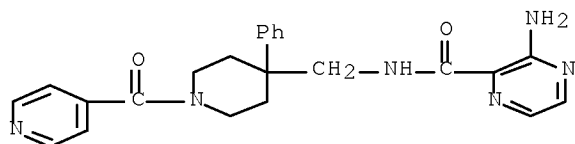
RN 619295-04-4 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[4-phenyl-1-(3-pyridinylcarbonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



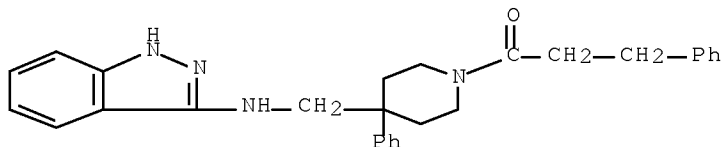
RN 619295-05-5 CAPLUS

CN 2-Pyrazinecarboxamide, 3-amino-N-[[4-phenyl-1-(4-pyridinylcarbonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619295-13-5 CAPLUS

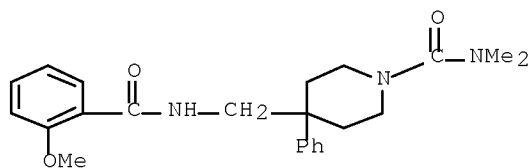
CN 1-Propanone, 1-[4-[(1H-indazol-3-ylamino)methyl]-4-phenyl-1-piperidinyl]-3-phenyl- (CA INDEX NAME)



RN 619295-14-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[(2-methoxybenzoyl)amino]methyl]-N,N-dimethyl-

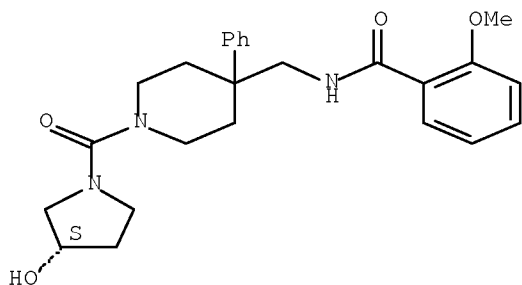
4-phenyl- (CA INDEX NAME)



RN 619295-15-7 CAPLUS

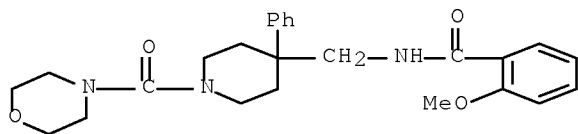
CN Benzamide, N-[[1-[[4-phenyl-4-(2-methoxybenzoyl)pyrrolidin-1-yl]methyl]piperidin-1-yl]methyl]-2-methoxy- (CA INDEX NAME)

Absolute stereochemistry.



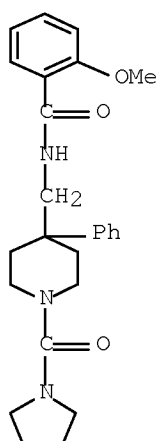
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CN Benzamide, 2-methoxy-N-[[1-(4-morpholinylcarbonyl)-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



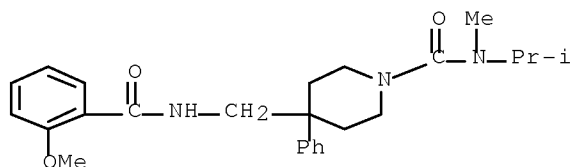
RN 619295-17-9 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(1-pyrrolidinylcarbonyl)-4-piperidinyl]methyl]- (CA INDEX NAME)



RN 619295-18-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[(2-methoxybenzoyl) amino]methyl]-N-methyl-N-(1-methylethyl)-4-phenyl- (CA INDEX NAME)



IT 158144-82-2P 619295-87-3P 619295-88-4P

619295-90-8P 619295-91-9P 619295-92-0P

619295-94-2P 619295-99-7P 619296-00-3P

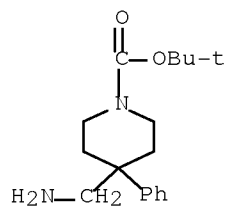
619296-01-4P 619296-03-6P 619296-04-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted piperidines as inhibitors of potassium channel function)

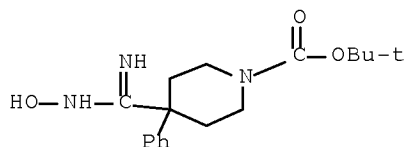
RN 158144-82-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



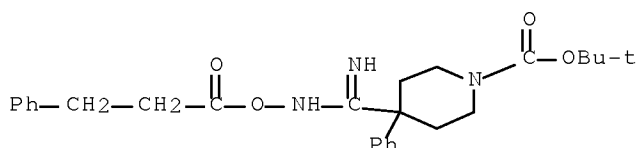
RN 619295-87-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(hydroxyamino)iminomethyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



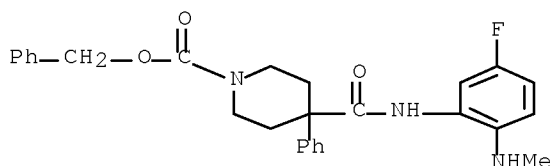
RN 619295-88-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[imino[(1-oxo-3-phenylpropoxy)amino]methyl]-
4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



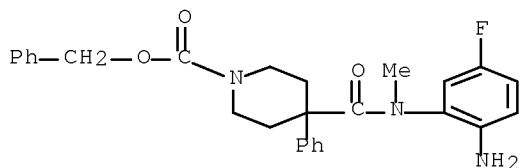
RN 619295-90-8 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[5-fluoro-2-(methylamino)phenyl]amino]car-
bonyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



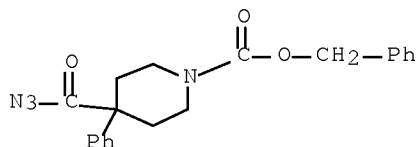
RN 619295-91-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-amino-5-fluorophenyl)methylamino]carbo-
nyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



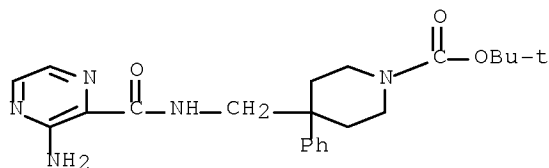
RN 619295-92-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(azidocarbonyl)-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



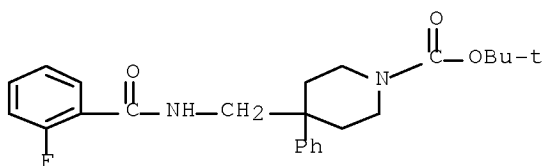
RN 619295-94-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-amino-2-pyrazinyl)carbonyl]amino]methyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



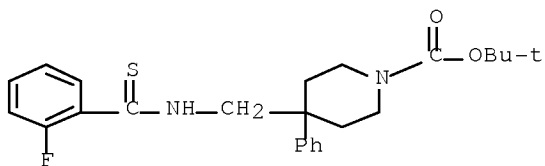
RN 619295-99-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-fluorobenzoyl)amino]methyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)

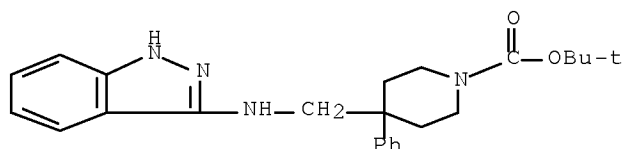


RN 619296-00-3 CAPLUS

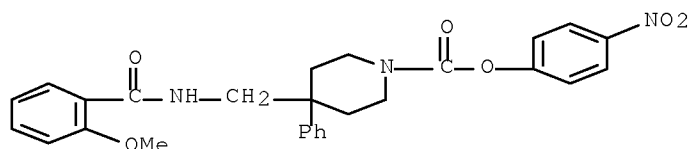
CN 1-Piperidinecarboxylic acid, 4-[[[(2-fluorophenyl)thioxomethyl]amino]methyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



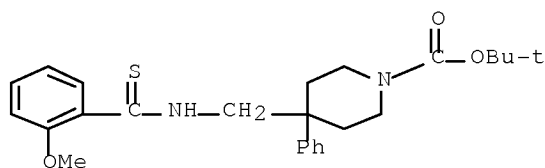
RN 619296-01-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(1H-indazol-3-ylamino)methyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 619296-03-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-,
4-nitrophenyl ester (CA INDEX NAME)

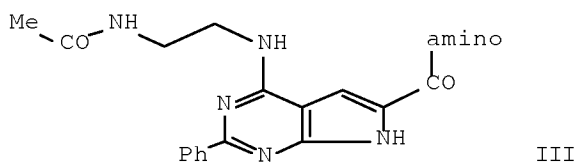
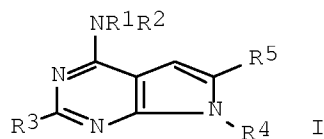


RN 619296-04-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxyphenyl)thioxomethyl]amino]methyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 44 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:511094 CAPLUS Full-text
DOCUMENT NUMBER: 139:85365
TITLE: Preparation of pyrrolopyrimidine A2b selective
antagonist compounds, method of synthesis and
therapeutic use
INVENTOR(S): Castelhana, Arlindo L.; Mckibben, Bryan; Steinig, Arno
G.
PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

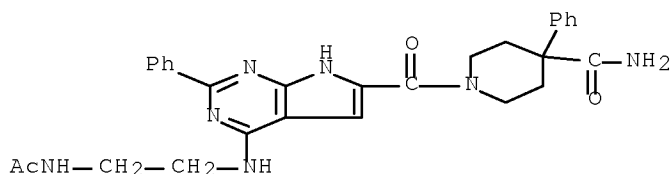
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053361	A2	20030703	WO 2002-US40890	20021220
WO 2003053361	A3	20031224		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2470044	A1	20030703	CA 2002-2470044	20021220
AU 2002366801	A1	20030709	AU 2002-366801	20021220
US 20030229067	A1	20031211	US 2002-326005	20021220
EP 1467995	A2	20041020	EP 2002-805644	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015279	A	20050510	BR 2002-15279	20021220
JP 2005525305	T	20050825	JP 2003-554121	20021220
CN 1816551	A	20060809	CN 2002-828272	20021220
MX 2004PA05861	A	20041029	MX 2004-PA5861	20040616
IN 2004DN01869	A	20070511	IN 2004-DN1869	20040630
PRIORITY APPLN. INFO.:			US 2001-343443P	P 20011220
			WO 2002-US40890	W 20021220
OTHER SOURCE(S):			CASREACT 139:85365; MARPAT 139:85365	
GI				



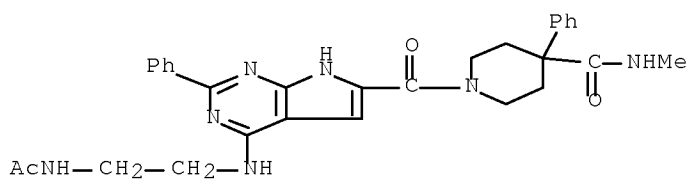
AB The subject invention provides pyrrolopyrimidines (shown as I; see below for variable definitions; e.g. N-[2-[6-[1-[2-(2-chlorophenyl)ethyl]piperidin-4-ylloxymethyl]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-4-ylamino]ethyl]acetamide (II)) or a specific enantiomer thereof, or a specific tautomer thereof, or a pharmaceutically acceptable salt thereof, and a method for treating a disease associated with the A2b adenosine receptor. For I: R1 is a (un)substituted alkyl (substituent = hydroxyl, dihydroxy, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra). R2 is H or a (un)substituted alkyl (substituent = hydroxyl, dihydroxyl, carboxyl, -C(O)NRaRb, -NRaRb, -NRaC(O)NRaRb, -NRaC(O)ORa, -OC(O)NRaRb, or -NHC(O)Ra), or R1, R2 and N together form a substituted piperazine, substituted azetidine, or

a pyrrolidine ring substituted with $-(CH_2)_2OH$ or $-CH_2C(O)OH$. R3 is a (un)substituted Ph or a 5-6 membered heteroaryl ring, wherein the substituent is halogen, hydroxyl, cyano, (C1-C15)alkyl, (C1-C15)alkoxyl or $-NRaRb$; R4 is H or (un)substituted (C1-C15)alkyl; R5 is $-(CH_2)_mOR_6$, $-CHNOR_7$, $-C(O)NR_8R_9$, $-(CH_2)_mC(O)OR_{10}$, $-(CH_2)_kC(O)NR_{11}R_{12}$; addnl. details are given in the claims. Radioligand binding assays yielded selectivities for the A2b receptor relative to the A1, A2a and A3 receptors for 9 examples of I, e.g. 26 times for II. About 26 example preps. of I and intermediates and characterization data for hundreds of I and intermediates are included. For example, III can be prepared by reacting 4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine with $PhSO_2Cl$ and a reducing agent in the presence of solvent to produce 7-benzenesulfonyl-4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine, which was reacted with CO_2 in the presence of LDA and a solvent to produce lithium 7-benzenesulfonyl-4-chloro-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylate, which was reacted with $AcNHCH_2CH_2NH_2$ in the presence of solvent to give 4-(2-acetylaminoethylamino)-7-benzenesulfonyl-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carboxylic acid, which was deprotected with a hydroxide base and subsequently condensed with amines.

- IT 553633-82-2P, 1-[4-[(2-Acetylaminoethyl)amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carbonyl]-4-phenylpiperidine-4-carboxylic acid amide 553633-83-3P, 1-[4-[(2-Acetylaminoethyl)amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carbonyl]-4-phenylpiperidine-4-carboxylic acid methylamide 553633-84-4P, 1-[4-[(2-Acetylaminoethyl)amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carbonyl]-4-phenylpiperidine-4-carboxylic acid dimethylamide 553633-85-5P, 1-[4-[(2-Acetylaminoethyl)amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carbonyl]-4-phenylpiperidine-4-carboxylic acid benzylamide 553633-86-6P, 1-[4-[(2-Acetylaminoethyl)amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carbonyl]-4-phenylpiperidine-4-carboxylic acid ethylamide 553633-87-7P, 1-[4-[(2-Acetylaminoethyl)amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carbonyl]-4-phenylpiperidine-4-carboxylic acid diethylamide 553633-92-4P, 1-[4-[(2-Acetylaminoethyl)amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidine-6-carbonyl]-4-phenylpiperidine-4-carboxylic acid tert-butylamide
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (drug candidate; preparation of pyrrolopyrimidine A2b selective antagonist compds., method of synthesis and therapeutic use)
- RN 553633-82-2 CAPLUS
- CN 4-Piperidinecarboxamide, 1-[[4-[[2-(acetylamino)ethyl]amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl]carbonyl]-4-phenyl- (CA INDEX NAME)

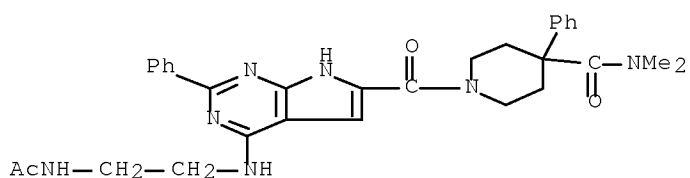


- RN 553633-83-3 CAPLUS
- CN 4-Piperidinecarboxamide, 1-[[4-[[2-(acetylamino)ethyl]amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl]carbonyl]-N-methyl-4-phenyl- (CA INDEX NAME)



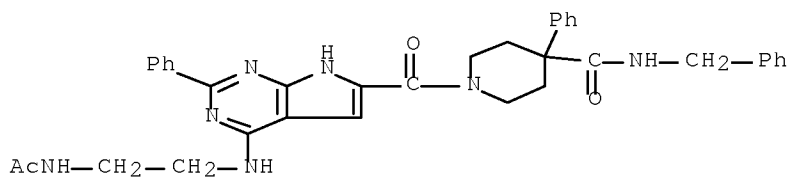
RN 553633-84-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[4-[[2-(acetylamino)ethyl]amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl]carbonyl]-N,N-dimethyl-4-phenyl- (CA INDEX NAME)



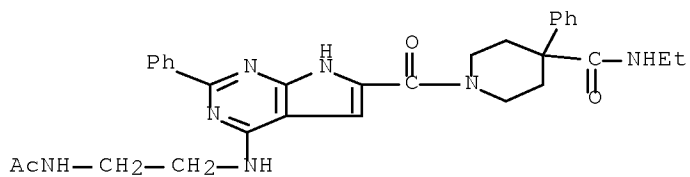
RN 553633-85-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[4-[[2-(acetylamino)ethyl]amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl]carbonyl]-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)



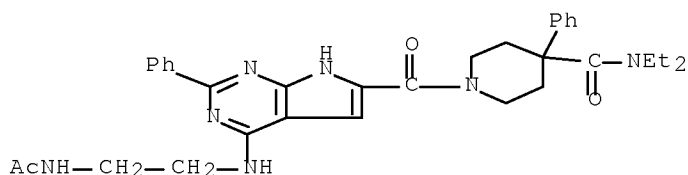
RN 553633-86-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[4-[[2-(acetylamino)ethyl]amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl]carbonyl]-N-ethyl-4-phenyl- (CA INDEX NAME)



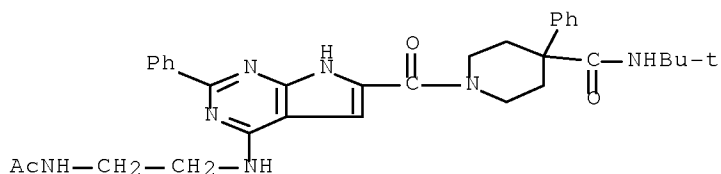
RN 553633-87-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[4-[[2-(acetylamino)ethyl]amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl]carbonyl]-N,N-diethyl-4-phenyl- (CA INDEX NAME)



RN 553633-92-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[4-[[2-(acetylamino)ethyl]amino]-2-phenyl-7H-pyrrolo[2,3-d]pyrimidin-6-yl]carbonyl]-N-(1,1-dimethylethyl)-4-phenyl- (CA INDEX NAME)



L3 ANSWER 45 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:363833 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 139:159504

TITLE: Antifungal activity of a Candida albicans GGTase I inhibitor-Alanine conjugate. Inhibition of Rholp prenylation in C. albicans

AUTHOR(S): Murthi, Krishna K.; Smith, Susan E.; Kluge, Arthur F.; Bergnes, Gustave; Bureau, Patrick; Berlin, Vivian
CORPORATE SOURCE: Department of Chemistry, GPC Biotech, Inc., Waltham, MA, 02451, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(11), 1935-1937
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB An alanine conjugate of a Candida albicans geranylgeranyl transferase I inhibitor was synthesized to facilitate its uptake into the fungal cell. The antifungal activity of CaGGTase-Ala conjugate is demonstrated. It is also shown that the CaGGTase-Ala conjugate affects prenylation of endogenous Rholp, but has no effect on prenylation of endogenous Raslp.

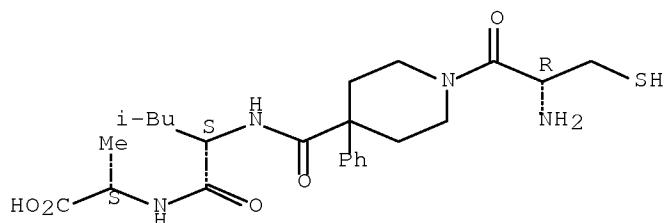
IT 256368-02-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antifungal activity of a Candida albicans GGTase I inhibitor-alanine conjugate and inhibition of Rholp prenylation in C. albicans)

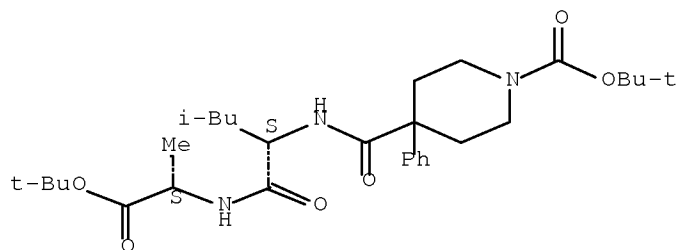
RN 256368-02-2 CAPLUS
CN L-Alanine, L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 574704-99-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(antifungal activity of a Candida albicans GGTase I inhibitor-alanine conjugate and inhibition of Rhop1 prenylation in C. albicans)
RN 574704-99-7 CAPLUS
CN L-Alanine, N-[[1-[(1,1-dimethylethoxy)carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-L-leucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

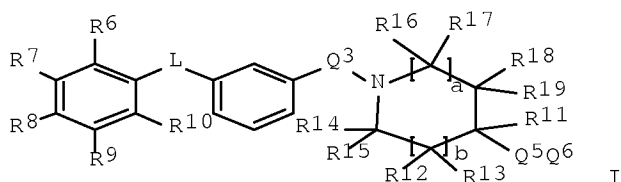


REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:356199 CAPLUS Full-text
DOCUMENT NUMBER: 138:368921
TITLE: Preparation of compounds as C-C chemokine receptor 8 antagonists, pharmaceutical compositions and use against inflammatory or viral disorders
INVENTOR(S): Ghosh, Shomir; Patane, Michael A.; Carson, Kenneth G.; Chi, I-Cheng Shannon; Ye, Qing; Elder, Amy M.; Jenkins, Tracy J.
PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 204 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2003037271	A2	20030508	WO 2002-US34845	20021030
WO 2003037271	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002363236	A1	20030512	AU 2002-363236	20021030
US 20050143372	A1	20050630	US 2004-490223	20040825
PRIORITY APPLN. INFO.:			US 2001-340663P	P 20011030
			WO 2002-US34845	W 20021030
OTHER SOURCE(S):		MARPAT 138:368921		
GI				



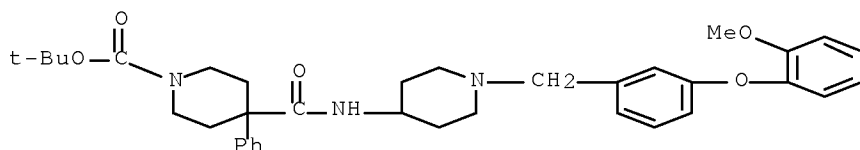
AB The invention relates to (shown as I; variables defined below; e.g. 1-[1-(2',6'-dichlorobiphenyl-3-ylmethyl)piperidin-4-yl]-1,3-dihydrobenzimidazol-2-one and 3-(3-phenoxybenzyl)-2,3,4,5-tetrahydro-1H-benzo[d]azepine). Preferred compds. are antagonists of C-C chemokine receptor 8 (no data). The invention also relates to a method for treating a subject having an inflammatory disorder or viral disorder comprising administering to a subject in need thereof an effective amount of a compound of the invention. Although the methods of preparation are not claimed, hundreds of example preps. are included. For I: L = O, S, NRa, a bond, SO2, C(O), and (CR'R'')m; Ra = H, (un)substituted alkyl, alkylaryl, and cycloalkyl; a is 0 to 3; b is 0 to 3; m is 1 to 8; R' and R'' = H, (un)substituted alkyl, cyano and (un)substituted alkenyl. R6, R7, R8, R9 and R10 = H, hydroxy, halogen, (un)substituted C1-C10 alkyl, (un)substituted C2-C10 alkenyl, (un)substituted C2-C10 alkynyl, (un)substituted C3-C10 cycloalkyl, (un)substituted C3-C10 cycloalkenyl, (un)substituted C3-C10 cycloalkynyl, (un)substituted C3-C10 cycloalkoxy, cyano, C1-C10 alkoxy, C2-C10 alkenyloxy, C2-C10 alkynyloxy, benzyloxy, (un)substituted amino, (un)substituted amido, O(CF3), C(O)O(R1), C(O)(R1), -SO2NR1R2, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl. R1 and R2 = H and (un)substituted alkyl; Q3 is (un)substituted alkyl; R11-R19 = H, hydroxy, halogen, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted

cycloalkenyl, (un)substituted cycloalkynyl, cyano, alkoxy, alkenyloxy, alkynyloxy, benzyloxy, (un)substituted amino, (un)substituted amido, O(CF₃), C(O)O(R₄₁), -C(O)(R₄₁), -SO₂NR₄₁R₄₂, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl; R₄₁ and R₄₂ = H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, (un)substituted cycloalkenyl, (un)substituted cycloalkynyl, (un)substituted amino, trifluoromethyl, aryl, aralkyl, heteroaryl and heteroaralkyl; or R₄₁ and R₄₂ may be linked via a C₂-C₈ (un)substituted alkyl or alkenyl bridge where ≥1 carbons may be replaced by O, S or NR₄₆. Q₅ = -N(R₂₀)C(O)(CR₄₁R₄₂)1-3-, 1-N(R₂₀)C(O)cycloalkyl (ring size = 3-9), N(R₂₀)C(O)-substituted azacycloalkyl; R₂₀ and R₄₆ = H, hydroxy, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted cycloalkyl, optionally cycloalkenyl, (un)substituted cycloalkynyl, (un)substituted amino, (un)substituted amido, -C(O)O(R₄₁), -C(O)(R₄₁), -SO₂NR₄₁R₄₂, trifluoromethyl, aryl, aralkyl, heteroaryl or heteroaralkyl; and Q₆ = (un)substituted aromatic ring, (un)substituted nonarom. heterocycle, and (un)substituted heteroarom. ring; or R₁₈ or R₁₉ together with Q₅Q₆ and the atoms to which they are bonded form an (un)substituted nonarom. carbocyclic group, (un)substituted nonarom. heterocyclic group, (un)substituted aryl ring or (un)substituted heteroaryl ring. Addnl. details are given in the claims.

IT 521975-55-3P, 4-[[[1-[3-(2-Methoxyphenoxy)benzyl]piperidin-4-yl]carbamoyl]-4-phenylpiperidine-1-carboxylic acid tert-butyl ester
 521975-85-9P, 4-[[[1-[3-(2-Chlorophenoxy)benzyl]piperidin-4-yl]carbamoyl]-4-phenylpiperidine-1-carboxylic acid tert-butyl ester
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of compds. as C-C chemokine receptor 8 antagonists, pharmaceutical compns. and use against inflammatory or viral disorders)

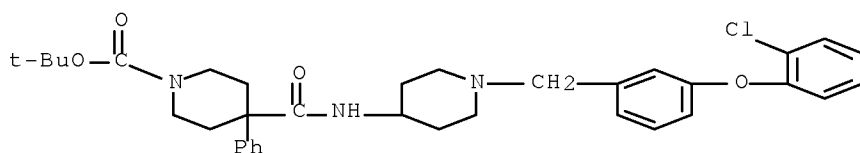
RN 521975-55-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[1-[3-(2-methoxyphenoxy)phenyl]methyl]-4-piperidinyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 521975-85-9 CAPLUS

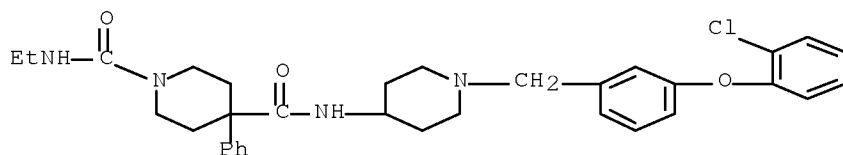
CN 1-Piperidinecarboxylic acid, 4-[[[1-[3-(2-chlorophenoxy)phenyl]methyl]-4-piperidinyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 521976-34-1P, 4-Phenylpiperidine-1,4-dicarboxylic acid
 4-[1-[3-(2-chlorophenoxy)benzyl]piperidin-4-yl]amide 1-ethylamide
 dihydrochloride 521976-35-2P, 4-[[1-[3-(2-Chlorophenoxy)benzyl]piperidin-4-yl]carbamoyl]-4-phenylpiperidine-1-carboxylic acid ethyl ester dihydrochloride 521976-36-3P,
 1-(2-Cyclopentylacetyl)-4-phenylpiperidine-4-carboxylic acid
 [1-[3-(2-methoxyphenoxy)benzyl]piperidin-4-yl]amide dihydrochloride
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of compds. as C-C chemokine receptor 8 antagonists, pharmaceutical compns. and use against inflammatory or viral disorders)

RN 521976-34-1 CAPLUS

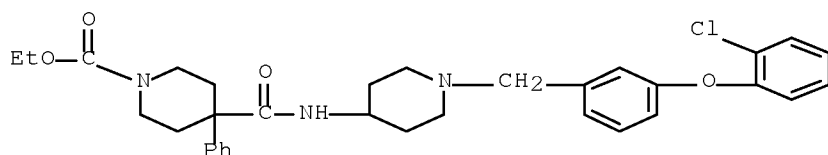
CN 1,4-Piperidinedicarboxamide, N4-[1-[[3-(2-chlorophenoxy)phenyl]methyl]-4-piperidinyl]-N1-ethyl-4-phenyl-, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 521976-35-2 CAPLUS

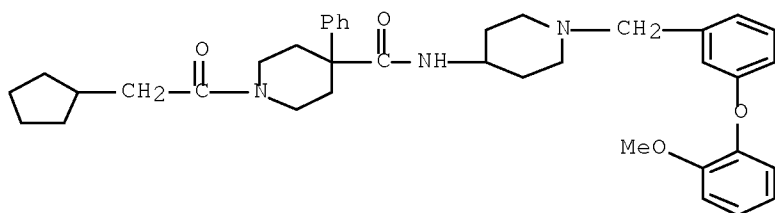
CN 1-Piperidinecarboxylic acid, 4-[[[1-[[3-(2-chlorophenoxy)phenyl]methyl]-4-piperidinyl]amino]carbonyl]-4-phenyl-, ethyl ester, hydrochloride (1:2) (CA INDEX NAME)



●2 HCl

RN 521976-36-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-cyclopentylacetyl)-N-[1-[[3-(2-methoxyphenoxy)phenyl]methyl]-4-piperidinyl]-4-phenyl-, hydrochloride (1:2) (CA INDEX NAME)



● 2 HCl

L3 ANSWER 47 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:301040 CAPLUS Full-text
 DOCUMENT NUMBER: 138:321135
 TITLE: Preparation of N-(piperidin-4-ylcarbonyl)
 acylsulfonamides as inhibitors of steroid sulfatase
 INVENTOR(S): Horvath, Amarylla; Lehr, Philipp; Nussbaumer, Peter;
 Schreiner, Erwin Paul
 PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 126 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003031397	A1	20030417	WO 2002-EP11140	20021004
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2458453	A1	20030417	CA 2002-2458453	20021004
AU 2002350490	A1	20030422	AU 2002-350490	20021004
AU 2002350490	B2	20060727		
EP 1436253	A1	20040714	EP 2002-785159	20021004
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013131	A	20040921	BR 2002-13131	20021004
HU 2004001687	A2	20041129	HU 2004-1687	20021004
CN 1564811	A	20050112	CN 2002-819757	20021004
JP 2005504843	T	20050217	JP 2003-534381	20021004
NZ 532072	A	20070223	NZ 2002-532072	20021004
RU 2320643	C2	20080327	RU 2004-114244	20021004
ZA 2004001301	A	20041119	ZA 2004-1301	20040218
NO 2004000960	A	20040305	NO 2004-960	20040305
MX 2004PA03236	A	20040723	MX 2004-PA3236	20040405
IN 2004CN00702	A	20060113	IN 2004-CN702	20040405
US 20050059712	A1	20050317	US 2004-490464	20041001
PRIORITY APPLN. INFO.:			GB 2001-24027	A 20011005
			GB 2001-24028	A 20011005

GB 2001-24839	A	20011016
GB 2001-27173	A	20011112
GB 2001-27174	A	20011112
GB 2001-27343	A	20011114
GB 2002-11524	A	20020520
WO 2002-EP11140	W	20021004

OTHER SOURCE(S): MARPAT 138:321135

AB The title compds. with general formula of R1-(CH2)m-SO2NHCO-(CH2)n-R2 [wherein R1 = haloalkyl, (un)substituted alkenyl, thienyl, Py, benzothiazolyl, chromanyl, or aryl; R2 = (un)substituted alkenyl, alkyl, cyclyl, bicyclyl, or tricyclyl, etc.; m and n = independently 0-4; with exclusions] are prepared as inhibitors of steroid sulfatase. For example, 4-bromo-2,5-dichlorothiophene-3-sulfonyl chloride was treated with aqueous NH3 in AcOEt to give 4-bromo-2,5-dichlorothiophene-3-sulfonamide. The sulfonamide was reacted with 1-(tert-butoxycarbonyl)piperidine-4- carboxylic acid in DMF in the presence of DMAP, DIEA, and EDC to afford 4-(4-bromo-2,5-dichlorothiophene-3-sulfonylaminocarbonyl)piperidine-1- carboxylic acid tert-Bu ester. The invention compds. showed IC50 of 0.0046 to 0.29 μ M against human steroid sulfatase.

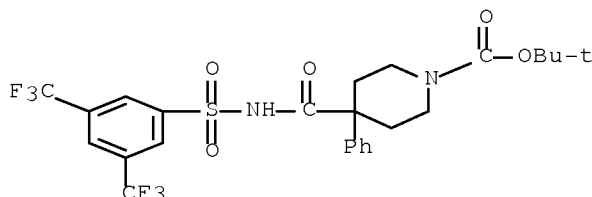
IT 512819-37-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(steroid sulfatase inhibitor; preparation of N-(piperidinylcarbonyl) acylsulfonamides as inhibitors of steroid sulfatase)

RN 512819-37-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[3,5-bis(trifluoromethyl)phenyl]sulfonyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 48 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:215718 CAPLUS Full-text

DOCUMENT NUMBER: 139:94763

TITLE: Benzamide derivatives as blockers of Kv1.3 ion channel

AUTHOR(S): Miao, Shouwu; Bao, Jianming; Garcia, Maria L.; Goulet, Joung L.; Hong, Xingfang J.; Kaczorowski, Gregory J.; Kayser, Frank; Koo, Gloria C.; Kotliar, Andrew; Schmalhofer, William A.; Shah, Kashmira; Sinclair, Peter J.; Slaughter, Robert S.; Springer, Marty S.; Staruch, Mary Jo; Tsou, Nancy N.; Wong, Frederick; Parsons, William H.; Rupprecht, Kathleen M.

CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(6), 1161-1164

CODEN: BMCLE8; ISSN: 0960-894X

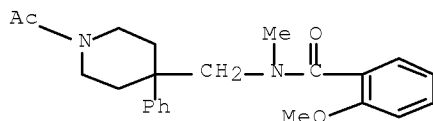
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:94763

AB The voltage-gated potassium channel, Kv1.3, is present in human T-lymphocytes. Blockade of Kv1.3 results in T-cell depolarization, inhibition of T-cell activation, and attenuation of immune responses in vivo. A class of benzamide Kv1.3 channel inhibitors has been identified. The structure-activity relationship within this class of compds. in two functional assays, Rb_Kv and T-cell proliferation, is presented. In in vitro assays, trans isomers display moderate selectivity for binding to Kv1.3 over other Kv1.x channels present in human brain.

IT 558437-84-6
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(preparation of benzamide derivs. as blockers of Kv1.3 ion channel)

RN 558437-84-6 CAPLUS

CN Benzamide, N-[(1-acetyl-4-phenyl-4-piperidiny)methyl]-2-methoxy-N-methyl-
(CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 49 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:58220 CAPLUS Full-text

DOCUMENT NUMBER: 138:117676

TITLE: Linear and cyclic melanocortin receptor-specific peptides, and therapeutic use

INVENTOR(S): Sharma, Shubh D.; Shadiack, Annette M.; Yang, Wei; Rajpurohit, Ramesh

PATENT ASSIGNEE(S): Palatin Technologies, Inc., USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003006620	A2	20030123	WO 2002-US22196	20020711
WO 2003006620	A3	20031127		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,			

FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2453515	A1	20030123	CA 2002-2453515	20020711
AU 2002322466	A1	20030129	AU 2002-322466	20020711
AU 2002322466	A2	20030129		
AU 2002322466	B2	20070830		
EP 1441750	A2	20040804	EP 2002-756458	20020711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2004534851	T	20041118	JP 2003-512379	20020711
US 20040138136	A1	20040715	US 2003-638071	20030808
US 7176279	B2	20070213		
US 20050038230	A1	20050217	US 2004-756212	20040112
US 20060014676	A1	20060119	US 2005-174845	20050705
US 7342089	B2	20080311		
US 20060014194	A1	20060119	US 2005-174851	20050705
US 7345144	B2	20080318		
US 20060111281	A1	20060525	US 2005-269271	20051109
PRIORITY APPLN. INFO.:				
			US 2001-304836P	P 20010711
			US 1999-142346P	P 19990629
			US 2000-194987P	P 20000405
			US 2000-606501	A2 20000628
			US 2002-40547	A2 20020104
			WO 2002-US22196	W 20020711
			US 2003-638071	A2 20030808
			US 2004-585971P	P 20040706

OTHER SOURCE(S): MARPAT 138:117676

AB Linear and cyclic peptides are provided which are specific to melanocortin receptors and which exhibit agonist, antagonist, or mixed agonist-antagonist activity. The peptides of the invention may be used to treat e.g. erectile dysfunction and eating disorders.

IT 488790-46-1

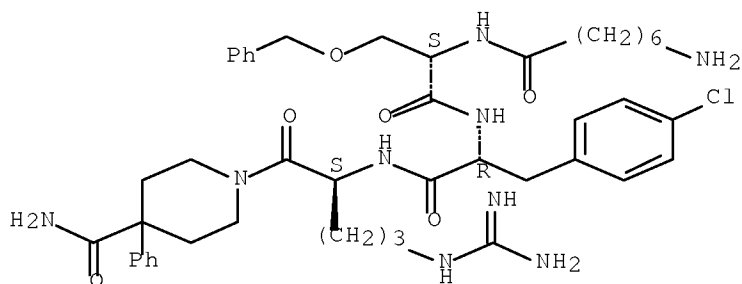
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(linear and cyclic melanocortin receptor-specific peptides, and therapeutic use)

RN 488790-46-1 CAPLUS

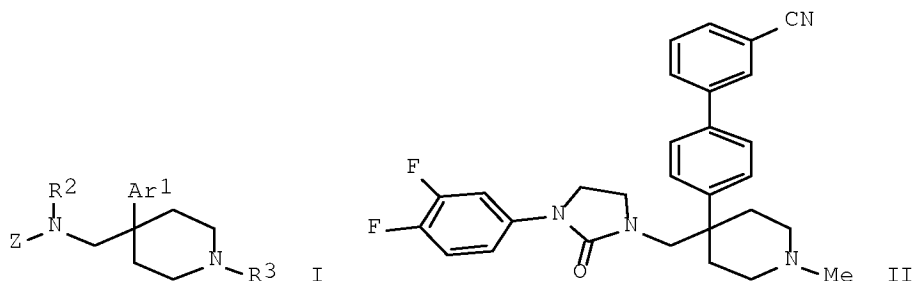
CN D-Phenylalaninamide, N-(7-amino-1-oxoheptyl)-O-(phenylmethyl)-L-seryl-N-[(1S)-1-[[4-(aminocarbonyl)-4-phenyl-1-piperidinyl]carbonyl]-4-[(aminoiminomethyl)amino]butyl]-4-chloro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



ACCESSION NUMBER: 2002:813930 CAPLUS Full-text
 DOCUMENT NUMBER: 137:325334
 TITLE: Preparation of aryl and biaryl piperidines as MCH antagonists
 INVENTOR(S): Hobbs, Douglas W.; Guo, Tao; Hunter, Rachael C.; Gu, Huizhong; Babu, Suresh D.; Shao, Yuefei
 PATENT ASSIGNEE(S): Pharmacoopia, Inc., USA
 SOURCE: PCT Int. Appl., 113 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083134	A1	20021024	WO 2002-US11296	20020410
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2443672	A1	20021024	CA 2002-2443672	20020410
AU 2002303299	A1	20021028	AU 2002-303299	20020410
US 20030013720	A1	20030116	US 2002-120080	20020410
US 6887889	B2	20050503		
EP 1377293	A1	20040107	EP 2002-731318	20020410
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004526761	T	20040902	JP 2002-580938	20020410
MX 2003PA09353	A	20040212	MX 2003-PA9353	20031010
PRIORITY APPLN. INFO.:			US 2001-283523P	P 20010412
			WO 2002-US11296	W 20020410
OTHER SOURCE(S):		MARPAT 137:325334		
GI				



AB The title compds. [I; Ar1 = (un)substituted Ph, pyridyl, pyrimidyl, etc.; Z = R4, COR4, SO2R4, etc.; R2 = H, alkyl, alkyl substituted with cycloalkyl; R3 = H, alkyl, cycloalkyl, etc.; R4 = Ph, phenylalkyl], useful for treatment,

prevention or amelioration of one or more of diseases associated with the MCH receptor, were prepared E.g., a 7-step synthesis of II, starting from 3,4-difluorophenyl isocyanate, which showed K_i of 11-100 nM against MCH, was given. This invention provides also pharmaceutical compns. containing one or more of the compds. I for treatment of eating disorders.

IT 473736-79-7P 473736-80-0P 473736-82-2P

473736-84-4P 473736-85-5P 473736-86-6P

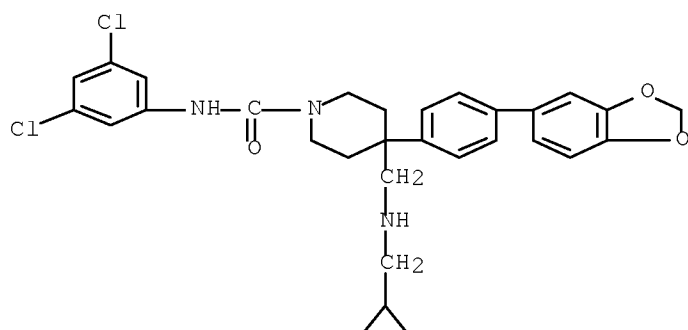
473736-87-7P 473736-88-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl and biaryl piperidines as MCH antagonists)

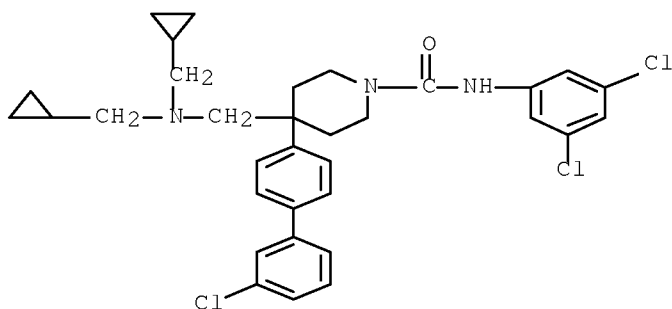
RN 473736-79-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-(1,3-benzodioxol-5-yl)phenyl]-4-[[(cyclopropylmethyl)amino]methyl]-N-(3,5-dichlorophenyl)- (CA INDEX NAME)



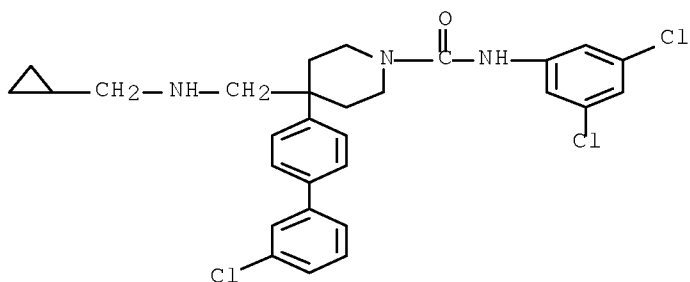
RN 473736-80-0 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[bis(cyclopropylmethyl)amino]methyl]-4-(3'-chloro[1,1'-biphenyl]-4-yl)-N-(3,5-dichlorophenyl)- (CA INDEX NAME)



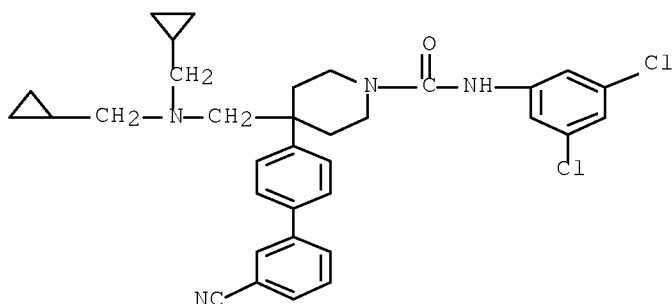
RN 473736-82-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3'-chloro[1,1'-biphenyl]-4-yl)-4-[[(cyclopropylmethyl)amino]methyl]-N-(3,5-dichlorophenyl)- (CA INDEX NAME)



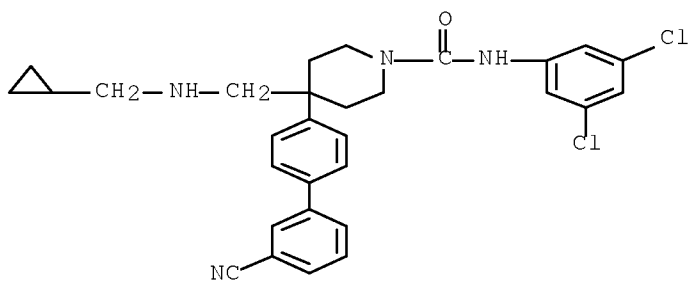
RN 473736-84-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[bis(cyclopropylmethyl)amino]methyl]-4-(3'-cyano[1,1'-biphenyl]-4-yl)-N-(3,5-dichlorophenyl)- (CA INDEX NAME)



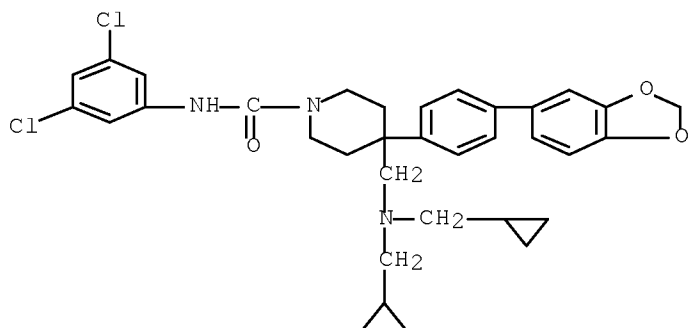
RN 473736-85-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3'-cyano[1,1'-biphenyl]-4-yl)-4-[[bis(cyclopropylmethyl)amino]methyl]-N-(3,5-dichlorophenyl)- (CA INDEX NAME)



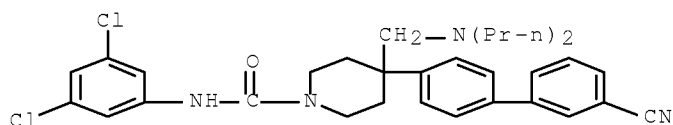
RN 473736-86-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[4-(1,3-benzodioxol-5-yl)phenyl]-4-[[bis(cyclopropylmethyl)amino]methyl]-N-(3,5-dichlorophenyl)- (CA INDEX NAME)



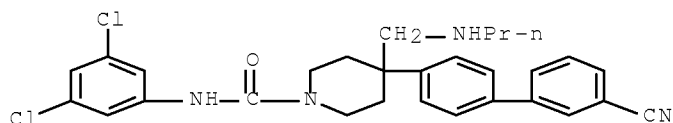
RN 473736-87-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3'-cyano[1,1'-biphenyl]-4-yl)-N-(3,5-dichlorophenyl)-4-[(dipropylamino)methyl]- (CA INDEX NAME)



RN 473736-88-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-(3'-cyano[1,1'-biphenyl]-4-yl)-N-(3,5-dichlorophenyl)-4-[(propylamino)methyl]- (CA INDEX NAME)



IT 473735-52-3P 473736-97-9P 473737-03-0P

473737-06-3P 473737-14-3P 473737-15-4P

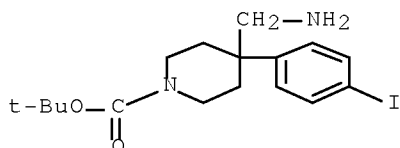
473737-17-6P 473737-19-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl and biaryl piperidines as MCH antagonists)

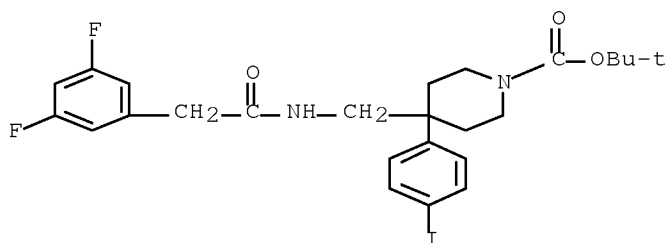
RN 473735-52-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(4-iodophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



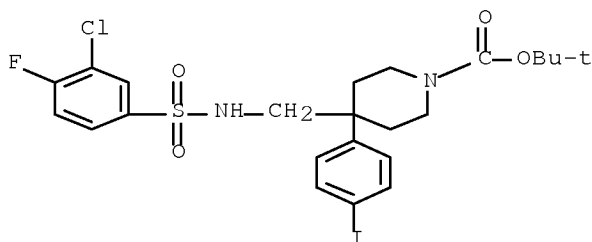
RN 473736-97-9 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[2-(3,5-difluorophenyl)acetyl]amino]methyl]-4-(4-iodophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



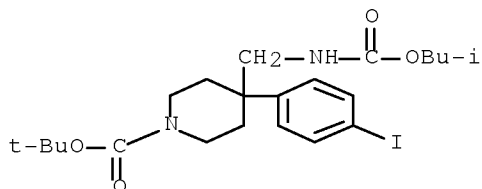
RN 473737-03-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(3-chloro-4-fluorophenyl)sulfonyl]amino]methyl]-4-(4-iodophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



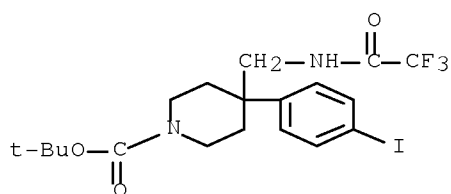
RN 473737-06-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(4-iodophenyl)-4-[[[(2-methylpropoxy)carbonyl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

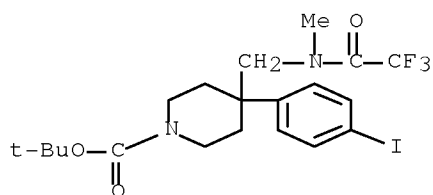


RN 473737-14-3 CAPLUS

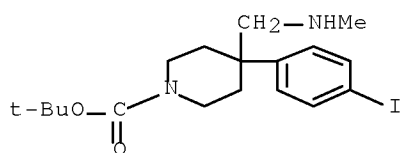
CN 1-Piperidinecarboxylic acid, 4-(4-iodophenyl)-4-[[[(2,2,2-trifluoroacetyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



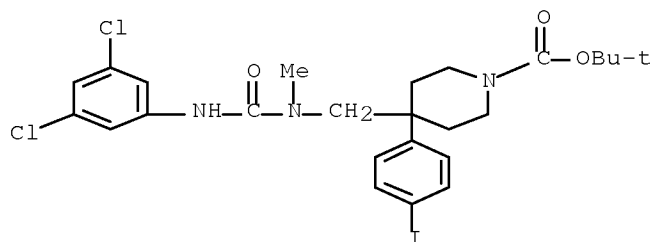
RN 473737-15-4 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(4-iodophenyl)-4-[[methyl(2,2,2-trifluoroacetyl)amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 473737-17-6 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(4-iodophenyl)-4-[(methylamino)methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



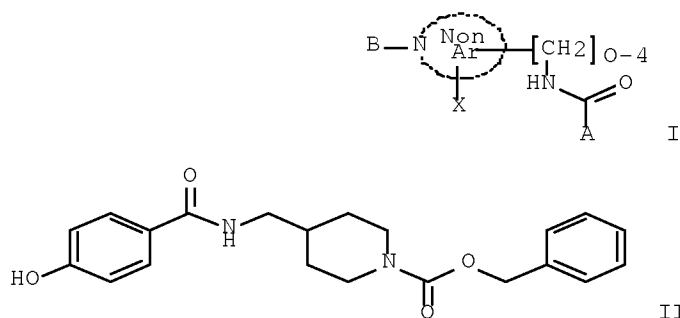
RN 473737-19-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[[(3,5-dichlorophenyl)amino]carbonyl]methylamino]methyl]-4-(4-iodophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 51 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:793427 CAPLUS Full-text
DOCUMENT NUMBER: 137:310932
TITLE: Preparation of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain
INVENTOR(S): Liverton, Nigel J.; Butcher, John W.; McIntyre, Charles J.; Claiborne, Christopher F.; Claremon, David A.; McCauley, James A.; Romano, Joseph J.; Thompson, Wayne; Munson, Peter M.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002080928	A1	20021017	WO 2002-US10269	20020402
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2443108	A1	20021017	CA 2002-2443108	20020402
AU 2002338334	A1	20021021	AU 2002-338334	20020402
US 20030119811	A1	20030626	US 2002-114685	20020402
US 7259157	B2	20070821		
EP 1390034	A1	20040225	EP 2002-763896	20020402
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2005511478	T	20050428	JP 2002-578967	20020402
PRIORITY APPLN. INFO.:			US 2001-281166P	P 20010403
			WO 2002-US10269	W 20020402
OTHER SOURCE(S):	MARPAT 137:310932			
GI				



AB The title compds. [I; NonAr = nonarom. 5-7 membered containing heteroatoms; A = (un)substituted Ph, pyrrolyl, imidazolyl, etc.; B = aryl(CH₂)₀₋₃(CH₂)₀₋₂CO, heteroaryl(CH₂)₁₋₃₀(CH₂)₀₋₂CO, etc.; X = H, OH, F, etc.] which are effective as NMDA NR2B antagonists useful for relieving pain, were prepared E.g., a 2-step synthesis of II, starting with 4-aminomethylpiperidine, was given. The compds. I exhibit IC₅₀'s of less than 50 μM in the FLIPR and binding assays, and thus they have been found to exhibit biol. activity as NMDA NR2B antagonists.

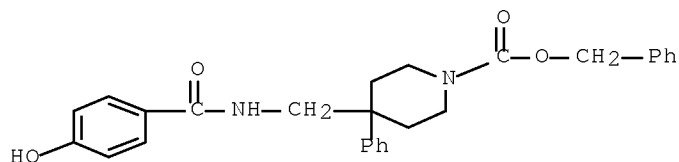
IT 471250-87-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-substituted nonaryl heterocyclyl amides as NMDA/NR2B antagonists for relieving pain)

RN 471250-87-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(4-hydroxybenzoyl)amino]methyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:695975 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 137:232913

TITLE: Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors

INVENTOR(S): Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

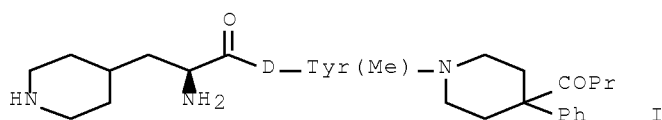
SOURCE: PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070511	A1	20020912	WO 2002-US6479	20020302
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2437594	A1	20020912	CA 2002-2437594	20020302
AU 2002254095	A1	20020919	AU 2002-254095	20020302
EP 1363898	A1	20031126	EP 2002-723310	20020302
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2004001544	A2	20041228	HU 2004-1544	20020302
JP 2005511475	T	20050428	JP 2002-569831	20020302
US 20030092732	A1	20030515	US 2002-90582	20020304
US 6979691	B2	20051227		
US 20030096827	A1	20030522	US 2002-90288	20020304
US 6713487	B2	20040330		
US 20040229882	A1	20041118	US 2003-696761	20031029
US 7067525	B2	20060627		
US 20060025403	A1	20060202	US 2005-199464	20050808
PRIORITY APPLN. INFO.:				
			US 2001-273206P	P 20010302
			US 2001-273291P	P 20010302
			WO 2002-US6479	W 20020302
			US 2002-90288	A3 20020304
			US 2002-90582	A3 20020304

OTHER SOURCE(S): MARPAT 137:232913
 GI



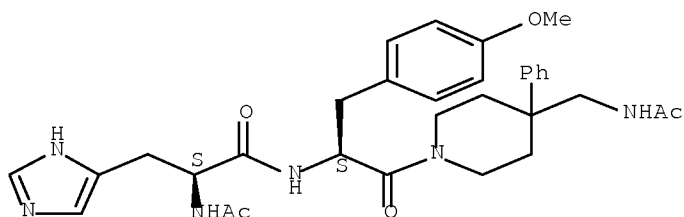
AB Compds. W-(CR₆R₇)_yCH(G)(CR₄R₅)_xCO-X(R₁)CHR₂(CHR₃)_r(CH₂)_sCO-E [X = N or CH; R₁, R₃ = H or alkyl; R₂ = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R₁ together with R₂ or R₃ or R₂ together with R₃ form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R₄-R₆ = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR₄R₅ or C₆R₇ is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl,

IT 457903--94--5P

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(preparation of peptides for pharmaceutical use as modulators of
melanocortin receptors)
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RN 457903-94-5 CAPLUS

Absolute stereochemistry.



L3 ANSWER 53 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:675992 CAPLUS Full-text

DOCUMENT NUMBER: 137:216873

TITLE: Acylated piperidine derivatives, specifically
1-(pyrrolidinylcarbonyl)piperidines,
1-(piperidinylcarbonyl)piperidines, and analogs, as
melanocortin-4 receptor agonists, and their
pharmaceutical compositions and therapeutic uses

INVENTOR(S): Goulet, Mark T.; Nargund, Ravi P.; Sebhat, Iyassu K.;
Ujjainwalla, Feroze; Walsh, Thomas F.; Warner, Daniel;
Young, Jonathan R.; Bakshi, Raman K.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Ye, Zhixiong

SOURCE: PCT Int. Appl., 138 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002068387	A2	20020906	WO 2002-US5623	20020225
WO 2002068387	A3	20030220		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2439149	A1	20020906	CA 2002-2439149	20020225
AU 2002255597	A1	20020912	AU 2002-255597	20020225
AU 2002255597	B2	20060302		
EP 1372653	A2	20040102	EP 2002-725001	20020225
EP 1372653	B1	20061004		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2004527498	T	20040909	JP 2002-567901	20020225
AT 341327	T	20061015	AT 2002-725001	20020225
ES 2272703	T3	20070501	ES 2002-725001	20020225
ZA 2003006160	A	20040721	ZA 2003-6160	20030808
US 20040097546	A1	20040520	US 2003-468515	20030819
US 7015235	B2	20060321		
US 20060035935	A1	20060216	US 2005-239721	20050930
JP 2008150394	A	20080703	JP 2008-26028	20080206

PRIORITY APPLN. INFO.:

US 2001-272258P	P	20010228
US 2001-300572P	P	20010622
US 2001-300118P	P	20010622
JP 2002-567902	A3	20020225
WO 2002-US5623	W	20020225
US 2003-468515	A3	20030819

OTHER SOURCE(S): MARPAT 137:216873

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Certain novel 4-substituted N-acylated piperidine derivs., specifically I, are agonists of the human melanocortin receptor(s) and, in particular, are selective agonists of the human melanocortin-4 receptor (MC-4R) [wherein: p = 1 or 2; q = 0, 1, or 2; n = 0, 1, or 2; R1 = H, amidino, alkyliminoyl, (un)substituted alkyl, (CH2)n-G1 [G1 = (un)substituted cycloalkyl, Ph, naphthyl, or heteroaryl]; R2 = (un)substituted Ph, naphthyl, or heteroaryl; X = alkyl, (CH2)n-G2 [G2 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, heterocyclyl, cyano, CONH2, CO2H, OH, NH2, and various derivs.]; Y = (un)substituted alkyl, alkenyl, (CH2)n-G3 [G3 = (un)substituted cycloalkyl, Ph, naphthyl, heteroaryl, or heterocyclyl]; including pharmaceutically acceptable salts]. They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Approx. 200 invention compds. I and approx. 80 intermediates were prepared For instance, amidation of (±)-trans-1-(tert-butoxycarbonyl)-3-(4- fluorophenyl)piperidine-4-carboxylic acid with 4-cyclohexyl-4-[(4,4- dimethyl-2-oxo-1,3-oxazolidin-3-yl)methyl]piperidine HCl, followed by N-deprotection with removal of BOC using HCl, and reductive N-methylation using paraformaldehyde and NaBH3CN, gave title compound (±)-trans-II, isolated as the trifluoroacetate salt. Representative compds. I bound to cloned human MC-4R in vitro with IC50 values generally below 2 µM, and also acted as agonists toward cloned human MCR in a functional assay with EC50 values less than 1 µM.

IT 455953-07-8P, (3R,4R)-4-[[4-[(tert-Butylamino)carbonyl]-4-(2-fluorophenyl)piperidin-1-yl]carbonyl]-3-(4-fluorophenyl)piperidinium chloride 455953-15-8P 455953-16-9P 455953-21-6P 455953-22-7P 455953-23-8P

455953-24-9P 455953-25-0P 455953-26-1P
455953-27-2P 455953-28-3P 455953-29-4P
455953-30-7P 455953-31-8P

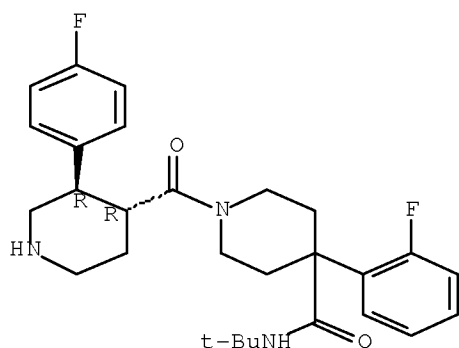
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(drug candidate; preparation of acylated piperidine derivs., particularly
(pyrrolidinylcarbonyl)- and (piperidinylcarbonyl)piperidines, as
melanocortin-4 receptor agonists)

RN 455953-07-8 CAPLUS

CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-4-(2-fluorophenyl)-1-
[[(3R,4R)-3-(4-fluorophenyl)-4-piperidinyl]carbonyl]-, hydrochloride (1:1)
(CA INDEX NAME)

Absolute stereochemistry.

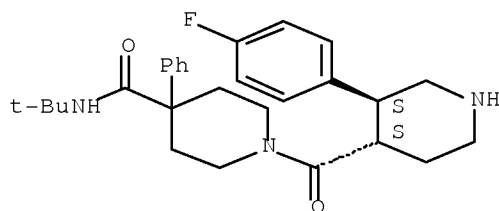


● HCl

RN 455953-15-8 CAPLUS

CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-1-[[(3S,4S)-3-(4-
fluorophenyl)-4-piperidinyl]carbonyl]-4-phenyl- (CA INDEX NAME)

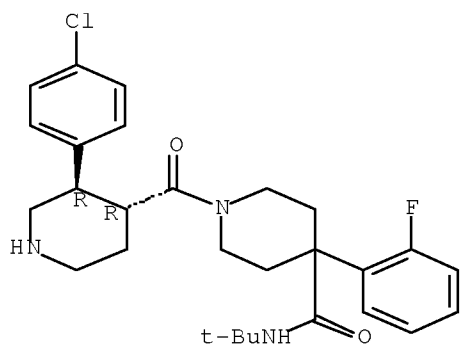
Absolute stereochemistry.



RN 455953-16-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[(3R,4R)-3-(4-chlorophenyl)-4-
piperidinyl]carbonyl]-N-(1,1-dimethylethyl)-4-(2-fluorophenyl)-, rel- (CA
INDEX NAME)

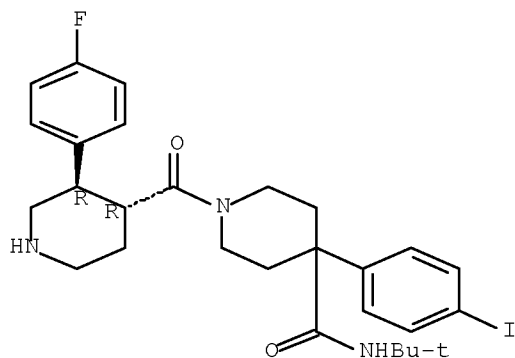
Relative stereochemistry.



RN 455953-21-6 CAPLUS

CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-1-[[(3R,4R)-3-(4-fluorophenyl)-4-piperidinyl]carbonyl]-4-(4-iodophenyl)- (CA INDEX NAME)

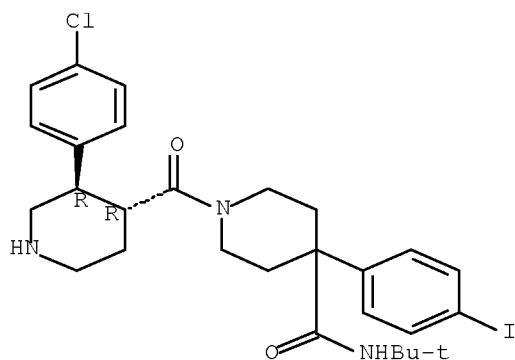
Absolute stereochemistry.



RN 455953-22-7 CAPLUS

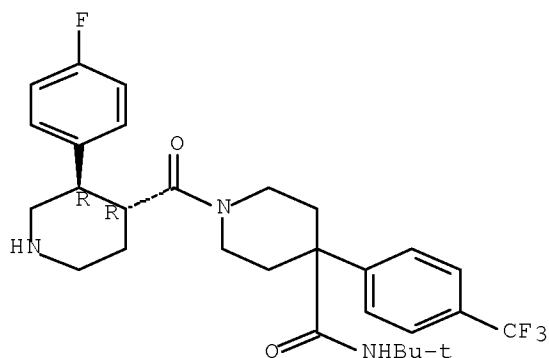
CN 4-Piperidinecarboxamide, 1-[[(3R,4R)-3-(4-chlorophenyl)-4-piperidinyl]carbonyl]-N-(1,1-dimethylethyl)-4-(4-iodophenyl)-, rel- (CA INDEX NAME)

Relative stereochemistry.



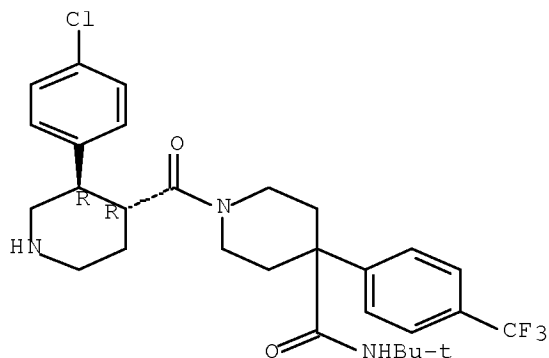
RN 455953-23-8 CAPLUS
 CN 4-Piperidinecarboxamide, N-(1,1-dimethylethyl)-1-[[(3R,4R)-3-(4-fluorophenyl)-4-piperidinyl]carbonyl]-4-[4-(trifluoromethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



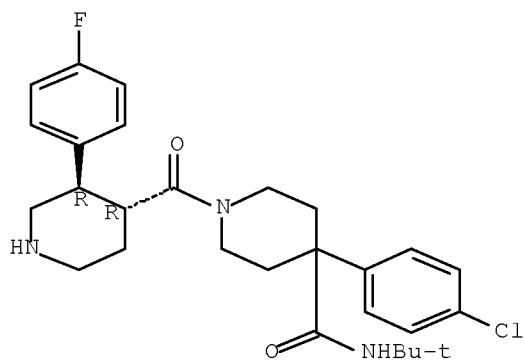
RN 455953-24-9 CAPLUS
 CN 4-Piperidinecarboxamide, 1-[[(3R,4R)-3-(4-chlorophenyl)-4-piperidinyl]carbonyl]-N-(1,1-dimethylethyl)-4-[4-(trifluoromethyl)phenyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 455953-25-0 CAPLUS
 CN 4-Piperidinecarboxamide, 4-(4-chlorophenyl)-N-(1,1-dimethylethyl)-1-[[(3R,4R)-3-(4-fluorophenyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)

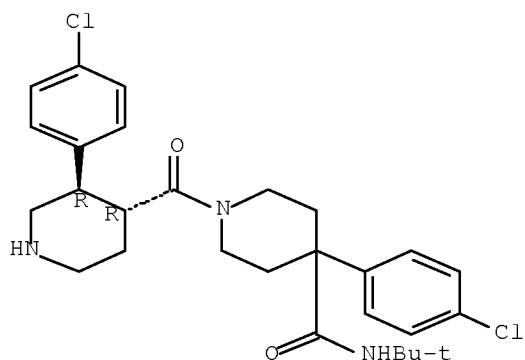
Absolute stereochemistry.



RN 455953-26-1 CAPLUS

CN 4-Piperidinecarboxamide, 4-(4-chlorophenyl)-1-[[(3R,4R)-3-(4-chlorophenyl)-4-piperidiny]carbonyl]-N-(1,1-dimethylethyl)-, rel- (CA INDEX NAME)

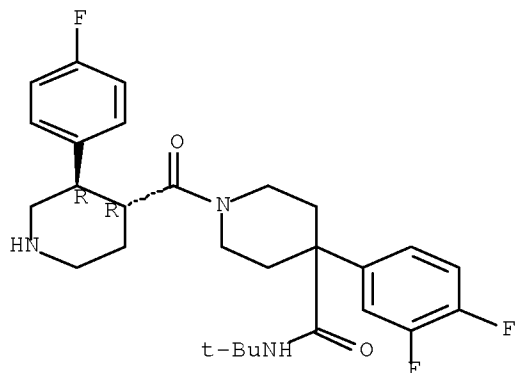
Relative stereochemistry.



RN 455953-27-2 CAPLUS

CN 4-Piperidinecarboxamide, 4-(3,4-difluorophenyl)-N-(1,1-dimethylethyl)-1-[[(3R,4R)-3-(4-fluorophenyl)-4-piperidiny]carbonyl]- (CA INDEX NAME)

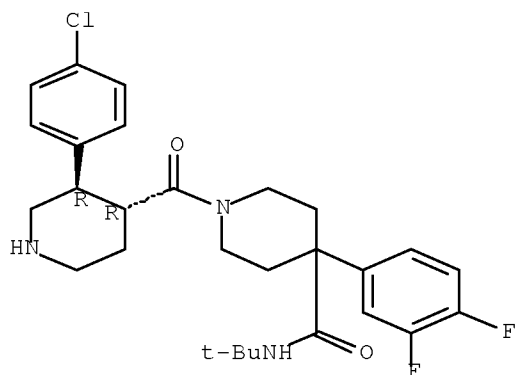
Absolute stereochemistry.



RN 455953-28-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[[(3R,4R)-3-(4-chlorophenyl)-4-piperidinyl]carbonyl]-4-(3,4-difluorophenyl)-N-(1,1-dimethylethyl)-, rel- (CA INDEX NAME)

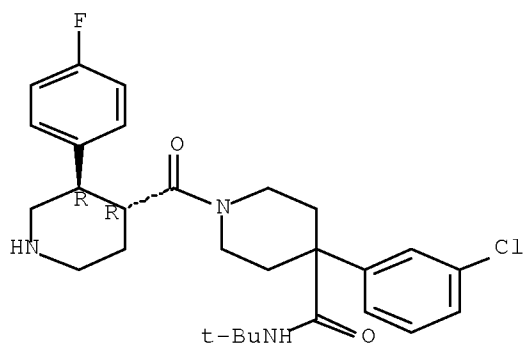
Relative stereochemistry.



RN 455953-29-4 CAPLUS

CN 4-Piperidinecarboxamide, 4-(3-chlorophenyl)-N-(1,1-dimethylethyl)-1-[[(3R,4R)-3-(4-fluorophenyl)-4-piperidinyl]carbonyl]- (CA INDEX NAME)

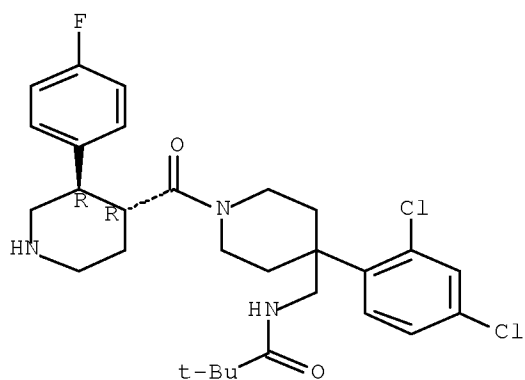
Absolute stereochemistry.



RN 455953-30-7 CAPLUS

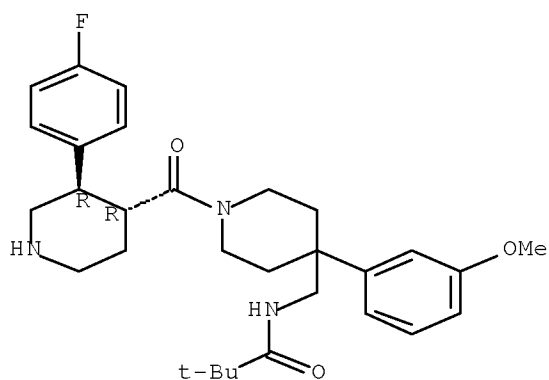
CN Propanamide, N-[[4-(2,4-dichlorophenyl)-1-[[(3R,4R)-3-(4-fluorophenyl)-4-piperidinyl]carbonyl]-4-piperidinyl]methyl]-2,2-dimethyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



RN 455953-31-8 CAPLUS
 CN Propanamide, N-[[1-[[[(3R,4R)-3-(4-fluorophenyl)-4-piperidinyl]carbonyl]-4-(3-methoxyphenyl)-4-piperidinyl]methyl]-2,2-dimethyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



L3 ANSWER 54 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:551566 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 137:119637
 TITLE: Compositions and methods for inhibiting fungal growth
 INVENTOR(S): Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge, Arthur; Murthi, Krishna; Pal, Kollol
 PATENT ASSIGNEE(S): GPC Biotech Inc., USA
 SOURCE: U.S., 115 pp., Cont.-in-part of U.S. Ser. No. 115,846.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6423519	B1	20020723	US 1998-172845	19981015
CA 2335381	A1	20000127	CA 1999-2335381	19990715

WO 2000003743 A2 20000127 WO 1999-US16146 19990715
 WO 2000003743 A3 20010201
 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ,
 DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS,
 JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK,
 MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ,
 TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
 ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
 CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 9951075 A 20000207 AU 1999-51075 19990715
 EP 1096925 A2 20010509 EP 1999-935639 19990715
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO
 JP 2002520372 T 20020709 JP 2000-559877 19990715
 PRIORITY APPLN. INFO.: US 1998-115846 B2 19980715
 US 1998-172845 A 19981015
 WO 1999-US16146 W 19990715

OTHER SOURCE(S): MARPAT 137:119637

AB The present invention relates to compns. and methods for inhibiting fungal growth. The present invention relates to methods for treating or preventing fungal infections and infections involving other eukaryotic parasites of plants or animals, using compds. that specifically inhibit the biol. activity of the enzyme protein geranylgeranyltransferase (GGPTase). The inhibitors of fungal GGPTase which are anti-fungal agents may be peptides, peptidomimetics, or non-peptides.

IT 256367-55-2P 256367-56-3P 256367-57-4P
 256367-58-5P 256367-59-6P 256367-60-9P
 256367-61-0P 256367-62-1P 256367-64-3P
 256367-65-4P 256367-66-5P 256367-67-6P
 256367-68-7P 256367-69-8P 256367-70-1P
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 256370-06-6P 256384-54-0P 443733-73-1P

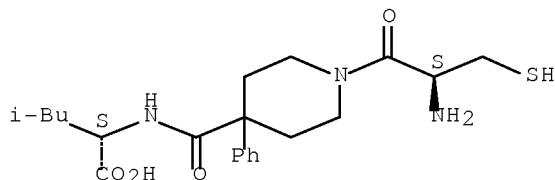
RL: AGR (Agricultural use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(compns. and methods for inhibiting fungal growth using geranylgeranylproteintransferase inhibitors)

RN 256367-55-2 CAPLUS

CN L-Leucine, D-cysteinyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

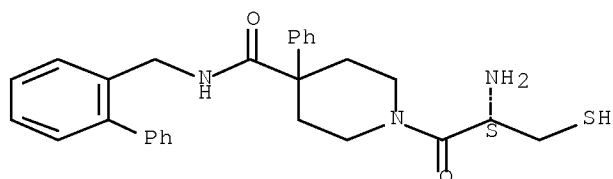
Absolute stereochemistry.



RN 256367-56-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-([1,1'-biphenyl]-2-ylmethyl)-4-phenyl- (CA INDEX NAME)

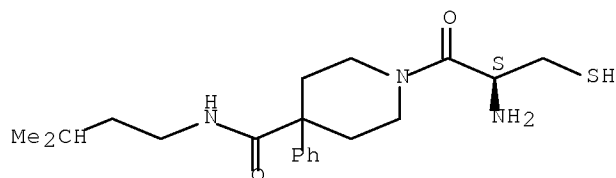
Absolute stereochemistry.



RN 256367-57-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(3-methylbutyl)-4-phenyl- (CA INDEX NAME)

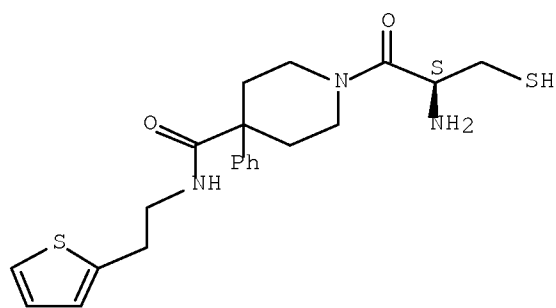
Absolute stereochemistry.



RN 256367-58-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-[2-(2-thienyl)ethyl]- (CA INDEX NAME)

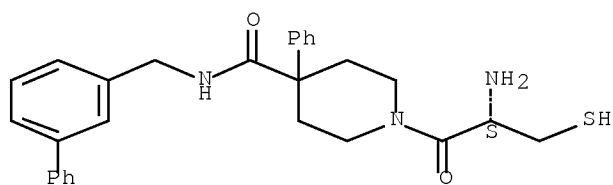
Absolute stereochemistry.



RN 256367-59-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-([1,1'-biphenyl]-3-ylmethyl)-4-phenyl- (CA INDEX NAME)

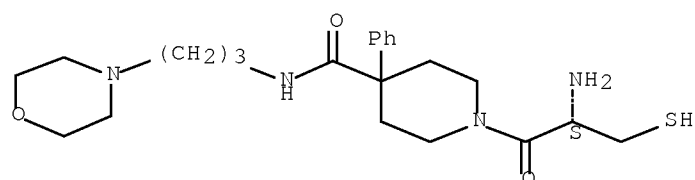
Absolute stereochemistry.



RN 256367-60-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[3-(4-phenylmethyl)propyl]-4-phenyl- (CA INDEX NAME)

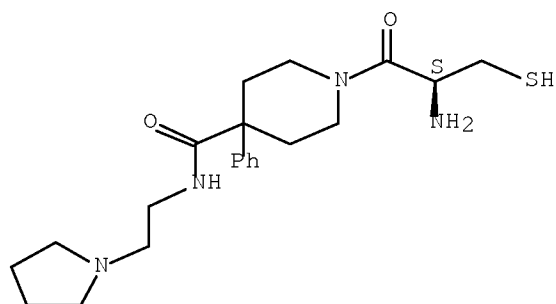
Absolute stereochemistry.



RN 256367-61-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

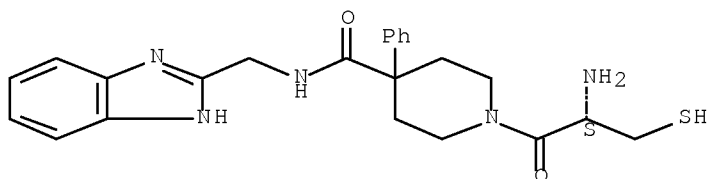
Absolute stereochemistry.



RN 256367-62-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(1H-benzimidazol-2-ylmethyl)-4-phenyl- (CA INDEX NAME)

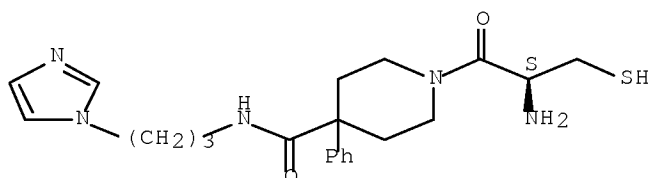
Absolute stereochemistry.



RN 256367-64-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[3-(1H-imidazol-1-yl)propyl]-4-phenyl- (CA INDEX NAME)

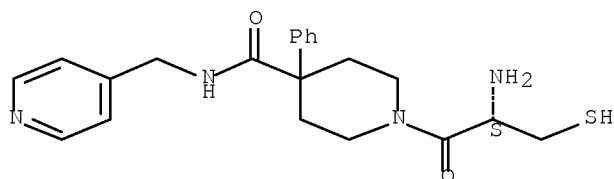
Absolute stereochemistry.



RN 256367-65-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)

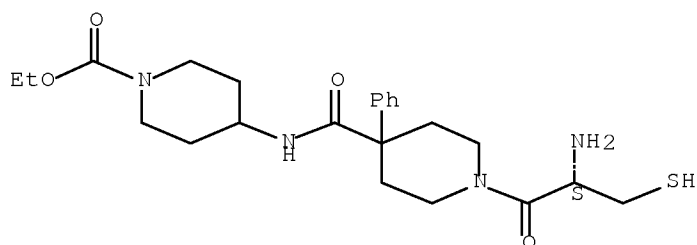
Absolute stereochemistry.



RN 256367-66-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

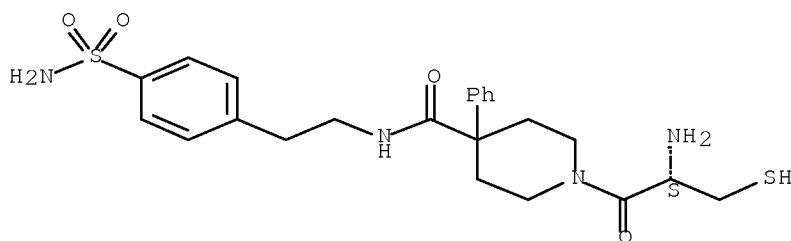
Absolute stereochemistry.



RN 256367-67-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[2-[4-(aminosulfonyl)phenyl]ethyl]-4-phenyl- (CA INDEX NAME)

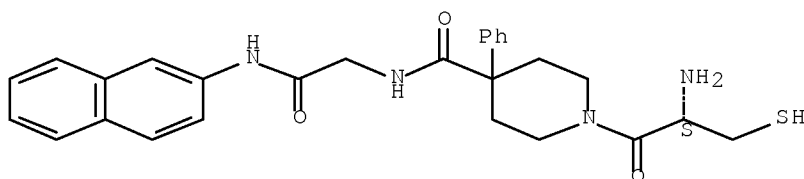
Absolute stereochemistry.



RN 256367-68-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[2-(2-naphthalenylamino)-2-oxoethyl]-4-phenyl- (CA INDEX NAME)

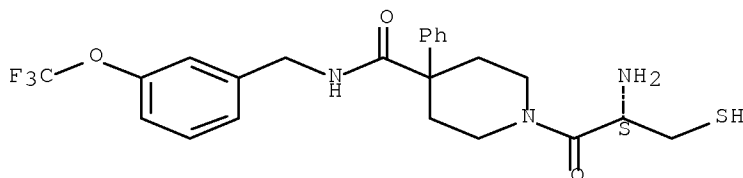
Absolute stereochemistry.



RN 256367-69-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

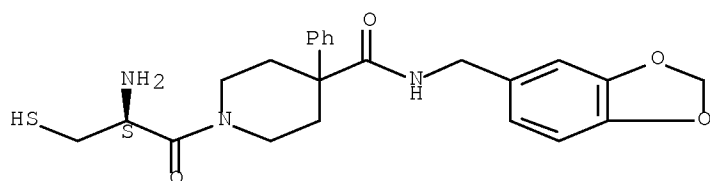
Absolute stereochemistry.



RN 256367-70-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(1,3-benzodioxol-5-ylmethyl)-4-phenyl- (CA INDEX NAME)

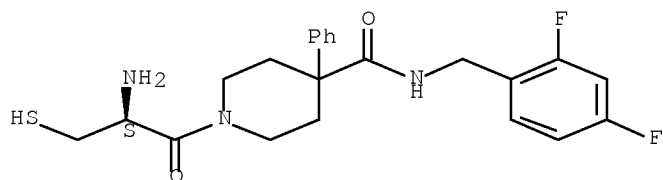
Absolute stereochemistry.



RN 256367-71-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(2,4-difluorophenyl)methyl]-4-phenyl- (CA INDEX NAME)

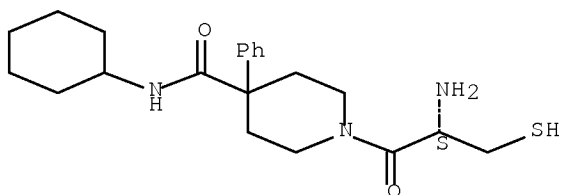
Absolute stereochemistry.



RN 256367-72-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-cyclohexyl-4-phenyl- (CA INDEX NAME)

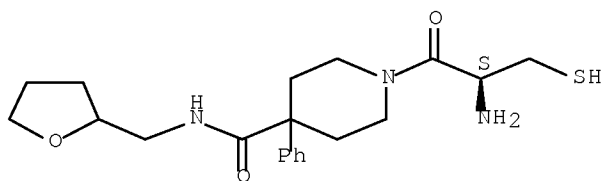
Absolute stereochemistry.



RN 256367-73-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)

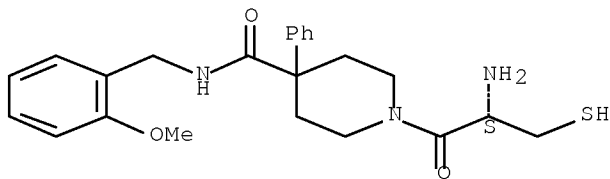
Absolute stereochemistry.



RN 256367-74-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)

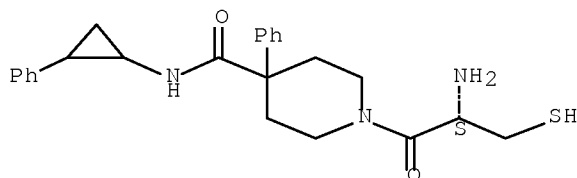
Absolute stereochemistry.



RN 256367-75-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(2-phenylcyclopropyl)methyl]-4-phenyl- (CA INDEX NAME)

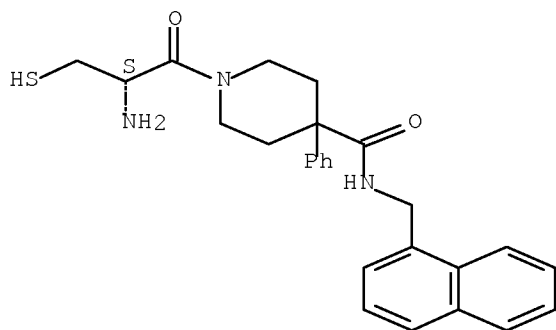
Absolute stereochemistry.



RN 256367-76-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(1-naphthalenyl)methyl]-4-phenyl- (CA INDEX NAME)

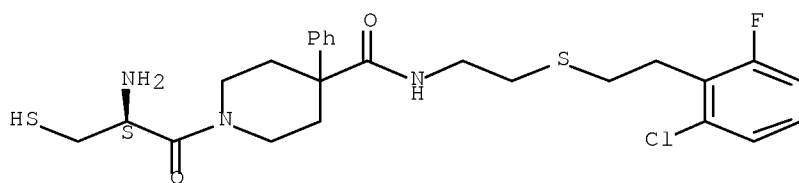
Absolute stereochemistry.



RN 256367-77-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[2-[[2-(2-chloro-6-fluorophenyl)ethyl]thio]ethyl]-4-phenyl- (CA INDEX NAME)

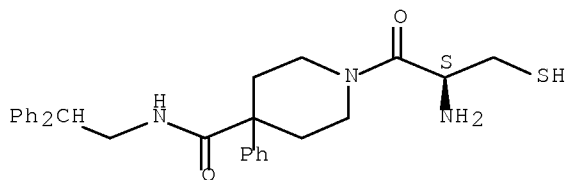
Absolute stereochemistry.



RN 256367-78-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(2,2-diphenylethyl)-4-phenyl- (CA INDEX NAME)

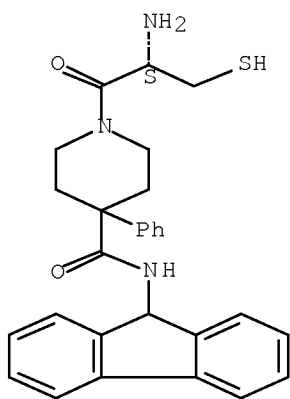
Absolute stereochemistry.



RN 256367-79-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-9H-fluoren-9-yl-4-phenyl- (CA INDEX NAME)

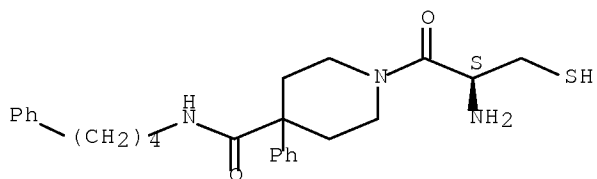
Absolute stereochemistry.



RN 256367-80-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-(4-phenylbutyl)- (CA INDEX NAME)

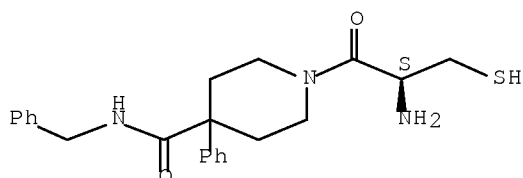
Absolute stereochemistry.



RN 256367-81-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)

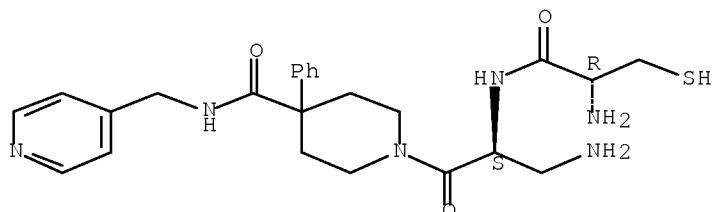
Absolute stereochemistry.



RN 256367-94-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-3-amino-2-[[(2R)-2-amino-3-mercapto-1-oxopropyl]amino]-1-oxopropyl]-4-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)

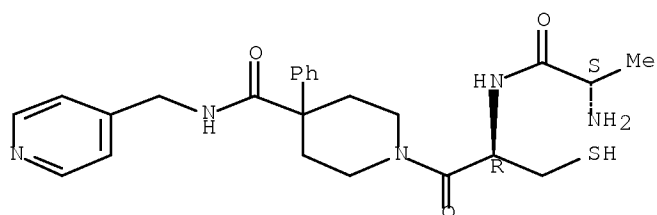
Absolute stereochemistry.



RN 256368-00-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-(L-alanyl-L-cysteiny)-4-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

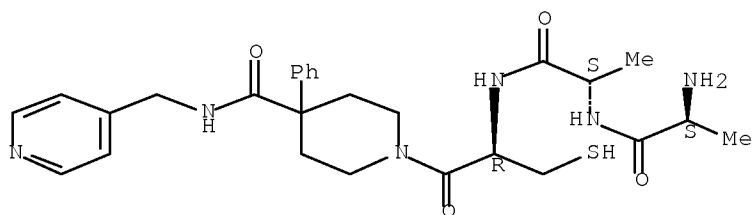
Absolute stereochemistry.



RN 256368-01-1 CAPLUS

CN L-Alaninamide, L-alanyl-N-[(1R)-1-(mercaptomethyl)-2-oxo-2-[4-phenyl-4-
[(4-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]ethyl]- (9CI) (CA
INDEX NAME)

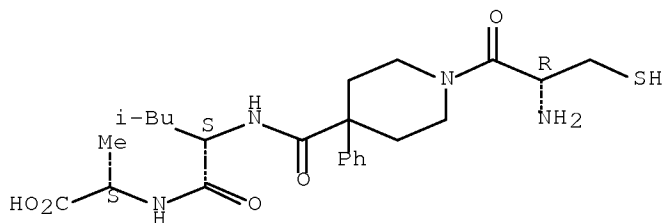
Absolute stereochemistry.



RN 256368-02-2 CAPLUS

CN L-Alanine, L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl- (9CI) (CA
INDEX NAME)

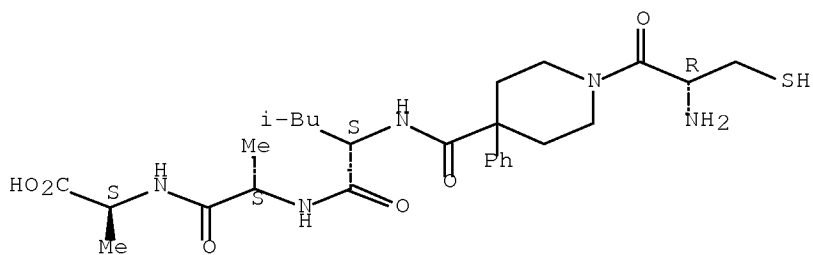
Absolute stereochemistry.



RN 256370-06-6 CAPLUS

CN L-Alanine, L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl-L-alanyl-
(9CI) (CA INDEX NAME)

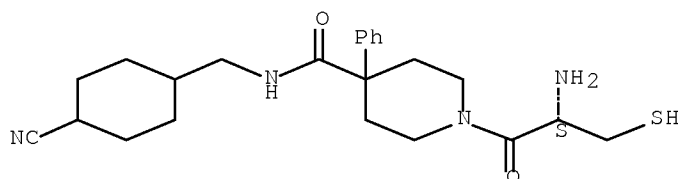
Absolute stereochemistry.



RN 256384-54-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(4-cyanocyclohexyl)methyl]-4-phenyl- (CA INDEX NAME)

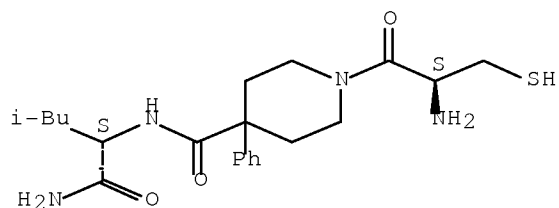
Absolute stereochemistry.



RN 443733-73-1 CAPLUS

CN 4-Piperidinecarboxamide, N-[(1S)-1-(aminocarbonyl)-3-methylbutyl]-1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



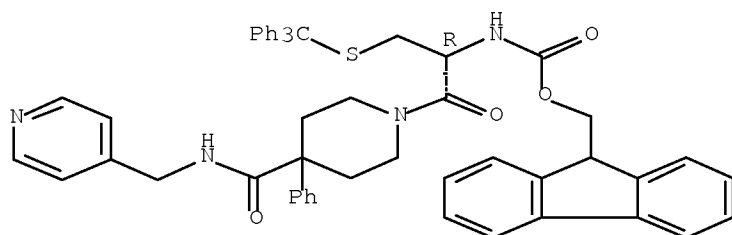
IT 256368-77-1 443733-77-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(compsn. and methods for inhibiting fungal growth using
geranylgeranylproteintransferase inhibitors)

RN 256368-77-1 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-2-[4-phenyl-4-[[4-(pyridinylmethyl)amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

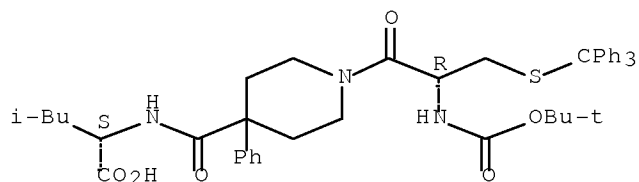


RN 443733-77-5 CAPLUS

CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-L-

cysteinyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 256368-41-9P 256368-42-0P 256368-43-1P
 256368-44-2P 256368-45-3P 256368-46-4P
 256368-47-5P 256368-48-6P 256368-50-0P
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 256368-60-2P 256368-61-3P 256368-62-4P
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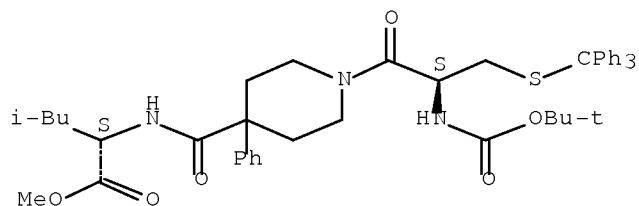
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(compns. and methods for inhibiting fungal growth using geranylgeranylproteintransferase inhibitors)

RN 256368-41-9 CAPLUS

CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-D-cysteinyl-4-phenyl-4-piperidinecarbonyl-, methyl ester (9CI) (CA INDEX NAME)

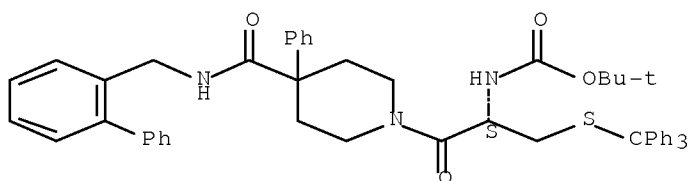
Absolute stereochemistry.



RN 256368-42-0 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(1,1'-biphenyl)-2-ylmethyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

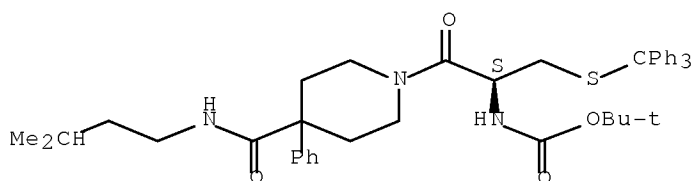
Absolute stereochemistry.



RN 256368-43-1 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(3-methylbutyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

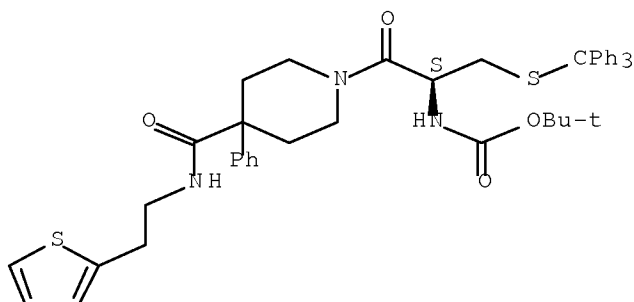
Absolute stereochemistry.



RN 256368-44-2 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[2-(2-thienyl)ethyl]amino]carbonyl]-1-piperidinyl]-1-[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

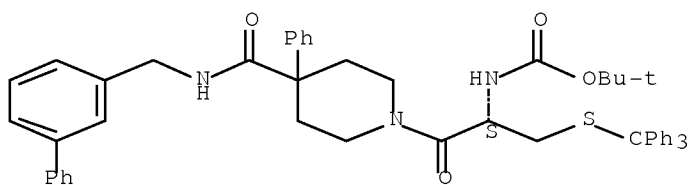
Absolute stereochemistry.



RN 256368-45-3 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[([1,1'-biphenyl]-3-ylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

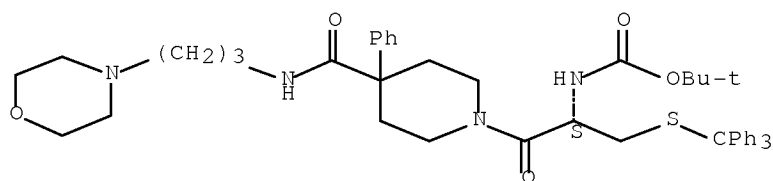
Absolute stereochemistry.



RN 256368-46-4 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[3-(4-morpholinyl)propyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

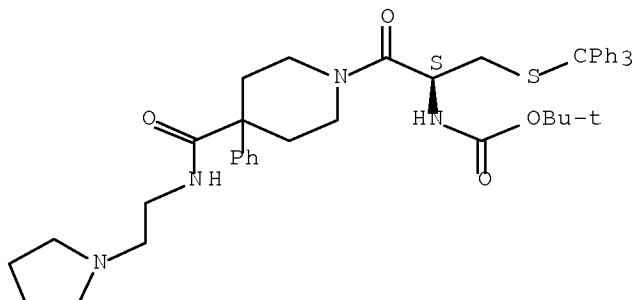
Absolute stereochemistry.



RN 256368-47-5 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[2-(1-pyrrolidinyl)ethyl]amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

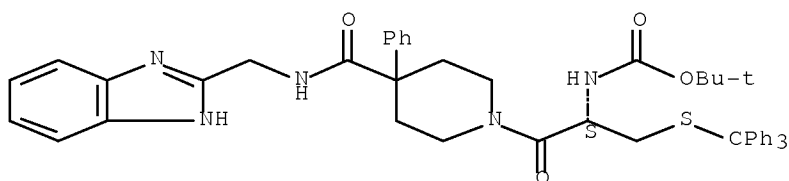
Absolute stereochemistry.



RN 256368-48-6 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

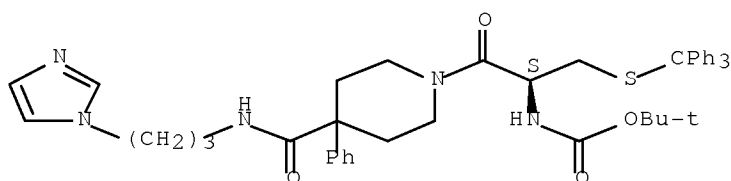
Absolute stereochemistry.



RN 256368-50-0 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[3-(1H-imidazol-1-yl)propyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

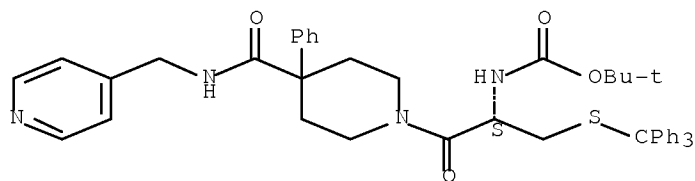
Absolute stereochemistry.



RN 256368-51-1 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[(4-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

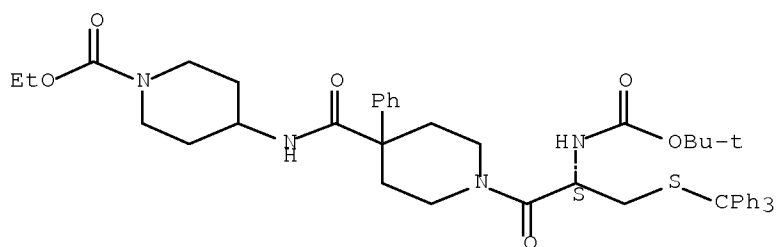
Absolute stereochemistry.



RN 256368-52-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[1-[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-[(triphenylmethyl)thio]propyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

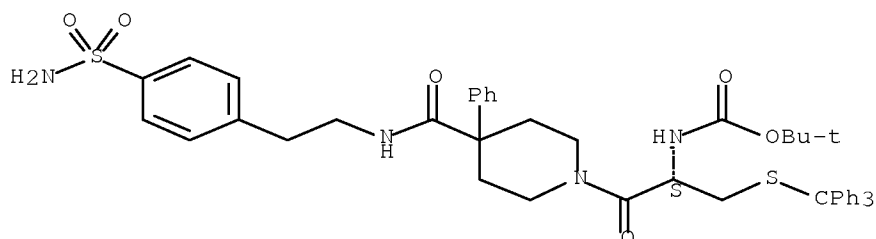
Absolute stereochemistry.



RN 256368-53-3 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[2-[4-(aminosulfonyl)phenyl]ethyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

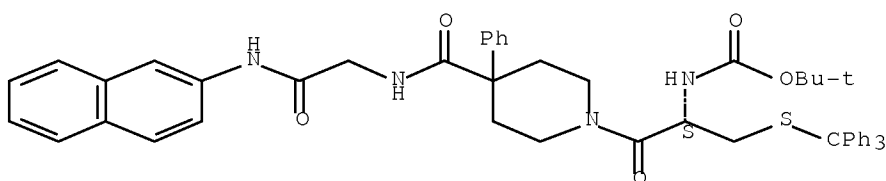
Absolute stereochemistry.



RN 256368-54-4 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[2-(2-naphthalenylamino)-2-oxoethyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

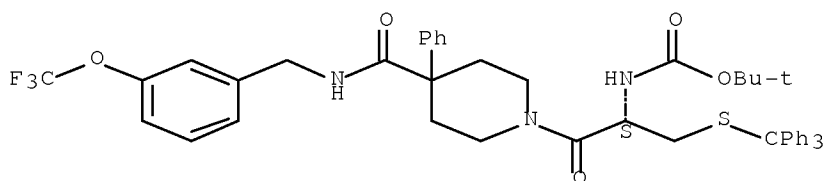
Absolute stereochemistry.



RN 256368-55-5 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[3-(trifluoromethoxy)phenyl]methyl]amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

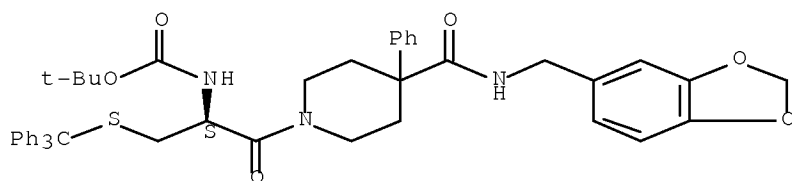
Absolute stereochemistry.



RN 256368-56-6 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

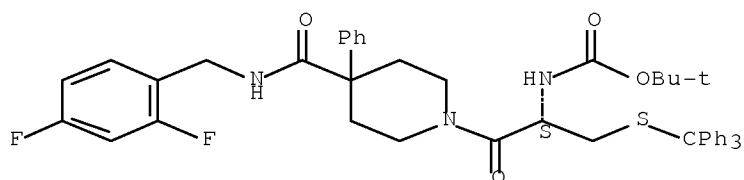
Absolute stereochemistry.



RN 256368-57-7 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(2,4-difluorophenyl)methyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

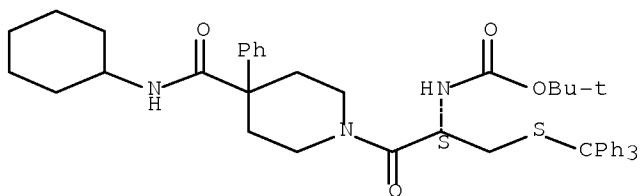
Absolute stereochemistry.



RN 256368-58-8 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[(cyclohexylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

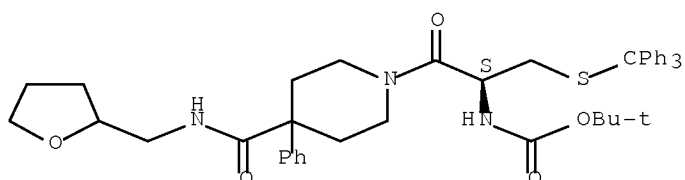
Absolute stereochemistry.



RN 256368-59-9 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

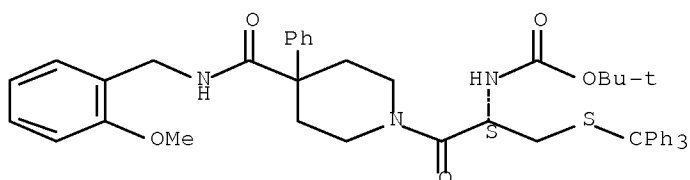
Absolute stereochemistry.



RN 256368-60-2 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(2-methoxyphenyl)methyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

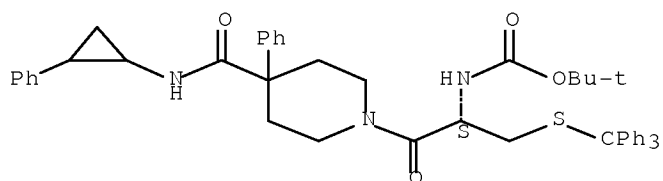
Absolute stereochemistry.



RN 256368-61-3 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[(2-phenylcyclopropyl)amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

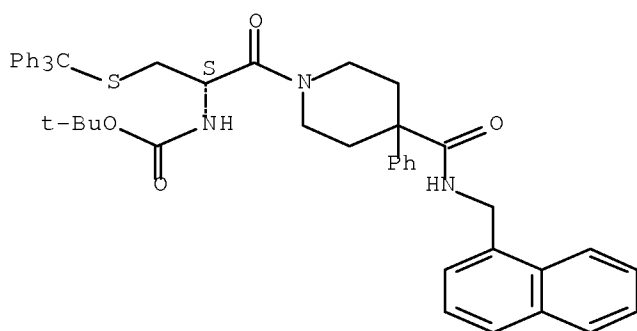
Absolute stereochemistry.



RN 256368-62-4 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(1-naphthalenylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

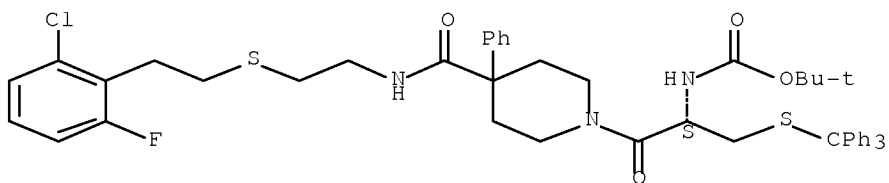
Absolute stereochemistry.



RN 256368-63-5 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[2-[[2-(2-chloro-6-fluorophenyl)ethyl]thio]ethyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

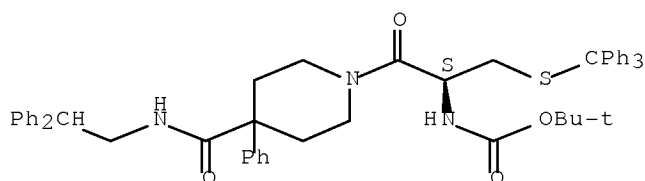
Absolute stereochemistry.



RN 256368-64-6 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(2,2-diphenylethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

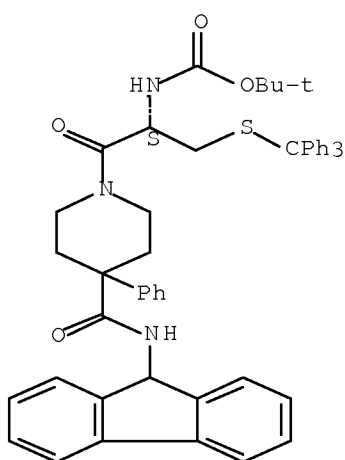
Absolute stereochemistry.



RN 256368-65-7 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[(9H-fluoren-9-ylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[triphenylmethyl]thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

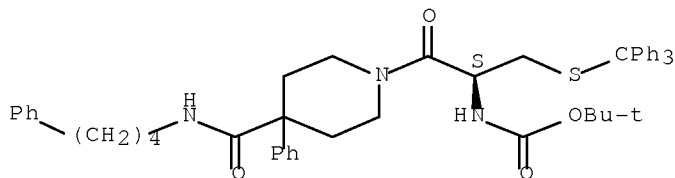
Absolute stereochemistry.



RN 256368-66-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[4-phenylbutyl]amino]carbonyl]-1-piperidinyl]-1-[[triphenylmethyl]thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

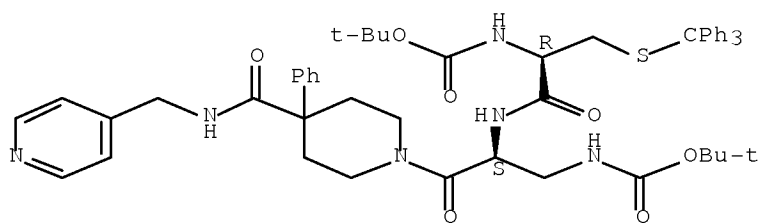
Absolute stereochemistry.



RN 256368-72-6 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-2-[4-phenyl-4-[[4-pyridinylmethyl]amino]carbonyl]-1-piperidinyl]ethyl]amino]-2-oxo-1-[[triphenylmethyl]thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

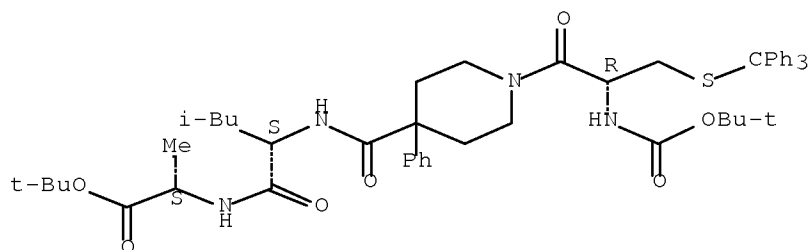
Absolute stereochemistry.



RN 256368-78-2 CAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

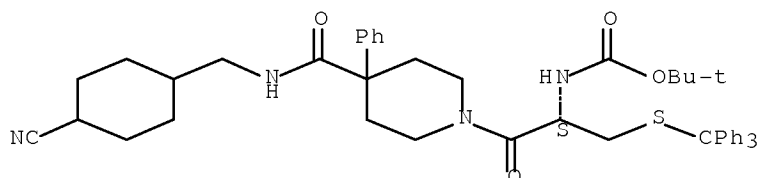
Absolute stereochemistry.



RN 256384-55-1 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(4-cyanocyclohexyl)methyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 443733-72-0 CAPLUS

CN L-Leucinamide, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-D-cysteinyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

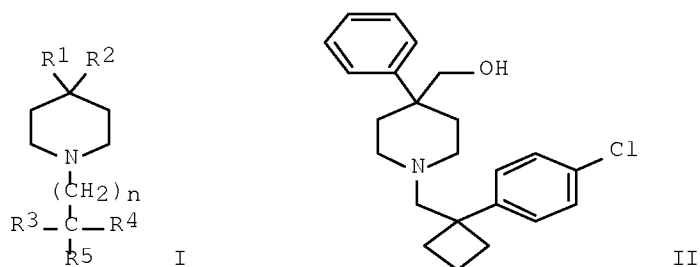
Absolute stereochemistry.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046156	A2	20020613	WO 2001-US47036	20011204
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
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US 20020177607	A1	20021128	US 2001-12182	20011204
US 6656953	B2	20031202		
US 20040142974	A1	20040722	US 2003-722114	20031125
US 7217823	B2	20070515		
US 20070225331	A1	20070927	US 2007-803670	20070514
PRIORITY APPLN. INFO.:			US 2000-251651P	P 20001206
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OTHER SOURCE(S): MARPAT 137:33220

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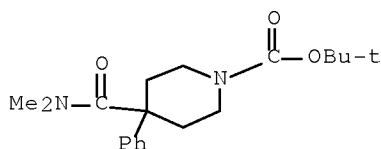
AB One aspect of the invention relates to the title compds. I [wherein R = H, alkyl, aralkyl, cycloalkyl, alkenyl, aryl, heteroaryl, acyl, or sulfonyl; R1 = aryl, or heteroaryl; R2 = RO-alkyl, (R)2N-alkyl, RS-alkyl, RO-cycloalkyl, (R)2N-cycloalkyl, or RS-cycloalkyl; R3 = H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, OR, or F; R4 = H, alkyl, cycloalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, OR, or F; R5 = an aryl or heteroaryl group; R3 and R4 may be connected through a covalent bond; n = 0, 1, or 2; any stereocenter can be (R), (S), or a mixture]. A second aspect of the invention relates to the use of I as ligands for various mammalian cellular receptors, including dopamine transporters. More broadly, I are (to varying degrees) ligands of dopamine, serotonin, and norepinephrine receptors and transporters. Thereby, I will find use in the treatment of, among others, addiction, anxiety, depression, sexual dysfunction, hypertension, migraine, Alzheimer's disease, obesity, emesis, psychosis, analgesia, schizophrenia, Parkinson's disease, restless leg syndrome, sleeping disorders, attention deficit hyperactivity disorder, irritable bowel syndrome, premature ejaculation, menstrual dysphoria syndrome, urinary incontinence, inflammatory pain, neuropathic pain, Lesche-Nyhan disease, Wilson's disease, and Tourette's syndrome. An addnl. aspect of the invention (no claims or data) relates to the synthesis of combinatorial libraries of I, and the screening of those libraries for biol. activity, e.g., in assays based on dopamine transporters. Examples include approx. 14 compds. I, synthetic details for most of these, some biol. activity data for all exemplified I, and syntheses of various intermediates. For instance, 4-phenylpiperidine-4-carboxylic acid (tosylate salt) underwent a sequence of: (1) N-protection with Cbz, (2) borane reduction of the acid to an alc., (3) protection of the alc. as a TBDMS ether, (4) removal of Cbz from nitrogen, (5) N-acylation with 1-(4-chlorophenyl)cyclobutanecarboxylic acid using PyBOP, NMM, and DMAP, (6)

reduction of the amide to an amine using LiAlH₄ in THF, and (7) desilylation, to give title compound II. The latter compound bound to norepinephrine transporter (NET) and dopamine transporter (DAT) with IC₅₀ values of <0.1 μM, and at 5-HT transporter (5-HTT) with IC₅₀ of <1 μM.

IT 167263-16-3P, 4-(Dimethylcarbamoyl)-4-phenylpiperidine-1-carboxylic acid tert-butyl ester 436162-36-6P, 4-(Phenethylcarbamoyl)-4-phenylpiperidine-1-carboxylic acid benzyl ester 436162-37-7P, 4-[(Phenethylamino)methyl]-4-phenylpiperidine-1-carboxylic acid benzyl ester 436162-38-8P, 4-[[tert-Butoxycarbonyl(phenethyl)amino]methyl]-4-phenylpiperidine-1-carboxylic acid benzyl ester 436162-40-2P, [[1-[[1-(4-Methoxyphenyl)cyclopropyl]carbonyl]-4-phenylpiperidin-4-yl]methyl](phenethyl)carbamic acid tert-butyl ester 436162-42-4P, 1-[[1-(4-Chlorophenyl)cyclobutyl]carbonyl]-4-phenylpiperidine-4-carboxylic acid dimethylamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of 4-aryl-1-(arylalkyl)piperidine-4-methanols and derivs. as ligands of dopamine, serotonin, and norepinephrine receptors and transporters)

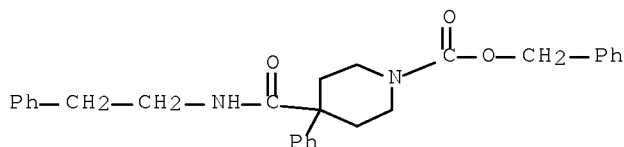
RN 167263-16-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



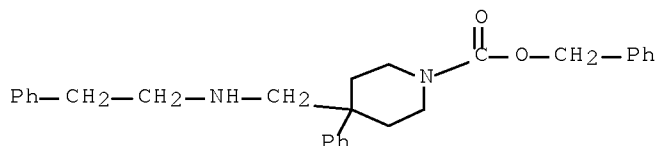
RN 436162-36-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[2-phenylethyl)amino]carbonyl]-, phenylmethyl ester (CA INDEX NAME)

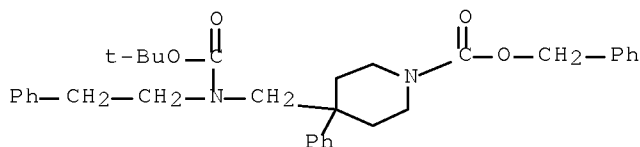


RN 436162-37-7 CAPLUS

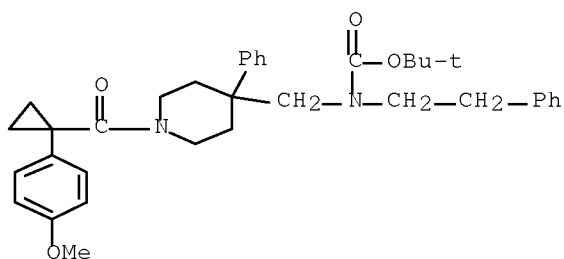
CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[2-phenylethyl)amino]methyl]-, phenylmethyl ester (CA INDEX NAME)



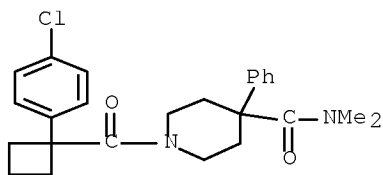
RN 436162-38-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(1,1-dimethylethoxy)carbonyl](2-phenylethyl)amino]methyl]-4-phenyl-, phenylmethyl ester (CA INDEX NAME)



RN 436162-40-2 CAPLUS
 CN Carbamic acid, [[1-[[1-(4-methoxyphenyl)cyclopropyl]carbonyl]-4-phenyl-4-piperidinyl]methyl](2-phenylethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



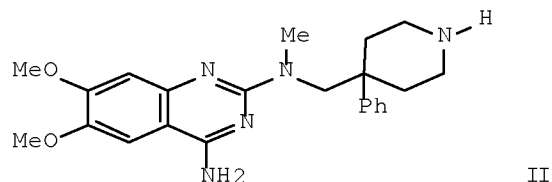
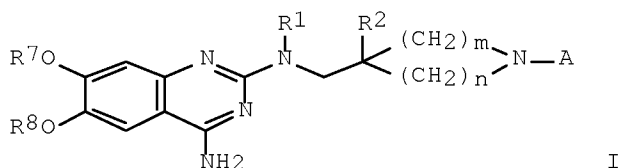
RN 436162-42-4 CAPLUS
 CN 4-Piperidinecarboxamide, 1-[[1-(4-chlorophenyl)cyclobutyl]carbonyl]-N,N-dimethyl-4-phenyl- (CA INDEX NAME)



L3 ANSWER 56 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:171864 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 136:232312
 TITLE: Preparation of dialkoxyaminoquinazolines as alpha-1 adrenergic antagonists
 INVENTOR(S): Becker, Cyrus Kephra; Melville, Chris Richard; Pfister, Juerg Roland; Zhang, Xiaoming

PATENT ASSIGNEE(S): F. Hoffmann-La Roche Ag, Switz.
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018348	A2	20020307	WO 2001-EP9749	20010823
WO 2002018348	A3	20020711		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2420177	A1	20020307	CA 2001-2420177	20010823
AU 2001093788	A	20020313	AU 2001-93788	20010823
EP 1315714	A2	20030604	EP 2001-974210	20010823
EP 1315714	B1	20051109		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013585	A	20030729	BR 2001-13585	20010823
JP 2004507527	T	20040311	JP 2002-523466	20010823
JP 3971299	B2	20070905		
CN 1545510	A	20041110	CN 2001-816595	20010823
AT 309240	T	20051115	AT 2001-974210	20010823
ES 2251512	T3	20060501	ES 2001-974210	20010823
AU 2001293788	B2	20071011	AU 2001-293788	20010823
US 20020045614	A1	20020418	US 2001-942385	20010829
US 6559153	B2	20030506		
ZA 2003001082	A	20040507	ZA 2003-1082	20030207
MX 2003PA01777	A	20030604	MX 2003-PA1777	20030227
PRIORITY APPLN. INFO.:				
			US 2000-229503P	P 20000831
			WO 2001-EP9749	W 20010823
OTHER SOURCE(S): MARPAT 136:232312				
GI				



AB Title compds. I [R1 = H, alkyl; R2 = alkyl, (un)substituted heterocyclyl, heteroaryl or aryl; R7 and R8 independently = alkyl; A = H, (CH2)0-1R3, COR3, SO2R3, CO2R3, CONR4R5, SO2NR4R5, C(NR6)R5 or C(NR6)NR4R5; R3 = (un)substituted alkyl, aryl, arylalkyl, heteroaryl, etc.; R4 and R5 independently = H, or R4R5 together form 5-7 membered cycloalkyl or heterocyclyl; R6 = H, alkyl, CN; n = 0-2 and m = 0-3 wherein m + n ≥ 2] or prodrugs, individual isomers, racemic or non-racemic mixts. of isomers, or pharmaceutically acceptable salts or solvates thereof are prepared and disclosed as alpha-1B adrenergic receptor antagonists. Thus, II was prepared via substitution of 2-chloro-6,7-dimethyl-quinazolin-4-ylamine with (1-benzyl-4-phenyl-piperidin-4-ylmethyl)-methylamine, followed by N-debenzilation. II possessed a pKi of 7.99 toward alpha-1B, pKi of 6.52 toward alpha-1A, and pKi of 6.60 toward alpha-1D. The invention further relates to pharmaceutical compns. containing I and the use of such compds. in the control and prevention of diseases, such as disorders of the urinary tract, sexual dysfunction, pain, or disorders of the central nervous system.

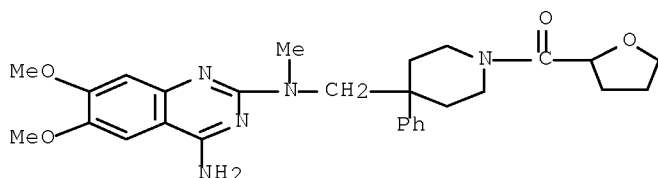
IT 403512-86-7P 403513-21-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(target compound; preparation of alpha-1 adrenergic antagonists
N-piperidinylmethylaminodialkoxyquinazolines and subsequent
N-derivatization of piperidine moiety)

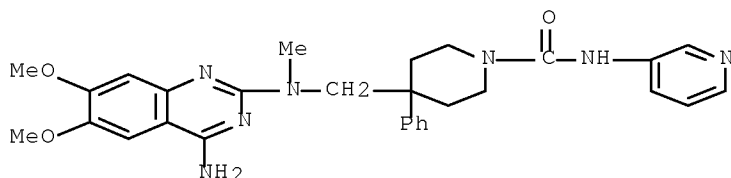
RN 403512-86-7 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl](tetrahydro-2-furanyl)- (CA INDEX NAME)



RN 403513-21-3 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-N-3-pyridinyl]- (CA INDEX NAME)



IT 403512-42-5P 403512-43-6P 403512-44-7P
403512-47-0P 403512-48-1P 403512-49-2P
403512-50-5P 403512-52-7P 403512-53-8P

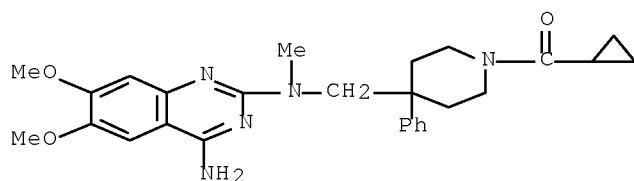
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 403512-74-3P 403512-87-8P 403512-88-9P
 403512-89-0P 403512-90-3P 403512-91-4P
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 403513-08-6P 403513-09-7P 403513-10-0P
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 403513-18-8P 403513-20-2P 403513-22-4P
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 403513-38-2P 403513-39-3P 403513-55-3P
 403513-64-4P 403513-80-4P 403516-44-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(target compound; preparation of alpha-1 adrenergic antagonists
 N-piperidinylmethylaminodialkoxyquinazolines and subsequent
 N-derivatization of piperidine moiety)

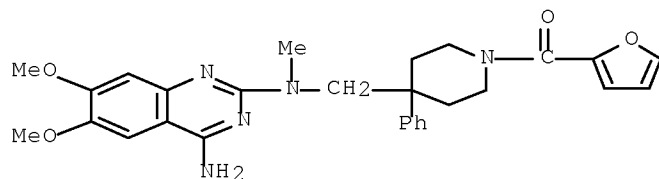
RN 403512-42-5 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-
 4-phenyl-1-piperidinyl]cyclopropyl- (CA INDEX NAME)



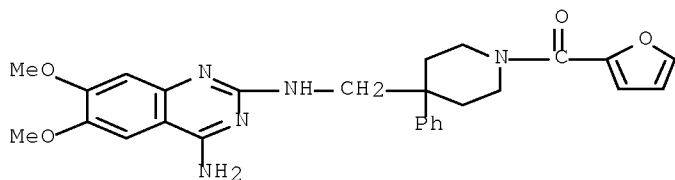
RN 403512-43-6 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-
 4-phenyl-1-piperidinyl]-2-furanyl- (CA INDEX NAME)



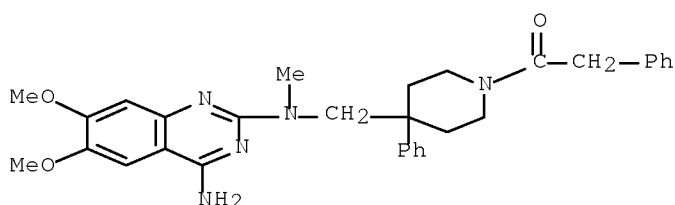
RN 403512-44-7 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)amino]methyl]-4-
 phenyl-1-piperidinyl]-2-furanyl- (CA INDEX NAME)



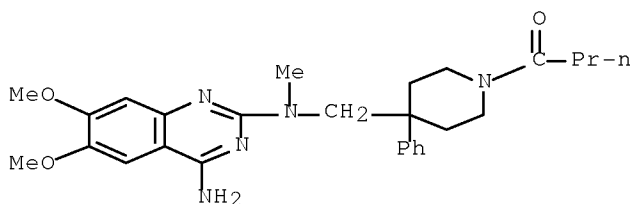
RN 403512-47-0 CAPLUS

CN Ethanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-2-phenyl- (CA INDEX NAME)



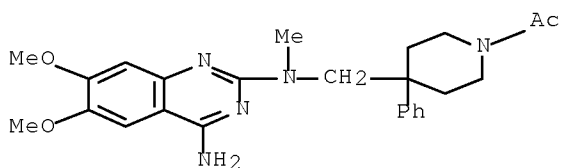
RN 403512-48-1 CAPLUS

CN 1-Butanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]- (CA INDEX NAME)



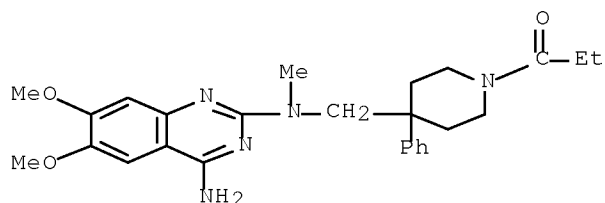
RN 403512-49-2 CAPLUS

CN Ethanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]- (CA INDEX NAME)



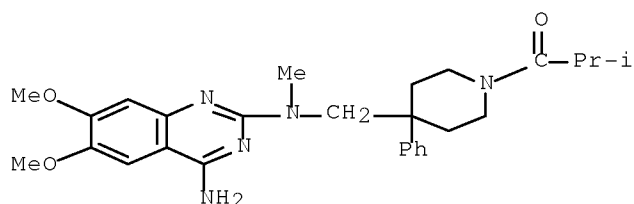
RN 403512-50-5 CAPLUS

CN 1-Propanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]- (CA INDEX NAME)



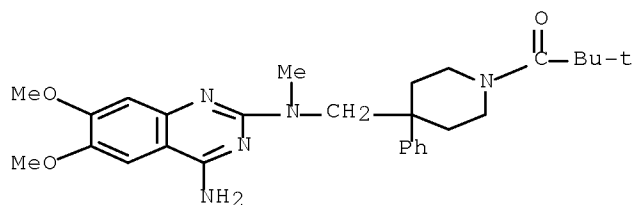
RN 403512-52-7 CAPLUS

CN 1-Propanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-2-methyl- (CA INDEX NAME)



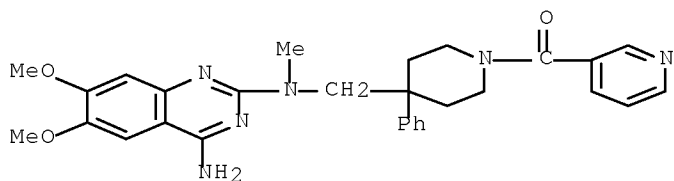
RN 403512-53-8 CAPLUS

CN 1-Propanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-2,2-dimethyl- (CA INDEX NAME)



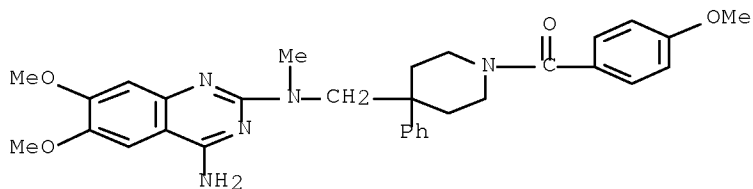
RN 403512-54-9 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-pyridinyl- (CA INDEX NAME)



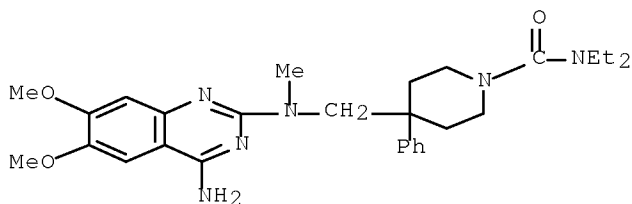
RN 403512-55-0 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl](4-methoxyphenyl)- (CA INDEX NAME)



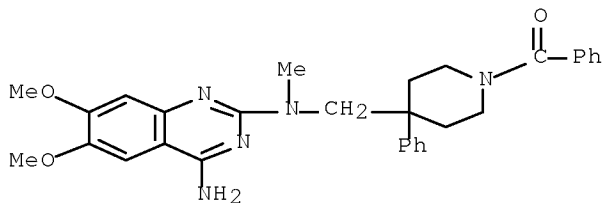
RN 403512-56-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-N,N-diethyl-4-phenyl- (CA INDEX NAME)



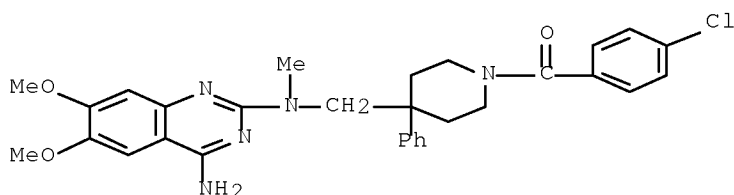
RN 403512-57-2 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]phenyl- (CA INDEX NAME)



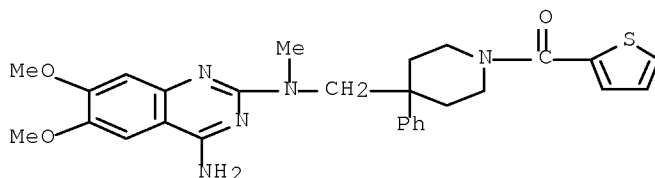
RN 403512-58-3 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl](4-chlorophenyl)- (CA INDEX NAME)



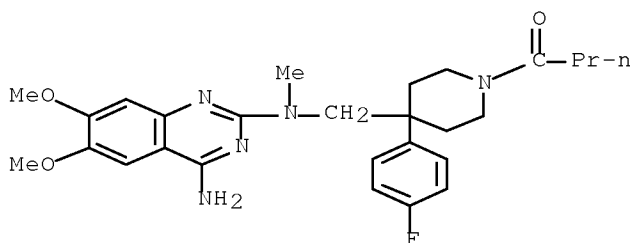
RN 403512-59-4 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]-2-thienyl- (CA INDEX NAME)



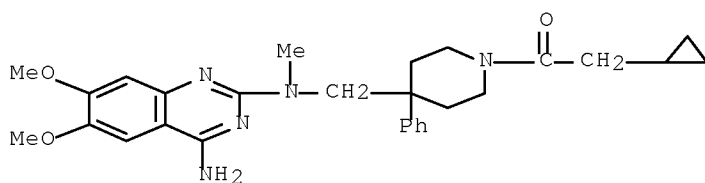
RN 403512-60-7 CAPLUS

CN 1-Butanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-(4-fluorophenyl)-1-piperidinyl]- (CA INDEX NAME)



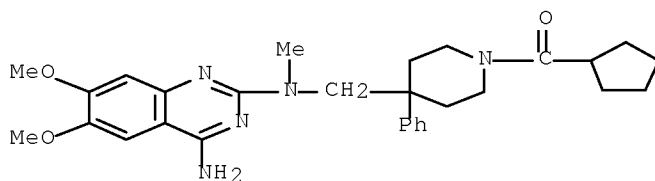
RN 403512-69-6 CAPLUS

CN Ethanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]-2-cyclopropyl- (CA INDEX NAME)



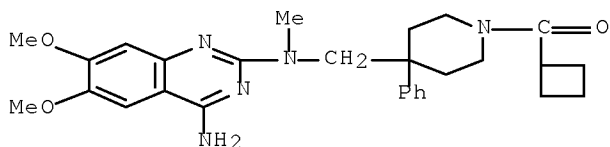
RN 403512-70-9 CAPLUS

CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]cyclopentyl- (CA INDEX NAME)



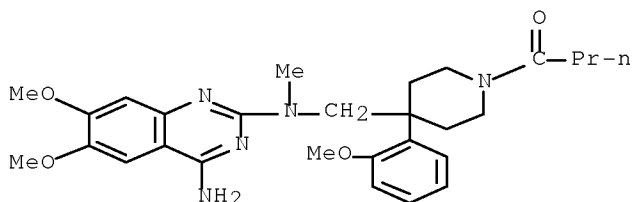
RN 403512-71-0 CAPLUS

CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]cyclobutyl- (CA INDEX NAME)



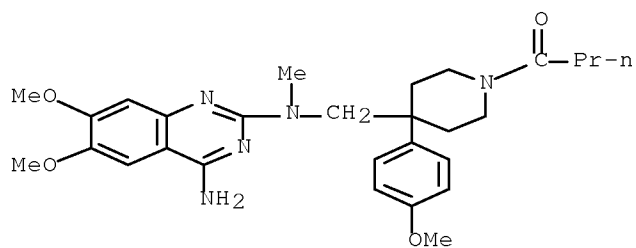
RN 403512-72-1 CAPLUS

CN 1-Butanone, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-(2-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)



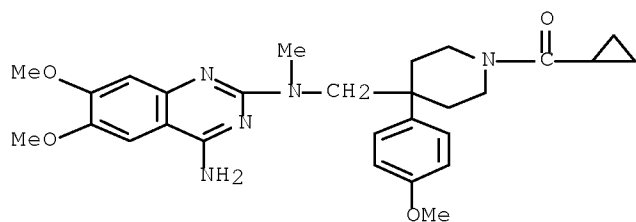
RN 403512-73-2 CAPLUS

CN 1-Butanone, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-(4-methoxyphenyl)-1-piperidinyl]- (CA INDEX NAME)



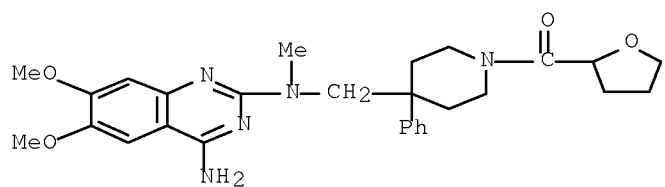
RN 403512-74-3 CAPLUS

CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-(4-methoxyphenyl)-1-piperidinyl]cyclopropyl- (CA INDEX NAME)



RN 403512-87-8 CAPLUS

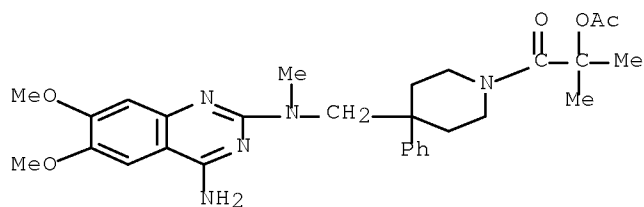
CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl](tetrahydro-2-furanyl)-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

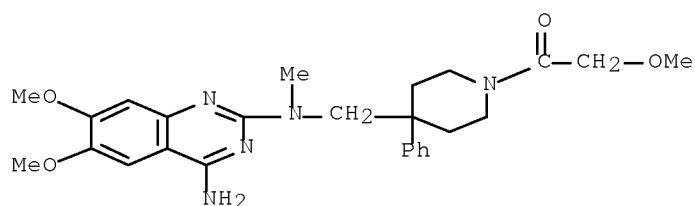
RN 403512-88-9 CAPLUS

CN 1-Propanone, 2-(acetyloxy)-1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-2-methyl- (CA INDEX NAME)



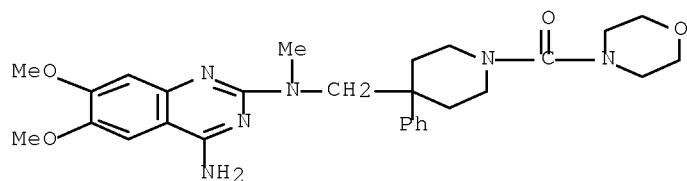
RN 403512-89-0 CAPLUS

CN Ethanone, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidiny]-2-methoxy- (CA INDEX NAME)



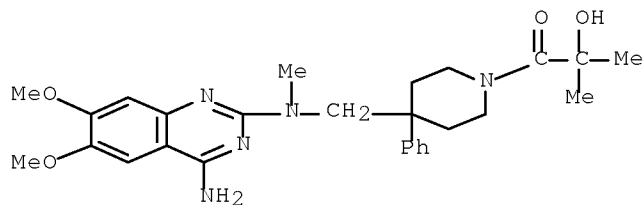
RN 403512-90-3 CAPLUS

CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidiny]-4-morpholinyl- (CA INDEX NAME)

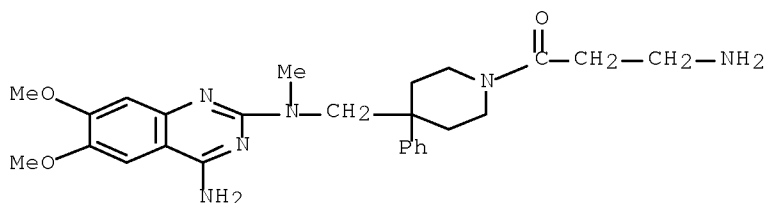


RN 403512-91-4 CAPLUS

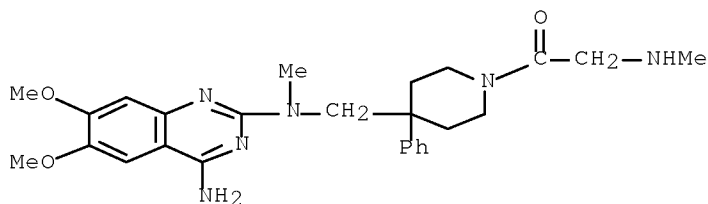
CN 1-Propanone, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidiny]-2-hydroxy-2-methyl- (CA INDEX NAME)



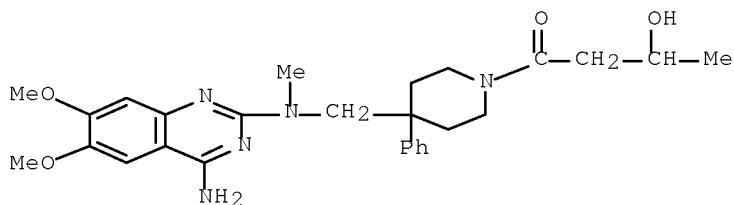
RN 403512-93-6 CAPLUS
 CN 1-Propanone, 3-amino-1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]- (CA INDEX NAME)



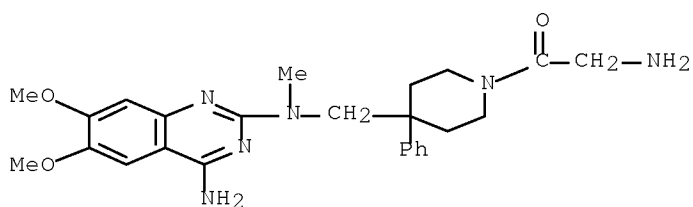
RN 403512-94-7 CAPLUS
 CN Ethanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-2-(methylamino)- (CA INDEX NAME)



RN 403512-95-8 CAPLUS
 CN 1-Butanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-hydroxy- (CA INDEX NAME)

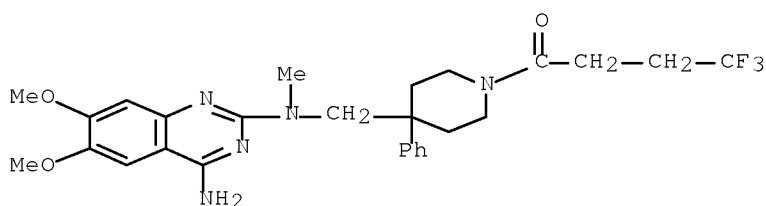


RN 403512-96-9 CAPLUS
 CN Ethanone, 2-amino-1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]- (CA INDEX NAME)



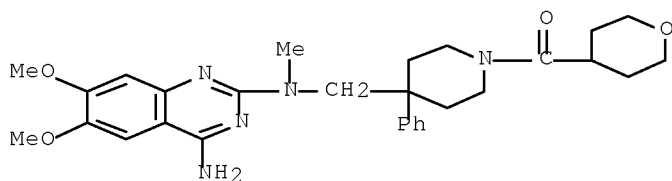
RN 403512-97-0 CAPLUS

CN 1-Butanone, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-4,4,4-trifluoro- (CA INDEX NAME)



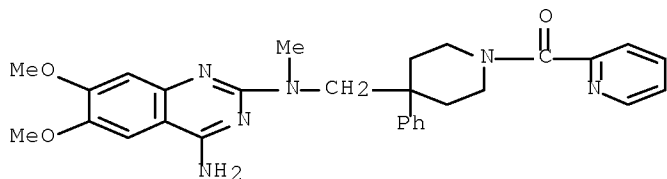
RN 403512-98-1 CAPLUS

CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl](tetrahydro-2H-pyran-4-yl)- (CA INDEX NAME)



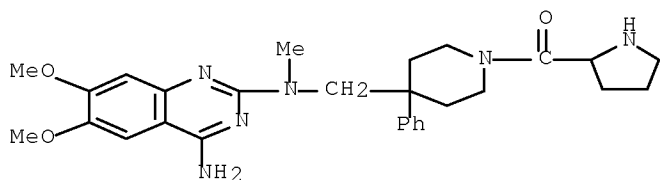
RN 403512-99-2 CAPLUS

CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-2-pyridinyl- (CA INDEX NAME)



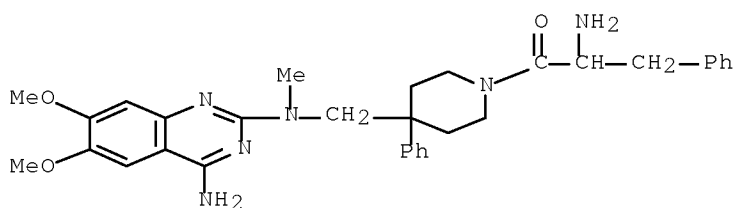
RN 403513-00-8 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]-2-pyrrolidinyl]- (CA INDEX NAME)



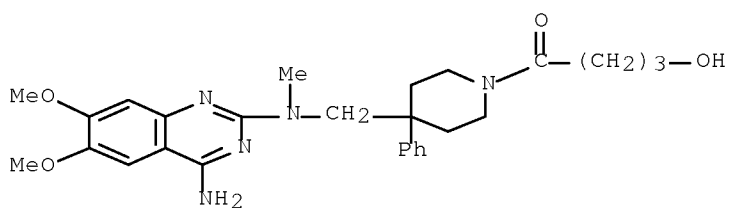
RN 403513-01-9 CAPLUS

CN 1-Propanone, 2-amino-1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]-3-phenyl]- (CA INDEX NAME)



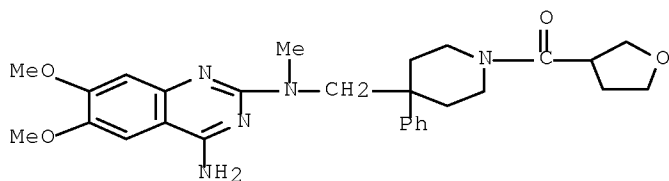
RN 403513-02-0 CAPLUS

CN 1-Butanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]-4-hydroxy]- (CA INDEX NAME)



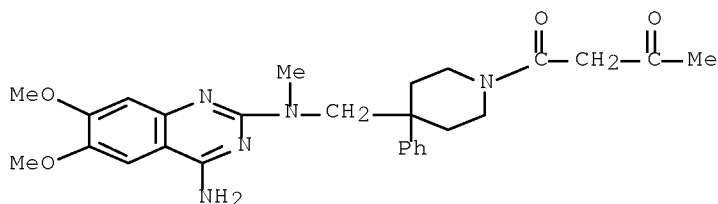
RN 403513-03-1 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl](tetrahydro-3-furanyl)- (CA INDEX NAME)



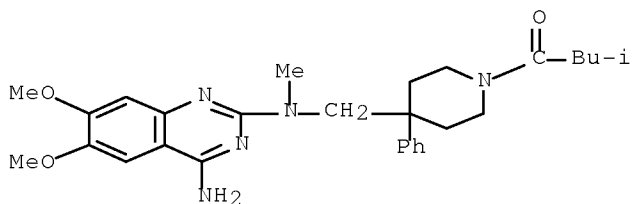
RN 403513-04-2 CAPLUS

CN 1,3-Butanedione, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]- (CA INDEX NAME)



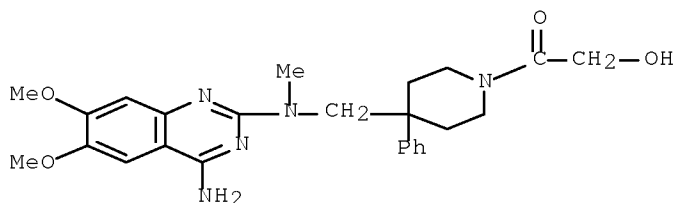
RN 403513-05-3 CAPLUS

CN 1-Butanone, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]-3-methyl- (CA INDEX NAME)



RN 403513-06-4 CAPLUS

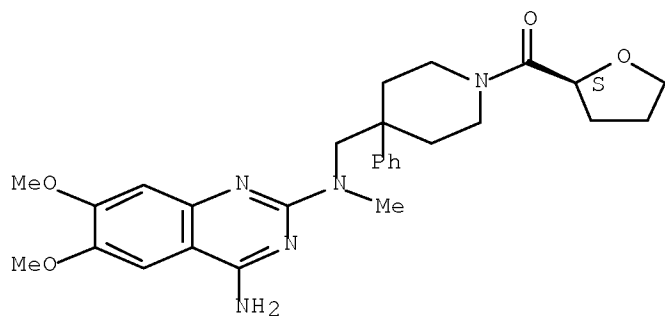
CN Ethanone, 1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino)methyl]-4-phenyl-1-piperidinyl]-2-hydroxy- (CA INDEX NAME)



RN 403513-07-5 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl][(2S)-tetrahydro-2-furanyl]- (CA INDEX NAME)

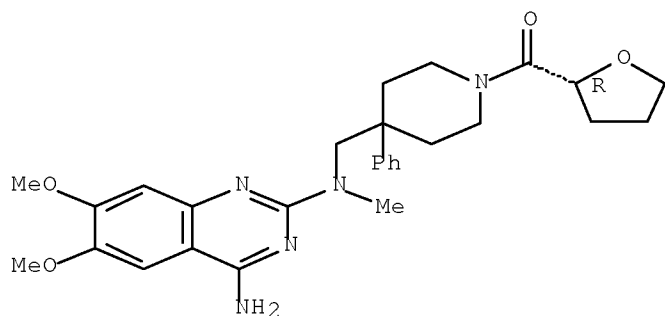
Absolute stereochemistry.



RN 403513-08-6 CAPLUS

CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl][(2R)-tetrahydro-2-furanyl]- (CA INDEX NAME)

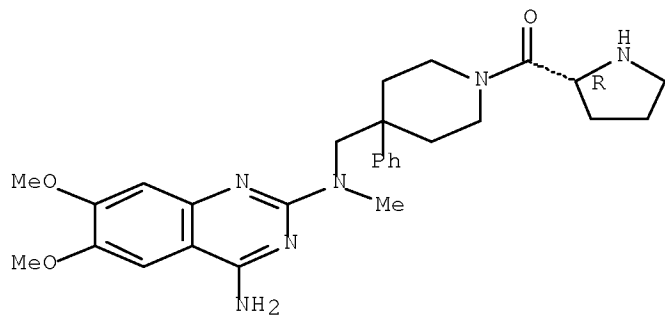
Absolute stereochemistry.



RN 403513-09-7 CAPLUS

CN 4-Piperidinemethanamine, N-(4-amino-6,7-dimethoxy-2-quinazolinyl)-N-methyl-4-phenyl-1-[(2R)-2-pyrrolidinylcarbonyl]- (9CI) (CA INDEX NAME)

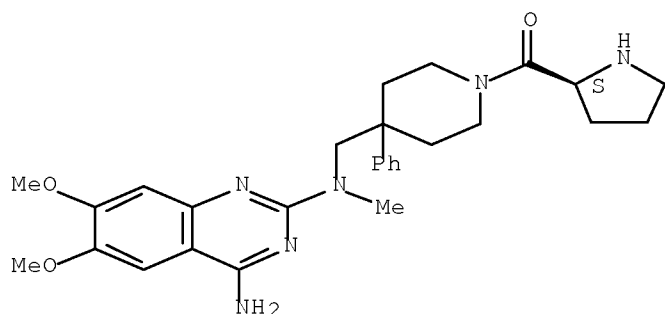
Absolute stereochemistry.



RN 403513-10-0 CAPLUS

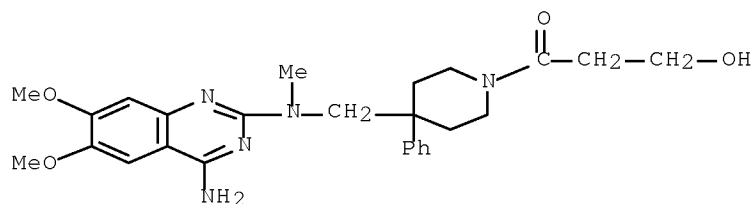
CN Methanone, [4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-(2S)-2-pyrrolidinyl- (CA INDEX NAME)

Absolute stereochemistry.



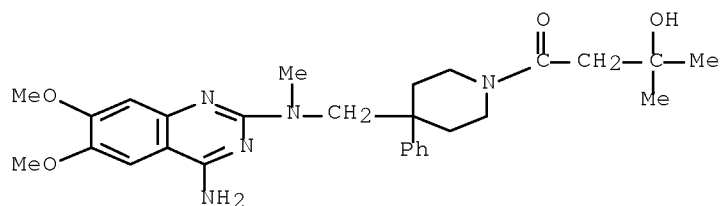
RN 403513-11-1 CAPLUS

CN 1-Propanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-hydroxy- (CA INDEX NAME)



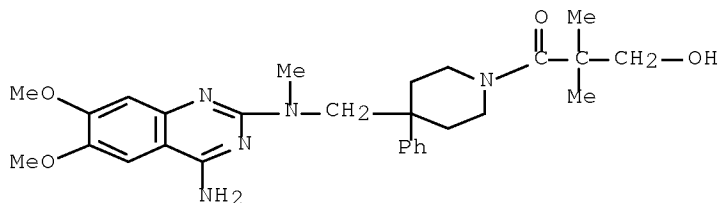
RN 403513-12-2 CAPLUS

CN 1-Butanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-hydroxy-3-methyl- (CA INDEX NAME)



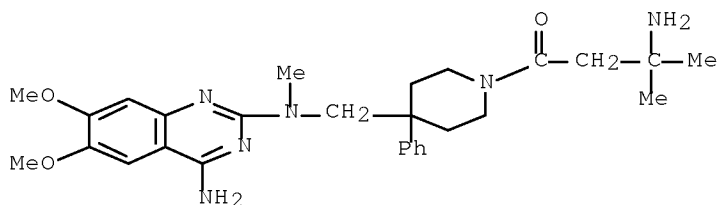
RN 403513-13-3 CAPLUS

CN 1-Propanone, 1-[4-[[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-hydroxy-2,2-dimethyl- (CA INDEX NAME)



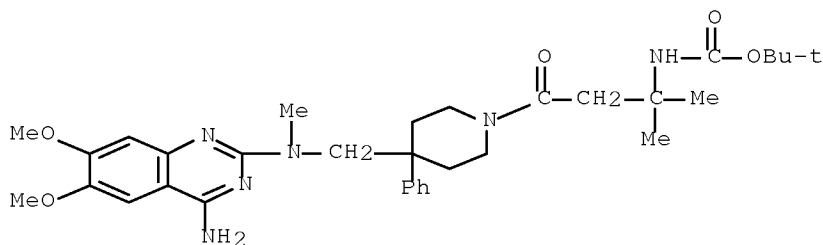
RN 403513-14-4 CAPLUS

CN 1-Butanone, 3-amino-1-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-methyl- (CA INDEX NAME)



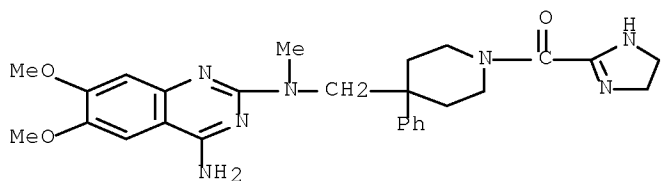
RN 403513-15-5 CAPLUS

CN Carbamic acid, [3-[4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-1,1-dimethyl-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 403513-16-6 CAPLUS

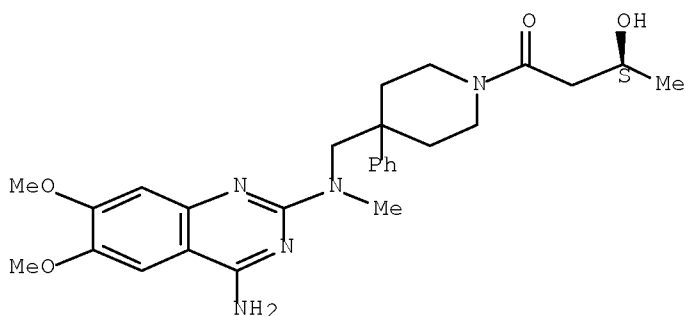
CN Methanone, [4-[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl](4,5-dihydro-1H-imidazol-2-yl)- (CA INDEX NAME)



RN 403513-18-8 CAPLUS

CN 1-Butanone, 1-[4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-hydroxy-, (3S)- (CA INDEX NAME)

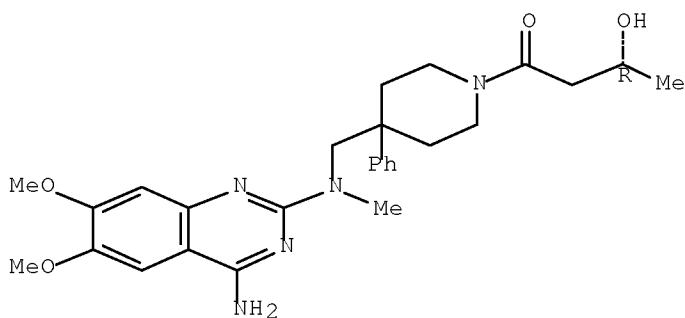
Absolute stereochemistry.



RN 403513-20-2 CAPLUS

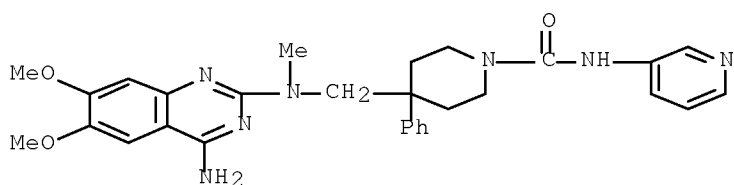
CN 1-Butanone, 1-[4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-3-hydroxy-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 403513-22-4 CAPLUS

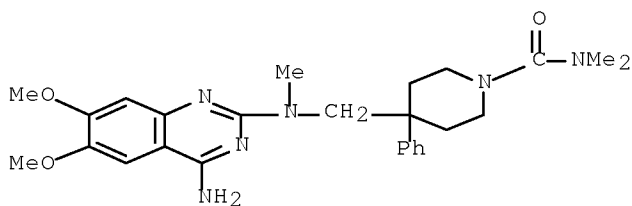
CN 1-Piperidinecarboxamide, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-N-3-pyridinyl-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

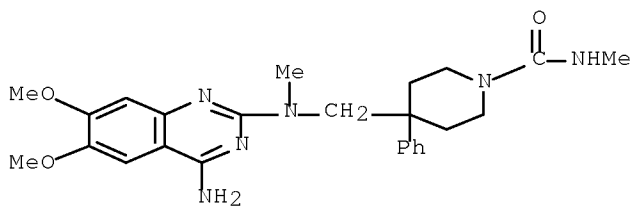
RN 403513-23-5 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-N,N-dimethyl-4-phenyl- (CA INDEX NAME)



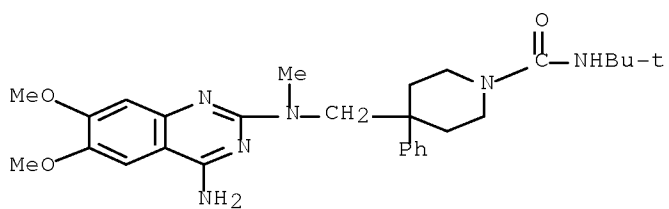
RN 403513-24-6 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-N-methyl-4-phenyl- (CA INDEX NAME)



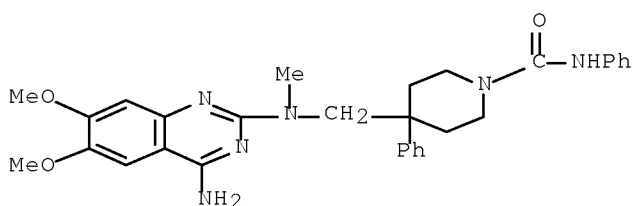
RN 403513-25-7 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-N-(1,1-dimethylethyl)-4-phenyl- (CA INDEX NAME)



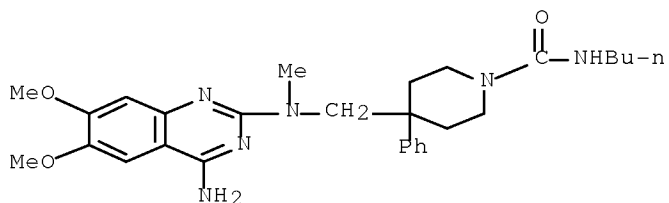
RN 403513-26-8 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-N,4-diphenyl- (CA INDEX NAME)



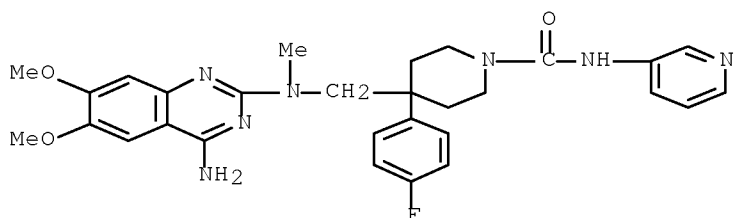
RN 403513-27-9 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-N-butyl-4-phenyl- (CA INDEX NAME)



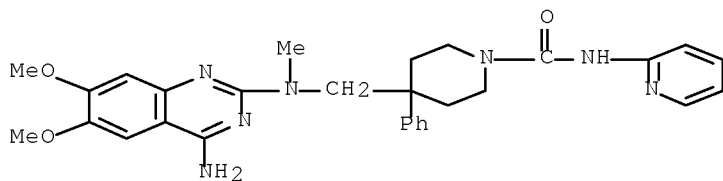
RN 403513-37-1 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-(4-fluorophenyl)-N-3-pyridinyl- (CA INDEX NAME)



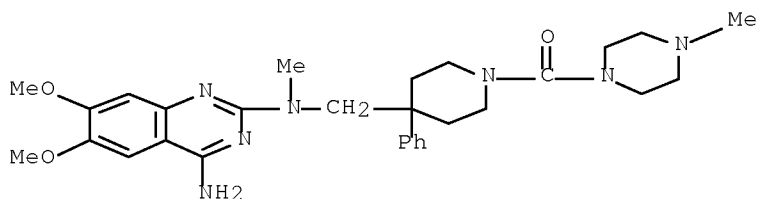
RN 403513-38-2 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-N-2-pyridinyl- (CA INDEX NAME)



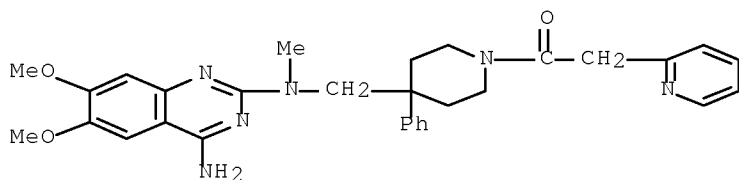
RN 403513-39-3 CAPLUS

CN Methanone, [4-[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl] (4-methyl-1-piperazinyl)- (CA INDEX NAME)



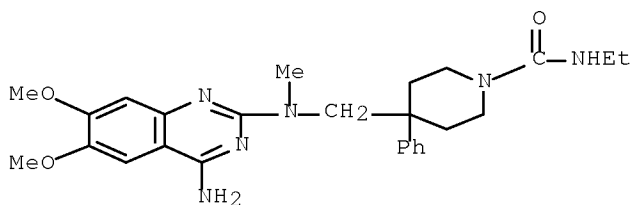
RN 403513-55-3 CAPLUS

CN Ethanone, 1-[4-[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl]-2-(2-pyridinyl)- (CA INDEX NAME)



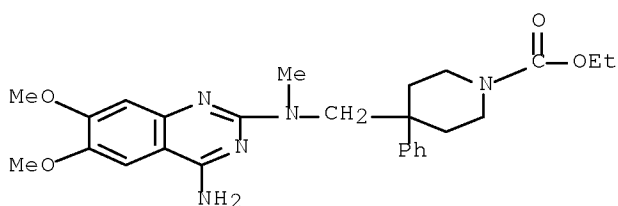
RN 403513-64-4 CAPLUS

CN 1-Piperidinecarboxamide, 4-[[(4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-N-ethyl-4-phenyl- (CA INDEX NAME)



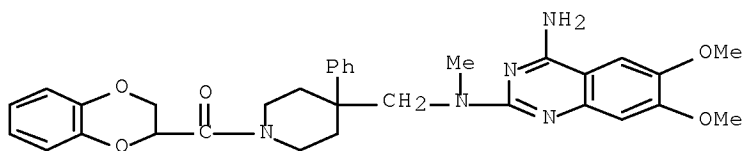
RN 403513-80-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-, ethyl ester (CA INDEX NAME)



RN 403516-44-9 CAPLUS

CN Methanone, [4-[[[4-amino-6,7-dimethoxy-2-quinazolinyl)methylamino]methyl]-4-phenyl-1-piperidinyl](2,3-dihydro-1,4-benzodioxin-2-yl)- (CA INDEX NAME)



L3 ANSWER 57 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:817246 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 135:357843

TITLE: Preparation of 2-Aryl indole derivatives for use as tachykinin receptor antagonists

INVENTOR(S): Dinnell, Kevin; Elliott, Jason Matthew; Hollingworth, Gregory John; Ridgill, Mark Peter; Shaw, Duncan Edward

PATENT ASSIGNEE(S): UK

SOURCE: U.S. Pat. Appl. Publ., 37 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

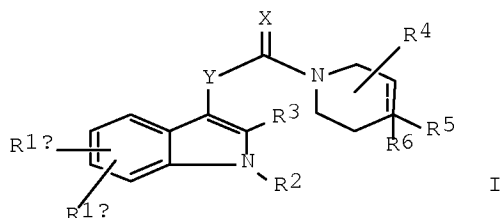
KIND

DATE

APPLICATION NO.

DATE

US 20010039286	A1	20011108	US 2001-782422	20010213
PRIORITY APPLN. INFO.:			GB 2000-3397	A 20000214
OTHER SOURCE(S):	MARPAT 135:357843			
GI				



AB 2-Aryl indole derivs. I (wherein R1a, R1b, and R2 = a variety of substituents; R3 = optionally substituted Ph, biphenyl or naphthyl or heteroaryl group; R4 = H, (C1-6)alkyl, carbonyl (=O), (CH2)pphenyl or a (C1-2)alkylene bridge across the piperidine ring; R5 and R6 = variety of substituents; or R5 and R6 together are linked so as to form an optionally substituted 5- or 6-membered ring; X = O or S, two H atoms, boxHNH or boxHN(C1-6 alkyl); Y = straight or branched (C1-4)alkylene, (C2-4)alkenylene or (C2-4)alkynylene chain; the dotted line represents an optional double bond; m = 0,1,2,3,4; n = 1,2,3,4; and p = 1,2,3,4), or a pharmaceutically acceptable salt thereof, were prepared, and their use as tachykinin receptor antagonists evaluated. Thus, diisopropylethylamine and bromoacetonitrile were added to a loaded resin (synthetic preparation given) in N-methylpyrrolidinone, to which was added a solution of 6-(methylsulfonyl)spiro-[2H-1-benzopyran-2,4'-piperidin]-4(3H)-one in THF to give 1'-{3-[5-chloro-2-(4-chlorophenyl)-1H-indol-3-yl]-1-oxopropyl}-6-(methylsulfonyl)spiro(2H-1-benzopyran-2,4'-piperidin)-4(3H)-one. The compds. are of particular use in the treatment or prevention of depression, anxiety, pain, inflammation, migraine, emesis or postherpetic neuralgia. Biol. data are given.

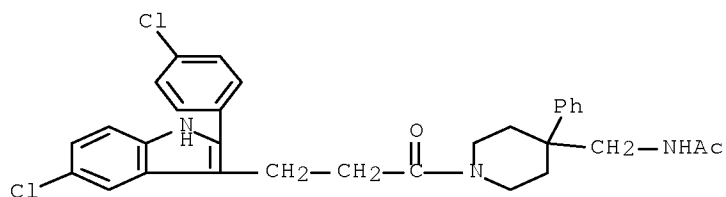
IT 371969-60-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

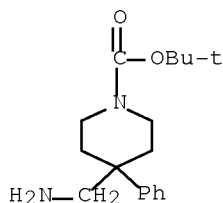
(preparation of aryl indole derivs. as tachykinin receptor antagonists for treatment for)

RN 371969-60-7 CAPLUS

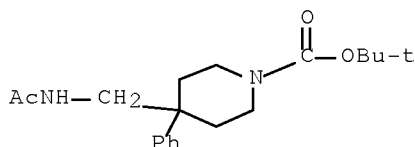
CN Acetamide, N-[[1-[3-[5-chloro-2-(4-chlorophenyl)-1H-indol-3-yl]-1-oxopropyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



IT 158144-82-2P 199104-98-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of aryl indole derivs. as tachykinin receptor antagonists for
 treatment for)
 RN 158144-82-2 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-phenyl-, 1,1-dimethylethyl
 ester (CA INDEX NAME)



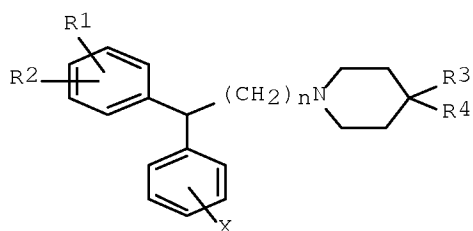
RN 199104-98-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(acetylamino)methyl]-4-phenyl-,
 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 58 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:713309 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 135:272955
 TITLE: Preparation of diphenylalkylpiperidine derivatives
 useful as opioid δ receptor agonists
 INVENTOR(S): Tsushima, Masaki; Tadauchi, Kaori; Asai, Kenji; Miike,
 Naoko; Kudo, Toshiaki
 PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070689	A1	20010927	WO 2001-JP2265	20010322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,				

YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 AU 2001042744 A 20011003 AU 2001-42744 20010322
 CA 2404280 A1 20020923 CA 2001-2404280 20010322
 EP 1277737 A1 20030122 EP 2001-915686 20010322
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 AU 2001242744 B2 20050721 AU 2001-242744 20010322
 US 20030176693 A1 20030918 US 2003-221172 20030313
 US 6790854 B2 20040914
 PRIORITY APPLN. INFO.: JP 2000-85202 A 20000324
 WO 2001-JP2265 W 20010322
 OTHER SOURCE(S): MARPAT 135:272955
 GI



AB Substances of the general formula [I; X = R5R6NCO, R7R8NSO2, R9R10NCH2, R11CO, R12O2C; n = 1,2; R1, R2 = H,halo, (un)substituted lower alkyl, alkenyl, or alkoxy, HO; or R1-R2 represent OCH2O; R3 = H, halo, (un)substituted lower alkyl, alkenyl, alkoxy, NH2, or CONH2, HO, cyano, (un)substituted lower alkoxy, carbonyl, (un)substituted lower alkyl, carbonyl; R4 = (un)saturated mono- or bicyclic carbocyclyl, mono- or bicyclic heterocyclyl containing ≥ 1 heteroatom(s) selected from O, N, and S; wherein R5 - R12 = H, (un)substituted lower alkyl or alkenyl; or R3 and R4, R5 and R6, R7 and R8, or R9 and R10 are linked to each other to form a ring structure], which exhibit affinity for opioid δ receptor, are prepared Also claimed are drugs which contain the substances I as the active ingredient and are useful in the prevention and/or treatment of central nervous system diseases such as schizophrenia, depression, epilepsy, Alzheimer's disease, and Parkinson's disease and peripheral nerve diseases such as pains. Thus, 1-bromo-3-(4-diethylcarbamoylphenyl)-3-(3-methoxyphenyl)propane and K2CO3 were added to a solution of 1-phenyl-1,3,8-triazabicyclo[4.5]decan-4-one in DMF and stirred at room temperature for 17 h to give 61% 8-[3-(4-diethylcarbamoylphenyl)-3-(3-methoxyphenyl)propyl]-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one which was dissolved in CH2Cl2, treated with a 1.0 M solution of BBr3 in CH2Cl2, and stirred at room temperature for 2 h to give, after workup and salt formation with HCl, 92% 8-[3-(4-diethylcarbamoylphenyl)-3-(3-hydroxyphenyl)propyl]-1-phenyl-1,3,8-triazaspiro[4.5]decan-4-one (II). II in vitro exhibited the binding affinity to opioid σ receptor preparation from rat fore-brain with Ki of 171 nM.

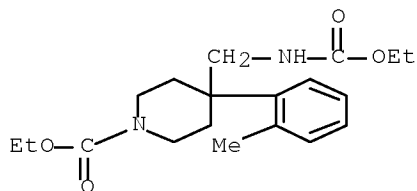
IT 356072-57-6P 363172-75-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of diphenylalkylpiperidine derivs. as opioid δ receptor agonists for treatment and/or prevention of central nervous system diseases and peripheral nerve diseases)

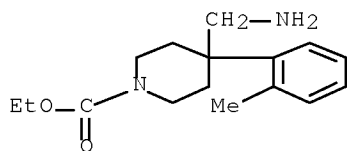
RN 356072-57-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[ethoxycarbonyl]amino]methyl]-4-(2-methylphenyl)-, ethyl ester (CA INDEX NAME)



RN 363172-75-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-(2-methylphenyl)-, ethyl ester (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 59 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:690103 CAPLUS Full-text

DOCUMENT NUMBER: 135:227251

TITLE: Preparation of N-sulfonylated 4-aminophenylalanine dipeptide derivatives as inhibitors of leukocyte adhesion mediated by VLA-4

INVENTOR(S): Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony; Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko, Christopher M.; Thorsett, Eugene D.

PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corp.

SOURCE: U.S., 45 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6291453	B1	20010918	US 1998-126091	19980730

PRIORITY APPLN. INFO.:

US 1997-112019P

P 19970731

OTHER SOURCE(S): MARPAT 135:227251

AB Disclosed are title dipeptides R1SO2NR2CHR3-Q-CHR5CO2H [R1 = (un)substituted alkyl, aryl, cycloalkyl, heterocyclyl or heteroaryl; R2 = H, (un)substituted alkyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl or heteroaryl; R3 = H, any group R1; R1R2N or R2R3N may be (un)substituted heterocyclyl; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 = H, alkyl, aryl; R8, R8' = H, any group R1; R8 and R8' may join together to form a heterocyclic ring; R13 = saturated heterocyclyl; Z = O, S, NR13; x = 1-4; Ar = (un)substituted (hetero)aryl; Q = C(X)NR7; R7 = H, alkyl; X = O, S (with provisos)] which bind VLA-4 (also referred to as $\alpha 4\beta 1$ integrin and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, such as asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with 3-phenylpropyl isothiocyanate afforded N-tosyl-L-prolyl-4-[3-(3-phenylpropyl)thioureido]-L-phenylalanine Me ester.

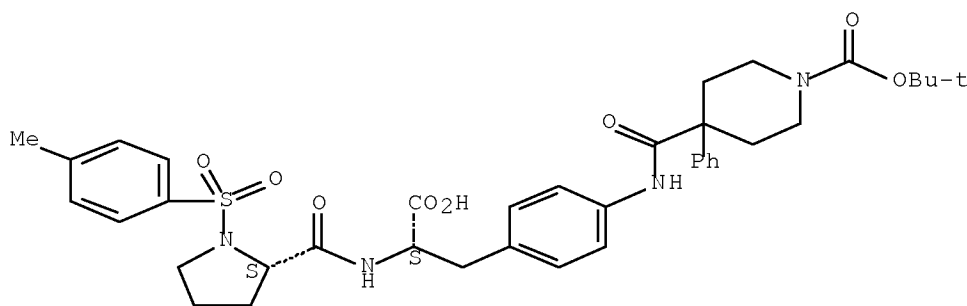
IT 220149-67-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfonylated aminophenylalanine dipeptide derivs. as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220149-67-7 CAPLUS

CN L-Phenylalanine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-4-[[[1-[(1,1-dimethylethoxy)carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

58

THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 60 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:617978 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 135:195564

TITLE: Preparation of phenoxyalkylamine derivatives useful as opioid δ receptor agonists

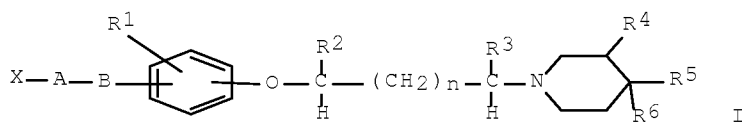
INVENTOR(S): Tsushima, Masaki; Tadauchi, Kaori; Asai, Kenji; Miike, Naoko; Imai, Masako; Kudo, Toshiaki

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 152 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

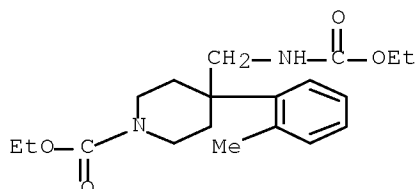
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001060796	A1	20010823	WO 2001-JP1116	20010216
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2400640	A1	20010823	CA 2001-2400640	20010216
AU 2001032319	A	20010827	AU 2001-32319	20010216
AU 2001232319	A2	20010827	AU 2001-232319	20010216
AU 2001232319	B2	20050113		
EP 1256575	A1	20021113	EP 2001-904501	20010216
EP 1256575	B1	20050817		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 302184	T	20050915	AT 2001-904501	20010216
ES 2248281	T3	20060316	ES 2001-904501	20010216
US 20030171370	A1	20030911	US 2002-203617	20021203
US 6916822	B2	20050712		
US 20050148583	A1	20050707	US 2005-68759	20050302
PRIORITY APPLN. INFO.:			JP 2000-40791	A 20000218
			WO 2001-JP1116	W 20010216
			US 2002-203617	A3 20021203

OTHER SOURCE(S): MARPAT 135:195564
 GI



AB The title compds. I [X is a group represented by the general formula R⁷R⁸NCO, etc.; A is a saturated or unsatd. 3- to 6-membered carbocyclic group or the like; B is CH₂ or the like; n is 0 to 2; R¹ is hydrogen, halogeno, or the like; R², R³, and R⁷, R⁸ are each hydrogen, optionally substituted lower alkyl, or the like; R⁴ is hydrogen, optionally substituted lower alkyl, or the like; R⁵ is hydrogen, halogeno, or the like; and R⁶ is a saturated or unsatd. mono- or bicyclic carbocyclic group or the like, or alternatively, R⁵ and R⁶, R⁷ and R⁸ may be united to form a cyclic structure] are prepared In an in vitro test for affinity for the δ opioid receptors, 1-[2-[2-(4-isobutyloxycarbonylbenzyl)phenoxy]ethyl]-4-(2-hydroxymethyl-1H-benzimidazol-1-yl)piperidine showed the K_i value of 73 nM.

IT 356072-57-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of phenoxyalkylamine derivs. useful as opioid δ receptor
 agonists)
 RN 356072-57-6 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[ethoxycarbonyl]amino]methyl]-4-(2-
 methylphenyl)-, ethyl ester (CA INDEX NAME)



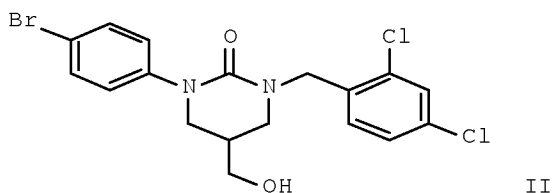
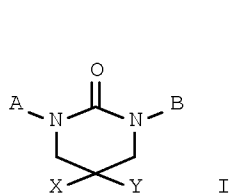
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 61 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:564836 CAPLUS Full-text
 DOCUMENT NUMBER: 135:152815
 TITLE: Preparation of tetrahydropyrimidone inhibitors of
 fatty acid binding protein
 INVENTOR(S): Sulsky, Richard; Robl, Jeffrey A.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 158 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001054694	A1	20010802	WO 2001-US2350	20010125
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2396596	A1	20010802	CA 2001-2396596	20010125
EP 1253925	A1	20021106	EP 2001-905026	20010125
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004501066	T	20040115	JP 2001-554678	20010125
US 20020091078	A1	20020711	US 2001-771310	20010126
US 6649622	B2	20031118		
PRIORITY APPLN. INFO.:			US 2000-178598P	P 20000128
			WO 2001-US2350	W 20010125

OTHER SOURCE(S):
GI

MARPAT 135:152815



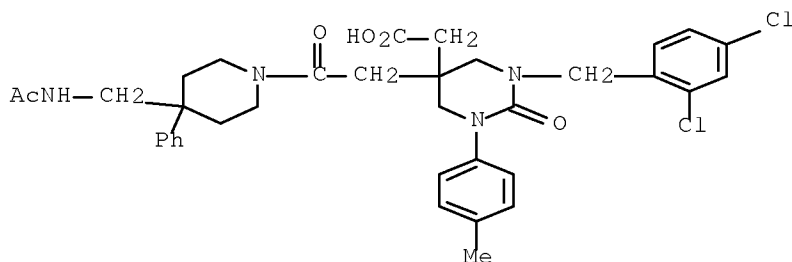
AB The title compds. [I; A, B = (un)substituted Ph, alkyl, heteroalkyl, etc.; X = CO₂H, CO₂(alkyl), SO₃H, etc.; Y = H, alkyl, aryl, etc.; X and Y, taken together with the atom to which they are joined, provide a group C:CZR₁₁ (Z = CO₂H, CO₂(alkyl), SO₃H, etc.; R₁₁ = H, alkyl, cycloalkyl, etc.)] which are aP2 inhibitors useful for treating diabetes and related diseases, especially Type II diabetes, were prepared E.g., a multi-step synthesis of II was given. A method is also provided for treating diabetes and related diseases, especially Type II diabetes, employing aP2 inhibitor I or a combination of such aP2 inhibitor and another antidiabetic agent such as metformin, glyburide troglitazone and/or insulin.

IT 352324-72-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrahydropyrimidone inhibitors of fatty acid binding protein)

RN 352324-72-2 CAPLUS

CN 5-Pyrimidineacetic acid, 5-[2-[4-[(acetylamino)methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1-[(2,4-dichlorophenyl)methyl]hexahydro-3-(4-methylphenyl)-2-oxo- (CA INDEX NAME)



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 62 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:152640 CAPLUS Full-text
DOCUMENT NUMBER: 134:208130

TITLE: Preparation of substituted ureas as cell adhesion inhibitors
 INVENTOR(S): Delaszlo, Stephen E.; Hagmann, William K.; Kamenecka, Theodore M.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 60 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001014328	A2	20010301	WO 2000-US22437	20000816
WO 2001014328	A3	20020131		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000069093	A	20010319	AU 2000-69093	20000816
US 6353099	B1	20020305	US 2000-641408	20000817
PRIORITY APPLN. INFO.:			US 1999-150055P	P 19990820
			WO 2000-US22437	W 20000816

OTHER SOURCE(S): MARPAT 134:208130

AB Compds. R1R2NCONR3CR4R5-Y-COR6 [R1, R2 = H, (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, aryl, or heteroaryl or R1R2N form a mono- or bicyclic ring; R3 is any group given for R1/R2 or R2 and R3 together with the atoms to which they are attached form a heterocyclic ring with the proviso that R1 and R2 do not form a ring; R4 = (un)substituted alkyl, aryl, arylalkyl, biaryl, biarylalkyl, heteroaryl, heteroarylalkyl, heteroaryllaryl, heteroaryllarylalkyl, arylheteroaryl, or arylheteroarylalkyl; R5 = H, (un)substituted alkyl, alkenyl, or alkynyl; R6 = OH, alkoxy, alkenoxy, alkynoxy, aryloxy, arylalkoxy, or an amino group; Y is a bond or CR7R8, where R7 = H, alkyl, alkenyl, alkynyl, aryl, or arylalkyl; R8 is any group given for R7 plus OH, alkoxy, halo, NO2, amino, etc.] were prepared as antagonists of VLA-4 and/or $\alpha 4\beta 7$ and are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, treating 4-(2-methoxyphenyl)-L-phenylalanine tert-Bu ester (obtained from 4-iodo-L-phenylalanine and 2-methoxyphenylboronic acid) with pyrrolidine and p-nitrophenyl chloroformate in CH2Cl2 containing diisopropylethylamine and ester cleavage with 50% TFA/CH2Cl2 afforded N-(1-pyrrolidinylcarbonyl)-4-(2-methoxyphenyl)-L-phenylalanine.

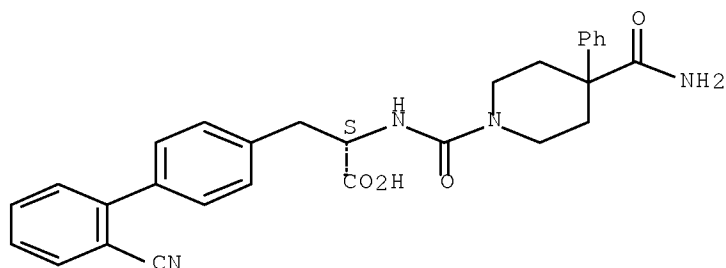
IT 328257-59-6P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of substituted ureas as cell adhesion inhibitors)

RN 328257-59-6 CAPLUS

CN [1,1'-Biphenyl]-4-propanoic acid, α -[[[4-(aminocarbonyl)-4-phenyl-1-piperidinyl]carbonyl]amino]-2'-cyano-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 63 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2001:137020 CAPLUS Full-text
 DOCUMENT NUMBER: 134:193741
 TITLE: Preparation of peptide derivatives as cell adhesion inhibitors
 INVENTOR(S): Lee, Wen-Cherng; Scott, Daniel; Cornebise, Mark; Petter, Russell
 PATENT ASSIGNEE(S): Biogen, Inc., USA
 SOURCE: PCT Int. Appl., 144 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012186	A1	20010222	WO 2000-US22285	20000814
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2380817	A1	20010222	CA 2000-2380817	20000814
BR 2000013248	A	20020723	BR 2000-13248	20000814
HU 2002002469	A2	20021128	HU 2002-2469	20000814
HU 2002002469	A3	20040628		
EP 1265606	A1	20021218	EP 2000-959232	20000814
EP 1265606	B1	20061025		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003506491	T	20030218	JP 2001-516532	20000814
EE 200200070	A	20030415	EE 2002-70	20000814
US 6630503	B1	20031007	US 2000-638652	20000814
NZ 517011	A	20040227	NZ 2000-517011	20000814
AU 780610	B2	20050407	AU 2000-70586	20000814
AT 343383	T	20061115	AT 2000-959232	20000814
EP 1741428	A2	20070110	EP 2006-21333	20000814
EP 1741428	A3	20070509		
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				

ES 2270868	T3	20070416	ES 2000-959232	20000814
IN 2002DN00160	A	20061229	IN 2002-DN160	20020207
MX 2002PA01449	A	20020702	MX 2002-PA1449	20020211
ZA 2002001158	A	20030512	ZA 2002-1158	20020211
NO 2002000725	A	20020408	NO 2002-725	20020213
NO 324044	B1	20070730		
BG 106510	A	20021031	BG 2002-106510	20020311
HK 1051500	A1	20070202	HK 2003-103786	20030527
US 20040132809	A1	20040708	US 2003-677756	20031003
US 7034043	B2	20060425		
US 20060166961	A1	20060727	US 2006-362043	20060227
PRIORITY APPLN. INFO.:			US 1999-148845P	P 19990813
			EP 2000-959232	A3 20000814
			US 2000-638652	A1 20000814
			WO 2000-US22285	W 20000814
			US 2003-677756	A1 20031003

OTHER SOURCE(S): MARPAT 134:193741

AB Cell adhesion inhibitors of the general formula R3-L-L'-R1 (R1 = H, C1-10alkyl, C2-10alkenyl or -alkynyl, cycloalkyl, cycloalkylalkyl, -alkenyl, or -alkynyl; L' and L are hydrocarbon linker moieties having 1-5 or 1-14 carbons, resp., which are optionally substituted and interrupted by, or terminally attached to, various groups; R3 = alkyl, cycloalkyl, aryl, aralkyl, aryloxy, arylamino, heterocyclyl, etc.) were prepared. An inhibitor of the present invention interacts with VLA-4 mols. to inhibit VLA-4 dependent cell adhesion. Thus, N2-[N-[(3,5-dichlorophenyl)sulfonyl]- L-prolyl]-N4-[N-(o-MePUPA)-N-methyl-L-leucyl]-L-2,4-diaminobutyric acid [o-MePUPA = [4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl] was prepared via peptide coupling reactions in solution

IT 327613-20-7P

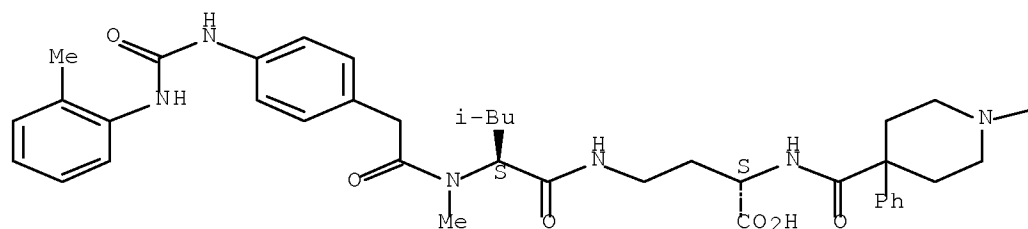
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide derivs. as cell adhesion inhibitors)

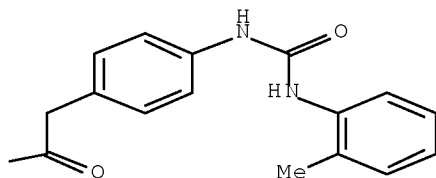
RN 327613-20-7 CAPLUS

CN Butanoic acid, 4-[[[(2S)-4-methyl-2-[methyl[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]amino]-1-oxopentyl]amino]-2-[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A





REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 64 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:12273 CAPLUS Full-text

DOCUMENT NUMBER: 134:86271

TITLE: Preparation of pyrimidine derivatives as Src-family protein tyrosine kinase inhibitor compounds

INVENTOR(S): Armstrong, Helen M.; Beresis, Richard; Goulet, Joung L.; Holmes, Mark A.; Hong, Xingfang; Mills, Sander G.; Parsons, William H.; Sinclair, Peter J.; Steiner, Mark G.; Wong, Frederick; Zaller, Dennis M.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 470 pp.

CODEN: PIXXD2

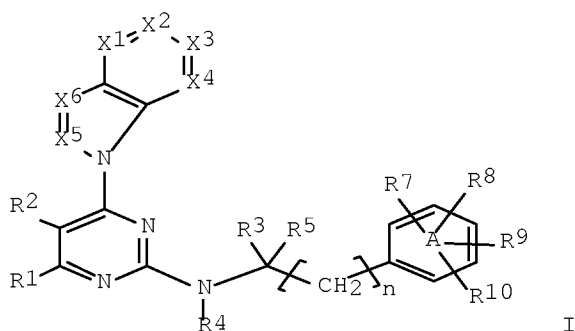
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001000213	A1	20010104	WO 2000-US17443	20000626
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2383546	A1	20010104	CA 2000-2383546	20000626
EP 1206265	A1	20020522	EP 2000-941701	20000626
EP 1206265	B1	20031112		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
US 6498165	B1	20021224	US 2000-604305	20000626
JP 2003523942	T	20030812	JP 2001-505922	20000626
AT 253915	T	20031115	AT 2000-941701	20000626
PRIORITY APPLN. INFO.:			US 1999-141639P	P 19990630
			WO 2000-US17443	W 20000626
OTHER SOURCE(S):	MARPAT 134:86271			
GI				



AB What are claimed are pyrimidine compds. (shown as I), or their pharmaceutically acceptable salts, hydrates, solvates, crystal forms and individual diastereomers, and pharmaceutical compns. including the same and their use as inhibitors of tyrosine kinase enzymes and consequently their use in the prophylaxis and treatment of protein tyrosine kinase-associated disorders, such as immune diseases, hyperproliferative disorders and other diseases in which inappropriate protein kinase action is believed to play a role, such as cancer, angiogenesis, atherosclerosis, graft rejection, rheumatoid arthritis and psoriasis. In I, R1, R2 = independently H, halo, OH, SH, CN, NO2, alkyl, alkoxy, acyloxy, alkoxycarbonyloxy, carbamoyloxy, alkylthio, sulfinyl, sulfonyl, acyl, alkoxycarbonyl, carbamoyl, amino, acylamino, ureido, sulfamoyl, sulfonylamino, or R1 and R2 can join together to form a fused methylenedioxy ring or a fused 6-membered aromatic ring; terms such as 'alkyl' here and below are further defined in the claims. R3, R5 = independently H, C1-C6-alkyl unsubstituted or substituted with 1-3 substituents, aryl, or R3 and R5 taken together can represent :O; R3 or R5 can represent a 2 or 3 C methylene bridge forming a ring of 5-8 atoms fused to the A ring. R4 = H, C1-C6-alkyl, C1-C6-alkoxyl. X1, X2, X3, X4 in -X1:X2-X3:X4- are substituted or unsubstituted CH or N where 0-2 of X1, X2, X3, X4 are N. X5, X6 = independently N, C, optionally substituted CH. A ring = Ph, naphthyl, pyridyl, pyrazinyl, pyrimidinyl, pyrrolyl, thienyl, oxazolyl, isoxazolyl, thiazolyl, pyrazolyl, triazolyl, tetrazolyl, furanyl, benzothienyl, benzofuranyl, indolyl, imidazolyl, benzimidazolyl, thiadiazolyl. R7, R8, R9, R10 = independently H, halo, OH, SH, CN, NO2, N3, N2+BF4-, alkyl, alkoxy, alkylthio, sulfinyl, sulfonyl, C1-C6-alkyl, C1-C6-perfluoroalkyl, acyl, alkoxycarbonyl, carbamoyl, acyloxy, alkoxycarbonyloxy, carbamoyloxy, amino, acylamino, ureido, sulfamoyl, sulfonylamino, two of R7, R8, R9, and R10 when on adjacent carbons join together to form a methylenedioxy bridge. N = 0-2. More than 500 example prepn. are given, but no preparative method is claimed and no data relating to the usefulness of the compds. are given.

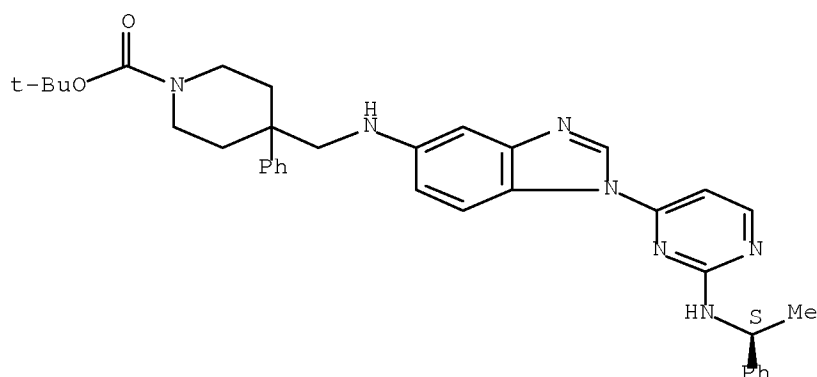
IT 317825-89-1P, 2-[(S)-1-Phenylethylamino]-4-[5-N-((1-tert-butylloxycarbonyl-4-phenylpiperidin-4-yl)methyl)aminobenzimidazol-1-yl]pyrimidine
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrimidine derivs. as Src-family protein tyrosine kinase inhibitor compds.)

RN 317825-89-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[[[1-[2-[[[(1S)-1-phenylethyl]amino]-4-pyrimidinyl]-1H-benzimidazol-5-yl]amino]methyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 65 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:894632 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 134:157205

TITLE: The design and synthesis of non-peptide somatostatin receptor agonists

AUTHOR(S): Yang, Lihu; Pan, Yanping; Guo, Liangqin; Morriello, Greg; Pasternak, Alexander; Rohrer, Susan; Schaeffer, James; Patchett, Arthur A.

CORPORATE SOURCE: Merck Research Laboratories, Rahway, NJ, 07065, USA

SOURCE: Peptides for the New Millennium, Proceedings of the American Peptide Symposium, 16th, Minneapolis, MN, United States, June 26-July 1, 1999 (2000), Meeting Date 1999, 250-252. Editor(s): Fields, Gregg B.; Tam, James P.; Barany, George. Kluwer Academic Publishers: Dordrecht, Neth.

CODEN: 69ATHX

DOCUMENT TYPE: Conference

LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:157205

AB The authors have demonstrated that highly potent and selective sst2 agonist can be obtained by derivatizing (2R,3S)-2-Me-Trp-LysOtBu with a variety of privileged structures joined to the dipeptide via a urea linkage. The privileged structure portion of the mol. is very permissive. The use of capped dipeptides to mimic β -turns in peptides is especially noteworthy, and the concept could be useful for the discovery of small mol. ligands of other peptide hormones.

IT 324746-12-5P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)

(design and synthesis of non-peptide somatostatin receptor agonists)

RN 324746-12-5 CAPLUS

CN L-Lysine, (β S)-N-[[4-(aminocarbonyl)-4-phenyl-1-piperidinyl]carbonyl]- β -methyl-D-tryptophyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000074679	A1	20001214	WO 2000-US14930	20000531
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA,			ZW
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2377369	A1	20001214	CA 2000-2377369	20000531
EP 1187614	A1	20020320	EP 2000-937961	20000531
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
JP 2003505435	T	20030212	JP 2001-512328	20000531
AU 766191	B2	20031009	AU 2000-53068	20000531
US 6350760	B1	20020226	US 2000-585111	20000601
US 20020137664	A1	20020926	US 2001-990499	20011121
AU 2003248456	A1	20031106	AU 2003-248456	20030929
PRIORITY APPLN. INFO.:			US 1999-137477P	P 19990604
			US 1999-169209P	P 19991202
			WO 2000-US14930	W 20000531
			US 2000-585111	A3 20000601
OTHER SOURCE(S):		MARPAT 134:42445		

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n = 0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -O(CH2)n-aryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepared as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepared by coupling of Et 1-(D-4-chlorophenylalanyl)-4- cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (preparation given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3- carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

IT 312637-55-1P 312637-94-8P 312637-95-9P
312637-96-0P 312637-97-1P 312638-06-5P
312638-13-4P 312638-15-6P 312638-28-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

RN 312637-55-1 CAPLUS

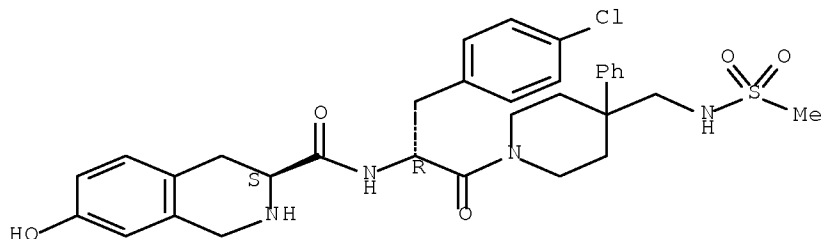
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[[[(methylsulfonyl)amino]methyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]-1,2,3,4-tetrahydro-7-hydroxy-, (3S)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 312637-54-0

CMF C32 H37 Cl N4 O5 S

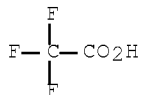
Absolute stereochemistry.



CM 2

CRN 76-05-1

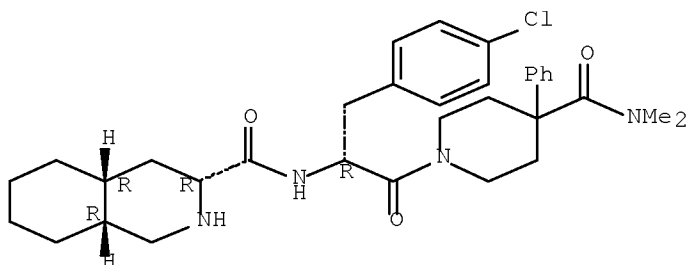
CMF C2 H F3 O2



RN 312637-94-8 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(dimethylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]decahydro-, hydrochloride (1:1), (3R,4aR,8aR)- (CA INDEX NAME)

Absolute stereochemistry.

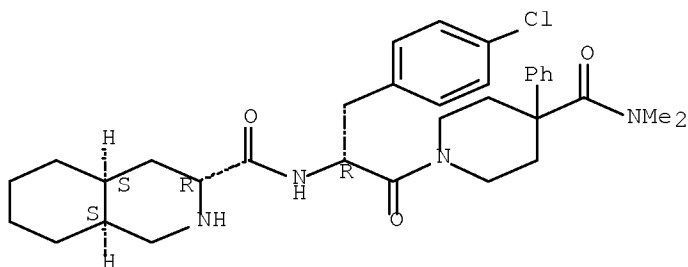


● HCl

RN 312637-95-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(dimethylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]decahydro-, hydrochloride (1:1), (3R,4aS,8aS)- (CA INDEX NAME)

Absolute stereochemistry.

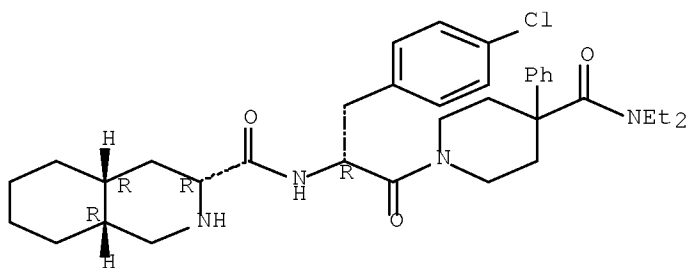


● HCl

RN 312637-96-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-
[(diethylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]decahydro-,
hydrochloride (1:1), (3R,4aR,8aR)- (CA INDEX NAME)

Absolute stereochemistry.

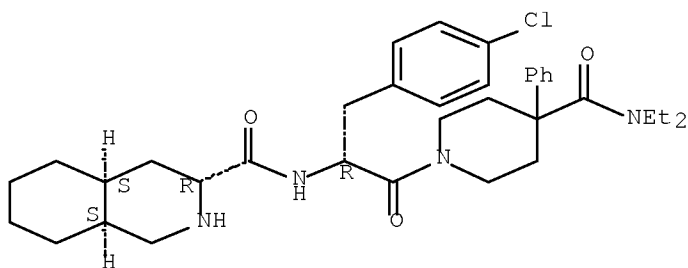


● HCl

RN 312637-97-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-
[(diethylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]decahydro-,
hydrochloride (1:1), (3R,4aS,8aS)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 312638-06-5 CAPLUS

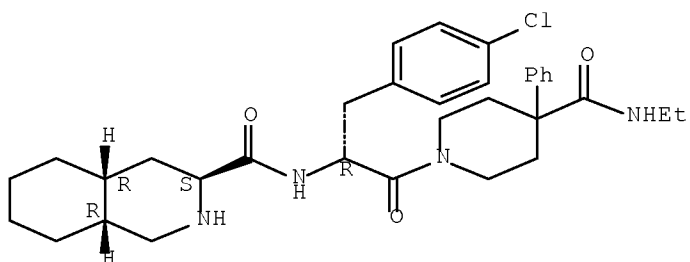
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-
[(ethylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]decahydro-,
(3S,4aR,8aR)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 312638-05-4

CMF C33 H43 Cl N4 O3

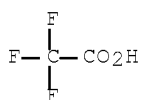
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 312638-13-4 CAPLUS

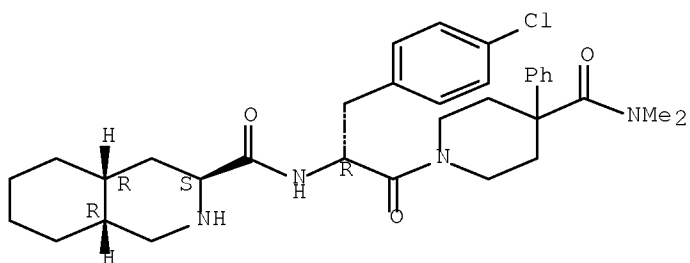
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-[(dimethylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]decahydro-, (3S,4aR,8aR)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 312638-12-3

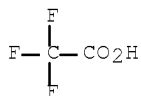
CMF C33 H43 Cl N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

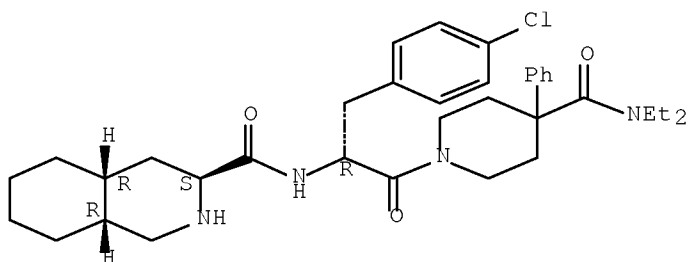


RN 312638-15-6 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-
[(diethylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxoethyl]decahydro-,
(3S,4aR,8aR)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

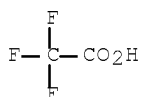
CRN 312638-14-5
CMF C35 H47 Cl N4 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



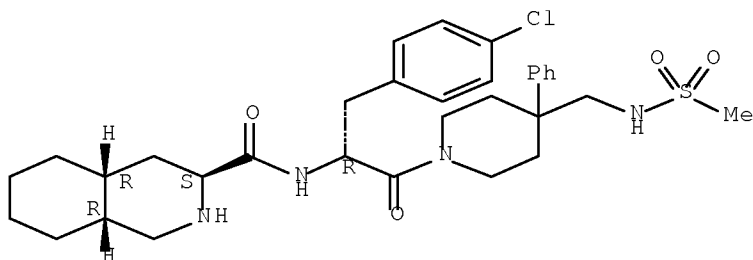
RN 312638-28-1 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-
[(methylsulfonyl)amino]methyl]-4-phenyl-1-piperidinyl]-2-
oxoethyl]decahydro-, (3S,4aR,8aR)-, 2,2,2-trifluoroacetate (1:1) (CA
INDEX NAME)

CM 1

CRN 312638-27-0

CMF C32 H43 Cl N4 O4 S

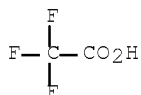
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



IT 312639-14-8P 312639-18-2P 312639-19-3P

312639-20-6P 312639-24-0P

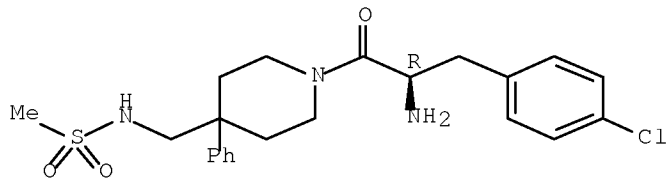
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of piperidine amino acid derivs. as melanocortin-4 receptor agonists)

RN 312639-14-8 CAPLUS

CN Methanesulfonamide, N-[[1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-4-phenyl-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

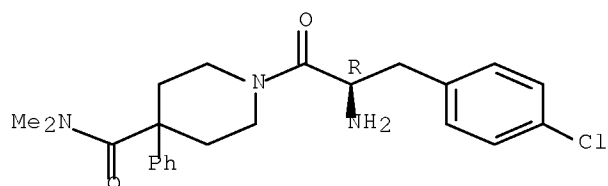


● HCl

RN 312639-18-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-N,N-dimethyl-4-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

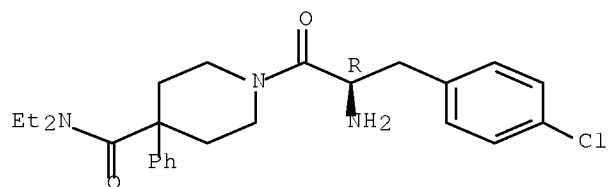


● HCl

RN 312639-19-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-N,N-diethyl-4-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

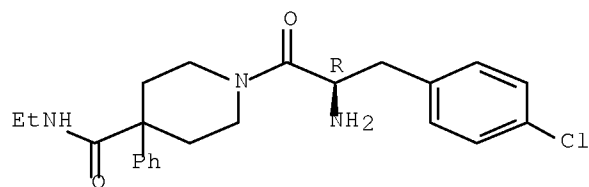


● HCl

RN 312639-20-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-N-ethyl-4-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

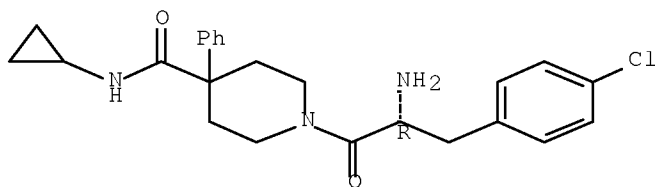
Absolute stereochemistry.



● HCl

RN 312639-24-0 CAPLUS
 CN 4-Piperidinecarboxamide, 1-[(2R)-2-amino-3-(4-chlorophenyl)-1-oxopropyl]-N-cyclopropyl-4-phenyl-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



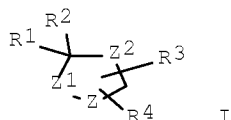
● HCl

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 67 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2000:314546 CAPLUS Full-text
 DOCUMENT NUMBER: 132:321801
 TITLE: Preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors
 INVENTOR(S): Bao, Jianming; Kayser, Frank; Kotliar, Andrew; Parsons, William H.; Rupprecht, Kathleen M.; Claiborne, Christopher F.; Liverton, Nigel; Claremon, David A.; Thompson, Wayne J.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 91 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000025786	A1	20000511	WO 1999-US25066	19991026
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6303637	B1	20011016	US 1999-422500	19991021
CA 2348735	A1	20000511	CA 1999-2348735	19991026
CA 2348735	C	20071211		
EP 1126849	A1	20010829	EP 1999-955169	19991026
EP 1126849	B1	20050309		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002528503	T	20020903	JP 2000-579227	19991026

AU 764515	B2	20030821	AU 2000-11338	19991026
AT 290382	T	20050315	AT 1999-955169	19991026
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			WO 1999-US25066	W 19991026
OTHER SOURCE(S):		MARPAT 132:321801		
GI				



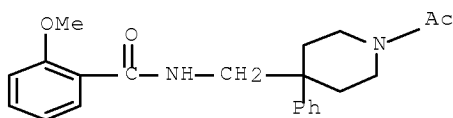
AB Title compds. [I; R1 = CH₂NR₁₀COR₆; R₂,R₆ = (un)substituted Ph; R₃,R₄ = H, halo, alkyl, acyl, etc.; R₁₀ = H, alkyl, acyl, etc.; Z = O, SOO-2, NR₅; R₅ = H, OH, alkyl, acyl, etc.; Z₁,Z₂ = bond, CH₂, CH₂CH₂] were prepared as potassium channel inhibitors (no data). Thus, 4-cyano-1-benzyl-4-phenylpiperidine was reduced and the product N-acylated by 2-(MeO)C₆H₄COC₁ to give, after deprotection and Ac₂O acylation, 2-(MeO)C₆H₄CONHCH₂Z₃Ac (Z₃ = 4-phenylpiperidine-4,1-diyl).

IT 266341-26-8P 266341-27-9P 266341-28-0P
 266341-29-1P 266341-30-4P 266341-31-5P
 266341-32-6P 266341-33-7P 266341-34-8P
 266341-35-9P 266341-36-0P 266341-37-1P
 266341-38-2P 266341-39-3P 266341-40-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-[(benzoylamino)methyl]piperidines and analogs as potassium channel inhibitors)

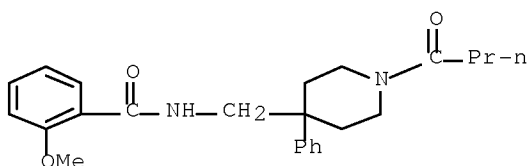
RN 266341-26-8 CAPLUS

CN Benzamide, N-[(1-acetyl-4-phenyl-4-piperidinyl)methyl]-2-methoxy- (CA INDEX NAME)



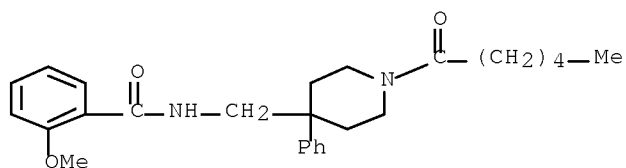
RN 266341-27-9 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(1-oxobutyl)-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)



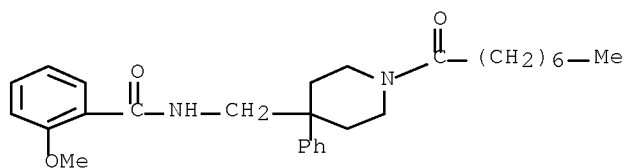
RN 266341-28-0 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(1-oxohexyl)-4-phenyl-4-piperidiny]methyl]-
(CA INDEX NAME)



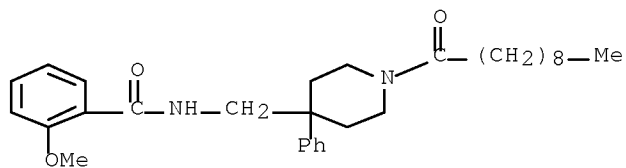
RN 266341-29-1 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(1-oxooctyl)-4-phenyl-4-piperidiny]methyl]-
(CA INDEX NAME)



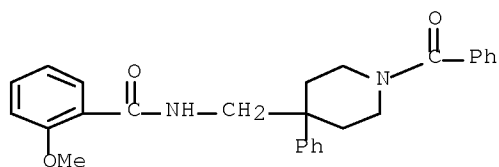
RN 266341-30-4 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(1-oxodecyl)-4-phenyl-4-piperidiny]methyl]-
(CA INDEX NAME)



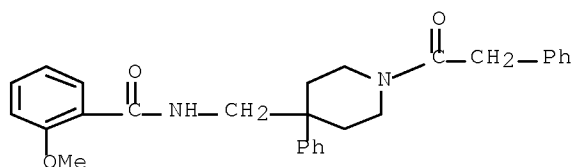
RN 266341-31-5 CAPLUS

CN Benzamide, N-[(1-benzoyl-4-phenyl-4-piperidiny)methyl]-2-methoxy- (CA
INDEX NAME)



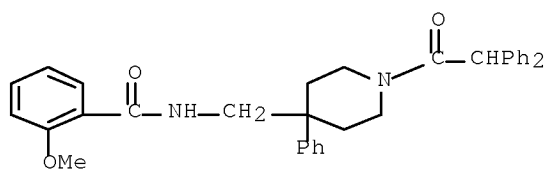
RN 266341-32-6 CAPLUS

CN Benzamide, 2-methoxy-N-[[4-phenyl-1-(2-phenylacetyl)-4-piperidiny]methyl]-
(CA INDEX NAME)



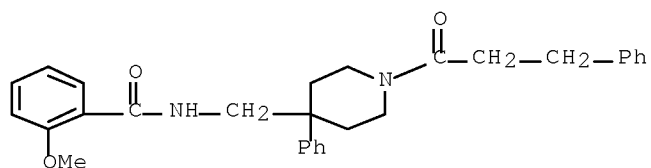
RN 266341-33-7 CAPLUS

CN Benzamide, N-[[1-(2,2-diphenylacetyl)-4-phenyl-4-piperidiny]methyl]-2-methoxy-
(CA INDEX NAME)



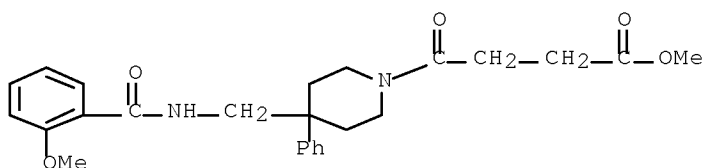
RN 266341-34-8 CAPLUS

CN Benzamide, 2-methoxy-N-[[1-(1-oxo-3-phenylpropyl)-4-phenyl-4-piperidiny]methyl]-
(CA INDEX NAME)



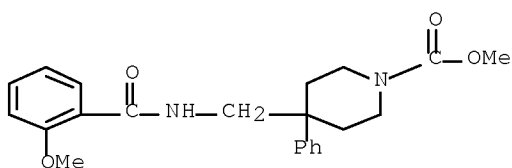
RN 266341-35-9 CAPLUS

CN 1-Piperidinebutanoic acid, 4-[[[(2-methoxybenzoyl)amino]methyl]-gamma-oxo-4-phenyl-, methyl ester
(CA INDEX NAME)



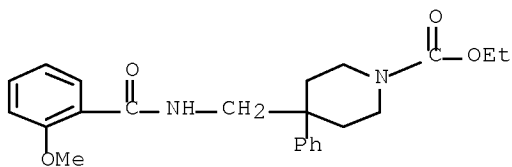
RN 266341-36-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-, methyl ester (CA INDEX NAME)



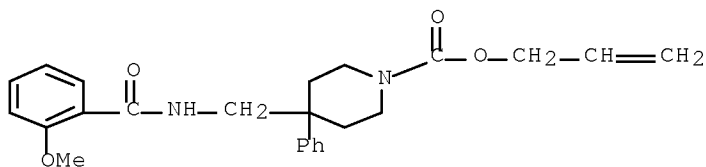
RN 266341-37-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-, ethyl ester (CA INDEX NAME)



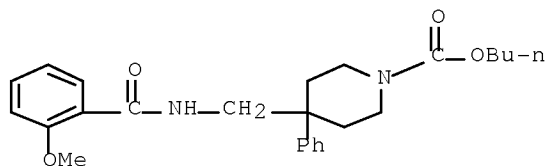
RN 266341-38-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-, 2-propen-1-yl ester (CA INDEX NAME)



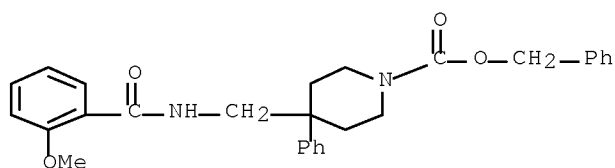
RN 266341-39-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-
, butyl ester (CA INDEX NAME)



RN 266341-40-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxybenzoyl)amino]methyl]-4-phenyl-
, phenylmethyl ester (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 68 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2000:68365 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 132:122932

TITLE: Preparation of peptides, peptidomimetics, and
nonpeptides as medical and agrochemical antifungals.

INVENTOR(S): Bergnes, Gustave; Berlin, Vivian; Come, Jon; Kluge,
Arthur; Murthi, Krishna; Pal, Kollol

PATENT ASSIGNEE(S): Mitotix, Inc., USA

SOURCE: PCT Int. Appl., 287 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000003743	A2	20000127	WO 1999-US16146	19990715
WO 2000003743	A3	20010201		
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			

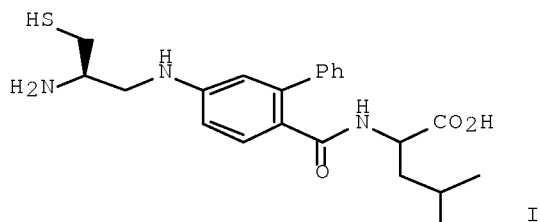
US 6423519	B1	20020723	US 1998-172845	19981015
CA 2335381	A1	20000127	CA 1999-2335381	19990715
AU 9951075	A	20000207	AU 1999-51075	19990715
EP 1096925	A2	20010509	EP 1999-935639	19990715

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

JP 2002520372	T	20020709	JP 2000-559877	19990715
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PRIORITY APPLN. INFO.: US 1998-115846 A 19980715
US 1998-172845 A 19981015
WO 1999-US16146 W 19990715

OTHER SOURCE(S): MARPAT 132:122932
GI



AB A method for inhibiting the growth of a fungal pathogen comprises contacting the pathogen with a compound, e.g., (R70)2NCH[(CH2)nR]C(Xa)NHCHR7 2C(Xb)NHCHR73C(Xc)NHCHR10CO2R11 [Xa, Xb, Xc = O, H2; R = SR1, SOR111, SO2R111; R1 = H, alkyl, alkenyl, aryl, acyl; R10 = alkyl, alkenyl, alkynyl, aryl, cycloalkyl, hydroxyalkyl, amino acid sidechain, etc.; R11 = H, blocking group, pharmaceutically acceptable salt; R10R11 = atoms to form 5-7 membered ring; R111 = alkyl, alkenyl, (CH2)mR7; R70 = H, alkyl, alkenyl, alkynyl, aryl, acyl, amino acid sidechain, etc.; R72, R73 = H, alkyl, aryl, heteroaryl, amino acid sidechain, (CH2)mR7, etc.; m, n = 0-4], which inhibits prenyl transferase activity with MIC50<25 µg/mL. Thus, title compound (I) (solution phase preparation given) inhibited GGTase with IC50<10 nM.

IT 256367-55-2P 256367-56-3P 256367-57-4P
256367-58-5P 256367-59-6P 256367-60-9P
256367-61-0P 256367-62-1P 256367-63-2P
256367-64-3P 256367-65-4P 256367-66-5P
256367-67-6P 256367-68-7P 256367-69-8P
256367-70-1P 256367-71-2P 256367-72-3P
256367-73-4P 256367-74-5P 256367-75-6P
256367-76-7P 256367-77-8P 256367-78-9P
256367-79-0P 256367-80-3P 256367-81-4P
256367-94-9P 256368-00-0P 256368-01-1P
256368-02-2DP, alkyl esters 256368-02-2P
256370-06-6P 256384-54-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

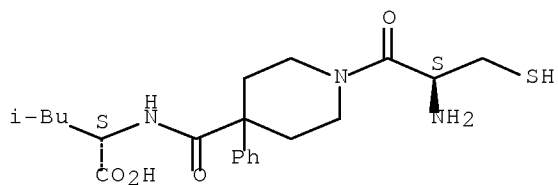
(preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochem. antifungals)

RN 256367-55-2 CAPLUS

CN L-Leucine, D-cysteinyl-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX

NAME)

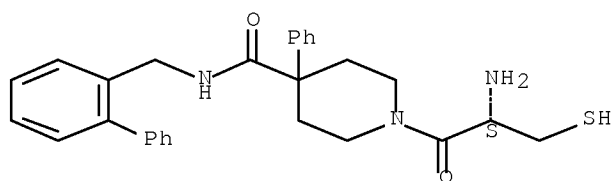
Absolute stereochemistry.



RN 256367-56-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-([1,1'-biphenyl]-2-ylmethyl)-4-phenyl- (CA INDEX NAME)

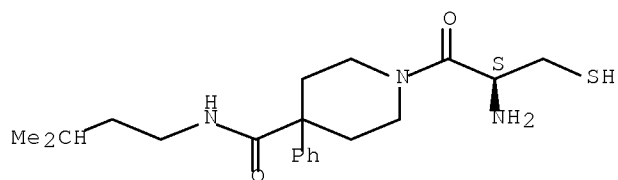
Absolute stereochemistry.



RN 256367-57-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(3-methylbutyl)-4-phenyl- (CA INDEX NAME)

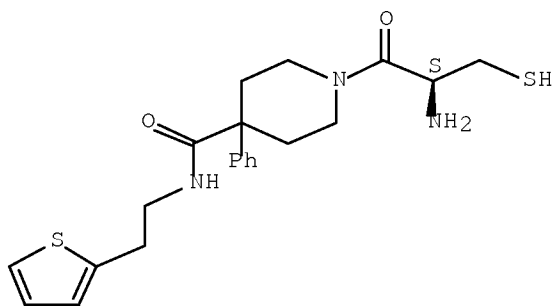
Absolute stereochemistry.



RN 256367-58-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-
N-[2-(2-thienyl)ethyl]- (CA INDEX NAME)

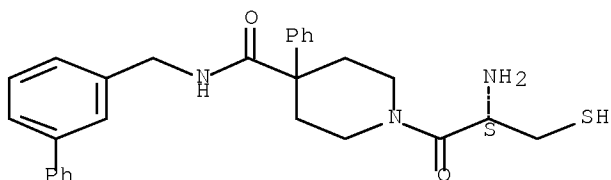
Absolute stereochemistry.



RN 256367-59-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-([1,1'-biphenyl]-3-ylmethyl)-4-phenyl- (CA INDEX NAME)

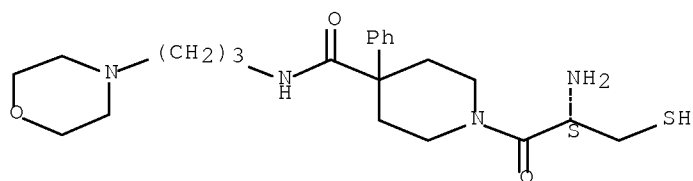
Absolute stereochemistry.



RN 256367-60-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[3-(4-morpholinyl)propyl]-4-phenyl- (CA INDEX NAME)

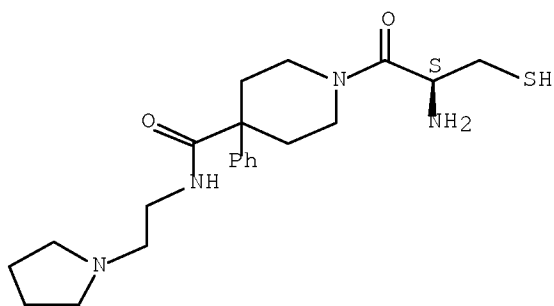
Absolute stereochemistry.



RN 256367-61-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

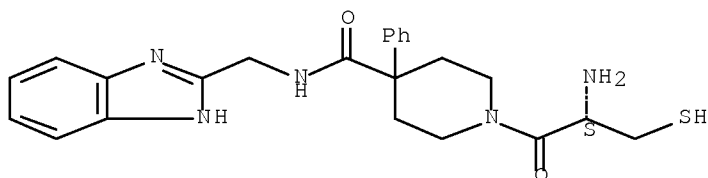
Absolute stereochemistry.



RN 256367-62-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(1H-benzimidazol-2-ylmethyl)-4-phenyl- (CA INDEX NAME)

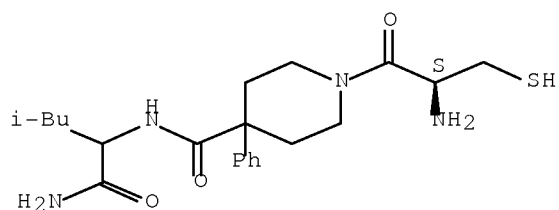
Absolute stereochemistry.



RN 256367-63-2 CAPLUS

CN 4-Piperidinecarboxamide, N-[1-(aminocarbonyl)-3-methylbutyl]-1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl- (CA INDEX NAME)

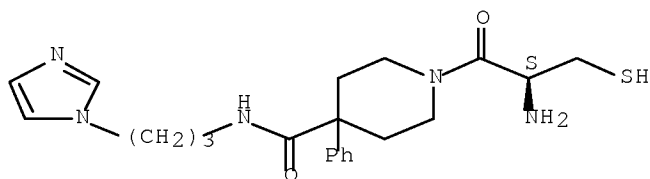
Absolute stereochemistry.



RN 256367-64-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[3-(1H-imidazol-1-yl)propyl]-4-phenyl- (CA INDEX NAME)

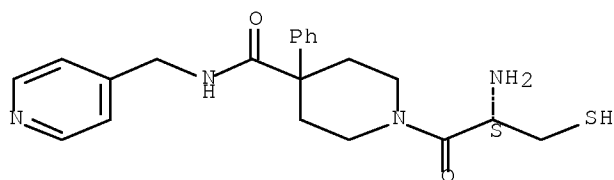
Absolute stereochemistry.



RN 256367-65-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)

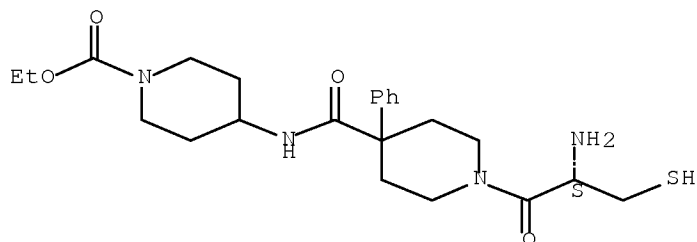
Absolute stereochemistry.



RN 256367-66-5 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

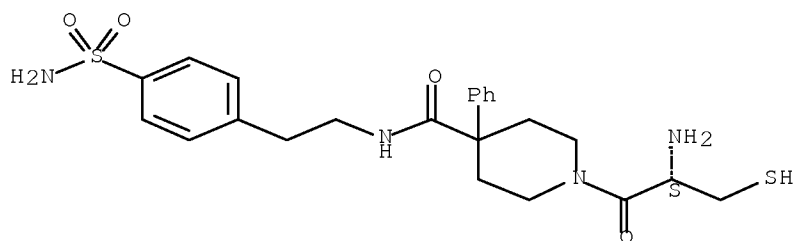
Absolute stereochemistry.



RN 256367-67-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[2-[4-(aminosulfonyl)phenyl]ethyl]-4-phenyl- (CA INDEX NAME)

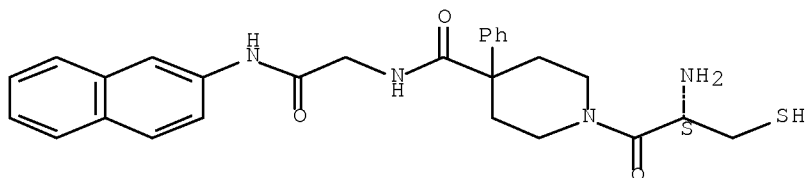
Absolute stereochemistry.



RN 256367-68-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[2-(2-naphthalenylamino)-2-oxoethyl]-4-phenyl- (CA INDEX NAME)

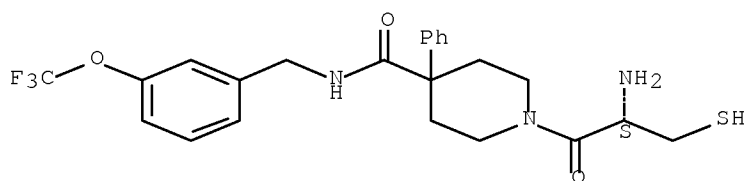
Absolute stereochemistry.



RN 256367-69-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-[3-(trifluoromethoxy)phenyl]methyl- (CA INDEX NAME)

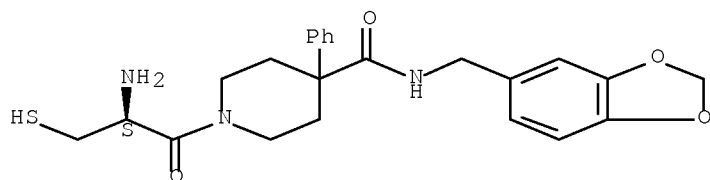
Absolute stereochemistry.



RN 256367-70-1 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(1,3-benzodioxol-5-ylmethyl)-4-phenyl- (CA INDEX NAME)

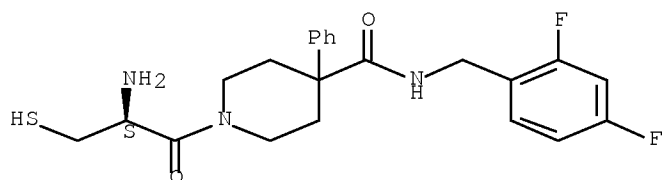
Absolute stereochemistry.



RN 256367-71-2 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(2,4-difluorophenyl)methyl]-4-phenyl- (CA INDEX NAME)

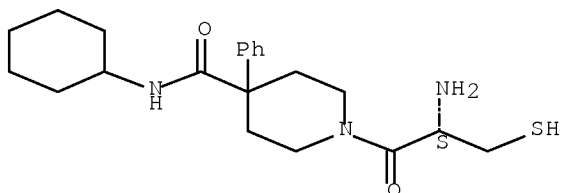
Absolute stereochemistry.



RN 256367-72-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-cyclohexyl-4-phenyl- (CA INDEX NAME)

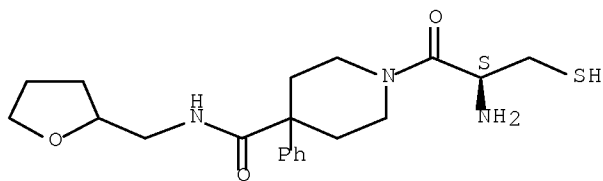
Absolute stereochemistry.



RN 256367-73-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-[(tetrahydro-2-furanyl)methyl]- (CA INDEX NAME)

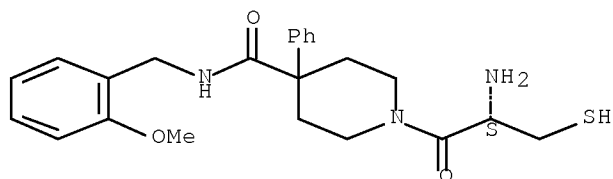
Absolute stereochemistry.



RN 256367-74-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(2-methoxyphenyl)methyl]-4-phenyl- (CA INDEX NAME)

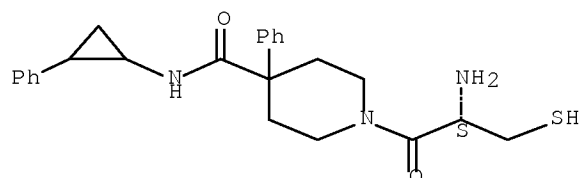
Absolute stereochemistry.



RN 256367-75-6 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-(2-phenylcyclopropyl)- (CA INDEX NAME)

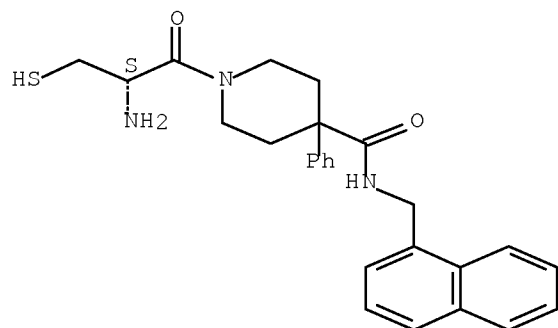
Absolute stereochemistry.



RN 256367-76-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(1-naphthalenylmethyl)-4-phenyl- (CA INDEX NAME)

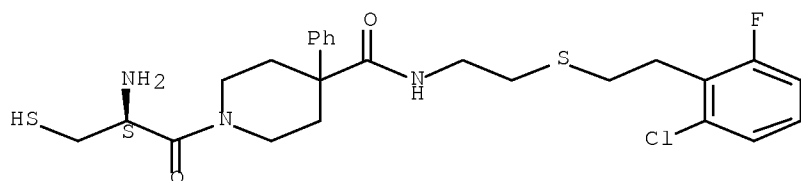
Absolute stereochemistry.



RN 256367-77-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[2-[[2-(2-chloro-6-fluorophenyl)ethyl]thio]ethyl]-4-phenyl- (CA INDEX NAME)

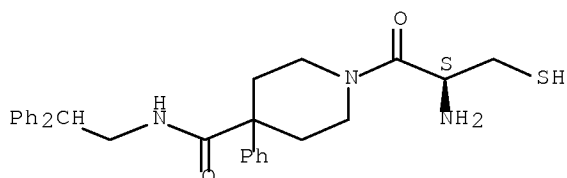
Absolute stereochemistry.



RN 256367-78-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-(2,2-diphenylethyl)-4-phenyl- (CA INDEX NAME)

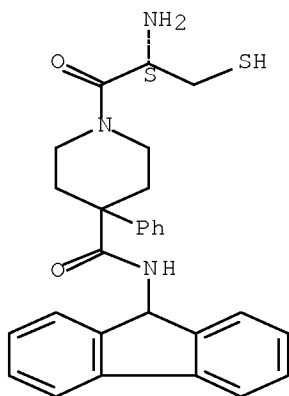
Absolute stereochemistry.



RN 256367-79-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-9H-fluoren-9-yl-4-phenyl- (CA INDEX NAME)

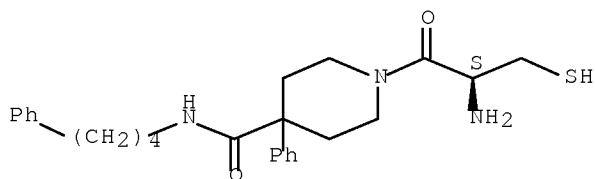
Absolute stereochemistry.



RN 256367-80-3 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-(4-phenylbutyl)- (CA INDEX NAME)

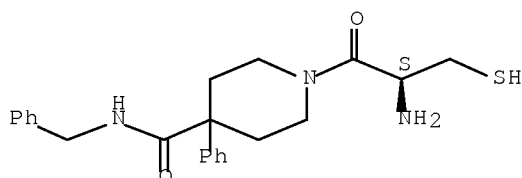
Absolute stereochemistry.



RN 256367-81-4 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-4-phenyl-N-(phenylmethyl)- (CA INDEX NAME)

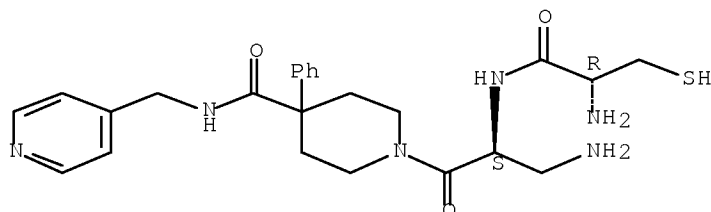
Absolute stereochemistry.



RN 256367-94-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-3-amino-2-[[(2R)-2-amino-3-mercapto-1-oxopropyl]amino]-1-oxopropyl]-4-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)

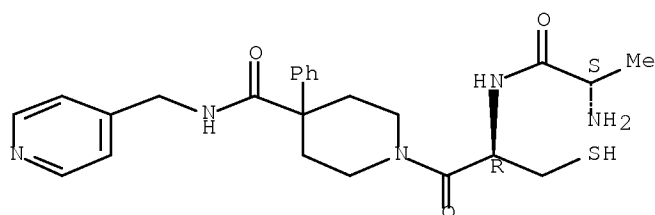
Absolute stereochemistry.



RN 256368-00-0 CAPLUS

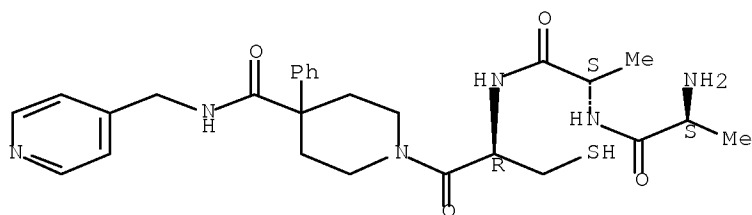
CN 4-Piperidinecarboxamide, 1-(L-alanyl-L-cysteinyl)-4-phenyl-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



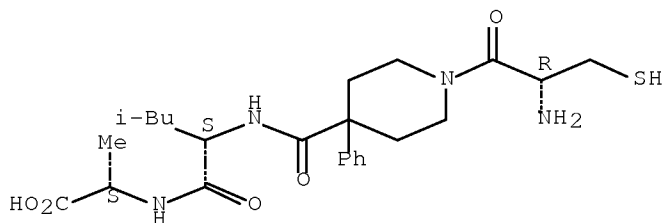
RN 256368-01-1 CAPLUS
 CN L-Alaninamide, L-alanyl-N-[(1R)-1-(mercaptomethyl)-2-oxo-2-[4-phenyl-4-
 [(4-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]ethyl)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



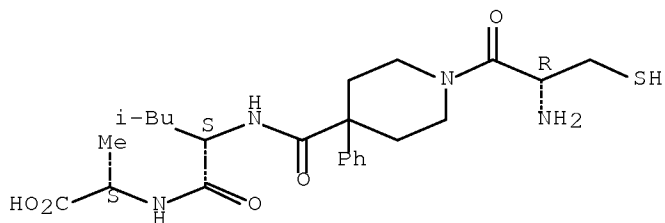
RN 256368-02-2 CAPLUS
 CN L-Alanine, L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



RN 256368-02-2 CAPLUS
 CN L-Alanine, L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl- (9CI) (CA
 INDEX NAME)

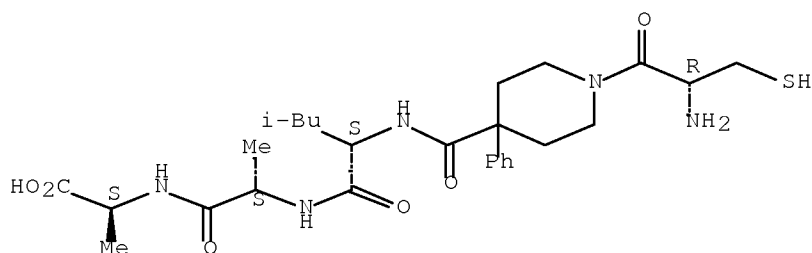
Absolute stereochemistry.



RN 256370-06-6 CAPLUS

CN L-Alanine, L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl-L-alanyl-
(9CI) (CA INDEX NAME)

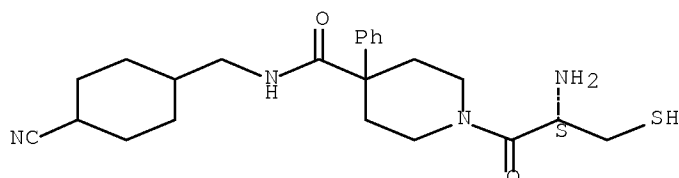
Absolute stereochemistry.



RN 256384-54-0 CAPLUS

CN 4-Piperidinecarboxamide, 1-[(2S)-2-amino-3-mercapto-1-oxopropyl]-N-[(4-cyanocyclohexyl)methyl]-4-phenyl- (CA INDEX NAME)

Absolute stereochemistry.



IT 256369-45-6 256369-48-9 256369-49-0

256369-60-5 256369-71-8 256369-72-9

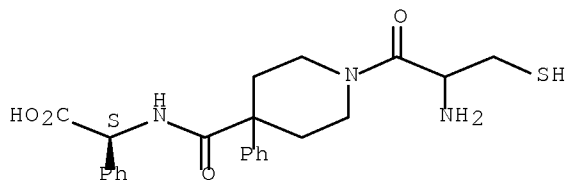
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochem. antifungals)

RN 256369-45-6 CAPLUS

CN Benzeneacetic acid, α -[[[1-(2-amino-3-mercapto-1-oxopropyl)-4-phenyl-4-piperidinyl]carbonyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.

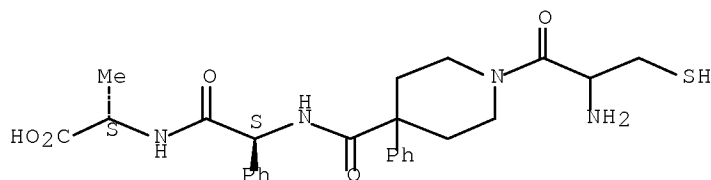


RN 256369-48-9 CAPLUS

CN L-Alanine, cysteinyl-4-phenyl-4-piperidinecarbonyl-(2S)-2-phenylglycyl-

(9CI) (CA INDEX NAME)

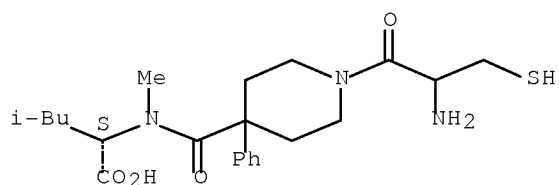
Absolute stereochemistry.



RN 256369-49-0 CAPLUS

CN L-Leucine, cysteinyl-4-phenyl-4-piperidinecarbonyl-N-methyl- (9CI) (CA INDEX NAME)

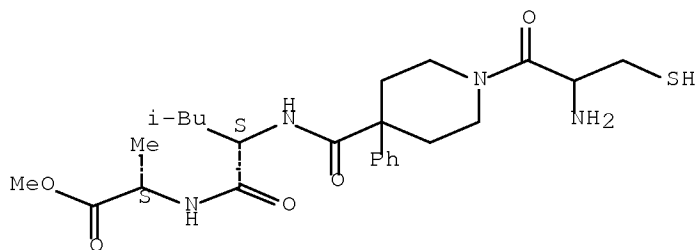
Absolute stereochemistry.



RN 256369-60-5 CAPLUS

CN L-Alanine, cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl-, methyl ester (9CI) (CA INDEX NAME)

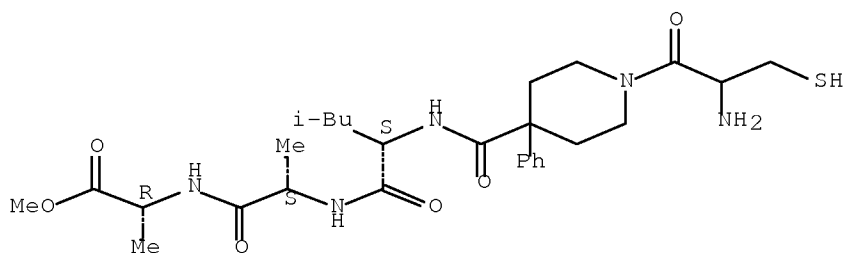
Absolute stereochemistry.



RN 256369-71-8 CAPLUS

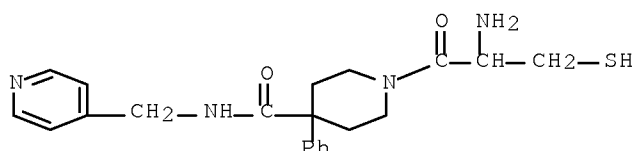
CN D-Alanine, cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl-L-alanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 256369-72-9 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-amino-3-mercapto-1-oxopropyl)-4-phenyl-N-(4-pyridinylmethyl)- (CA INDEX NAME)



IT 256368-41-9 256368-42-0 256368-43-1
 256368-44-2 256368-45-3 256368-46-4
 256368-47-5 256368-48-6 256368-49-7
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 256384-55-1

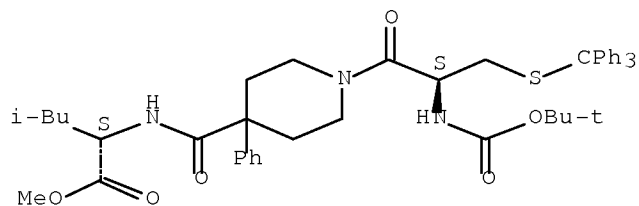
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of peptides, peptidomimetics, and nonpeptides as medical and agrochem. antifungals)

RN 256368-41-9 CAPLUS

CN L-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-D-cysteinyl-4-phenyl-4-piperidinecarbonyl-, methyl ester (9CI) (CA INDEX NAME)

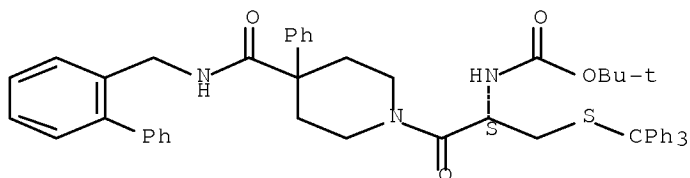
Absolute stereochemistry.



RN 256368-42-0 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[([1,1'-biphenyl]-2-ylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

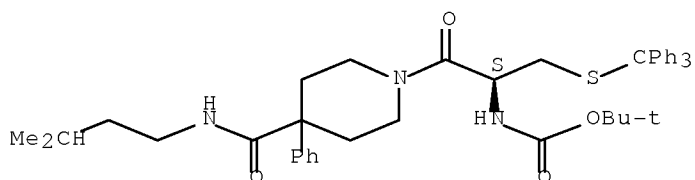
Absolute stereochemistry.



RN 256368-43-1 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(3-methylbutyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

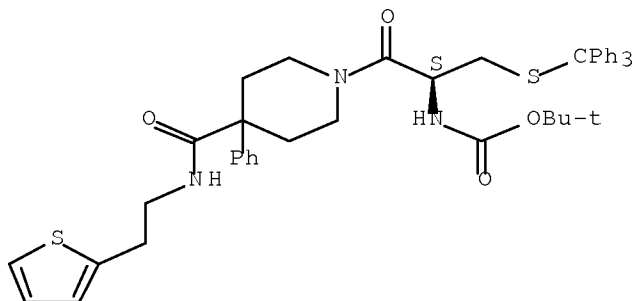
Absolute stereochemistry.



RN 256368-44-2 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[2-(2-thienyl)ethyl]amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

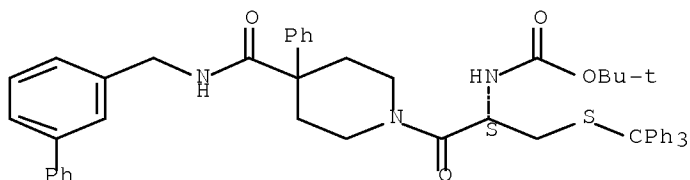


RN 256368-45-3 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[([1,1'-biphenyl]-3-ylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

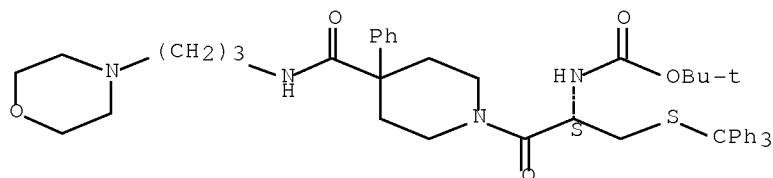
Absolute stereochemistry.



RN 256368-46-4 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[3-(4-morpholinyl)propyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

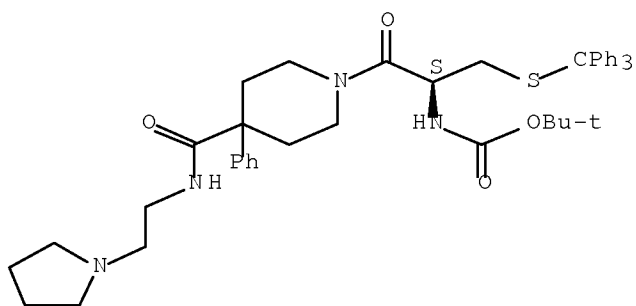
Absolute stereochemistry.



RN 256368-47-5 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[2-(1-pyrrolidinyl)ethyl]amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

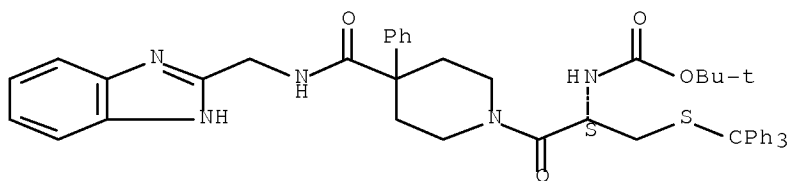
Absolute stereochemistry.



RN 256368-48-6 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(1H-benzimidazol-2-ylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

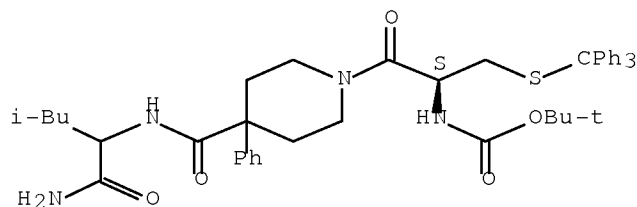
Absolute stereochemistry.



RN 256368-49-7 CAPLUS

CN Leucinamide, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-D-cysteiny-4-phenyl-4-piperidinecarbonyl- (9CI) (CA INDEX NAME)

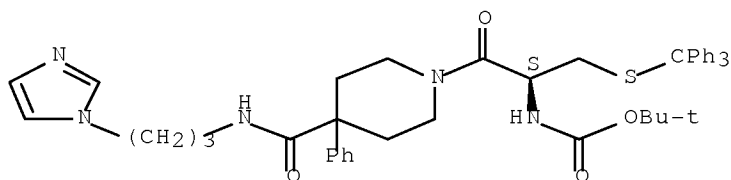
Absolute stereochemistry.



RN 256368-50-0 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[3-(1H-imidazol-1-yl)propyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

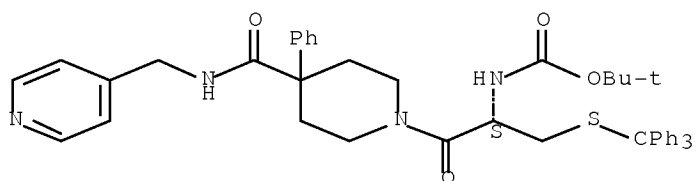
Absolute stereochemistry.



RN 256368-51-1 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[(4-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

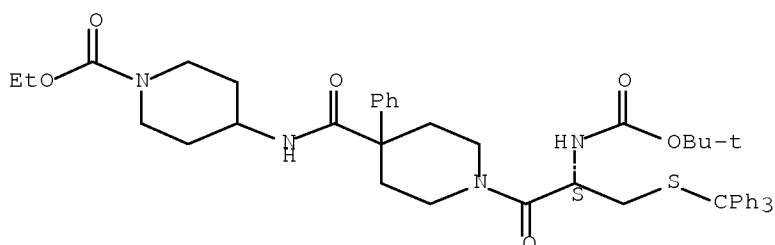
Absolute stereochemistry.



RN 256368-52-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[1-[(2S)-2-[[[1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-[(triphenylmethyl)thio]propyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, ethyl ester (CA INDEX NAME)

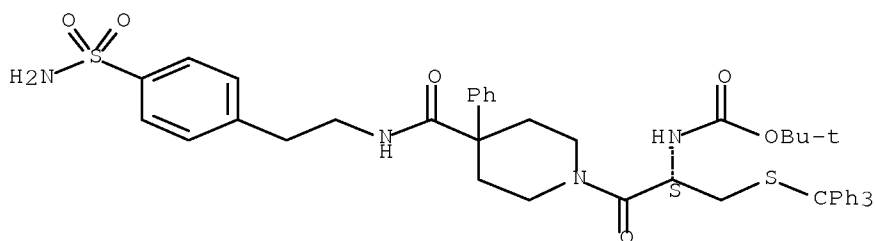
Absolute stereochemistry.



RN 256368-53-3 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[2-[4-(aminosulfonyl)phenyl]ethyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

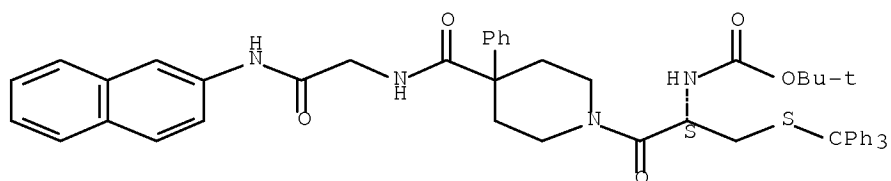
Absolute stereochemistry.



RN 256368-54-4 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[2-(2-naphthalenylamino)-2-oxoethyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

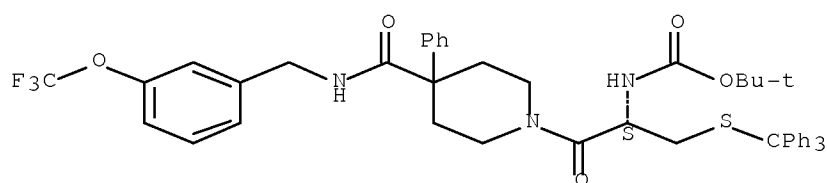
Absolute stereochemistry.



RN 256368-55-5 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[3-(trifluoromethoxy)phenyl]methyl]amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

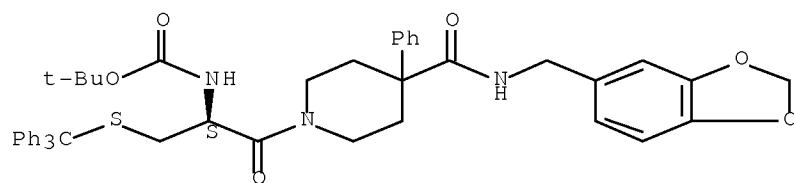
Absolute stereochemistry.



RN 256368-56-6 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(1,3-benzodioxol-5-ylmethyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

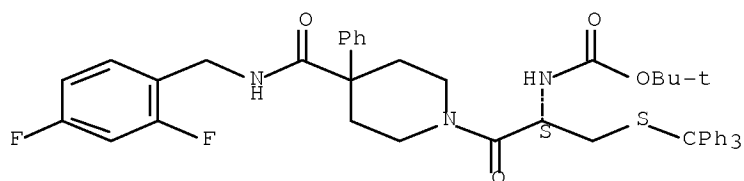
Absolute stereochemistry.



RN 256368-57-7 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(2,4-difluorophenyl)methyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

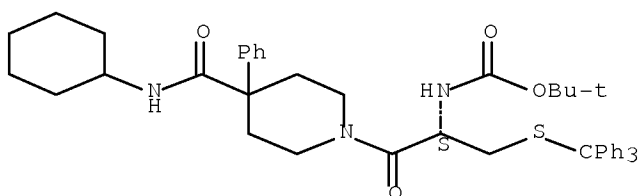
Absolute stereochemistry.



RN 256368-58-8 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[(cyclohexylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[(triphenylmethyl)thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

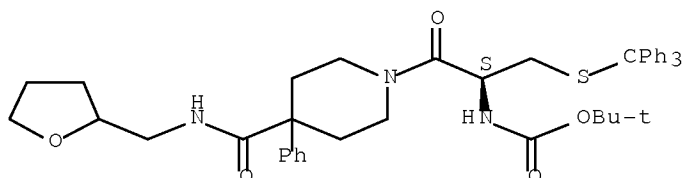
Absolute stereochemistry.



RN 256368-59-9 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[[(tetrahydro-2-furanyl)methyl]amino]carbonyl]-1-piperidinyl]-1-[[triphenylmethyl]thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

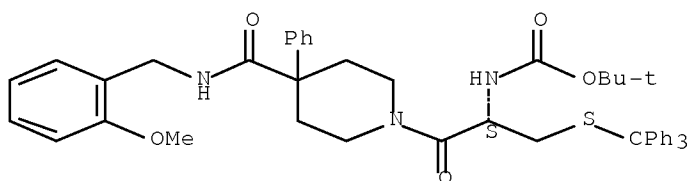
Absolute stereochemistry.



RN 256368-60-2 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(2-methoxyphenyl)methyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[triphenylmethyl]thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

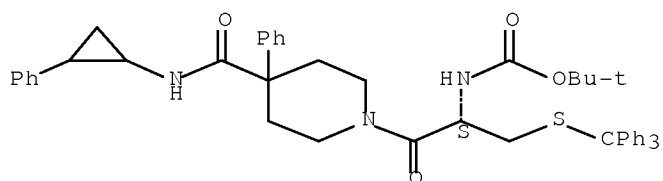
Absolute stereochemistry.



RN 256368-61-3 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[2-phenylcyclopropyl]amino]carbonyl]-1-piperidinyl]-1-[[2-phenylcyclopropyl]thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

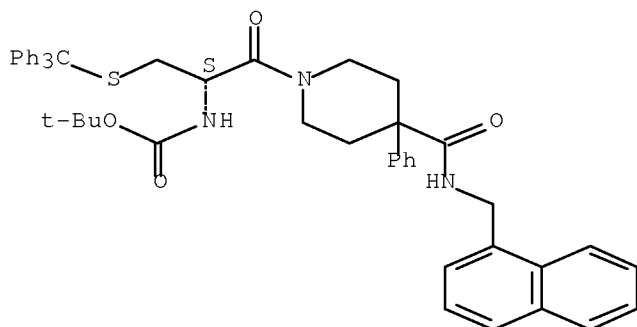
Absolute stereochemistry.



RN 256368-62-4 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[2-oxo-1-[[2-phenylcyclopropyl]thio]methyl]ethyl]-1-piperidinyl]-2-oxo-1-[[2-phenylcyclopropyl]thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

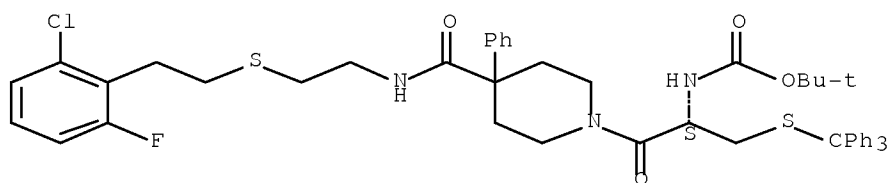
Absolute stereochemistry.



RN 256368-63-5 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[2-oxo-1-[[2-phenylcyclopropyl]thio]methyl]ethyl]-1-piperidinyl]-2-oxo-1-[[2-phenylcyclopropyl]thio]methyl]ethyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

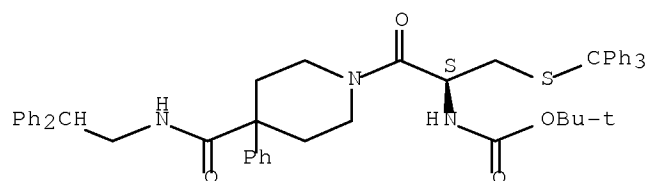
Absolute stereochemistry.



RN 256368-64-6 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[2,2-diphenylethyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[triphenylmethyl]thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

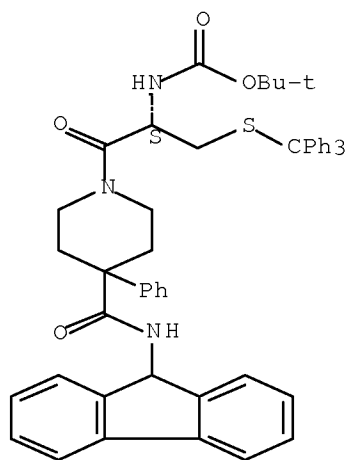
Absolute stereochemistry.



RN 256368-65-7 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[(9H-fluoren-9-ylamino)carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[triphenylmethyl]thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

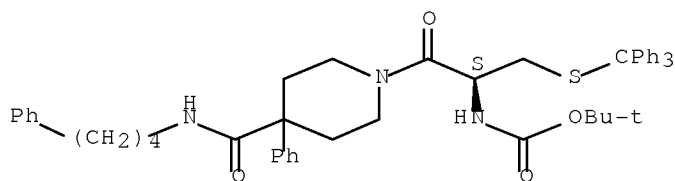
Absolute stereochemistry.



RN 256368-66-8 CAPLUS

CN Carbamic acid, [(1S)-2-oxo-2-[4-phenyl-4-[[4-phenylbutyl]amino]carbonyl]-1-piperidinyl]-1-[[triphenylmethyl]thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

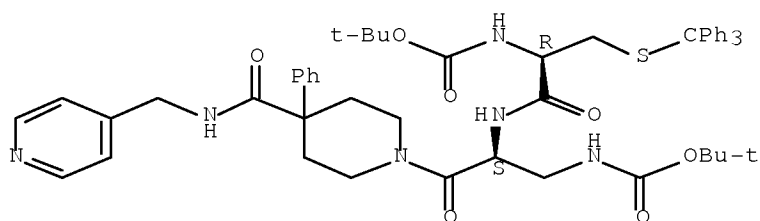
Absolute stereochemistry.



RN 256368-72-6 CAPLUS

CN Carbamic acid, [(1R)-2-[[[(1S)-1-[[[(1,1-dimethylethoxy)carbonyl]amino]methyl]-2-oxo-2-[4-phenyl-4-[[[(4-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]ethyl]amino]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

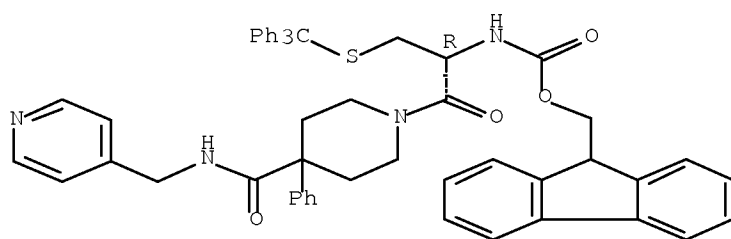
Absolute stereochemistry.



RN 256368-77-1 CAPLUS

CN Carbamic acid, [(1R)-2-oxo-2-[4-phenyl-4-[[[(4-pyridinylmethyl)amino]carbonyl]-1-piperidinyl]-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)

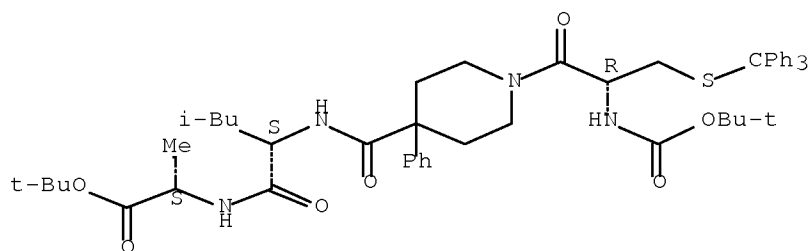
Absolute stereochemistry.



RN 256368-78-2 CAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-L-cysteinyl-4-phenyl-4-piperidinecarbonyl-L-leucyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

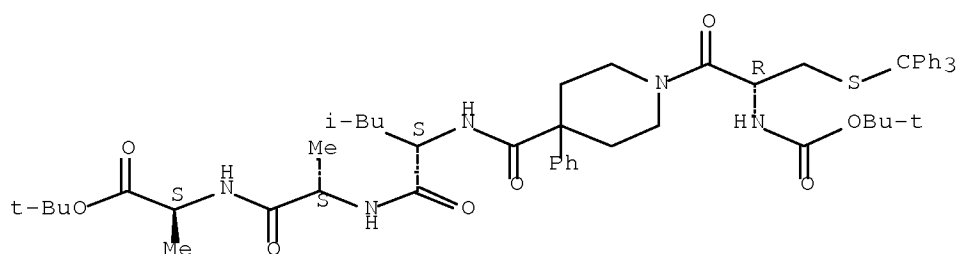
Absolute stereochemistry.



RN 256368-79-3 CAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-S-(triphenylmethyl)-L-cysteinyloxy-4-phenyl-4-piperidinecarboxamide-L-leucyl-L-alanyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

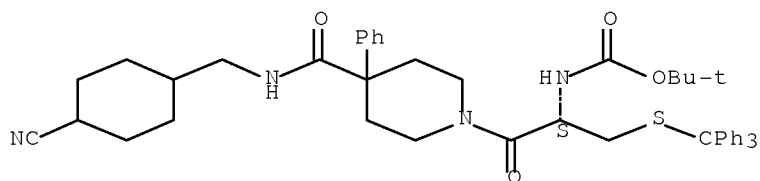
Absolute stereochemistry.



RN 256384-55-1 CAPLUS

CN Carbamic acid, [(1S)-2-[4-[[[(4-cyanocyclohexyl)methyl]amino]carbonyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[[[(triphenylmethyl)thio]methyl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 69 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:350649 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 130:352550

TITLE: Synthesis of 4-substituted 4-piperidinecarboxamide derivatives as cell adhesion inhibitors

INVENTOR(S): Delaszlo, Stephen E.; Hagmann, William K.

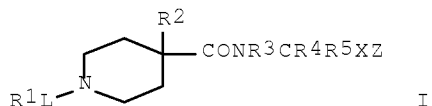
PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 71 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9925685	A1	19990527	WO 1998-US24513	19981116
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6020347	A	20000201	US 1998-191902	19981113
AU 9914150	A	19990607	AU 1999-14150	19981116
PRIORITY APPLN. INFO.:			US 1997-65917P	P 19971118
			GB 1997-27214	A 19971223
			WO 1998-US24513	W 19981116
OTHER SOURCE(S):		MARPAT 130:352550		
GI				



AB 4-Substituted 4-piperidinecarboxamides I [L = CO, OCO, NHCO or substituted iminocarbonyl, SO₂, P(O)OR₄, COCO; X is a bond, CH₂ or substituted methylene; Z = CO₂H, PO₃H₂, PH(O)OH, SOH, SO₂H, SO₃H or their esters, CONH₂ or substituted carboxamido, 5-tetrazolyl; R₁, R₂ = (un)substituted alkyl, alkenyl, alkynyl, Cy (cycloalkyl, heterocycloalkyl, aryl, heteroaryl); Cy-alkyl, Cy-alkenyl, or Cy-alkynyl; R₃ = H, (un)substituted alkyl or Cy; R₄ = H or (un)substituted alkyl, alkenyl, alkynyl, Cy, or Cy-alkyl; R₅ = H, (un)substituted alkyl, alkenyl, alkynyl, Cy-(Cyl)_p (Cyl same definition as Cy, p = 0, 1), Cy-(Cyl)_p-alkyl, -alkenyl, or -alkynyl] were prepared as antagonists of VLA-4 and/or α₄β₇ and as such are useful in the inhibition or prevention of cell adhesion and cell-adhesion mediated pathologies. Thus, N-[4-methyl-1-[4-(N'-2- methylphenylureido)phenylacetyl]piperidinyl-4-carbonyl]-L-4- fluorophenylalanine was prepared from L-4-fluorophenylalanine tert-Bu ester via 2-step N-acylation in solution

IT 225240-06-2P 225240-07-3P 225240-08-4P
 225240-09-5P 225240-10-8P 225240-11-9P
 225240-12-0P 225240-13-1P 225240-14-2P
 225240-15-3P 225240-16-4P 225240-17-5P
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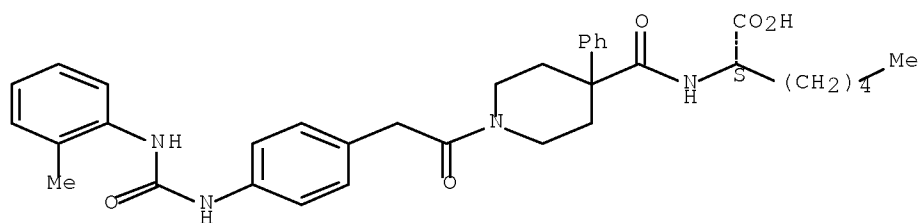
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of piperidinecarboxamide derivs. as cell adhesion inhibitors)

RN 225240-06-2 CAPLUS

CN Heptanoic acid, 2-[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, (2S)- (CA INDEX NAME)

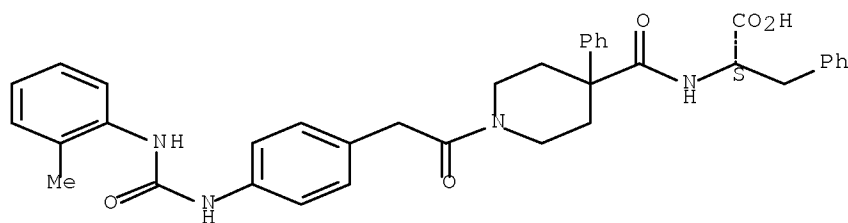
Absolute stereochemistry.



RN 225240-07-3 CAPLUS

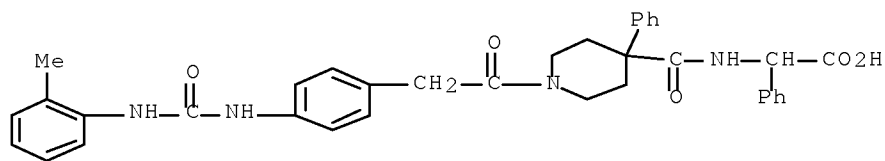
CN L-Phenylalanine, N-[[[1-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 225240-08-4 CAPLUS

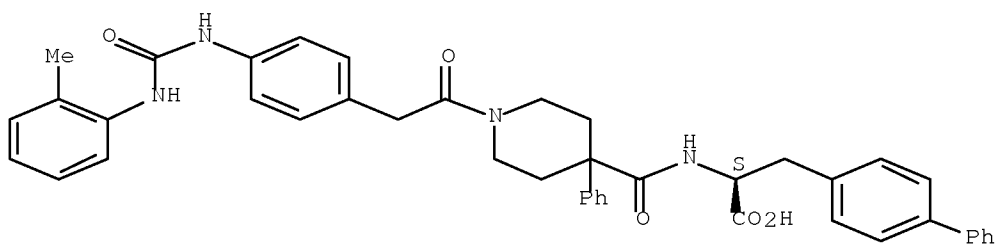
CN Benzeneacetic acid, α -[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 225240-09-5 CAPLUS

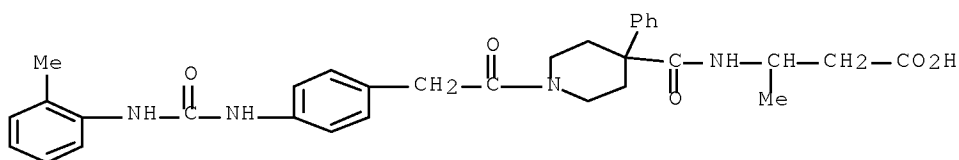
CN [1,1'-Biphenyl]-4-propanoic acid, α -[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



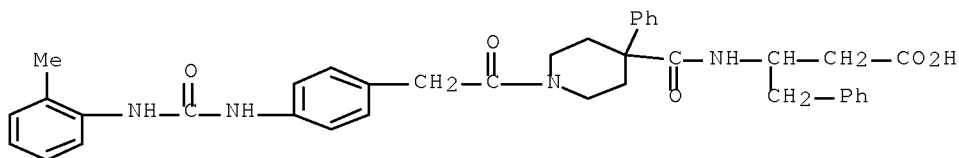
RN 225240-10-8 CAPLUS

CN Butanoic acid, 3-[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



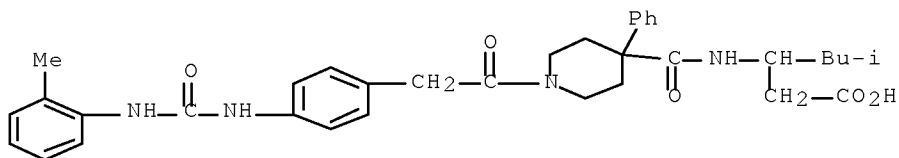
RN 225240-11-9 CAPLUS

CN Benzenebutanoic acid, β -[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 225240-12-0 CAPLUS

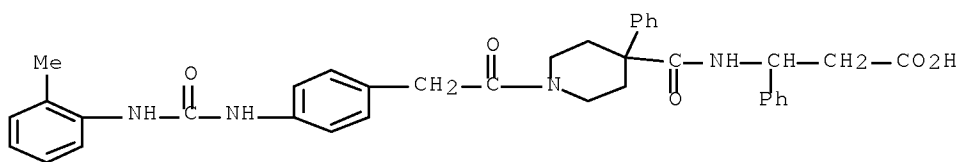
CN Hexanoic acid, 5-methyl-3-[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 225240-13-1 CAPLUS

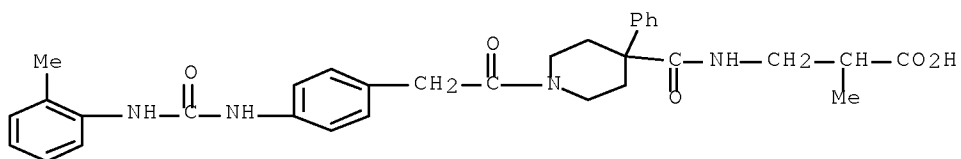
CN Benzenepropanoic acid, β -[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (CA INDEX NAME)

piperidinyl]carbonyl]amino]- (CA INDEX NAME)



RN 225240-14-2 CAPLUS

CN Propanoic acid, 2-methyl-3-[[[1-[2-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (CA INDEX NAME)

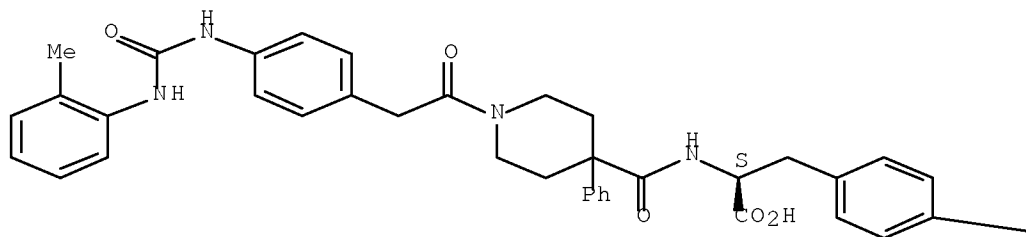


RN 225240-15-3 CAPLUS

CN L-Tyrosine, O-(1,1-dimethylethyl)-N-[[[1-[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

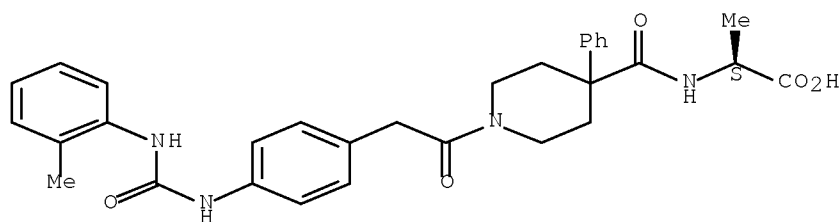


—OBU-t

RN 225240-16-4 CAPLUS

CN L-Alanine, N-[[1-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidiny]carbonyl]- (9CI) (CA INDEX NAME)

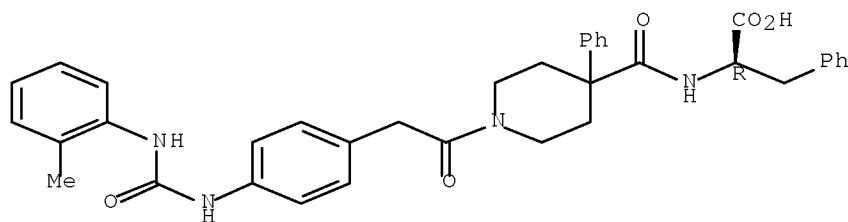
Absolute stereochemistry.



RN 225240-17-5 CAPLUS

CN D-Phenylalanine, N-[[1-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidiny]carbonyl]- (9CI) (CA INDEX NAME)

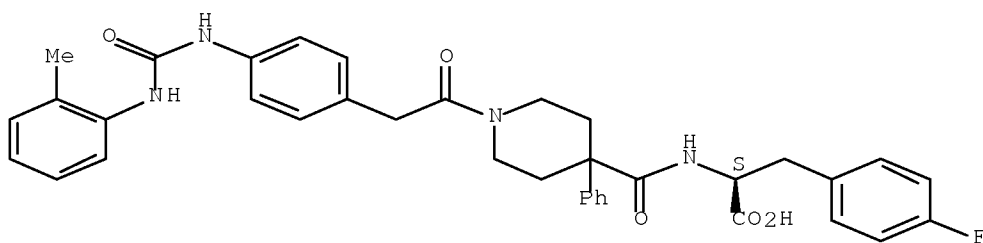
Absolute stereochemistry.



RN 225240-18-6 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[1-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidiny]carbonyl]- (9CI) (CA INDEX NAME)

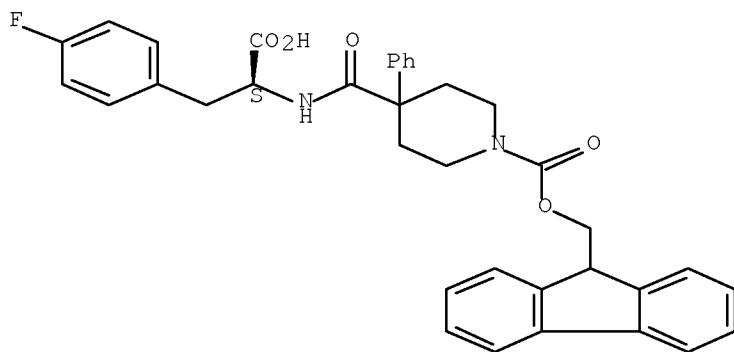
Absolute stereochemistry.



RN 225240-19-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(1S)-1-carboxy-2-(4-fluorophenyl)ethyl]amino]carbonyl]-4-phenyl-, 1-(9H-fluoren-9-ylmethyl) ester (CA INDEX NAME)

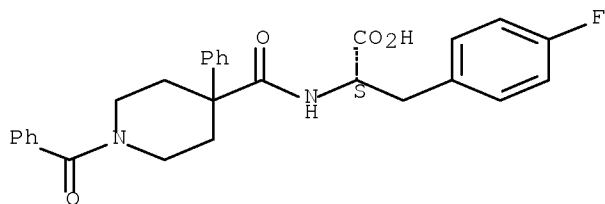
Absolute stereochemistry.



RN 225240-20-0 CAPLUS

CN L-Phenylalanine, N-[(1-benzoyl-4-phenyl-4-piperidinyl)carbonyl]-4-fluoro- (CA INDEX NAME)

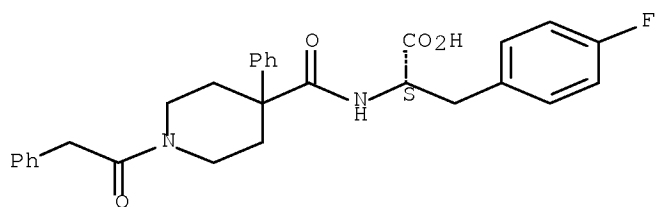
Absolute stereochemistry.



RN 225240-21-1 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[4-phenyl-1-(phenylacetyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

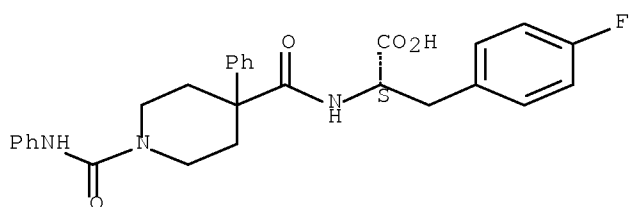
Absolute stereochemistry.



RN 225240-22-2 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[4-phenyl-1-[(phenylamino)carbonyl]-4-piperidiny]carbonyl]- (CA INDEX NAME)

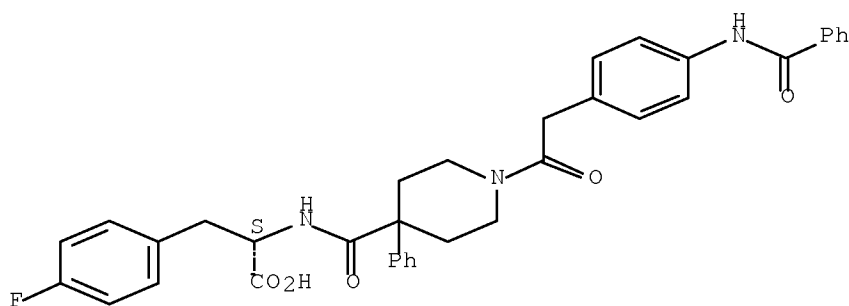
Absolute stereochemistry.



RN 225240-23-3 CAPLUS

CN L-Phenylalanine, N-[[1-[[4-(benzoylamino)phenyl]acetyl]-4-phenyl-4-piperidiny]carbonyl]-4-fluoro- (9CI) (CA INDEX NAME)

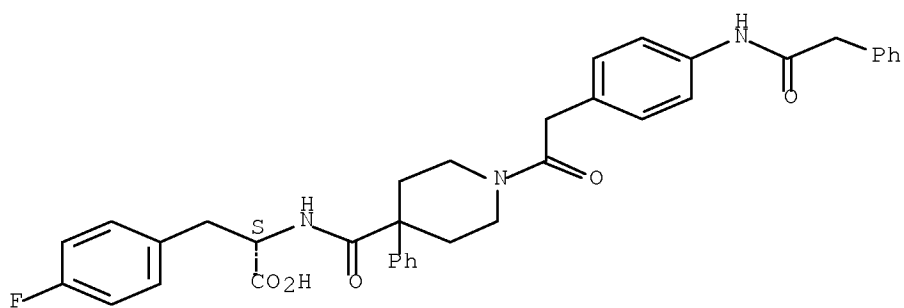
Absolute stereochemistry.



RN 225240-24-4 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[4-phenyl-1-[[4-[(phenylacetyl)amino]phenyl]acetyl]-4-piperidiny]carbonyl]- (9CI) (CA INDEX NAME)

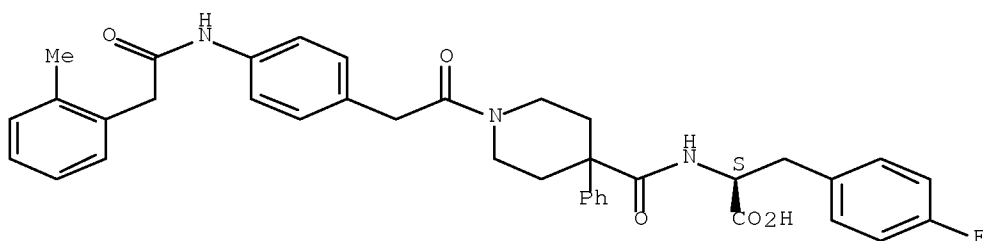
Absolute stereochemistry.



RN 225240-25-5 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[1-[[4-[[2-methylphenyl]acetyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

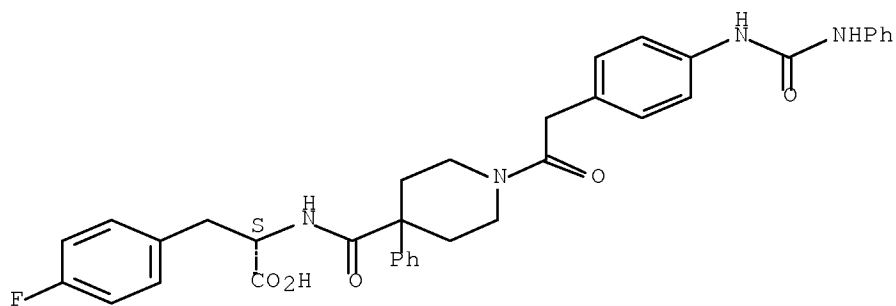
Absolute stereochemistry.



RN 225240-26-6 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[4-phenyl-1-[[4-[[phenylamino]carbonyl]amino]phenyl]acetyl]-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

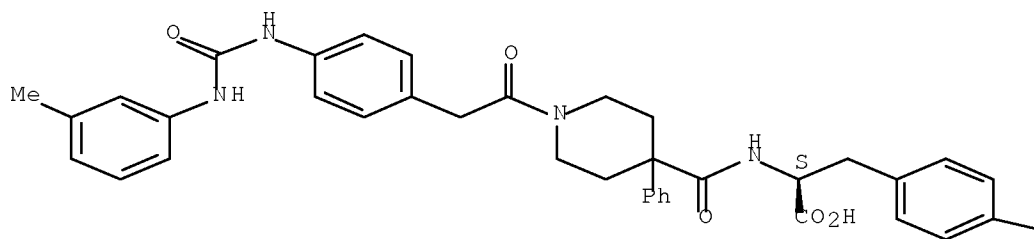


RN 225240-27-7 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[1-[[4-[[3-methylphenyl]amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

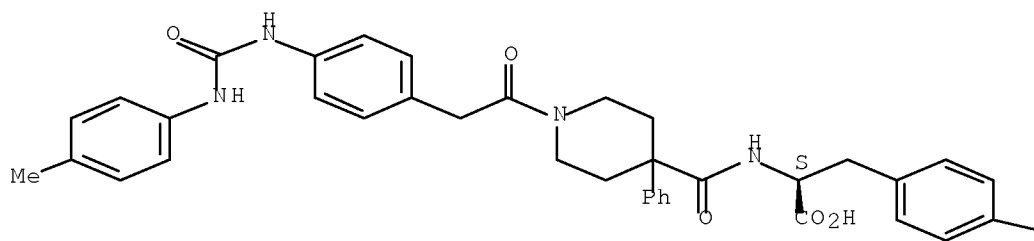
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RN 225240-28-8 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[1-[[4-[[[(4-methylphenyl)amino]carbonyl]amin
o]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

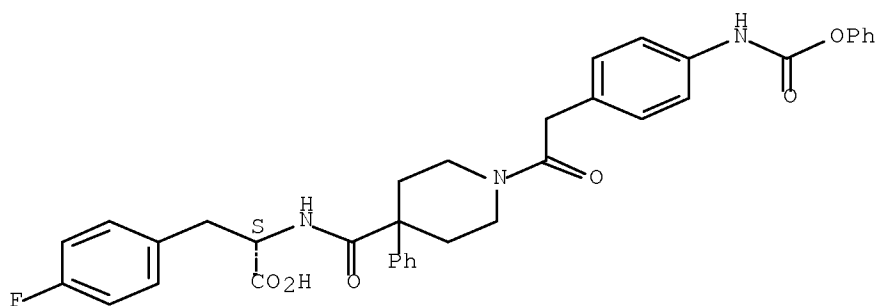




RN 225240-29-9 CAPLUS

CN L-Phenylalanine, 4-fluoro-N-[[1-[[4-[(phenoxy carbonyl)amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

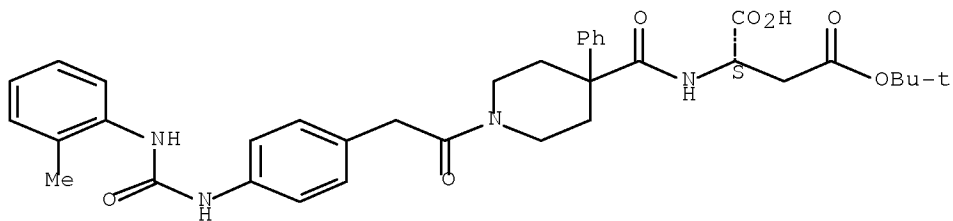
Absolute stereochemistry.



RN 225240-30-2 CAPLUS

CN L-Aspartic acid, N-[[1-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]-, 4-(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

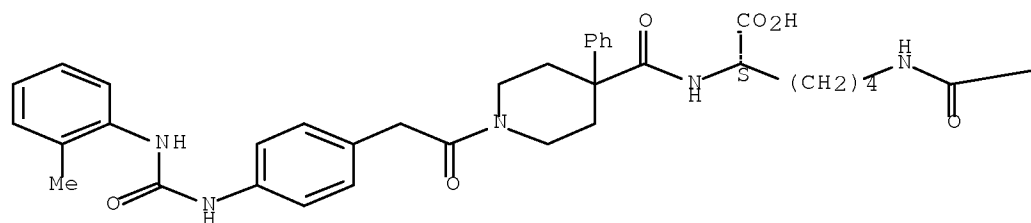
Absolute stereochemistry.



RN 225240-31-3 CAPLUS

CN L-Lysine, N6-[(1,1-dimethylethoxy)carbonyl]-N2-[[1-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

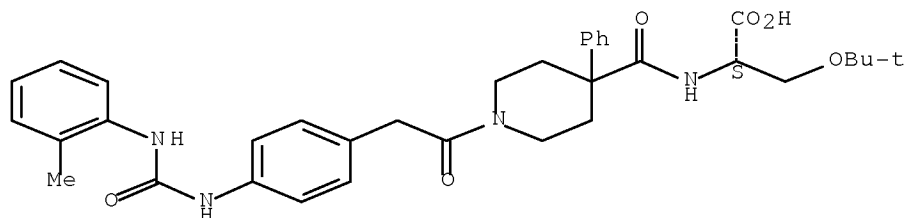


—OBu-t

RN 225240-32-4 CAPLUS

CN L-Serine, O-(1,1-dimethylethyl)-N-[[1-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

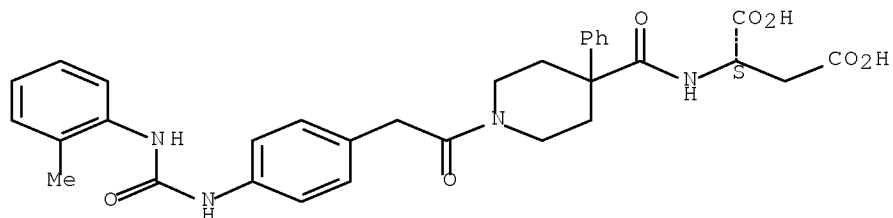
Absolute stereochemistry.



RN 225240-33-5 CAPLUS

CN L-Aspartic acid, N-[[1-[[4-[[[(2-methylphenyl)amino]carbonyl]amino]phenyl]acetyl]-4-phenyl-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 70 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:113709 CAPLUS Full-text

DOCUMENT NUMBER: 130:153983

TITLE: Preparation of N-sulfonylated aminophenylalanine dipeptide derivatives and analogs as inhibitors of leukocyte adhesion mediated by VLA-4

INVENTOR(S): Ashwell, Susan; Grant, Francine S.; Konradi, Andrei W.; Kreft, Anthony; Lombardo, Louis John; Pleiss, Michael A.; Sarantakis, Dimitrios; Semko, Christopher M.; Thorsett, Eugene D.

PATENT ASSIGNEE(S): Athena Neurosciences, Inc., USA; American Home Products Corporation

SOURCE: PCT Int. Appl., 164 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

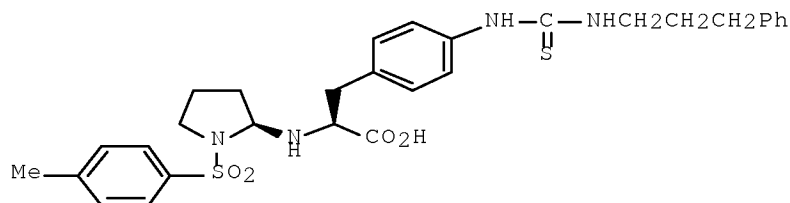
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906434	A1	19990211	WO 1998-US15312	19980730
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2290750	A1	19990211	CA 1998-2290750	19980730
AU 9885846	A	19990222	AU 1998-85846	19980730
ZA 9806837	A	20000502	ZA 1998-6837	19980730
EP 1001974	A1	20000524	EP 1998-937049	19980730
EP 1001974	B1	20060524		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY			
BR 9812118	A	20000718	BR 1998-12118	19980730
HU 2000004531	A2	20010428	HU 2000-4531	19980730
JP 2003517424	T	20030527	JP 2000-505189	19980730
AT 327245	T	20060615	AT 1998-937049	19980730
MX 200000680	A	20011031	MX 2000-680	20000119
NO 2000000411	A	20000328	NO 2000-411	20000127
PRIORITY APPLN. INFO.:			US 1997-920353	A1 19970731
			WO 1998-US15312	W 19980730

OTHER SOURCE(S): MARPAT 130:153983

GI



AB Disclosed are title compds. R1SO2NR2CHR3QCHR5COR6 [R1 = (un)substituted alkyl, (un)substituted aryl, (un)substituted cycloalkyl, (un)substituted heterocyclyl; R2 = H, any group R1; R1R2 may form (un)substituted heterocyclic ring; R3 = H, any group R1; R2R3 may form (un)substituted heterocyclic ring; R5 = (CH2)x-Ar-R5'; R5' = NR12C(Z)NR8R8', NR12C(Z)R13; R12 = H, alkyl, aryl; R8, R8' = independently H, any group R1; R8R8' may form heterocyclic ring; R13 = saturated heterocycle; Z = O, S, NR13; x = 1-4; , (CH2)n-heteroaryl; n = 1-4; Q = C(X)NR7; R7 = H, alkyl; X = O, S; R6 = NH2, (un)substituted alkoxy, (un)substituted cycloalkoxy, succinimidyl, adamantylamino, β -cholest-5-en-3-yloxy, NHOY, NH(CH2)pCO2Y, OCH2NR9R10; Y = H, (un)substituted alkyl, (un)substituted aryl; p = 1-8; R9 = (un)substituted CO-aryl; R10 = H, CH2CO2R11, NHSO2Z; R11 = alkyl; Z = (un)substituted alkyl, (un)substituted cycloalkyl, (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl; and pharmaceutically acceptable salts thereof, with provisos] which bind VLA-4 (also referred to as integrin $\alpha 4 \beta 1$ and CD49d/CD29). Certain of these compds. also inhibit leukocyte adhesion and, in particular, leukocyte adhesion mediated by VLA-4. Such compds. are useful in the treatment of inflammatory diseases in a mammalian patient, e.g., human, wherein the disease may be, for example, asthma, Alzheimer's disease, atherosclerosis, AIDS dementia, diabetes, inflammatory bowel disease, rheumatoid arthritis, tissue transplantation, tumor metastasis and myocardial ischemia. The compds. can also be administered for the treatment of inflammatory brain diseases such as multiple sclerosis. Thus, condensation of N-tosyl-L-prolyl-4-amino-L-phenylalanine Me ester with 3-phenylpropyl isothiocyanate gave the corresponding urea I.

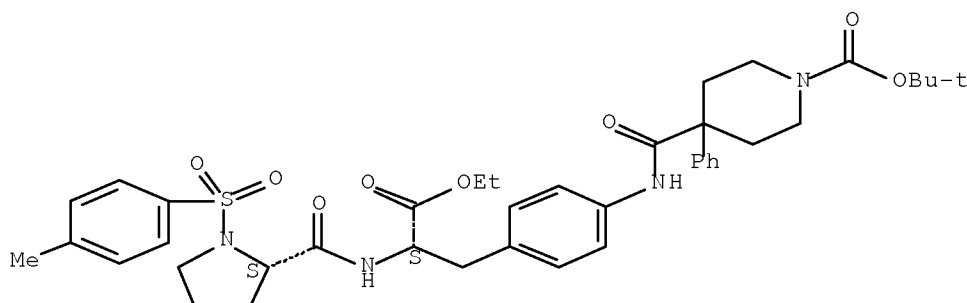
IT 220149-61-1P 220149-67-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-sulfonylated aminophenylalanine dipeptide derivs. and analogs as inhibitors of leukocyte adhesion mediated by VLA-4)

RN 220149-61-1 CAPLUS

CN L-Phenylalanine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-4-[[[1-[(1,1-dimethylethoxy)carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)

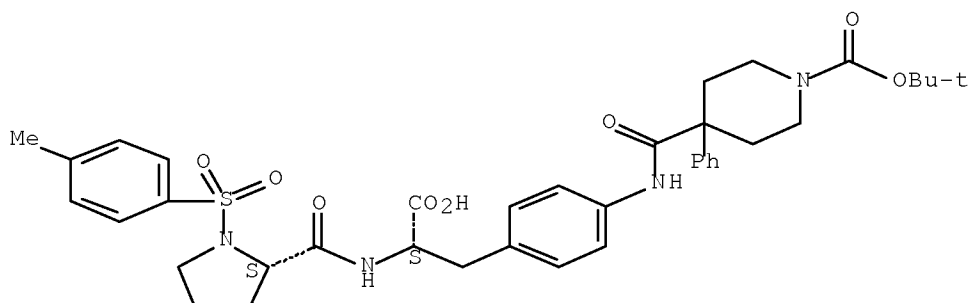
Absolute stereochemistry.



RN 220149-67-7 CAPLUS

CN L-Phenylalanine, 1-[(4-methylphenyl)sulfonyl]-L-prolyl-4-[[[1-[(1,1-dimethylethoxy)carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

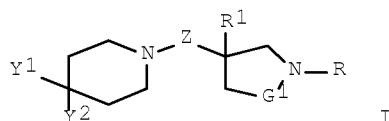


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 71 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1998:689192 CAPLUS Full-text
 DOCUMENT NUMBER: 129:330656
 ORIGINAL REFERENCE NO.: 129:67439a,67442a
 TITLE: Preparation of 1-(3-pyrrolidinylalkyl)-4-piperidinecarboxamides as tachykinin antagonists
 INVENTOR(S): Burkholder, Timothy P.; Kudlacz, Elizabeth M.; Le Tieu-bihn; Maynard, George D.
 PATENT ASSIGNEE(S): Hoechst Marion Roussel Inc., USA
 SOURCE: U.S., 93 pp., Cont.-in-part of U.S. 5,635,510.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5824690	A	19981020	US 1997-798664	19970211
ZA 9403091	A	19950112	ZA 1994-3091	19940504
US 5635510	A	19970603	US 1994-332027	19941031
PRIORITY APPLN. INFO.:			US 1993-58606	B2 19930506
			US 1994-225371	B2 19940419
			US 1994-332027	A2 19941031

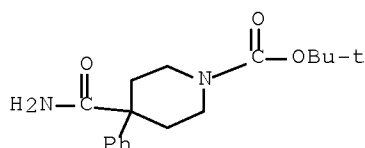
OTHER SOURCE(S): MARPAT 129:330656
 GI



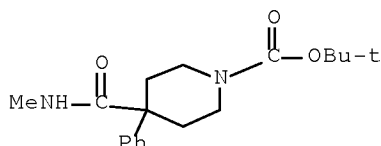
AB Title compds. [I; R = G2(CH2)nR2; G1,G2 = CH2 or CO; R1 = (un)substituted Ph, -naphthyl, pyridyl, etc.; R2 = (un)substituted Ph or -pyridyl; Y1 = CONHR5 or CONR6R7; R5 = H, alkyl, (CH2)qNR6R7, etc.; R6,R7 = alkyl; NR6R7 =

heterocyclyl; Y2 = (un)substituted phenyl(methyl), -pyridyl, -thienyl; Y1Y2 = atoms to complete a ring; Z = (CH2)2-3; n = 0 or 1; q = 2 or 3] were prepared Thus, 3,4-Cl2C6H3CH2CN was biscondensed with BrCH2CO2Et and the reduced product cyclized to give, after reduction and N-benzoylation, 1-benzoyl-3-(2-hydroxyethyl)-3-(3,4-dichlorophenyl)pyrrolidine. The latter was treated with MeSO2Cl and the product aminated by 4-phenylpiperidine-4-carboxamide (preparation given) to give I (G1 = CH2, R = Bz, R1 = C6H3Cl2-3,4, Y1 = CONH2, Y2 = Ph, Z = CH2CH2). Data for biol. activity of I were given.

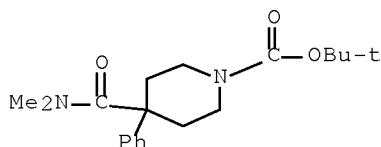
IT 167262-69-3P 167263-14-1P 167263-16-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 1-(3-pyrrolidinylalkyl)-4-piperidinecarboxamides as tachykinin antagonists)
 RN 167262-69-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 167263-14-1 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(methylamino)carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 167263-16-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

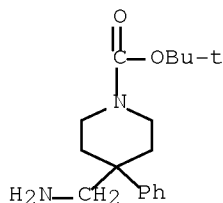
ACCESSION NUMBER: 1998:642712 CAPLUS Full-text
 DOCUMENT NUMBER: 130:32676
 TITLE: 4,4-Disubstituted Piperidine High-Affinity NK1 Antagonists: Structure-Activity Relationships and in Vivo Activity
 AUTHOR(S): Stevenson, Graeme I.; Huscroft, Ian; MacLeod, Angus M.; Swain, Christopher J.; Cascieri, Margaret A.; Chicchi, Gary G.; Graham, Michael I.; Harrison, Timothy; Kelleher, Fintan J.; Kurtz, Marc; Ladduwahetty, Tamara; Merchant, Kevin J.; Metzger, Joseph M.; MacIntyre, D. E.; Sadowski, Sharon; Sohal, Balbinder; Owens, Andrew P.
 CORPORATE SOURCE: Department of Medicinal Chemistry Neuroscience Research Centre, Merck Sharp and Dohme Research Laboratories, Harlow Essex, CM20 2QR, UK
 SOURCE: Journal of Medicinal Chemistry (1998), 41(23), 4623-4635
 CODEN: JMCMAR; ISSN: 0022-2623
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English

AB Previously reported studies from these labs. described the design of a novel series of high-affinity NK1 antagonists based on the 4,4-disubstituted piperidine ring system. Further structure-activity studies have now established that for high NK1 affinity the benzyl ether side chain must be 3,5-disubstituted and highly lipophilic, the optimal side chain being the 3,5-bis(trifluoromethyl)benzyl ether, 12 (hNK1 IC50 = 0.95 nM). Addnl. studies have shown that this class of NK1 antagonist tolerates a wider range of substituents on the piperidine nitrogen, including acyl (hNK1 IC50 = 5.3 nM) and sulfonyl (hNK1 IC50 = 5.7 nM) derivs. Following preliminary pharmacokinetic anal., two compds. were selected for in vivo study in the resiniferotoxin-induced vascular leakage model, both showing excellent profiles (ID50 = 0.22 and 0.28 mg/kg, resp.).

IT 158144-82-2P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (structure-activity relationships and in vivo activity of 4,4-disubstituted piperidine high-affinity antagonists)

RN 158144-82-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 1998:515940 CAPLUS Full-text
 DOCUMENT NUMBER: 129:260777
 ORIGINAL REFERENCE NO.: 129:53153a,53156a
 TITLE: Serine derived NK1 antagonists 2: a pharmacophore model for arylsulfonamide binding
 AUTHOR(S): Elliott, J. M.; Broughton, H.; Cascieri, M. A.; Chicchi, G.; Huscroft, I. T.; Kurtz, M.; MacLeod, A. M.; Sadowski, S.; Stevenson, G. I.
 CORPORATE SOURCE: Neuroscience Research Center, Merck, Sharp and Dohme Research Laboratories, Essex, CM20 2QR, UK
 SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(14), 1851-1856
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Modifications to the spirocyclic aryl sulfonamide portion of serine derived NK1 antagonists allow a partial pharmacophore model to be developed. The compds. were prepared by coupling of a suitable amine (syntheses were provided for amines not com. available) to the enantiomerically pure acid I, followed by Boc deprotection and N-benzylation. In the binding study of hNK1 receptors, it was found that if either the spirocyclic aromatic ring or the sulfonamide of the previously synthesized, lead compound II are removed, than the resulting compds. III ($IC_{50} = 2500 \pm 1054$ nM) and IV ($IC_{50} = 602 \pm 233$ nM) have much lower binding affinities for the NK1 receptor than that of II (1.0 ± 0.6 nM).

IT 199104-67-1P

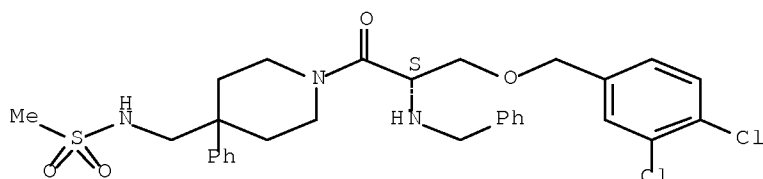
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of serine arylsulfonamides as NK1 antagonists to establish a pharmacophore model of the arylsulfonamide binding of NK1 receptors)

RN 199104-67-1 CAPLUS

CN Methanesulfonamide, N-[[1-[(2S)-3-[(3,4-dichlorophenyl)methoxy]-1-oxo-2-[(phenylmethyl)amino]propyl]-4-phenyl-4-piperidinyl)methyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 158144-82-2P

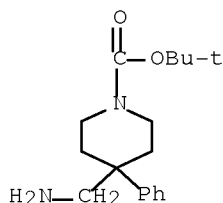
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of serine arylsulfonamides as NK1 antagonists to establish a pharmacophore model of the arylsulfonamide binding of NK1 receptors)

RN 158144-82-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 74 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1998:479024 CAPLUS Full-text

DOCUMENT NUMBER: 129:136173

ORIGINAL REFERENCE NO.: 129:27841a,27844a

TITLE: Preparation of heterocyclic compounds as tachykinin receptor ligands

INVENTOR(S): Emonds-Alt, Xavier; Grossriether, Isabelle; Gueule, Patrick; Proietto, Vincenzo; Van Broeck, Didier; Taillades, Joelle

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: U.S., 65 pp., Cont.-in-part of U.S. 5,641,777.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

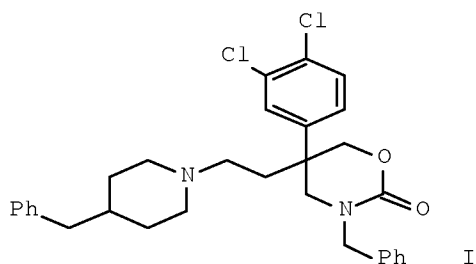
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5780466	A	19980714	US 1996-703729	19960827
FR 2729952	A1	19960802	FR 1995-1016	19950130
FR 2729952	B1	19970418		
FR 2729953	A1	19960802	FR 1995-8046	19950704
FR 2729953	B1	19970801		
FR 2729954	A1	19960802	FR 1995-13005	19951103
FR 2729954	B1	19970801		
IN 186766	A1	20011103	IN 1996-DE169	19960125
ZA 9600694	A	19960826	ZA 1996-694	19960130
US 5641777	A	19970624	US 1996-593938	19960130
JP 2001131171	A	20010515	JP 2000-342606	19960130
JP 2001172279	A	20010626	JP 2000-342571	19960130
EP 1156049	A1	20011121	EP 2001-119949	19960130
EP 1156049	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV				
EP 1340754	A1	20030903	EP 2003-12771	19960130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV				
IL 127114	A	20040927	IL 1996-127114	19960130
EP 1688416	A1	20060809	EP 2006-5775	19960130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV				
CN 1821241	A	20060823	CN 2006-10008868	19960130
EP 1923391	A1	20080521	EP 2007-150446	19960130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE, LT, LV, SI				
FR 2751654	A1	19980130	FR 1996-9439	19960726
FR 2751654	B1	19981023		
US 5869663	A	19990209	US 1997-820716	19970318
US 6011154	A	20000104	US 1998-4454	19980108
HK 1041881	A1	20050729	HK 2002-103621	19980210
US 5977359	A	19991102	US 1998-175332	19981020
US 6242637	B1	20010605	US 1998-175331	19981020
AU 9930133	A	19990819	AU 1999-30133	19990519
AU 731788	B2	20010405		
JP 2002138088	A	20020514	JP 2001-339406	20011105
JP 3943369	B2	20070711		

PRIORITY APPLN. INFO.:

FR 1995-1016	A	19950130
FR 1995-8046	A	19950704
FR 1995-13005	A	19951103
US 1996-593938	A2	19960130
FR 1996-9439	A	19960726
AU 1996-46669	A3	19960130
CN 2003-10119883	A3	19960130
EP 1996-902305	A3	19960130
EP 2001-119949	A3	19960130
EP 2003-12771	A3	19960130
EP 2006-5775	A3	19960130
IL 1996-116957	A3	19960130
JP 1996-523308	A3	19960130
JP 2000-342571	A3	19960130
US 1996-703729	A3	19960827
US 1997-820716	A3	19970318
HK 1998-100995	A	19980210

OTHER SOURCE(S): MARPAT 129:136173
GI



AB R(CH₂)_mCR₁R₂CH₂NR₃R₄ [R = 4-substituted piperidino, 1-alkyl- or 1-benzyl-4-substituted piperidinium-1-yl, aryl(methyl)pyridinium-1-yl, etc.; R₁ = (un)substituted Ph, -indolyl, -pyridyl, etc.; R₂R₃ = O₂C, CH₂O₂C, OCO, OCH₂CH₂, NHCO, etc.; R₄ = (hetero)arylmethyl, CHPh₂, CPh₃, etc.; m = 2 or 3]

were prepared Thus, HOCH₂CR₁(CH₂CH₂OTHP)CH₂NH₂ (R₁ = C₆H₃Cl₂-3,4, THP = 2-tetrahydropyranyl)(preparation given) was cyclocondensed with COCl₂ and the product converted in 4 steps to title compound I. Data for biol. activity of the title compds. were given.

IT 181641-71-4F 181641-83-8F 189877-07-4F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclic compds. as tachykinin receptor ligands)

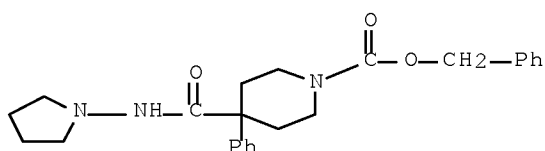
RN 181641-71-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[(1-pyrrolidinylamino)carbonyl]-, phenylmethyl ester, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 181641-70-3

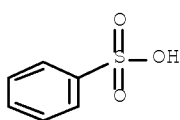
CMF C24 H29 N3 O3



CM 2

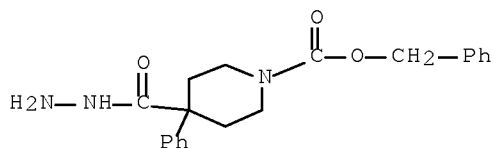
CRN 98-11-3

CMF C6 H6 O3 S



RN 181641-83-8 CAPLUS

CN 1,4-Piperidinedicarboxylic acid, 4-phenyl-, 1-(phenylmethyl) ester, 4-hydrazide (CA INDEX NAME)



RN 189877-07-4 CAPLUS

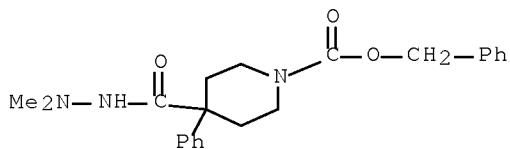
CN 1,4-Piperidinedicarboxylic acid, 4-phenyl-, 1-(phenylmethyl) ester, 4-(2,2-dimethylhydrazide), mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

NAME)

CM 1

CRN 189877-06-3

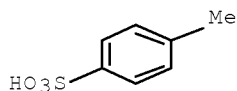
CMF C22 H27 N3 O3



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 75 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:798591 CAPLUS Full-text

DOCUMENT NUMBER: 128:13439

ORIGINAL REFERENCE NO.: 128:2625a,2628a

TITLE: Preparation of serine derivatives useful as tachykinin antagonists

INVENTOR(S): Elliott, Jason Matthew; Macleod, Angus Murray; Stevenson, Graeme Irvine

PATENT ASSIGNEE(S): Merck Sharp & Dohme Limited, UK

SOURCE: Brit. UK Pat. Appl., 80 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

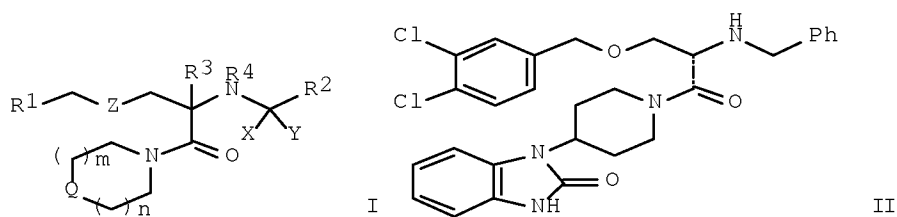
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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GB 2309458	A	19970730	GB 1997-1206	19970121
US 5885999	A	19990323	US 1997-786522	19970121
PRIORITY APPLN. INFO.:			GB 1996-1724	A 19960129

OTHER SOURCE(S): CASREACT 128:13439; MARPAT 128:13439

GI



AB Title compds. I [m = 0-2; n = 0, 1; with the proviso that m + n = 1 or 2; R1 = Ph, naphthyl, Ph2CH, PhCH2, where the naphthyl or any Ph moiety may be substituted; R2 = H, Ph, heteroaryl such as indazolyl, thienyl, furanyl, pyridyl, thiazolyl, tetrazolyl, quinolinyl, naphthyl, Ph2CH, PhCH2, wherein each heteroaryl, the naphthyl and any Ph moiety may be substituted; R3, R4 = independently H, C1-6 alkyl; R3R4 = C1-3 alkylene chain; Q = CR5R6, NR5; X = Y = H; XY = O; Z = bond, O, S, S(O), SO2, NR7 or CR7R8; R7, R8 = independently H, C1-6 alkyl] or pharmaceutically acceptable salts thereof are of particular use in the treatment or prevention of pain, inflammation, migraine, emesis and postherpetic neuralgia. Thus, coupling of (S)-2-tert-butoxycarbonylamino-3-(3,4-dichlorobenzoyloxy)propionic acid with 4-(2-keto-1-benzimidazoliny)l)piperidine, followed by acidic deprotection and reductive benzylation with benzaldehyde and sodium borohydride gave serine derivative II as its HCl salt. The compds. prepared here are active with IC50 at the NK1 receptor of less than 1 μ M.

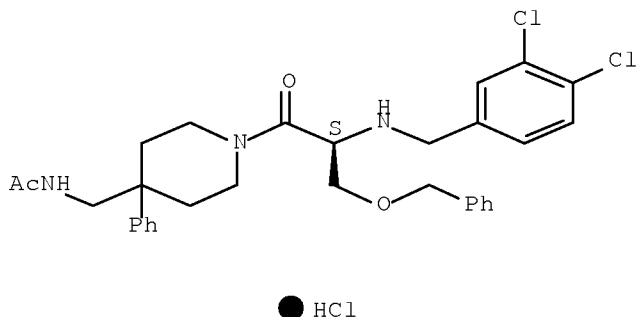
IT 199103-93-0P 199103-94-1P 199104-09-1P
199104-11-5P 199104-34-2P 199104-35-3P
199104-67-1P 199104-69-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of serine derivs. useful as tachykinin antagonists)

RN 199103-93-0 CAPLUS

CN Acetamide, N-[[1-[(2S)-2-[[[(3,4-dichlorophenyl)methyl]amino]-1-oxo-3-(phenylmethoxy)propyl]-4-phenyl-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

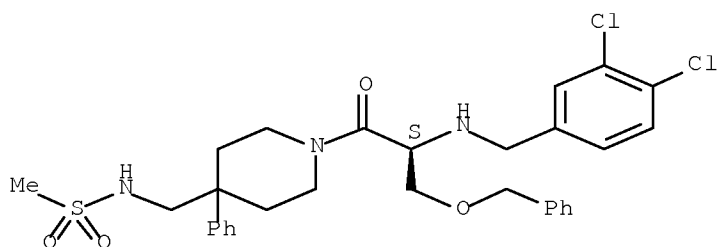
Absolute stereochemistry.



RN 199103-94-1 CAPLUS

CN Methanesulfonamide, N-[[1-[(2S)-2-[[[(3,4-dichlorophenyl)methyl]amino]-1-oxo-3-(phenylmethoxy)propyl]-4-phenyl-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

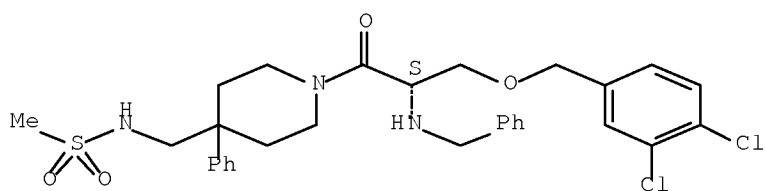
Absolute stereochemistry.



RN 199104-09-1 CAPLUS

CN Methanesulfonamide, N-[[1-[(2S)-3-[(3,4-dichlorophenyl)methoxy]-1-oxo-2-[(phenylmethyl)amino]propyl]-4-phenyl-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

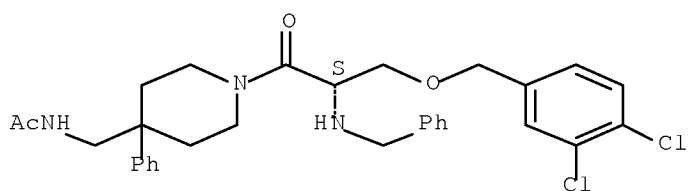
Absolute stereochemistry.



RN 199104-11-5 CAPLUS

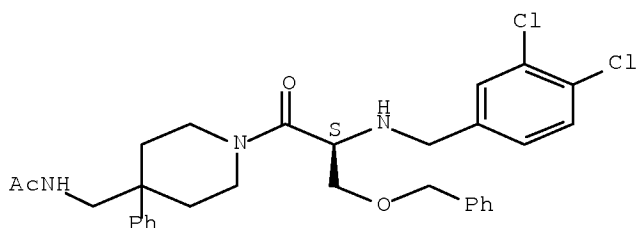
CN Acetamide, N-[[1-[(2S)-3-[(3,4-dichlorophenyl)methoxy]-1-oxo-2-[(phenylmethyl)amino]propyl]-4-phenyl-4-piperidinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.



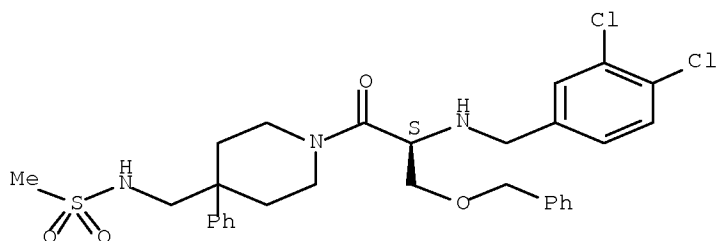
RN 199104-34-2 CAPLUS
 CN Acetamide, N-[[1-[(2S)-2-[[[(3,4-dichlorophenyl)methyl]amino]-1-oxo-3-(phenylmethoxy)propyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



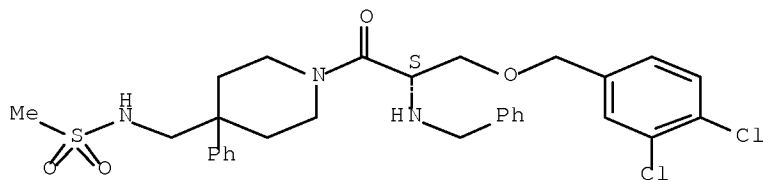
RN 199104-35-3 CAPLUS
 CN Methanesulfonamide, N-[[1-[(2S)-2-[[[(3,4-dichlorophenyl)methyl]amino]-1-oxo-3-(phenylmethoxy)propyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



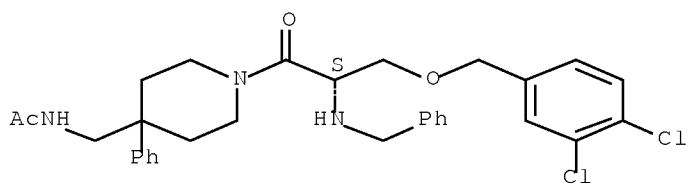
RN 199104-67-1 CAPLUS
 CN Methanesulfonamide, N-[[1-[(2S)-3-[(3,4-dichlorophenyl)methoxy]-1-oxo-2-[(phenylmethyl)amino]propyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

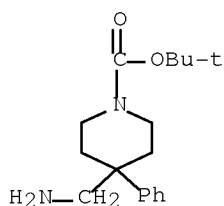


RN 199104-69-3 CAPLUS
 CN Acetamide, N-[[1-[(2S)-3-[(3,4-dichlorophenyl)methoxy]-1-oxo-2-[(phenylmethyl)amino]propyl]-4-phenyl-4-piperidinyl]methyl]- (CA INDEX NAME)

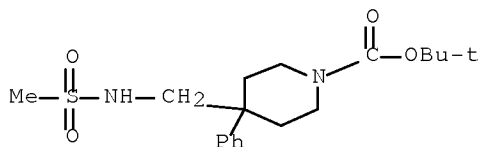
Absolute stereochemistry.



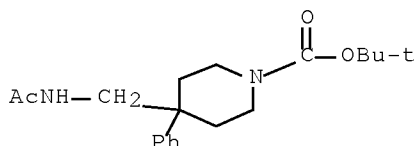
IT 158144-82-2P 199104-96-6P 199104-98-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of serine derivs. useful as tachykinin antagonists)
RN 158144-82-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-phenyl-, 1,1-dimethylethyl
ester (CA INDEX NAME)



RN 199104-96-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[[(methylsulfonyl) amino]methyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 199104-98-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(acetylamino)methyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 76 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:610363 CAPLUS Full-text

DOCUMENT NUMBER: 127:205472

ORIGINAL REFERENCE NO.: 127:39943a,39946a

TITLE: Preparation of pyrrolidinealkanoates and analogs as bradykinin antagonists

INVENTOR(S): Wagner, Adalbert; Breipohl, Gerhard; Heitsch, Holger; Gerhards, Hermann; Noelken, Gerhard; Wirth, Klaus; Schoelkens, Bernward

PATENT ASSIGNEE(S): Hoechst A.-G., Germany

SOURCE: Ger. Offen., 28 pp.

CODEN: GWXXBX

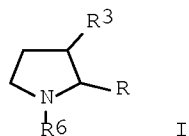
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 19603767	A1	19970807	DE 1996-19603767	19960202
PRIORITY APPLN. INFO.:			DE 1996-19603767	19960202
OTHER SOURCE(S):	MARPAT	127:205472		
GI				



AB Title compds. [e.g., I; R = CHR2COR1; R1 = OH, alkoxy, alkylaryloxy, (di)(alkyl)amino, etc.; R2 = (cyclo)alk(en)yl, aryl, etc.; R3 = H, (cyclo)alkyl, aralkyl, etc.; R6 = e.g., CH2C6H4(CH2NR4R5)-4; R4 = H, alkyl, alkoxy-carbonyl, amidino, etc.; R5 = H, 1-acyl-4-phenyl-4-piperidinylcarbonyl, etc.] were prepared. Thus, Et 2-pyrrolidinylideneacetate was alkylated by 2-bromomethylnaphthalene and the product N-alkylated by 4-(Me3CO2CNH)C6H4CH2OSO2Me (preparation given) to give, after reduction, I [R = CHR2COR1, R1 = OEt, R2 = 2-naphthylmethyl, R6 = 4-(Me3CO2CNH)C6H4CH2]. Data for biol. activity of I were given.

IT 194609-89-7P 194609-90-0P 194609-91-1P
194609-92-2P 194609-94-4P 194609-96-6P
194609-98-8P 194610-00-9P 194610-02-1P
194610-03-2P 194610-04-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrrolidinealkanoates and analogs as bradykinin antagonists)

RN 194609-89-7 CAPLUS

CN 2-Naphthalenepropanoic acid, α -[1-[[4-[[[2-[[[1-[[[8-amino-octyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-1-oxo-3-

(2-thienyl)propyl]amino]methyl]phenyl]methyl]-2-pyrrolidinylidene]-, ethyl ester, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

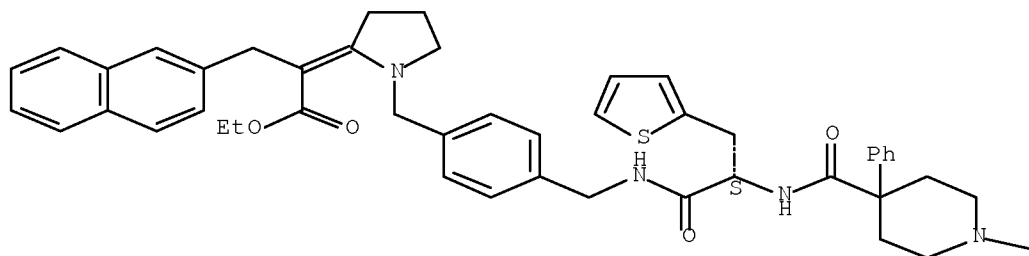
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CRN 194609-88-6

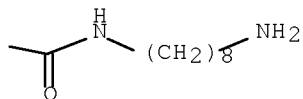
CMF C55 H68 N6 O5 S

Absolute stereochemistry.
Double bond geometry unknown.

PAGE 1-A



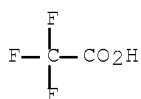
PAGE 1-B



CM 2

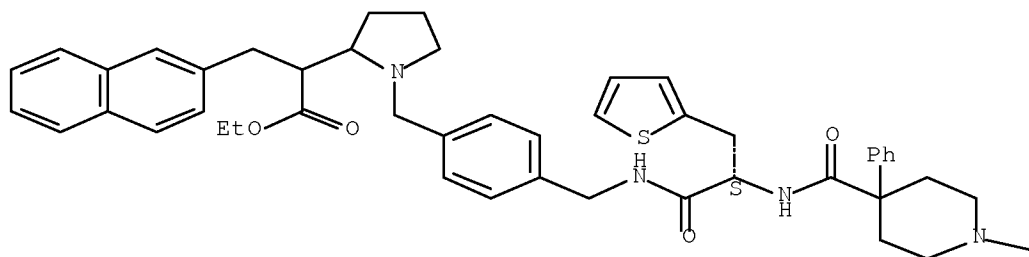
CRN 76-05-1

CMF C2 H F3 O2

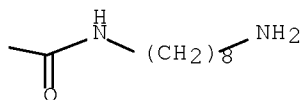


CN 2-Pyrrolidineacetic acid, 1-[[[4-[[[2-[[[1-[[[8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-1-oxo-3-(2-thienyl)propyl]amino]methyl]phenyl]methyl]- α -(2-naphthalenylmethyl)-, ethyl ester, [1(S)]-[partial]- (9CI) (CA INDEX NAME)

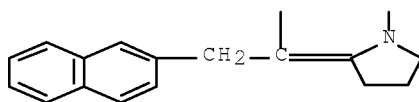
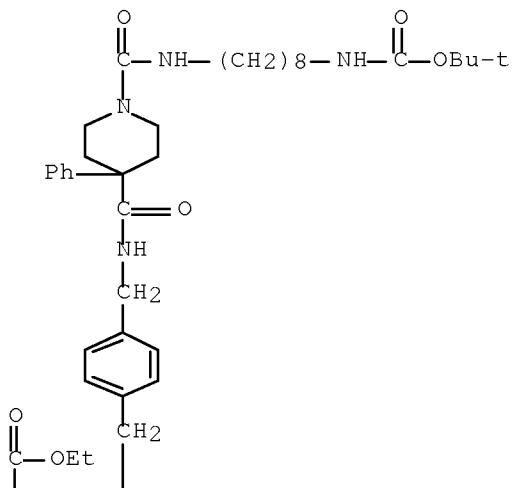
PAGE 1-A



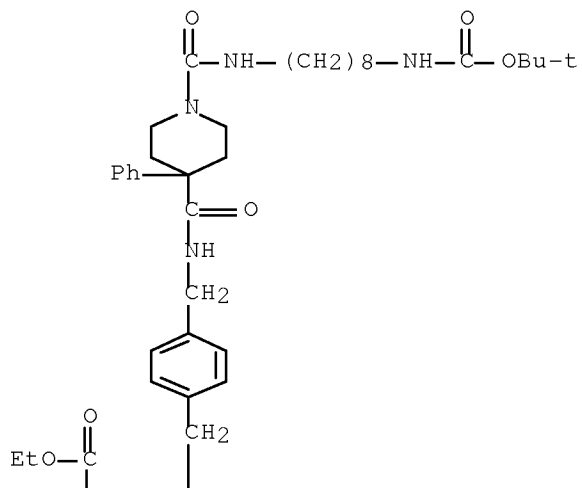
PAGE 1-B



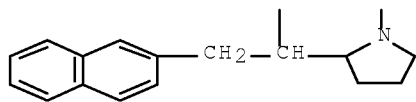
CN 2-Naphthalenepropanoic acid, α -[1-[[4-[[[[1-[[[8-[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]methyl]phenyl]methyl]-2-pyrrolidinylidene]-, ethyl ester (CA INDEX NAME)



RN 194609-92-2 CAPLUS
 CN 2-Pyrrolidineacetic acid, 1-[[4-[[[1-[[[8-[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]methyl]phenyl]methyl]-α-(2-naphthalenylmethyl)-, ethyl ester (CA INDEX NAME)



PAGE 2-A



RN 194609-94-4 CAPLUS

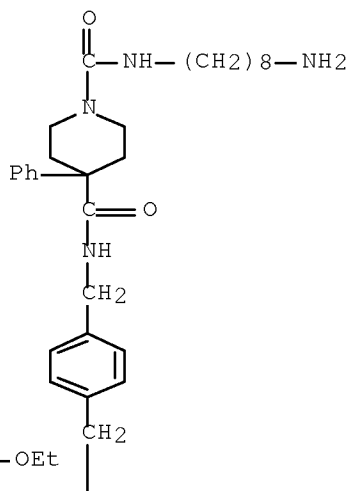
CN 2-Naphthalenepropanoic acid, α -[1-[[4-[[[1-[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]methyl]phenyl]methyl]-2-pyrrolidinylidene]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

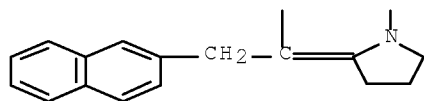
CRN 194609-93-3

CMF C48 H61 N5 O4

PAGE 1-A



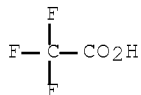
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 194609-96-6 CAPLUS

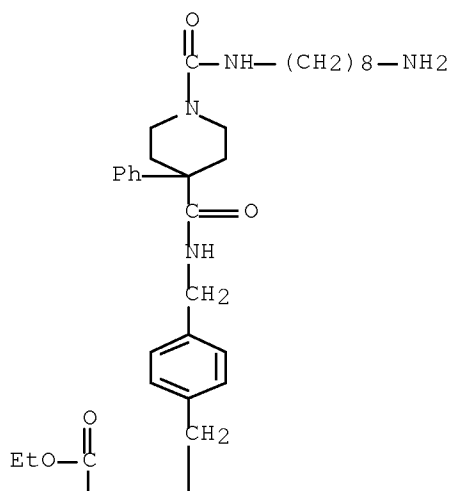
CN 2-Pyrrolidineacetic acid, 1-[[4-[[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidiny]carbonyl]amino]methyl]phenyl]methyl]- α -(2-naphthalenylmethyl)-, ethyl ester, 2,2,2-trifluoroacetate (1:2) (CA INDEX NAME)

CM 1

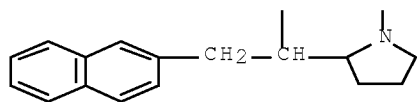
CRN 194609-95-5

CMF C48 H63 N5 O4

PAGE 1-A



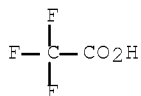
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 194609-98-8 CAPLUS

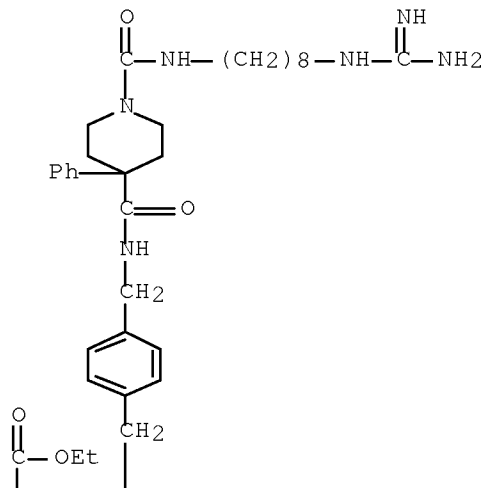
CN 2-Naphthalenepropanoic acid, α -[1-[[4-[[[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]methyl]phenyl]methyl]-2-pyrrolidinylidene]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

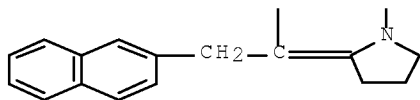
CRN 194609-97-7

CMF C49 H63 N7 O4

PAGE 1-A



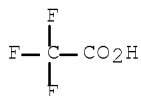
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



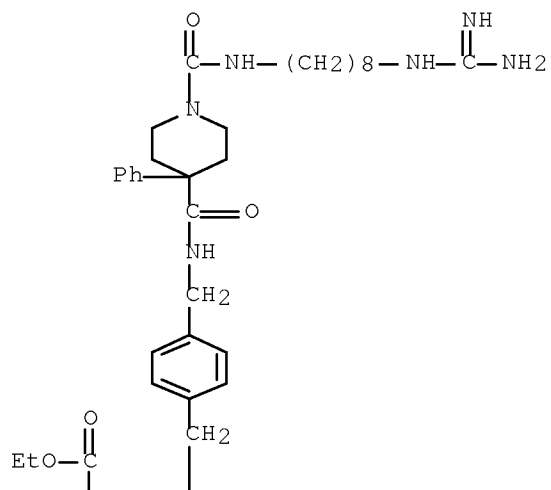
RN 194610-00-9 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-[[4-[[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidiny]carbonyl]amino]methyl]phenyl]methyl]- α -(2-naphthalenylmethyl)-, ethyl ester, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

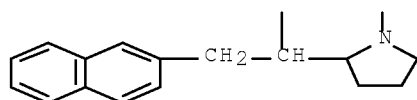
CM 1

CRN 194609-99-9

CMF C49 H65 N7 O4



PAGE 1-A

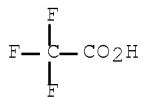


PAGE 2-A

CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 194610-02-1 CAPLUS

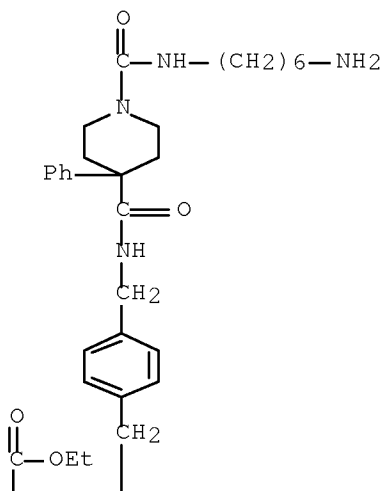
CN 2-Naphthalenepropanoic acid, α -[1-[[4-[[[1-[[6-aminohexyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]methyl]phenyl]methyl]-2-pyrrolidinylidene]-, ethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

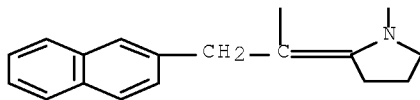
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CRN 194610-01-0

CMF C46 H57 N5 O4

PAGE 1-A

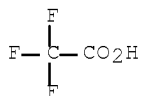




CM 2

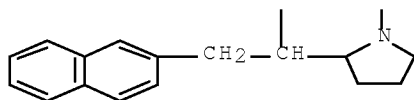
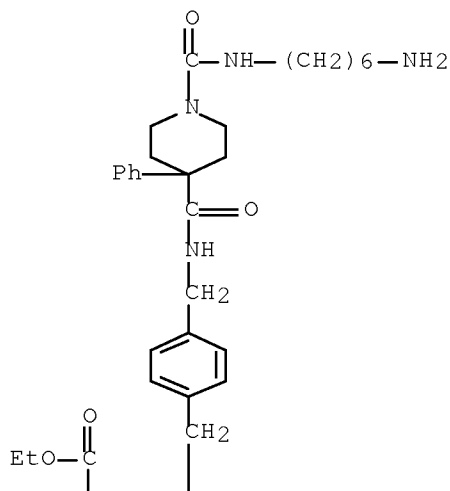
CRN 76-05-1

CMF C2 H F3 O2



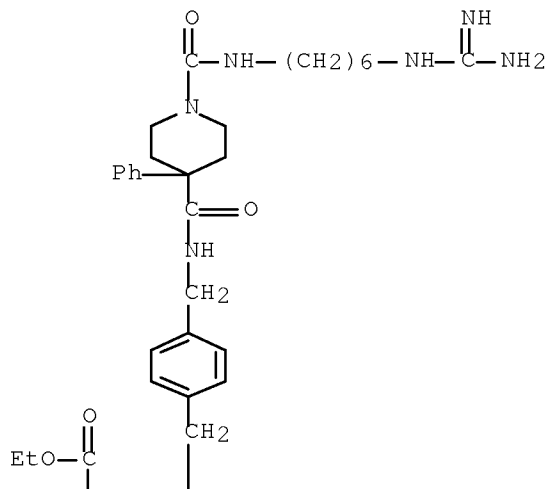
RN 194610-03-2 CAPLUS

CN 2-Pyrrolidineacetic acid, 1-[[4-[[[1-[[[(6-aminohexyl)amino]carbonyl]-4-phenyl-4-piperidiny]carbonyl]amino]methyl]phenyl]methyl]- α -(2-naphthalenylmethyl)-, ethyl ester (CA INDEX NAME)

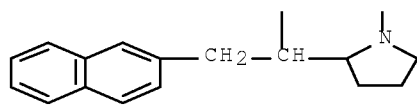


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 CN 2-Pyrrolidineacetic acid, 1-[[4-[[[1-[[[6-[(aminoiminomethyl)amino]hexyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]methyl]phenyl]methyl]- α -(2-naphthalenylmethyl)-, ethyl ester (CA INDEX NAME)

PAGE 1-A

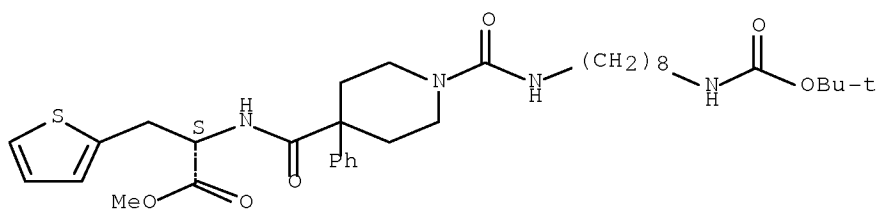


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IT 192436-73-0P 192436-74-1P 194610-12-3F
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyrrolidinealkanoates and analogs as bradykinin antagonists)
 RN 192436-73-0 CAPLUS
 CN 2-Thiophenepropanoic acid, α -[[[1-[[[8-[[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, methyl ester, (α S)- (CA INDEX NAME)

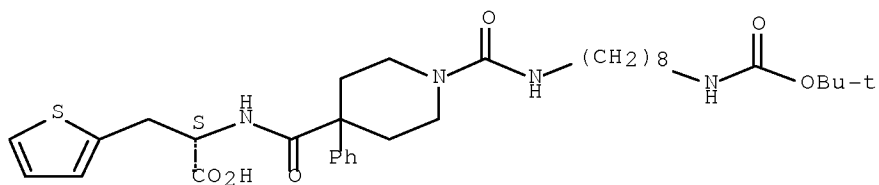
Absolute stereochemistry.



RN 192436-74-1 CAPLUS

CN 2-Thiophenepropanoic acid, α -[[[1-[[[8-[[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



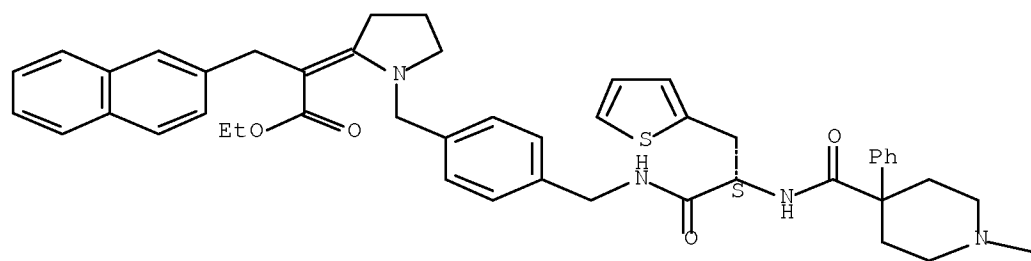
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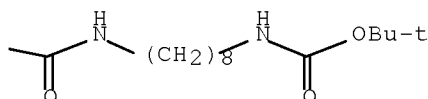
CN 2-Naphthalenepropanoic acid, α -[1-[[4-[[[(2S)-2-[[[1-[[[8-[[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]amino]-1-oxo-3-(2-thienyl)propyl]amino]methyl]phenyl]methyl]-2-pyrrolidinylidene]-, ethyl ester (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A

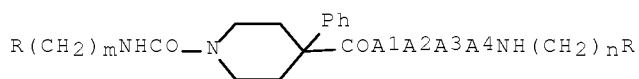




L3 ANSWER 77 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:491537 CAPLUS Full-text
 DOCUMENT NUMBER: 127:109193
 ORIGINAL REFERENCE NO.: 127:21067a,21070a
 TITLE: Preparation of tri- and tetrapeptides as bradykinin antagonists
 INVENTOR(S): Wagner, Adalbert; Breipohl, Gerhard; Heitsch, Holger; Gerhards, Hermann; Noelken, Gerhard; Wirth, Klaus; Schoelkens, Bernward
 PATENT ASSIGNEE(S): Hoechst A.-G., Germany
 SOURCE: Ger. Offen., 16 pp.
 CODEN: GWXXBX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19546938	A1	19970619	DE 1995-19546938	19951215
IN 1996MA01690	A	20050304	IN 1996-MA1690	19960925
PRIORITY APPLN. INFO.:			DE 1995-19546938	A 19951215
OTHER SOURCE(S):	CASREACT 127:109193; MARPAT 127:109193			

GI



I

AB Title compds. [I, R = H, alkyl, amino, (un)substituted amidino; R1 = (un)substituted (un)saturated C1-10 alkyl, substituted OH, NH2, SH; m, n = 1-10; A1, A2 = bond, (un)substituted amino acid; A3, A4 = bond, heterocyclic ring], bradykinin antagonists, were prepared and tested. Thus, I [R = amidino; R1 = Ph; A1 = thioGly; A2 = D-Tic; A3, A4 = bond; m, n = 8 (II)] was prepared from 4-phenylpiperidine-4-carboxylic acid, BocNH(CH2)8NH2, thioGly Me ester, and D-Tic Me ester in several steps. II.2HCl had IC50 of 1.0μM in guinea pig ileum in vitro.

IT 192436-92-3P 192436-95-6P 192436-98-9P

192437-01-7P 192437-04-0P 192437-07-3P
 192437-10-8P 192437-13-1P 192437-16-4P
 192437-19-7P 192437-22-2P 192437-27-7P
 192437-29-9P 192437-31-3P 192437-33-5P
 192437-35-7P 192437-37-9P 192437-39-1P
 192437-41-5P 192437-46-0P 192437-49-3P
 192437-52-8P 192437-54-0P 192437-56-2P
 192437-58-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of tri and tetrapeptides as bradykinin antagonists)

RN 192436-92-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-N-(4-aminobutyl)octahydro-, (2S,3aS,7aS)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

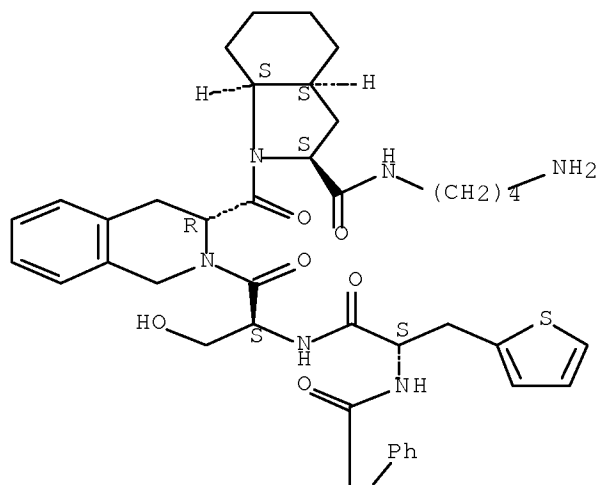
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CRN 192436-91-2

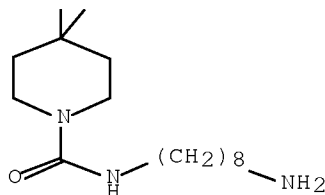
CMF C54 H77 N9 O7 S

Absolute stereochemistry.

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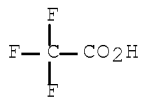
PAGE 2-A



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 192436-95-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-N-(2-aminoethyl)octahydro-, (2S,3aS,7aS)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

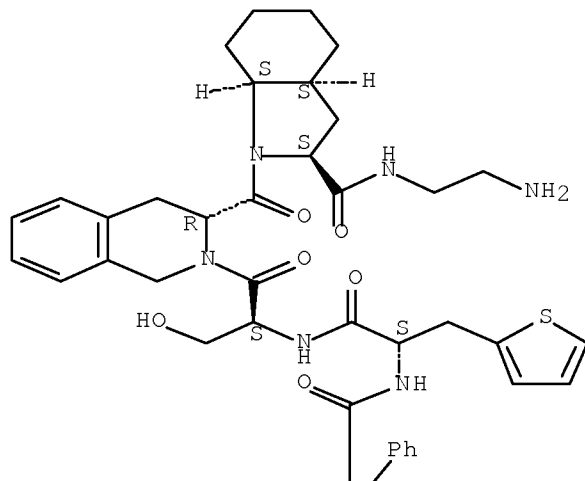
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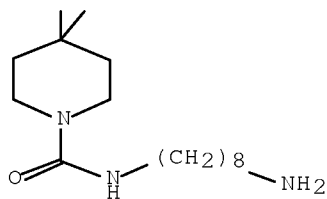
CRN 192436-94-5

CMF C52 H73 N9 O7 S

Absolute stereochemistry.

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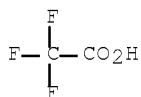




CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 192436-98-9 CAPLUS

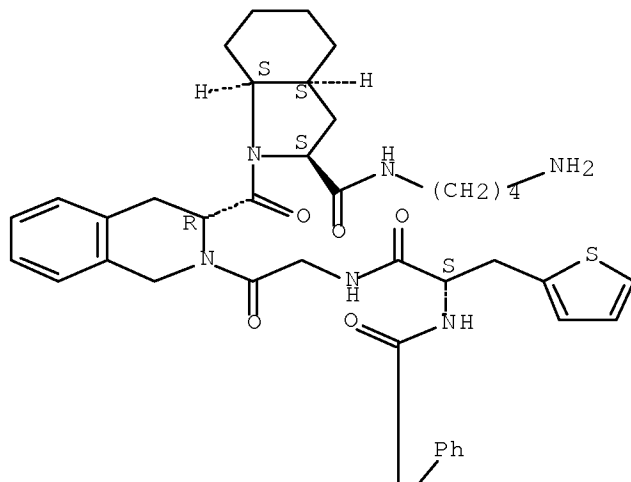
CN 1H-Indole-2-carboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-N-(4-aminobutyl)octahydro-, (2S,3aS,7aS)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

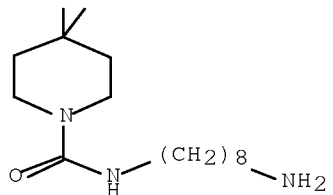
CM 1

CRN 192436-97-8

CMF C53 H75 N9 O6 S

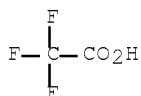
Absolute stereochemistry.





CM 2

CRN 76-05-1
CMF C2 H F3 O2



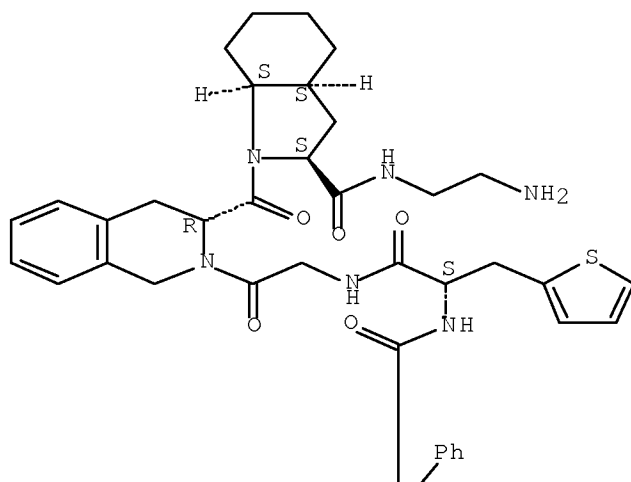
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CN 1H-Indole-2-carboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-N-(2-aminoethyl)octahydro-, (2S,3aS,7aS)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

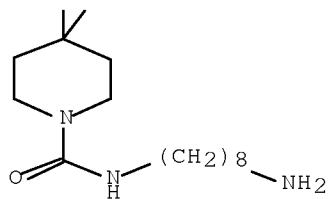
CRN 192437-00-6
CMF C51 H71 N9 O6 S

Absolute stereochemistry.

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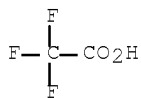


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CM 2

CRN 76-05-1
CMF C2 H F3 O2



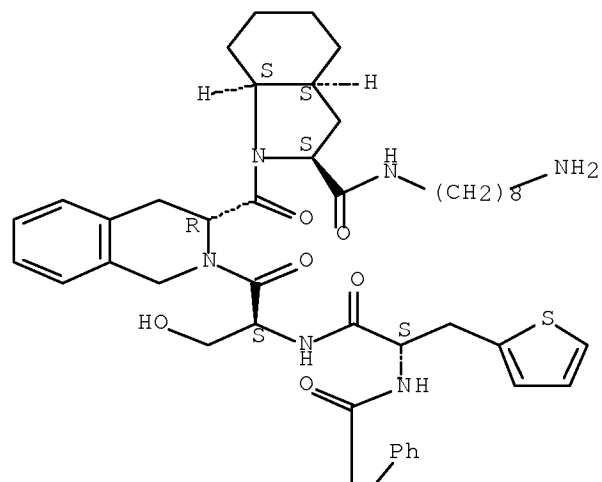
RN 192437-04-0 CAPLUS
CN 1H-Indole-2-carboxamide, N-[[1-[[[(6-aminohexyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyl-N-(8-aminooctyl)octahydro-, (2S,3aS,7aS)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

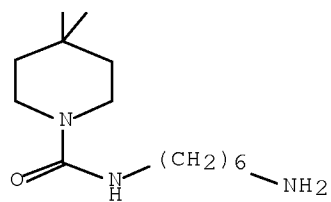
CRN 192437-03-9
CMF C56 H81 N9 O7 S

Absolute stereochemistry.

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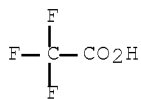


PAGE 2-A



CM 2

CRN 76-05-1
CMF C2 H F3 O2



RN 192437-07-3 CAPLUS

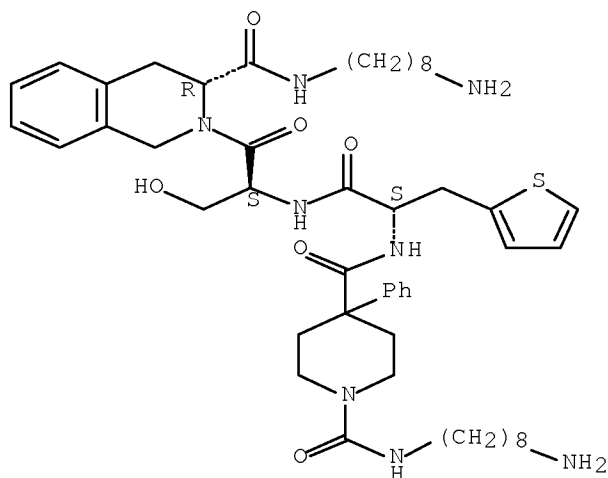
CN 3-Isoquinolinecarboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-N-(8-aminooctyl)-1,2,3,4-tetrahydro-, (3R)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 192437-06-2

CMF C49 H72 N8 O6 S

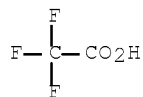
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 192437-10-8 CAPLUS

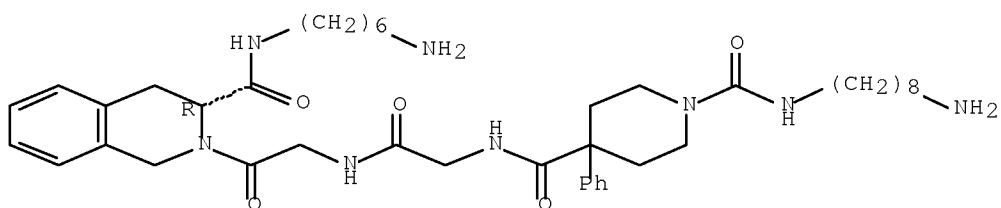
CN 3-Isoquinolinecarboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]glycylglycyl-N-(6-aminoheptyl)-1,2,3,4-tetrahydro-, (3R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 192437-09-5

CMF C41 H62 N8 O5

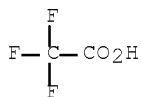
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 192437-13-1 CAPLUS

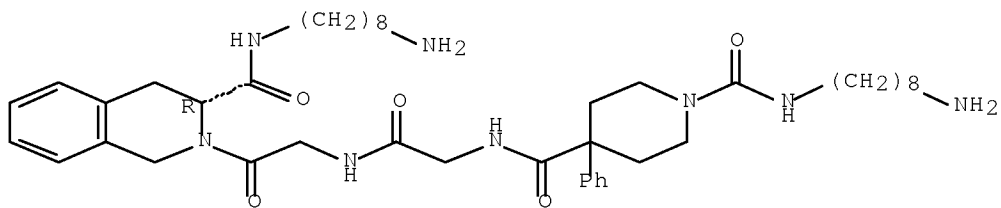
CN 3-Isoquinolinecarboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]glycylglycyl-N-(8-aminooctyl)-1,2,3,4-tetrahydro-, (3R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 192437-12-0

CMF C43 H66 N8 O5

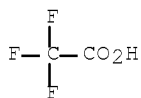
Absolute stereochemistry.



CM 2

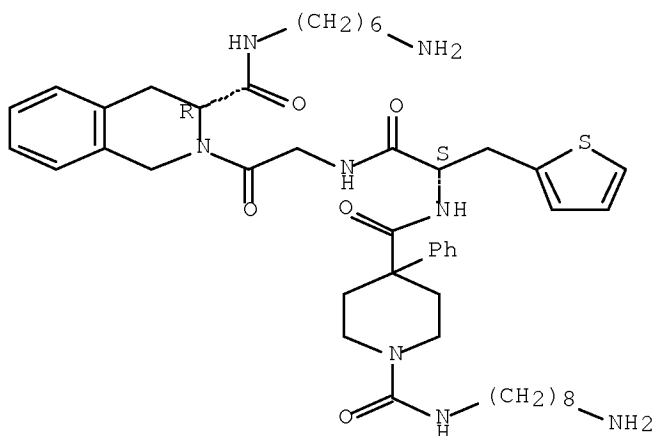
CRN 76-05-1

CMF C2 H F3 O2

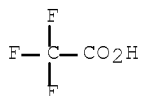


RN 192437-16-4 CAPLUS
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 CM 1
 CRN 192437-15-3
 CMF C46 H66 N8 O5 S

Absolute stereochemistry.



CM 2
 CRN 76-05-1
 CMF C2 H F3 O2



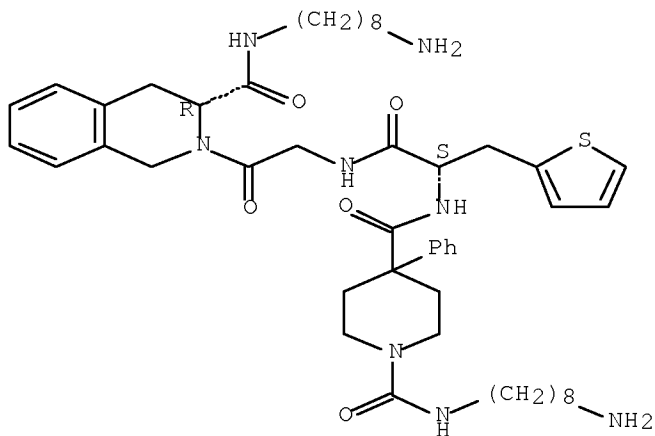
RN 192437-19-7 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-N-(8-aminooctyl)-1,2,3,4-tetrahydro-, (3R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 192437-18-6

CMF C48 H70 N8 O5 S

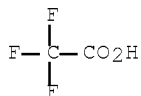
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 192437-22-2 CAPLUS

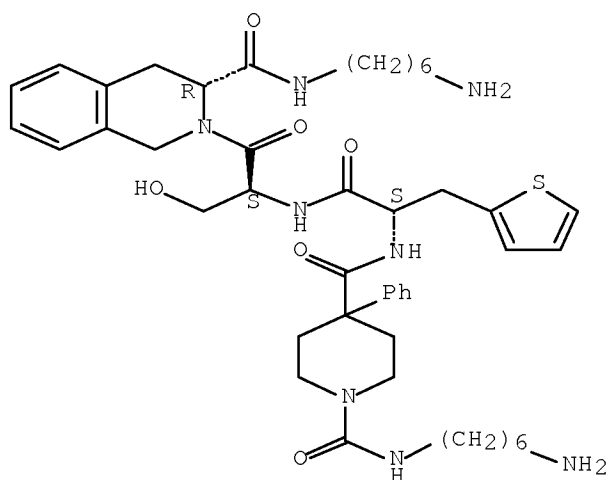
CN 3-Isoquinolinecarboxamide, N-[[1-[[[(6-aminohexyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-N-(6-aminohexyl)-1,2,3,4-tetrahydro-, (3R)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 192437-21-1

CMF C45 H64 N8 O6 S

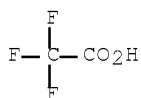
Absolute stereochemistry.



CM 2

CRN 76-05-1

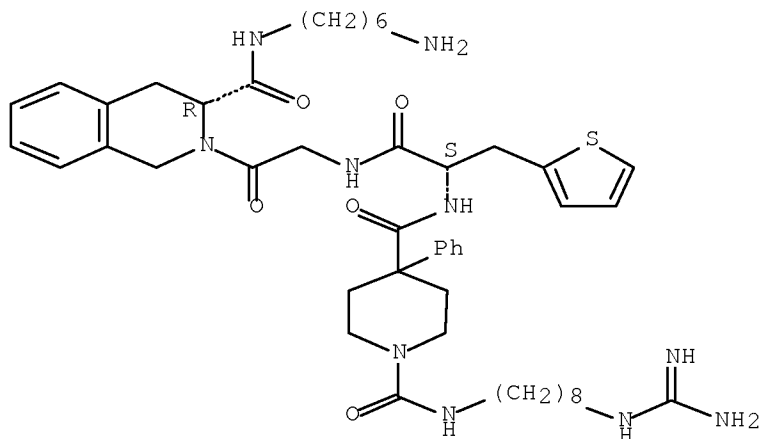
CMF C2 H F3 O2



RN 192437-27-7 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-N-(6-aminohexyl)-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

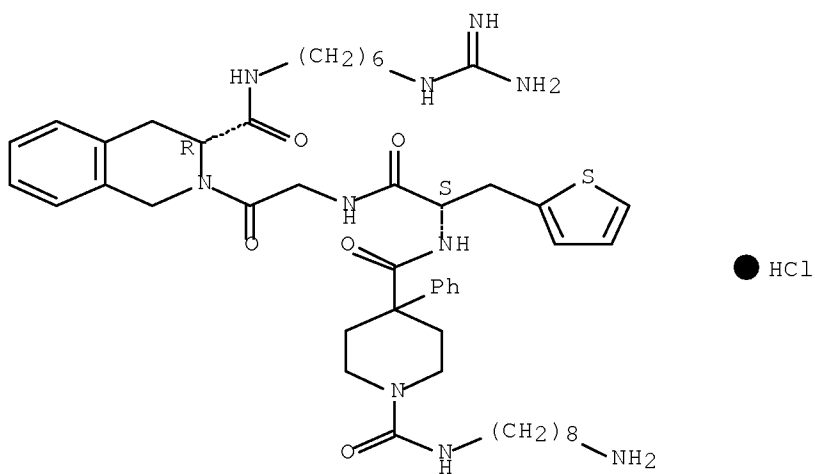
Absolute stereochemistry.



● HCl

RN 192437-29-9 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-N-[6-[(aminoiminomethyl)amino]hexyl]-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



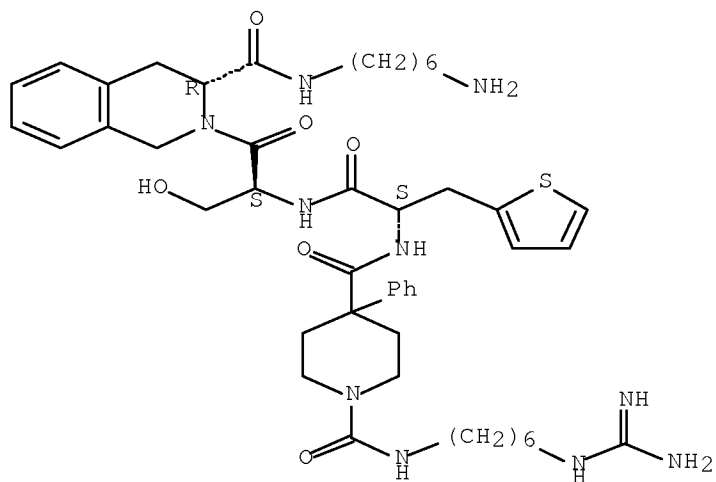
● HCl

RN 192437-31-3 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[[1-[[[6-[(aminoiminomethyl)amino]hexyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-

N-(6-aminohexyl)-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

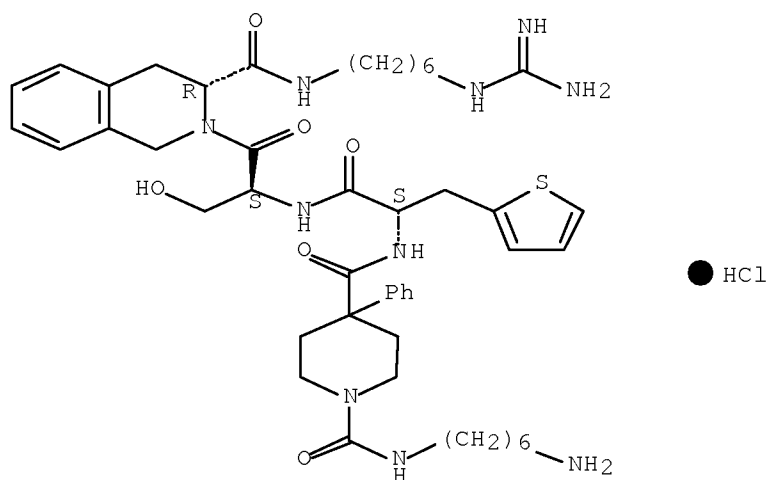


PAGE 2-A

● HCl

RN 192437-33-5 CAPLUS
CN 3-Isoquinolinecarboxamide, N-[[1-[[[(6-aminohexyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-N-[6-[(aminoiminomethyl)amino]hexyl]-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

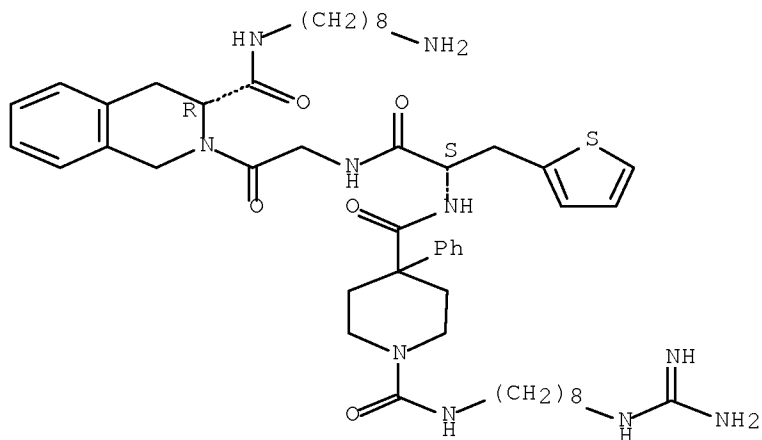


RN 192437-35-7 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-N-(8-aminooctyl)-1,2,3,4-tetrahydro-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

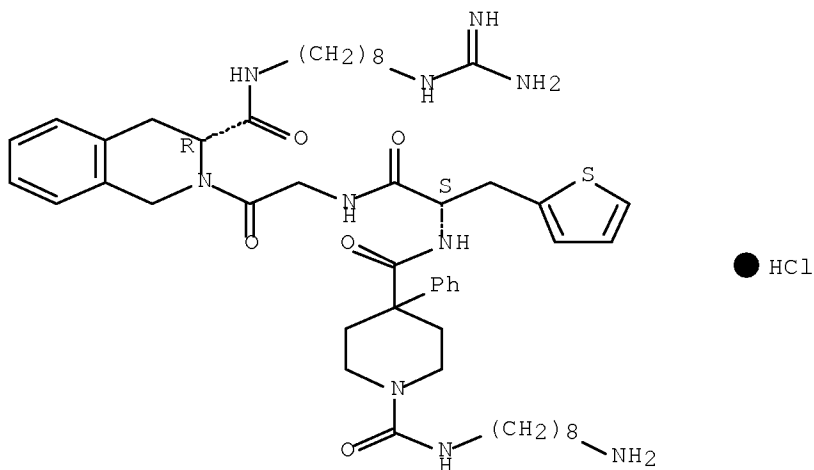
● HCl

RN 192437-37-9 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-aminooctyl]amino]carbonyl]-4-phenyl-

4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-N-[8-
 [(aminoiminomethyl)amino]octyl]-1,2,3,4-tetrahydro-, monohydrochloride,
 (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

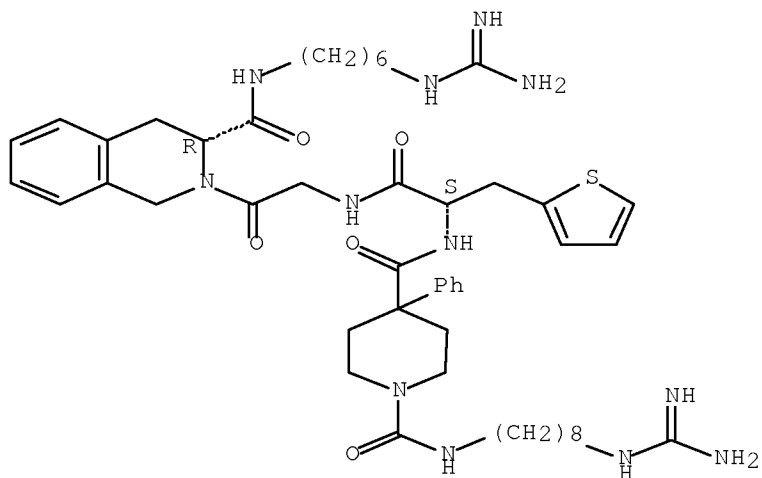


RN 192437-39-1 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]
]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-N-
 [6-[(aminoiminomethyl)amino]hexyl]-1,2,3,4-tetrahydro-, dihydrochloride,
 (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

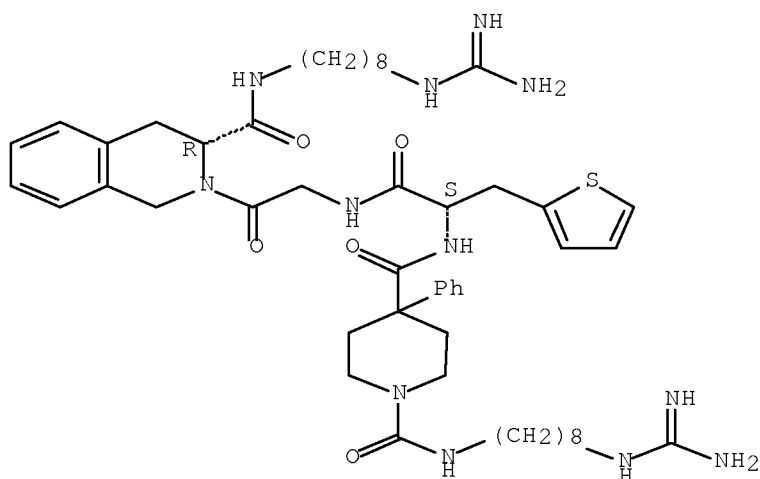


● 2 HCl

RN 192437-41-5 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-N-[8-(aminoiminomethyl)amino]octyl]-1,2,3,4-tetrahydro-, dihydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

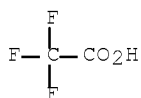
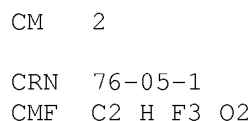
● 2 HCl

RN 192437-46-0 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-1,2,3,4-tetrahydro-N-octyl-, (3R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 192437-45-9
 CMF C49 H71 N7 O6 S

Absolute stereochemistry.



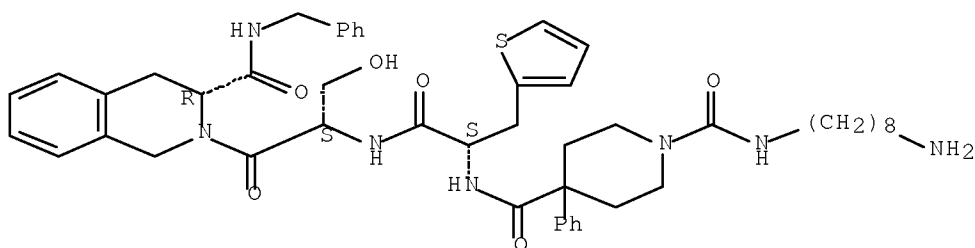
RN	192437-49-3	CAPLUS
CN	3-Isoquinolinecarboxamide, N-[[1-[[[8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-1,2,3,4-tetrahydro-N-(phenylmethyl)-, (3R)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)	

CM 1

CRN 192437-48-2

CMF C48 H61 N7 O6 S

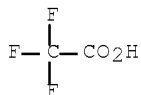
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 192437-52-8 CAPLUS

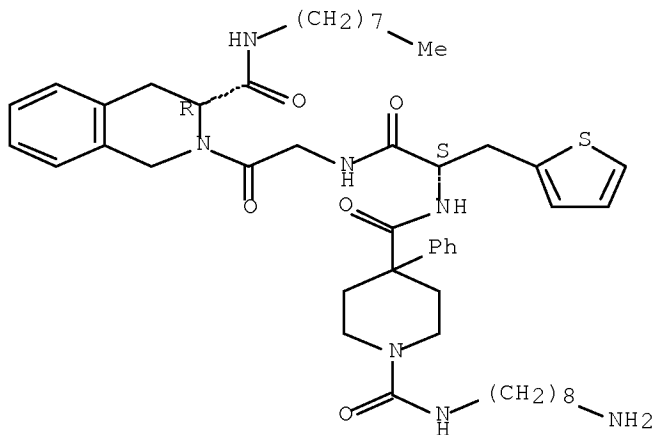
CN 3-Isoquinolinecarboxamide, N-[[1-[[[(8-aminooctyl)amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-1,2,3,4-tetrahydro-N-octyl-, (3R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 192437-51-7

CMF C48 H69 N7 O5 S

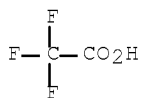
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2

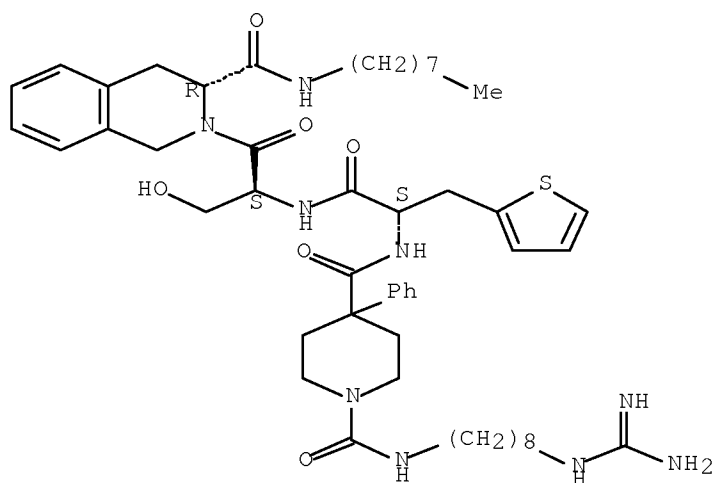


RN 192437-54-0 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-L-seryl-1,2,3,4-tetrahydro-N-octyl-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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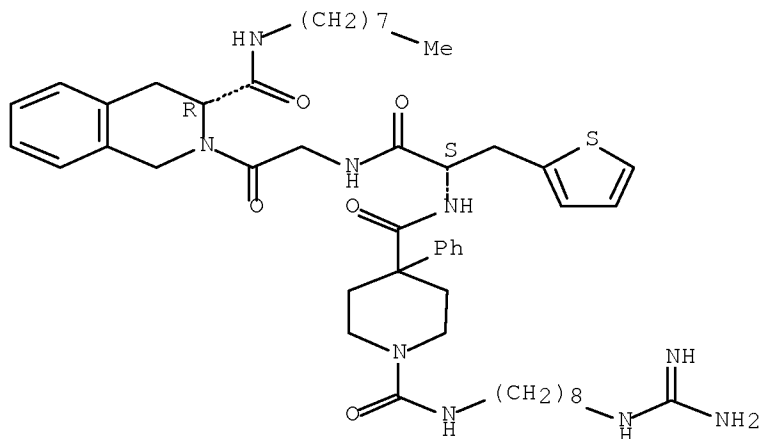
PAGE 2-A

● HCl

RN 192437-56-2 CAPLUS

CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-1,2,3,4-tetrahydro-N-octyl-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)

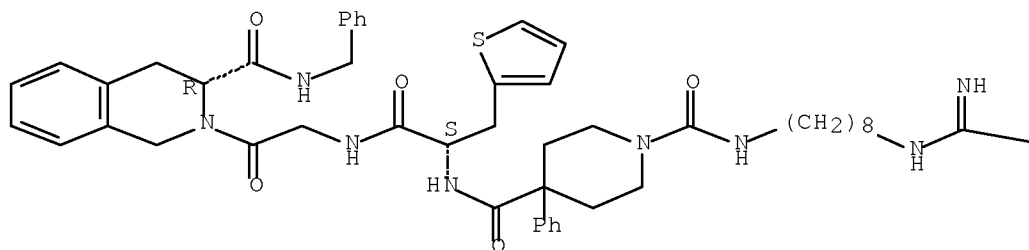
Absolute stereochemistry.



● HCl

RN	192437-58-4	CAPLUS
CN	3-Isoquinolinecarboxamide, N-[[1-[[[8-[(aminoiminomethyl)amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanylglycyl-1,2,3,4-tetrahydro-N-(phenylmethyl)-, monohydrochloride, (3R)- (9CI) (CA INDEX NAME)	

Absolute stereochemistry.

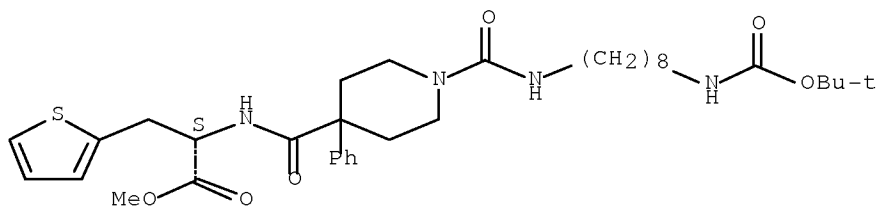


● HCl

—NH₂

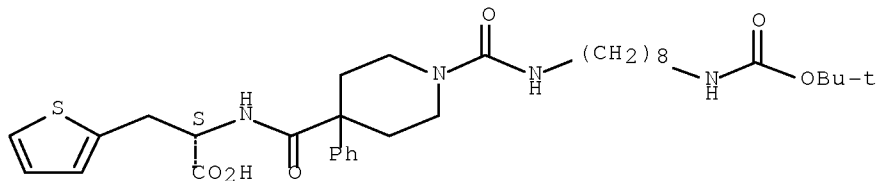
IT 192436-73-0P 192436-74-1P 192436-75-2P
 192436-77-4P 192436-80-9P 192436-82-1P
 192436-86-5P 192436-88-7P 192437-43-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of tri and tetrapeptides as bradykinin antagonists)
 RN 192436-73-0 CAPLUS
 CN 2-Thiophenepropanoic acid, α -[[[1-[[[8-[[[1,1-
 dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-
 piperidinyl]carbonyl]amino]-, methyl ester, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



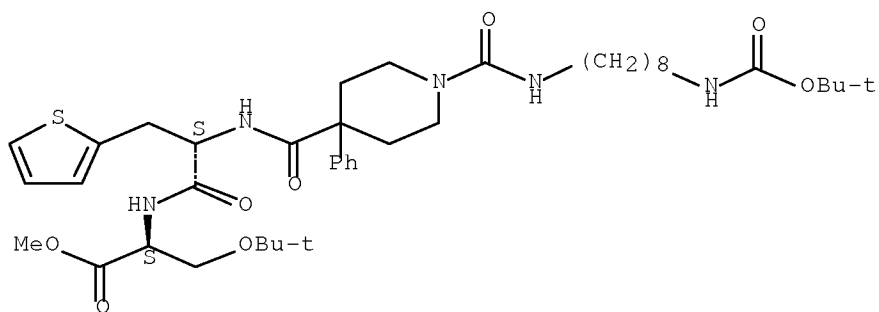
RN 192436-74-1 CAPLUS
 CN 2-Thiophenepropanoic acid, α -[[[1-[[[8-[[[1,1-
 dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-
 piperidinyl]carbonyl]amino]-, (α S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 192436-75-2 CAPLUS
 CN L-Serine, N-[[[1-[[[8-[[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-O-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)

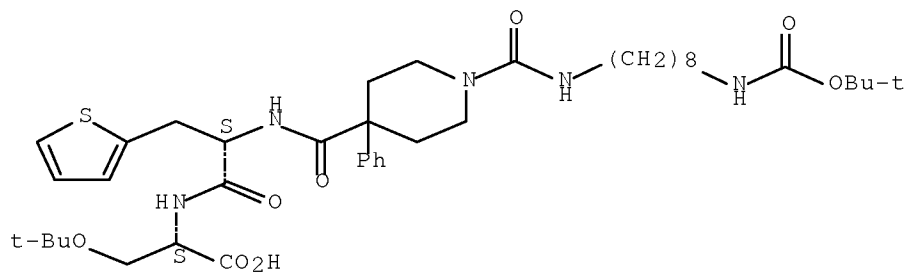
Absolute stereochemistry.



RN 192436-77-4 CAPLUS

CN L-Serine, N-[[[1-[[[8-[[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-O-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

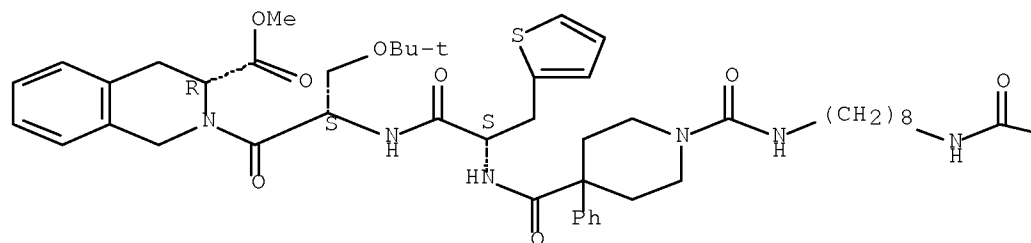


RN 192436-80-9 CAPLUS

CN 3-Isoquinolinecarboxylic acid, N-[[[1-[[[8-[[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-1,2,3,4-tetrahydro-, methyl ester, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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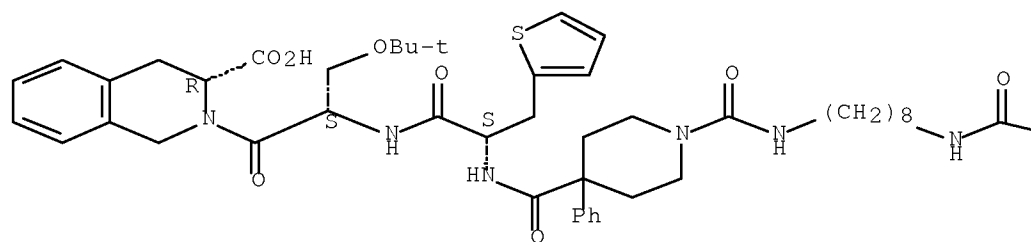


—OBu-t

RN 192436-82-1 CAPLUS

CN 3-Isoquinolinecarboxylic acid, N-[[1-[[[8-[(1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-1,2,3,4-tetrahydro-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

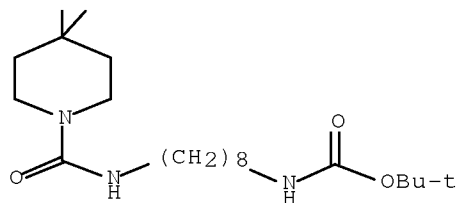
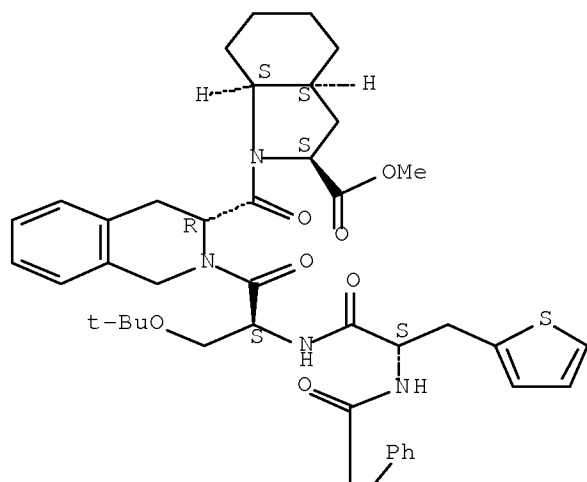


—OBu-t

RN 192436-86-5 CAPLUS

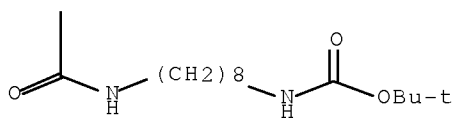
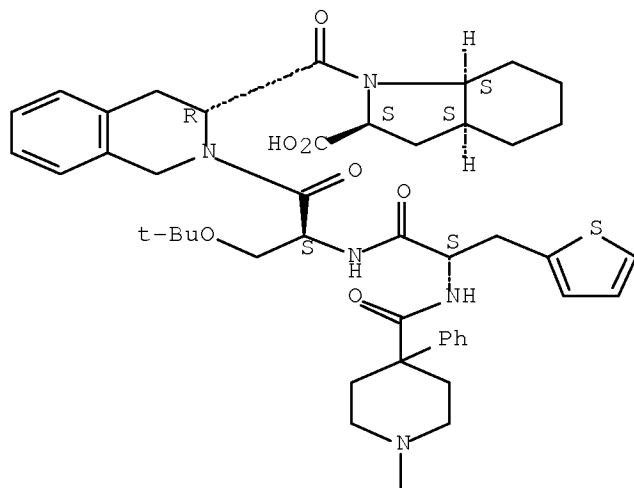
CN 1H-Indole-2-carboxylic acid, N-[[1-[[[8-[(1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyloctahydro-, methyl ester, (2S,3aS,7aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



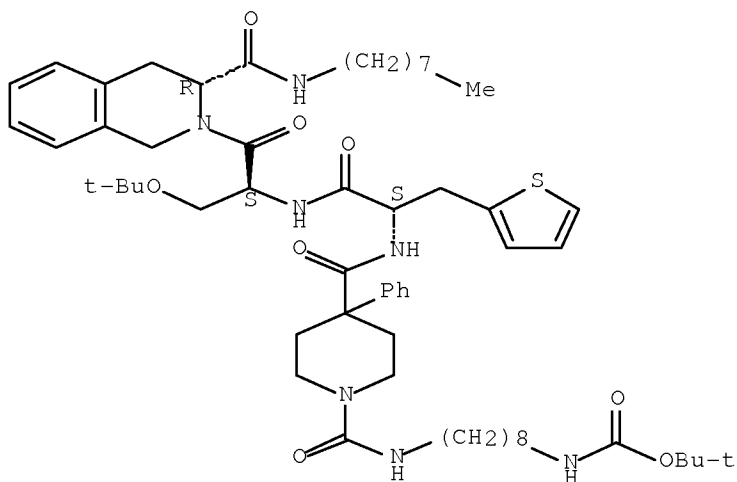
RN 192436-88-7 CAPLUS
 CN 1H-Indole-2-carboxylic acid, N-[[[1-[[[8-[[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-(3R)-1,2,3,4-tetrahydro-3-isoquinolinecarbonyloctahydro-, (2S,3aS,7aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 192437-43-7 CAPLUS
 CN 3-Isoquinolinecarboxamide, N-[[1-[[[8-[[1,1-dimethylethoxy)carbonyl]amino]octyl]amino]carbonyl]-4-phenyl-4-piperidinyl]carbonyl]-3-(2-thienyl)-L-alanyl-O-(1,1-dimethylethyl)-L-seryl-1,2,3,4-tetrahydro-N-octyl-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 78 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:453899 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 127:81436

ORIGINAL REFERENCE NO.: 127:15617a,15620a

TITLE: Preparation of 3-benzoyl-5-(piperidinoalkyl)oxazolidines and analogs as tachykinin receptor antagonists

INVENTOR(S): Nishi, Takahide; Ishibashi, Koki; Nakajima, Katsuyoshi; Fukazawa, Tetsuya; Kurata, Hitoshi; Yamaguchi, Takeshi; Ito, Kazuhiro

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 565 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

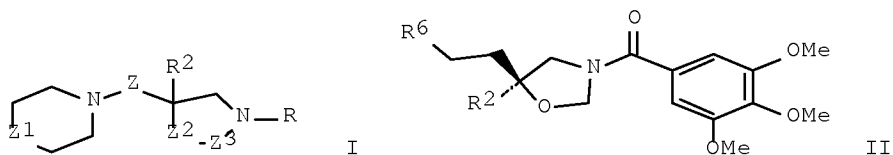
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 776893	A1	19970604	EP 1996-308711	19961202
EP 776893	B1	20020227		
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 9603298	A2	19980928	HU 1996-3298	19961129
HU 9603298	A3	19991028		
HU 224225	B1	20050628		
RU 2135494	C1	19990827	RU 1996-122851	19961129
CN 1157286	A	19970820	CN 1996-123888	19961201
IL 119729	A	20010724	IL 1996-119729	19961201
CA 2191815	A1	19970602	CA 1996-2191815	19961202
CA 2191815	C	20050510		
NO 9605125	A	19970602	NO 1996-5125	19961202
NO 308300	B1	20000828		
ZA 9610116	A	19970602	ZA 1996-10116	19961202
AU 9674065	A	19970605	AU 1996-74065	19961202
AU 719158	B2	20000504		
JP 09235275	A	19970909	JP 1996-321780	19961202

JP 3088672	B2	20000918		
JP 10152478	A	19980609	JP 1997-347908	19961202
US 6159967	A	20001212	US 1996-758421	19961202
CZ 288498	B6	20010613	CZ 1996-3521	19961202
AT 213738	T	20020315	AT 1996-308711	19961202
PT 776893	T	20020628	PT 1996-308711	19961202
ES 2170211	T3	20020801	ES 1996-308711	19961202
JP 10182649	A	19980707	JP 1997-305110	19971107
JP 3017147	B2	20000306		
JP 10182650	A	19980707	JP 1997-350658	19971107
JP 2000103791	A	20000411	JP 1999-318854	19971107
HK 1011366	A1	20020802	HK 1998-112514	19981130
US 6448247	B1	20020910	US 2000-533061	20000322
PRIORITY APPLN. INFO.:			JP 1995-313828	A 19951201
			JP 1995-336369	A 19951225
			JP 1996-296869	A 19961108
			JP 1996-321780	A3 19961202
			US 1996-758421	A3 19961202
			JP 1997-305110	A3 19971107
OTHER SOURCE(S):	MARPAT 127:81436			
GI				



AB Title compds. [I; R = Z4Z5R1; R1,R2 = (un)substituted (hetero)aryl; Z = alk(en)ylene; Z1 = NR3 or CR4R5; R3 = (un)substituted (hetero)aryl; R4 = H or (un)substituted (hetero)aryl; R5 = alkyl, alkoxy, alkanoyl, carbamoyl, etc.; Z2 = O or S; Z3 = alkylene, cycloalkylidene, etc.; Z4 = CH2, CO, SO2; Z5 = bond or alk(en)ylene] were prepared. Thus, 3,4-ClC6H3Br was condensed with CH2:ClCH2CH2OSiMe3CMe3 and the product stereoselectively osmylated to give, in 2 addnl. steps, (R)-3,4- ClC6H3C(OH)(CH2NH2)CH2CH2OSiMe2CMe3. The latter was cyclized and the product N-benzoylated to give, in 2 addnl. steps, 3-benzoyl-5- oxazolidinylethyl mesylate II (R2 = C6H3Cl2-3,4)(III; R6 = OSO2Me) which was aminated by 4-phenylpiperidine-4-carboxamide to give III (R6 = 4-carbamoyl-4-phenylpiperidino). Data for biol. activity of I were given.

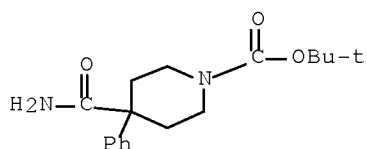
IT ~~167262-69-3F~~

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 3-benzoyl-5-(piperidinoalkyl)oxazolidines and analogs as tachykinin receptor antagonists)

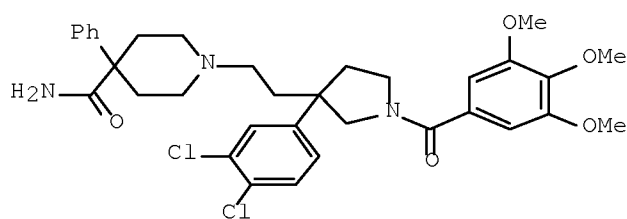
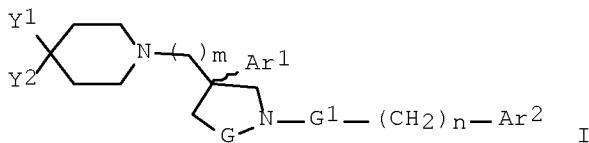
RN 167262-69-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 79 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:375289 CAPLUS Full-text
 DOCUMENT NUMBER: 127:95200
 ORIGINAL REFERENCE NO.: 127:18329a,18332a
 TITLE: Substituted pyrrolidin-3-yl-alkyl-piperidines useful
 as tachykinin antagonists
 INVENTOR(S): Burkholder, Timothy P.; Kudlacz, Elizabeth M.;
 Maynard, George D.
 PATENT ASSIGNEE(S): Merrell Pharmaceuticals Inc., USA
 SOURCE: U.S., 82 pp., Cont.-in-part of U.S. Ser. No. 225,371,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 5635510	A	19970603	US 1994-332027	19941031
CN 1124961	A	19960619	CN 1994-192362	19940422
CN 1081635	B	20020327		
ZA 9403091	A	19950112	ZA 1994-3091	19940504
US 5648366	A	19970715	US 1995-477167	19950607
US 5861416	A	19990119	US 1997-795576	19970206
US 5824690	A	19981020	US 1997-798664	19970211
PRIORITY APPLN. INFO.:			US 1993-58606	B2 19930506
			US 1994-225371	B2 19940419
			US 1994-332027	A3 19941031
OTHER SOURCE(S):		MARPAT 127:95200		
GI				

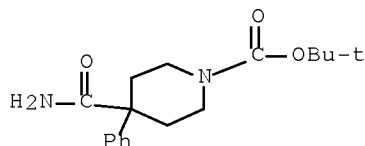


II

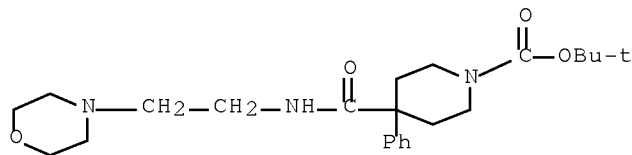
AB The invention relates to substituted pyrrolidinyl-3-yl-alkyl-piperidines I [G, G1 = CH2, CO; m = 2, 3; n = 0, 1; Ar1 = (un)substituted Ph, naphthyl, pyridyl, thienyl, or benzo[1,3]dioxan-5-yl; Ar2 = (un)substituted Ph or pyridyl; Y1 = (un)substituted CONH2; Y2 = (un)substituted Ph, naphthyl, pyridyl, thienyl, or CH2Ph; or Y1Y2 = atoms to complete certain Ph-substituted, 5-membered, diazaspino ring fusions], their stereoisomers, N-oxides, and pharmaceutically acceptable salts, and processes for preparation of the same. I are useful for their pharmacol. activities, such as tachykinin antagonism, and especially substance P and neurokinin A antagonism. Such compds. are indicated for conditions associated with neurogenic inflammation and other diseases. For instance, 3-(3,4-dichlorophenyl)-3-(2-hydroxyethyl)pyrrolidine underwent a sequence of amidation with 3,4,5-trimethoxybenzoyl chloride (71%), conversion of the alc. to a methanesulfonate ester (92%), and reaction of the mesylate moiety with 4-phenylpiperidine-4-carboxamide-HCl (71%), to give title compound II. In an assay for modulation of NKA-induced respiratory effects in guinea pigs, II at 10 mg/kg reduced dyspnea to 60% of control.

IT 167262-69-3P 192069-70-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of pyrrolidinylalkylpiperidines as tachykinin antagonists)

RN 167262-69-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



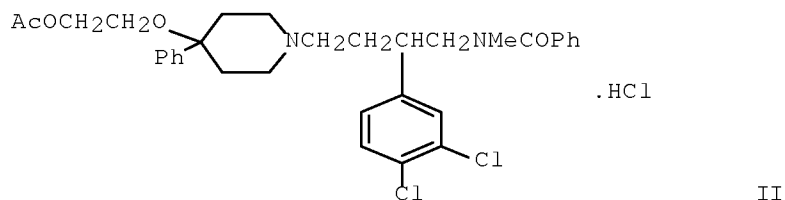
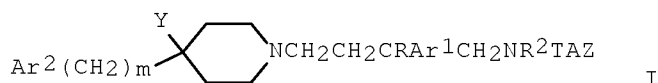
RN 192069-70-8 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[2-(4-morpholinyl)ethyl]amino]carbonyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 80 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:374707 CAPLUS [Full-text](#)
 DOCUMENT NUMBER: 126:343496
 ORIGINAL REFERENCE NO.: 126:66801a,66804a
 TITLE: Preparation of piperidine derivatives as neurokinin

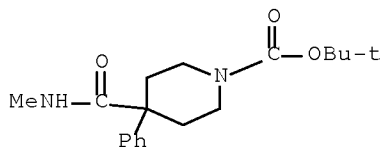
antagonists
 INVENTOR(S): Chabert, Nathalie; Emonds Alt, Xavier; Proietto, Vincenzo; Ducoux, Jean Philippe; Gueule, Patrick; Van Broeck, Didier
 PATENT ASSIGNEE(S): Sanofi, Fr.
 SOURCE: Fr. Demande, 96 pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2738245	A1	19970307	FR 1995-10142	19950828
FR 2738245	B1	19971121		
GB 2304714	A	19970326	GB 1996-17893	19960828
GB 2304714	B	19990915		
BE 1009571	A3	19970506	BE 1996-723	19960828
JP 09124600	A	19970513	JP 1996-227222	19960828
US 5830906	A	19981103	US 1996-703952	19960828
CH 690437	A5	20000915	CH 1996-2120	19960828
US 5939411	A	19990817	US 1997-916952	19970825
US 5965580	A	19991012	US 1998-35823	19980306
PRIORITY APPLN. INFO.:			FR 1995-10142	A 19950828
			US 1996-703952	A3 19960828
OTHER SOURCE(S):		MARPAT 126:343496		
GI				

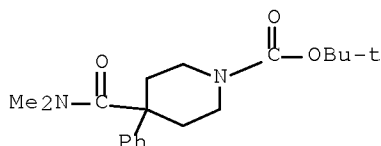


AB Piperidines I [R1 = H, R2 = H, alkyl; R1R2 = (CH2)nQ; Q = CO, CH2; n = 1-3; m = 0, 1; Y= (un)substituted alkyl, OH, NH2, CONH2, thiazolyl; Ar1 == (un)substituted Ph, thienyl, benzothienyl, naphthyl, indolyl, imidazolyl, pyridyl, biphenyl; Ar2 = (un)substituted Ph, pyridyl, pyrimidyl, thienyl, imidazolyl; T = CH2, CO, (un)substituted CONH, CO2; A = CH2, CH2CH2; Z = (un)substituted aromatic, heteroarom.] were prepared for use in the treatment of neurokinin- and substance P-dependent diseases (no data). Thus, piperidine II was prepared from HOCH2CH2CH(C6H3Cl2-3,4)CH2NH2 by conversion to the N-methylbenzamide, benzenesulfonylation, amination with 4-(2-hydroxyethyl)-4-phenylpiperidine (III), and acetylation. III was obtained from 1-benzyl-4-hydroxy-4-phenylpiperidine by benzylation, reaction with ethylene glycol, and debenylation.

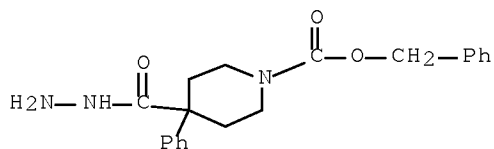
IT 167263-14-1P 167263-16-3P 181641-83-8P
 189877-07-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of aminoalkylpiperidines as neurokinin antagonists)
 RN 167263-14-1 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(methylamino)carbonyl]-4-phenyl-,
 1,1-dimethylethyl ester (CA INDEX NAME)



RN 167263-16-3 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)carbonyl]-4-phenyl-,
 1,1-dimethylethyl ester (CA INDEX NAME)



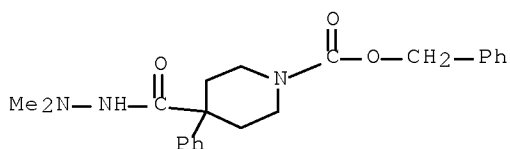
RN 181641-83-8 CAPLUS
 CN 1,4-Piperidinedicarboxylic acid, 4-phenyl-, 1-(phenylmethyl) ester,
 4-hydrazide (CA INDEX NAME)



RN 189877-07-4 CAPLUS
 CN 1,4-Piperidinedicarboxylic acid, 4-phenyl-, 1-(phenylmethyl) ester,
 4-(2,2-dimethylhydrazide), mono(4-methylbenzenesulfonate) (9CI) (CA INDEX
 NAME)

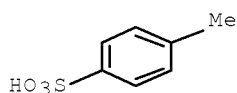
CM 1

CRN 189877-06-3
 CMF C22 H27 N3 O3



CM 2

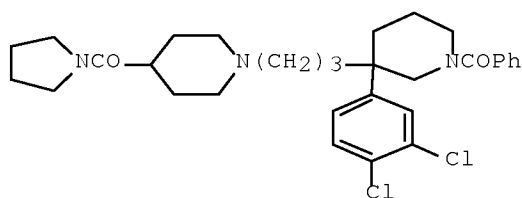
CRN 104-15-4
CMF C7 H8 O3 S



L3 ANSWER 81 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:302959 CAPLUS Full-text
DOCUMENT NUMBER: 126:277403
ORIGINAL REFERENCE NO.: 126:53775a,53778a
TITLE: Novel human NK3 receptor-selective antagonist
compounds containing them
INVENTOR(S): Bichon, Daniel; Edmonds-Alt, Xavier; Gueule, Patrick;
Proietto, Vincenzo; Van Broeck, Didier
PATENT ASSIGNEE(S): Sanofi, Fr.
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9710211	A1	19970320	WO 1996-FR1416	19960913
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG			
FR 2738819	A1	19970321	FR 1995-10776	19950914
FR 2738819	B1	19971205		
CA 2232007	A1	19970320	CA 1996-2232007	19960913
CA 2593316	A1	19970320	CA 1996-2593316	19960913
AU 9669925	A	19970401	AU 1996-69925	19960913
BR 9610081	A	19990105	BR 1996-10081	19960913
JP 11514983	T	19991221	JP 1997-511718	19960913
EP 1019373	A1	20000719	EP 1996-931126	19960913
EP 1019373	B1	20031112		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, FI
 EP 1241168 A1 20020918 EP 2002-10824 19960913
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, FI
 AT 254104 T 20031115 AT 1996-931126 19960913
 US 6028082 A 20000222 US 1998-43247 19980312
 US 6291672 B1 20010918 US 1999-437203 19991109
 US 20020049329 A1 20020425 US 2001-954862 20010918
 US 6710042 B2 20040323
 US 20040220223 A1 20041104 US 2004-805733 20040322
 JP 2008115182 A 20080522 JP 2007-296689 20071115
 PRIORITY APPLN. INFO.: FR 1995-10776 A 19950914
 CA 1996-2232007 A3 19960913
 EP 1996-931126 A3 19960913
 JP 1997-511718 A3 19960913
 WO 1996-FR1416 W 19960913
 US 1998-43247 A3 19980312
 US 1999-437203 A3 19991109
 US 2001-954862 A3 20010918
 OTHER SOURCE(S): MARPAT 126:277403
 GI

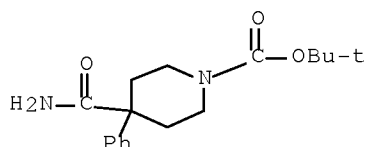


AB Piperidinopropylpiperidine derivs. were prepared for use as human NK3 receptor antagonists (no data). Thus, 3,4-Cl₂C₆H₃CH₂CN was treated with CH₂:CHCO₂Me to give 3,4-Cl₂C₆H₃CH(CN)(CH₂CH₂CO₂Me)₂ which was cyclized to the piperidonepropanoate and reduced to 3-(3,4-dichlorophenyl)-3-(3-hydroxypropyl)piperidine (I). I was N-benzoylated, converted to the mesylate, and aminated to give the piperidinopropylpiperidine II.

IT 167262-69-3P 167263-14-1P 167263-16-3P
 172734-14-4P 172734-16-6P 172734-44-0P
 181641-83-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of piperidinopropylpiperidines as NK3 antagonists)

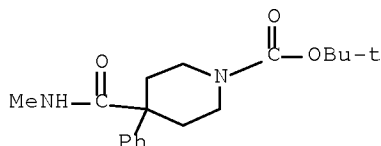
RN 167262-69-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



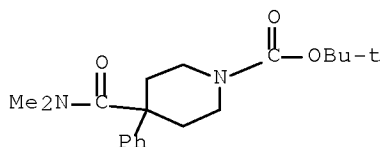
RN 167263-14-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(methylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



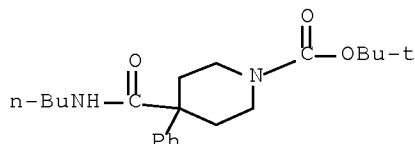
RN 167263-16-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



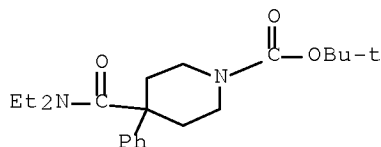
RN 172734-14-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(butylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)

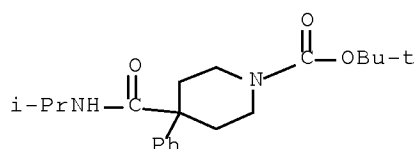


RN 172734-16-6 CAPLUS

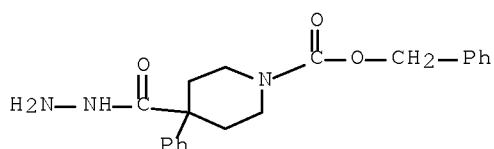
CN 1-Piperidinecarboxylic acid, 4-[(diethylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 172734-44-0 CAPLUS
 CN 1-Piperidinecarboxylic acid, 4-[[[(1-methylethyl)amino]carbonyl]-4-phenyl-,
 1,1-dimethylethyl ester (CA INDEX NAME)



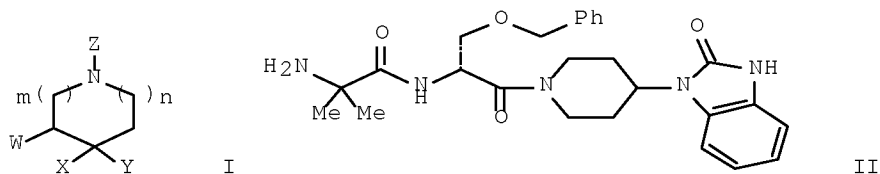
RN 181641-83-8 CAPLUS
 CN 1,4-Piperidinedicarboxylic acid, 4-phenyl-, 1-(phenylmethyl) ester,
 4-hydrazide (CA INDEX NAME)



L3 ANSWER 82 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1997:94071 CAPLUS Full-text
 DOCUMENT NUMBER: 126:104431
 ORIGINAL REFERENCE NO.: 126:20165a,20168a
 TITLE: Preparation of heterocyclic dipeptide derivatives
 which promote release of growth hormone
 INVENTOR(S): Carpino, Philip A.; Jardine DaSilva, Paul A.; Lefker,
 Bruce A.; Ragan, John A.
 PATENT ASSIGNEE(S): Pfizer Inc., USA
 SOURCE: PCT Int. Appl., 173 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9638471	A1	19961205	WO 1995-IB410	19950529
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2220055	A1	19961205	CA 1995-2220055	19950529
CA 2220055	C	20010424		
EP 828754	A1	19980318	EP 1995-918123	19950529
EP 828754	B1	20050202		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10510511	T	19981013	JP 1995-511175	19950529
JP 3133073	B2	20010205	JP 1996-511175	19950529
AT 288444	T	20050215	AT 1995-918123	19950529
ES 2235171	T3	20050701	ES 1995-918123	19950529

NO 9602162	A	19961202	NO 1996-2162	19960528
AU 9654554	A	19961212	AU 1996-54554	19960528
CN 1143647	A	19970226	CN 1996-107637	19960528
US 5936089	A	19990810	US 1997-973268	19971126
FI 9704368	A	19971128	FI 1997-4368	19971128
PRIORITY APPLN. INFO.:			WO 1995-IB333	A 19950508
			WO 1995-IB410	W 19950529
OTHER SOURCE(S):	MARPAT 126:104431			
GI				



AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion substituted with 0-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C4-10 cycloalkyl, aryl-K, phenyl-(C1-6alkyl)-K, thienyl-(C1-6 alkyl)-K substituted with 0-3 R3a, R3b, or R12; K = bond, O, S(O)m, NR2a; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, (un)substituted carbo- or heterobicyclic ring; R1 = (un)substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = (un)substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle containing 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, (un)substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = (un)substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, (un)substituted C1-6 alkyl; R8 = H, (un)substituted C1-6 alkyl; R9 = H, (un)substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis (no data). Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolyl)piperidine, followed by deprotection, coupling with BocNHCM2CO2H, and deprotection with HCl gave dipeptide amide salt II.

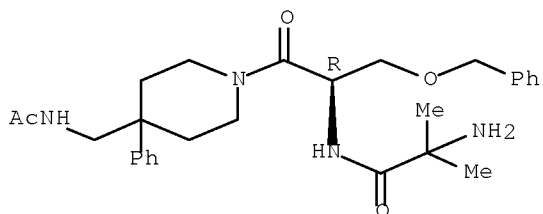
IT 185055-83-8P 185056-28-4P 185056-29-5P
185056-30-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of growth hormone-releasing dipeptides)

RN 185055-83-8 CAPLUS

CN Propanamide, N-[2-[4-[(acetylamino)methyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-amino-2-methyl-, (R)- (9CI) (CA INDEX NAME)

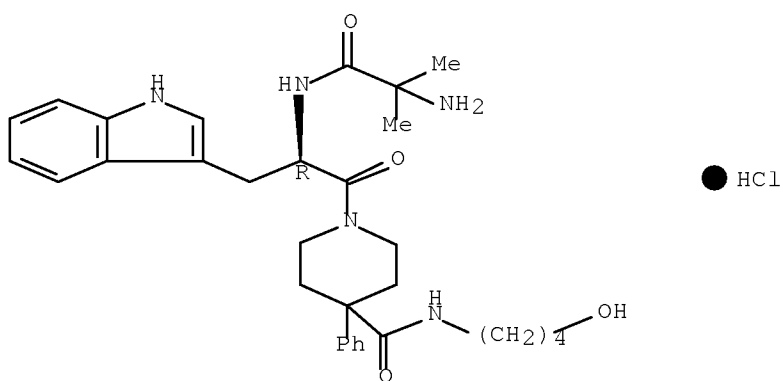
Absolute stereochemistry.



RN 185056-28-4 CAPLUS

CN 4-Piperidinecarboxamide, N-(4-hydroxybutyl)-1-(2-methylalanyl-D-tryptophyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

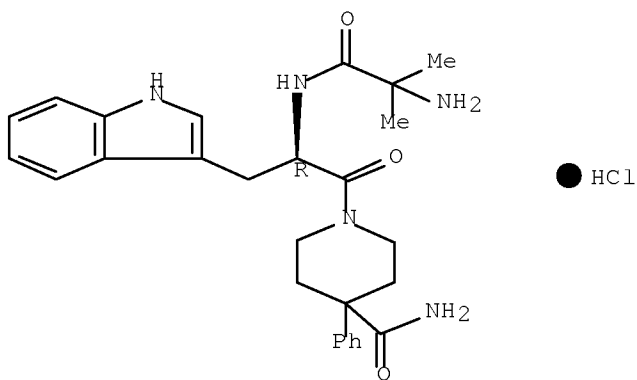
Absolute stereochemistry.



RN 185056-29-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-methylalanyl-D-tryptophyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

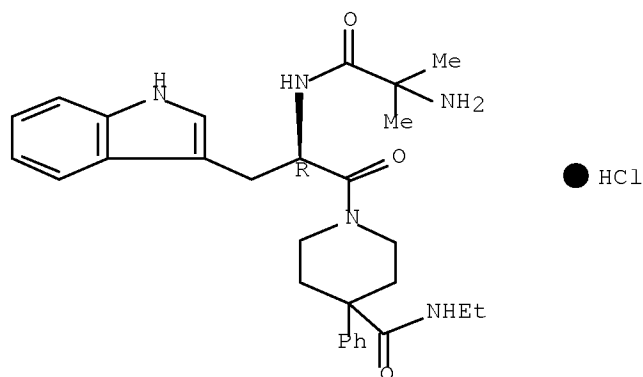


RN 185056-30-8 CAPLUS

CN 4-Piperidinecarboxamide, N-ethyl-1-(2-methylalanyl-D-tryptophyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 185057-33-4P 185058-50-8P 185058-51-9P

185058-52-0P

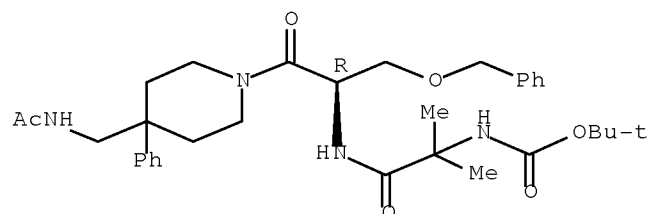
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of growth hormone-releasing dipeptides)

RN 185057-33-4 CAPLUS

CN Carbamic acid, [2-[[2-[4-[(acetylamino)methyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

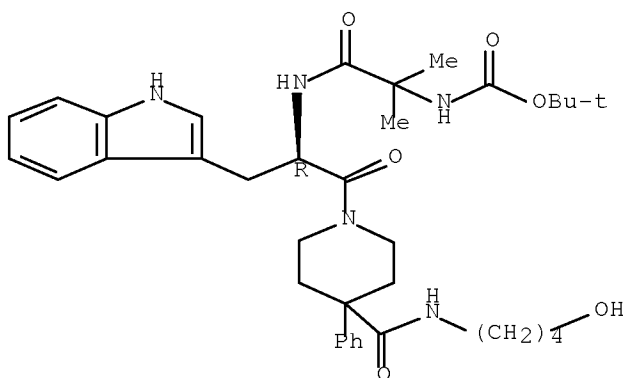
Absolute stereochemistry.



RN 185058-50-8 CAPLUS

CN Carbamic acid, [2-[[2-[4-[[[(4-hydroxybutyl)amino]carbonyl]-4-phenyl-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

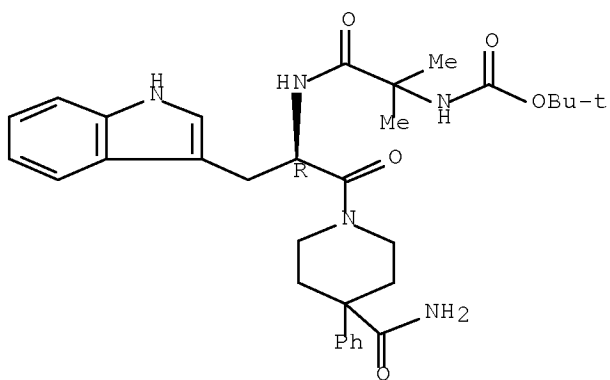
Absolute stereochemistry.



RN 185058-51-9 CAPLUS

CN Carbamic acid, [2-[[2-[4-(aminocarbonyl)-4-phenyl-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

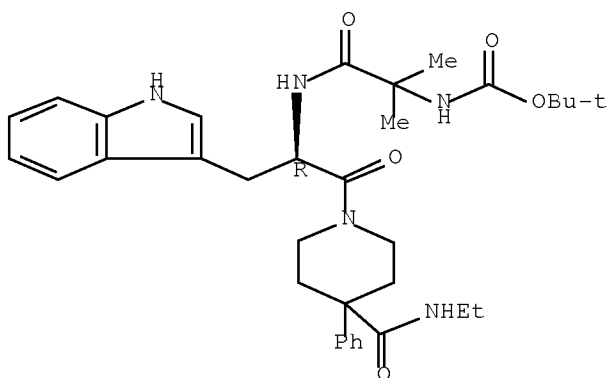
Absolute stereochemistry.



RN 185058-52-0 CAPLUS

CN Carbamic acid, [2-[[2-[4-[(ethylamino)carbonyl]-4-phenyl-1-piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 83 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:26293 CAPLUS Full-text

DOCUMENT NUMBER: 126:60362

ORIGINAL REFERENCE NO.: 126:11861a

TITLE: Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone

INVENTOR(S): Carpino, Philip A.; Jardine DaSilva, Paul A.; Lefker, Bruce A.; Ragan, John A.

PATENT ASSIGNEE(S): Pfizer, Inc., USA

SOURCE: PCT Int. Appl., 158 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

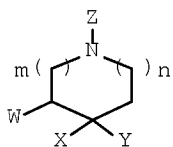
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

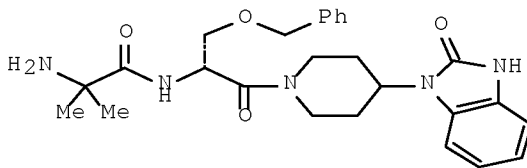
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9635713	A1	19961114	WO 1995-IB333	19950508
W: CA, FI, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9654554	A	19961212	AU 1996-54554	19960528
PRIORITY APPLN. INFO.:			WO 1995-IB333	A 19950508
			WO 1995-IB410	A 19950529

OTHER SOURCE(S): MARPAT 126:60362

GI



I



II

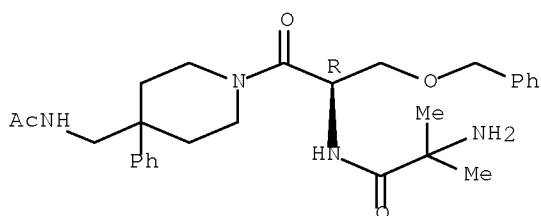
AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion optionally substituted with 1-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C3-10 cycloalkyl, aryl optionally substituted with 1-3 R3a, R3b, or R12; X = OR2, R5OMN(Aryl), R8R9NCO, R2bO2C, optionally substituted carbobicyclic or heterobicyclic ring; R1 = optionally substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = optionally substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle containing 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, optionally substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = optionally substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, optionally substituted C1-6 alkyl; R8 = H, optionally substituted C1-6 alkyl; R9 = H, optionally substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis. Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazoliny)l)piperidine, followed by deprotection, coupling with BocNHCMc2CO2H, and deprotection with HCl gave dipeptide amide salt II.

IT 185055-83-8P 185056-28-4P 185056-29-5P
185056-30-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and growth hormone releasing activity of heterocyclic dipeptide derivs.)

RN 185055-83-8 CAPLUS

CN Propanamide, N-[2-[4-[(acetylamino)methyl]-4-phenyl-1-piperidinyl]-2-oxo-1-[(phenylmethoxy)methyl]ethyl]-2-amino-2-methyl-, (R)- (9CI) (CA INDEX NAME)

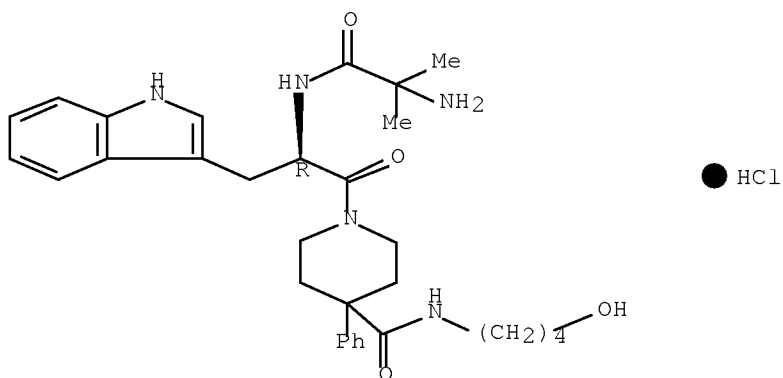
Absolute stereochemistry.



RN 185056-28-4 CAPLUS

CN 4-Piperidinecarboxamide, N-(4-hydroxybutyl)-1-(2-methylalanyl-D-tryptophyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

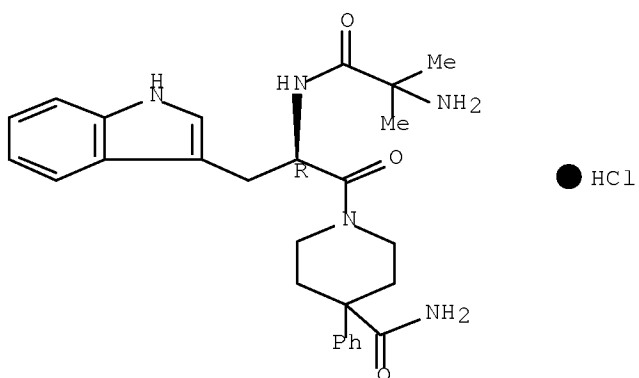
Absolute stereochemistry.



RN 185056-29-5 CAPLUS

CN 4-Piperidinecarboxamide, 1-(2-methylalanyl-D-tryptophyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

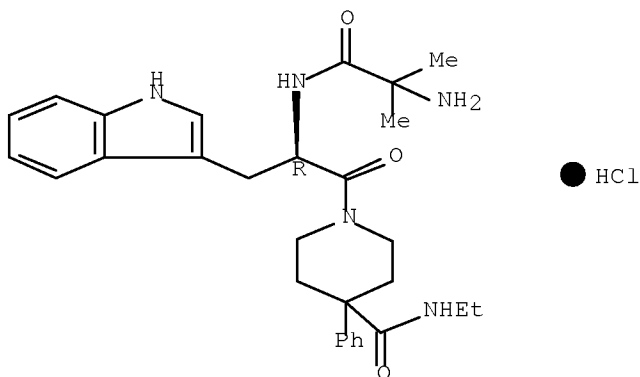
Absolute stereochemistry.



RN 185056-30-8 CAPLUS

CN 4-Piperidinecarboxamide, N-ethyl-1-(2-methylalanyl-D-tryptophyl)-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 185057-33-4P 185058-50-8P 185058-51-9P
185058-52-0P

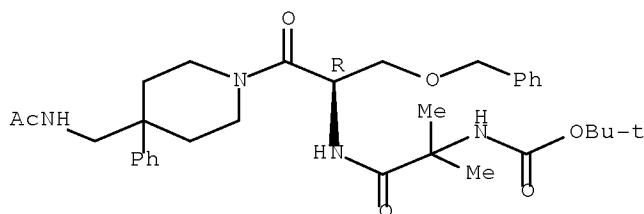
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and growth hormone releasing activity of heterocyclic dipeptide
derivs.)

RN 185057-33-4 CAPLUS

CN Carbamic acid, [2-[[2-[4-[(acetylamino)methyl]-4-phenyl-1-piperidinyl]-2-
oxo-1-[(phenylmethoxy)methyl]ethyl]amino]-1,1-dimethyl-2-oxoethyl]-,
1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

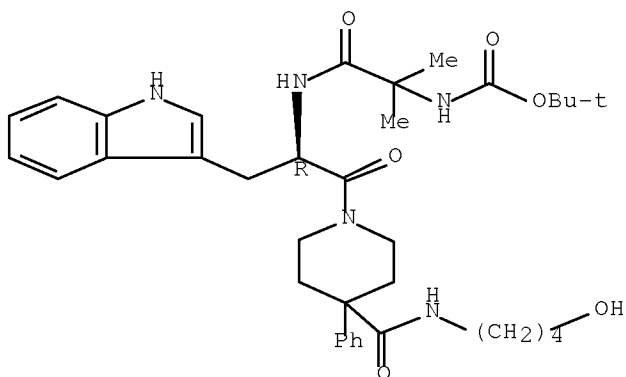
Absolute stereochemistry.



RN 185058-50-8 CAPLUS

CN Carbamic acid, [2-[[2-[4-[[[(4-hydroxybutyl)amino]carbonyl]-4-phenyl-1-
piperidinyl]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-
oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

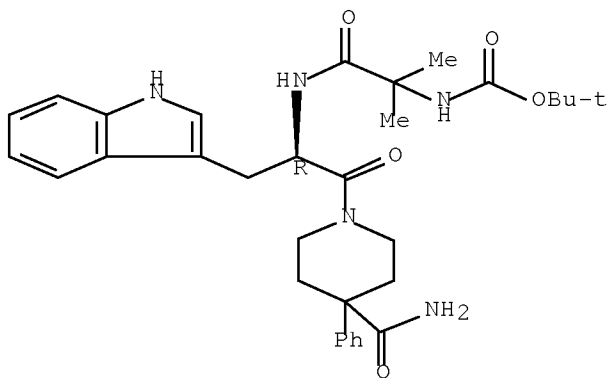
Absolute stereochemistry.



RN 185058-51-9 CAPLUS

CN Carbamic acid, [2-[[2-[4-(aminocarbonyl)-4-phenyl-1-piperidinyl]-1-(1H-
indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-,
1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

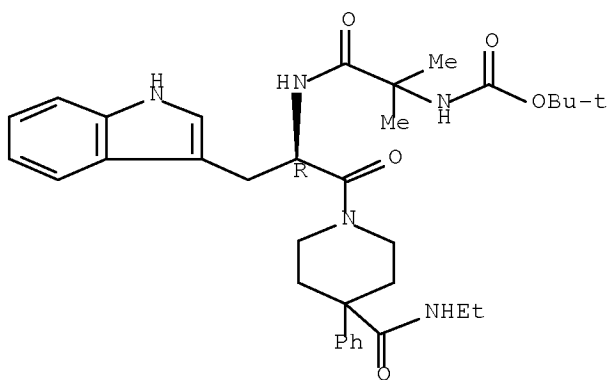
Absolute stereochemistry.



RN 185058-52-0 CAPLUS

CN Carbamic acid, [2-[[2-[4-[(ethylamino)carbonyl]-4-phenyl-1-piperidiny]-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]-1,1-dimethyl-2-oxoethyl]-, 1,1-dimethylethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 84 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:641303 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 125:275644

ORIGINAL REFERENCE NO.: 125:51548h,51549a

TITLE: Preparation of aryl/heteroaryl-substituted
acylaminoalkanecarboxamides and
acylaminoalkenecarboxamides as neurokinin 1
antagonists

INVENTOR(S): Gerspacher, Marc; Von Sprecher, Andreas; Roggo,
Silvio; Mah, Robert; Ofner, Silvio; Veenstra, Siem
Jacob; Betschart, Claudia; Auberson, Yves; Schilling,
Walter

PATENT ASSIGNEE(S): Switz.

SOURCE: PCT Int. Appl., 143 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9626183	A1	19960829	WO 1996-EP555	19960209
W: AL, AM, AU, BB, BG, BR, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KP, KR, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AZ, BY, KG, KZ, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2213080	A1	19960829	CA 1996-2213080	19960209
CA 2213080	C	20071113		
AU 9646233	A	19960911	AU 1996-46233	19960209
AU 701560	B2	19990128		
BR 9607335	A	19971125	BR 1996-7335	19960209
EP 810991	A1	19971210	EP 1996-901800	19960209
EP 810991	B1	19990414		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI				
CN 1175944	A	19980311	CN 1996-192094	19960209
CN 1081625	B	20020327		
HU 9800051	A2	19980528	HU 1998-51	19960209
HU 9800051	A3	19980828		
JP 11500436	T	19990112	JP 1996-525348	19960209
JP 3506714	B2	20040315		
AT 178886	T	19990415	AT 1996-901800	19960209
ES 2132882	T3	19990816	ES 1996-901800	19960209
CZ 288345	B6	20010516	CZ 1997-2662	19960209
SK 282237	B6	20011203	SK 1997-1139	19960209
PL 184226	B1	20020930	PL 1996-322001	19960209
ZA 9601364	A	19960822	ZA 1996-1364	19960221
IL 117209	A	20010111	IL 1996-117209	19960221
FI 9703221	A	19971020	FI 1997-3221	19970804
NO 9703857	A	19971001	NO 1997-3857	19970821
US 5929067	A	19990727	US 1997-913352	19970821
PRIORITY APPLN. INFO.:			EP 1995-810117	A 19950222
			WO 1996-EP555	W 19960209
OTHER SOURCE(S):	MARPAT 125:275644			
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = aryl, heteroaryl; R2 = H, lower alkyl, aryl-lower alkyl; R3 = H, lower alkyl, aryl, heteroaryl; R4 = aryl, heteroaryl; X = C1-C7 alkylene, C2-C7 alkenylene, C4-C7 alkadienylene; Am = (substituted) NH2], neurokinin NK-1 and substance P antagonists and therefore useful as neurogenic inflammation and tachykinin-induced bronchoconstriction inhibitors, and as CNS agents, were prepared. Thus, amidation of pent-2-enoic acid II with 2-(2-pyridyl)ethylamine in the presence of N-ethyl-N'-(3-dimethylaminopropyl)carbodiimide. HCl and DMAP in CH2Cl2 followed by Boc-removal with TFA in CH2Cl2 and N-acylation of the amide III with 3,5-(F3C)2C6H3COCl in the presence of Et3N and DMAP in CH2Cl2 afforded I [R1 = 3,5-(F3C)2C6H3; R2 = Me; R3 = H; R4 = 4-ClC6H4; X = CH:CH; Am = NH(CH2)2(2-pyridyl)]. Compds. I showed, e.g., ED50 of 0.05-1 mg/kg p.o. in vivo in the NK1 bronchospasm test in guinea pigs. Pharmaceutical formulations containing compds. I were given.

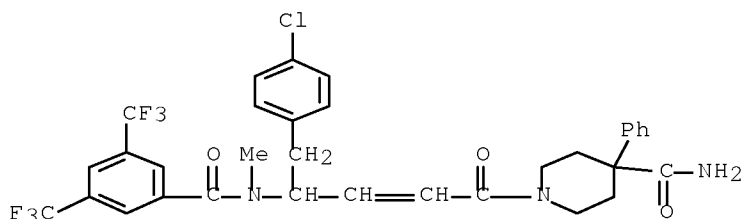
IT 182489-78-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aryl/heteroaryl-substituted acylaminoalkanecarboxamides and acylaminoalkenecarboxamides as neurokinin 1 antagonists)

RN 182489-78-7 CAPLUS

CN 4-Piperidinecarboxamide, 1-[4-[[3,5-bis(trifluoromethyl)benzoyl]methylamino]-5-(4-chlorophenyl)-1-oxo-2-pentenyl]-4-phenyl- (9CI) (CA INDEX NAME)



L3 ANSWER 85 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:609954 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 125:247623

ORIGINAL REFERENCE NO.: 125:46285a

TITLE: Preparation of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-derivative tachykinin receptor antagonists

INVENTOR(S): Bernstein, Peter Robert; Dembofsky, Bruce Thomas; Jacobs, Robert Toms

PATENT ASSIGNEE(S): Zeneca Limited, UK

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

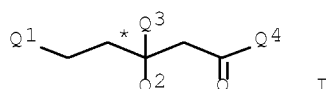
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9624582	A1	19960815	WO 1996-GB259	19960208
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN			
CA 2209832	A1	19960815	CA 1996-2209832	19960208
AU 9646297	A	19960827	AU 1996-46297	19960208
AU 714289	B2	19991223		
EP 808303	A1	19971126	EP 1996-901904	19960208
EP 808303	B1	20010620		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE			
CN 1181069	A	19980506	CN 1996-193228	19960208
JP 10513191	T	19981215	JP 1996-524072	19960208
AT 202342	T	20010715	AT 1996-901904	19960208
ES 2159717	T3	20011016	ES 1996-901904	19960208

PT 808303	T	20011130	PT 1996-901904	19960208
ZA 9601069	A	19960812	ZA 1996-1069	19960209
IN 1996DE00268	A	20050311	IN 1996-DE268	19960209
FI 9703283	A	19971007	FI 1997-3283	19970808
NO 9703652	A	19971008	NO 1997-3652	19970808
GR 3036639	T3	20011231	GR 2001-401497	20010918
JP 2008138007	A	20080619	JP 2007-341959	20071226
PRIORITY APPLN. INFO.:			GB 1995-2644	A 19950210
			JP 1996-524072	A3 19960208
			WO 1996-GB259	W 19960208

OTHER SOURCE(S): MARPAT 125:247623

GI



AB The title compds. (I; Q1-Q4 have the meanings given in the claims; * = an optionally asym. center) [e.g., N-benzyl-5-(4-hydroxy-4-phenylpiperidino)-3-(3,4-dichlorophenyl)pentamide; m.p. 64-67°] are nonpeptide antagonists of substance P and NKA (e.g., neurokinin NK1 and NK2 receptors), useful for the treatment of asthma (no data), etc. (no data), are prepared

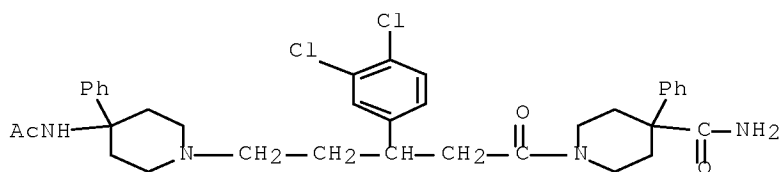
IT 181876-94-8P 181877-14-5P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5-[(4-substituted)piperidin-1-yl]-3-arylpentanoic acid-derivative tachykinin receptor antagonists)

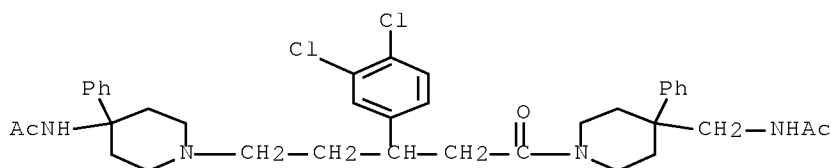
RN 181876-94-8 CAPLUS

CN 4-Piperidinecarboxamide, 1-[5-[4-(acetylamino)-4-phenyl-1-piperidinyl]-3-(3,4-dichlorophenyl)-1-oxopentyl]-4-phenyl- (CA INDEX NAME)



RN 181877-14-5 CAPLUS

CN Acetamide, N-[1-[5-[4-[(acetylamino)methyl]-4-phenyl-1-piperidinyl]-3-(3,4-dichlorophenyl)-5-oxopentyl]-4-phenyl-4-piperidinyl]- (CA INDEX NAME)



L3 ANSWER 86 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:596130 CAPLUS Full-text

DOCUMENT NUMBER: 125:247839

ORIGINAL REFERENCE NO.: 125:46332h,46333a

TITLE: Preparation of substituted heterocyclic compounds as neurokinin receptor antagonists

INVENTOR(S): Emonds-Alt, Xavier; Grossriether, Isabelle; Gueule, Patrick; Proietto, Vincenzo; Van Broeck, Didier

PATENT ASSIGNEE(S): Sanofi, Fr.

SOURCE: PCT Int. Appl., 176 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

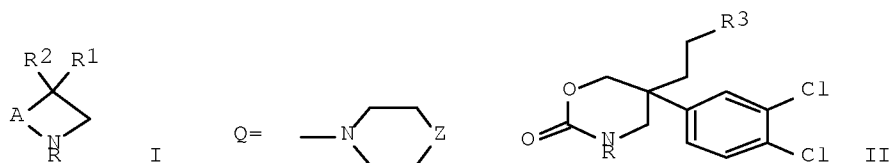
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9623787	A1	19960808	WO 1996-FR152	19960130
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN				
FR 2729952	A1	19960802	FR 1995-1016	19950130
FR 2729952	B1	19970418		
FR 2729953	A1	19960802	FR 1995-8046	19950704
FR 2729953	B1	19970801		
FR 2729954	A1	19960802	FR 1995-13005	19951103
FR 2729954	B1	19970801		
IN 186766	A1	20011103	IN 1996-DE169	19960125
CA 2211668	A1	19960808	CA 1996-2211668	19960130
CA 2211668	C	20050920		
AU 9646669	A	19960821	AU 1996-46669	19960130
AU 707901	B2	19990722		
ZA 9600694	A	19960826	ZA 1996-694	19960130
EP 807111	A1	19971119	EP 1996-902305	19960130
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CN 1172483	A	19980204	CN 1996-191686	19960130
CN 1089764	B	20020828		
IL 116957	A	19990620	IL 1996-116957	19960130
JP 11507324	T	19990629	JP 1996-523308	19960130
JP 3234228	B2	20011204		
HU 9800295	A2	19991028	HU 1998-295	19960130
HU 9800295	A3	20000228		
NZ 301285	A	20000128	NZ 1996-301285	19960130
RU 2157807	C2	20001020	RU 1997-114938	19960130
JP 2001131171	A	20010515	JP 2000-342606	19960130
JP 2001172279	A	20010626	JP 2000-342571	19960130
EP 1156049	A1	20011121	EP 2001-119949	19960130
EP 1156049	B1	20050601		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV				
AT 222251	T	20020815	AT 1996-902305	19960130
PT 807111	T	20021231	PT 1996-902305	19960130
ES 2181866	T3	20030301	ES 1996-902305	19960130
EP 1340754	A1	20030903	EP 2003-12771	19960130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV				
CZ 293134	B6	20040218	CZ 1997-2436	19960130
CN 1502612	A	20040609	CN 2003-10119883	19960130
IL 127114	A	20040927	IL 1996-127114	19960130
CZ 294267	B6	20041110	CZ 2002-2243	19960130
AT 296823	T	20050615	AT 2001-119949	19960130
CN 1636983	A	20050713	CN 2004-10092931	19960130
PT 1156049	T	20051031	PT 2001-119949	19960130
ES 2243373	T3	20051201	ES 2001-119949	19960130
EP 1688416	A1	20060809	EP 2006-5775	19960130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
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CN 1821241	A	20060823	CN 2006-10008868	19960130
PL 192164	B1	20060929	PL 1996-321640	19960130
EP 1923391	A1	20080521	EP 2007-150446	19960130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT,				
SE, LT, LV, SI				
FI 9703148	A	19970929	FI 1997-3148	19970729
NO 9703479	A	19970929	NO 1997-3479	19970729
NO 308795	B1	20001030		
HK 1041881	A1	20050729	HK 2002-103621	19980210
US 5977359	A	19991102	US 1998-175332	19981020
US 6242637	B1	20010605	US 1998-175331	19981020
AU 9930133	A	19990819	AU 1999-30133	19990519
AU 731788	B2	20010405		
CN 1321634	A	20011114	CN 2001-116340	20010411
CN 1136188	B	20040128		
CN 1321639	A	20011114	CN 2001-116341	20010411
JP 2002138088	A	20020514	JP 2001-339406	20011105
JP 3943369	B2	20070711		
CN 1394855	A	20030205	CN 2001-143103	20011207
PRIORITY APPLN. INFO.:				
			FR 1995-1016	A 19950130
			FR 1995-8046	A 19950704
			FR 1995-13005	A 19951103
			AU 1996-46669	A3 19960130
			CN 2003-10119883	A3 19960130
			EP 1996-902305	A3 19960130
			EP 2001-119949	A3 19960130
			EP 2003-12771	A3 19960130
			EP 2006-5775	A3 19960130
			IL 1996-116957	A3 19960130
			JP 1996-523308	A3 19960130
			JP 2000-342571	A3 19960130
			US 1996-593938	A3 19960130
			WO 1996-FR152	W 19960130
			US 1997-820716	A3 19970318
			HK 1998-100995	A 19980210
OTHER SOURCE(S): MARPAT 125:247839				
GI				



AB Title compds. [I; A = OCO, CH₂OCO, NHCO, OCH₂, etc.; R = (hetero)arylmethyl(carbonyl), CHPh₂, etc.; R₁ = (un)substituted Ph, naphthyl, benzothienyl, etc.; R₂ = (CH₂)_mR₃; R₃ = e.g., heterocyclic group Q; Z = (hetero)arylimino- or methylmethine, etc.; m = 2 or 3] were prepared Thus, 3,4-Cl₂C₆H₃CH₂CN was alkylated by BrCH₂CH₂R₃ (R₃ = 2-tetrahydropyranyloxy) and the product converted in 2 steps to 3,4-Cl₂C₆H₃C(CN)(CH₂OH)CH₂CH₂R₃ (R₃ as above) which was cyclocondensed with COCl₂ to give, in 2 addnl. steps, oxazinone II (R = CH₂Ph)(III; R₃ = OSO₂Me). The latter was aminated by 4-benzylpiperidine to give III (R₃ = 4-benzylpiperidino). I had K_i of <10⁻⁸M for tachykinin receptors in vitro.

IT 181641-71-4F 181641-83-8F

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted heterocyclic compds. as neurokinin receptor antagonists)

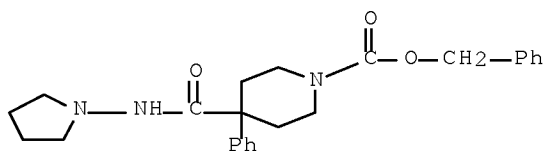
RN 181641-71-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-phenyl-4-[(1-pyrrolidinylamino)carbonyl]-, phenylmethyl ester, monobenzenesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 181641-70-3

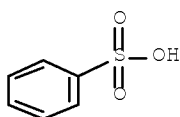
CMF C24 H29 N3 O3



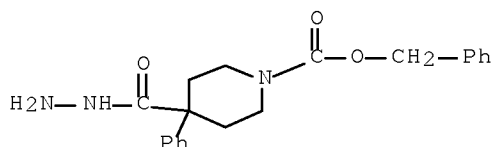
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CRN 98-11-3

CMF C6 H6 O3 S



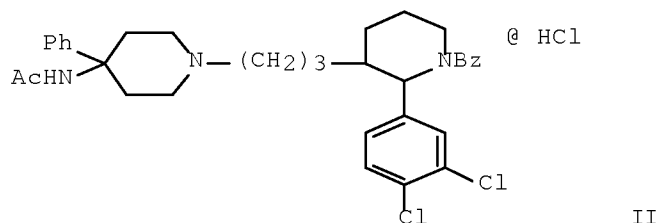
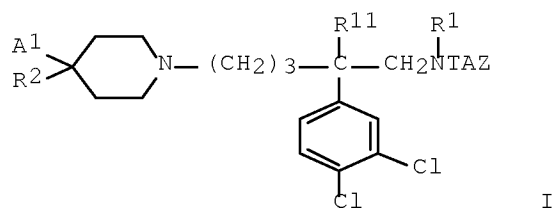
RN 181641-83-8 CAPLUS
 CN 1,4-Piperidinedicarboxylic acid, 4-phenyl-, 1-(phenylmethyl) ester,
 4-hydrazide (CA INDEX NAME)



L3 ANSWER 87 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1995:994586 CAPLUS Full-text
 DOCUMENT NUMBER: 124:117093
 ORIGINAL REFERENCE NO.: 124:21809a,21812a
 TITLE: Preparation of N-[(3,4-dichlorophenyl)propyl]piperidin
 e selective human NK3-receptor antagonists
 INVENTOR(S): Bichon, Daniel; Van, Broeck Didier; Proietto,
 Vincenzo; Gueule, Patrick; Emonds-Alt, Xavier
 PATENT ASSIGNEE(S): SANOFI, Fr.
 SOURCE: Eur. Pat. Appl., 61 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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EP 673928	A1	19950927	EP 1995-400590	19950317
EP 673928	B1	20010829		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
FR 2717477	A1	19950922	FR 1994-3193	19940318
FR 2717477	B1	19960607		
FR 2717478	A1	19950922	FR 1994-9478	19940729
FR 2717478	B1	19960621		
FR 2719311	A1	19951103	FR 1995-571	19950119
FR 2719311	B1	19980626		
PL 185075	B1	20030228	PL 1995-307723	19950316
FI 9501265	A	19950919	FI 1995-1265	19950317
FI 116621	B1	20060113		
NO 9501044	A	19950919	NO 1995-1044	19950317
AU 9514909	A	19950928	AU 1995-14909	19950317
AU 693845	B2	19980709		
ZA 9502228	A	19951221	ZA 1995-2228	19950317
HU 72065	A2	19960328	HU 1995-806	19950317
CN 1128756	A	19960814	CN 1995-103542	19950317
CN 1056605	B	20000920		
IL 113026	A	19990620	IL 1995-113026	19950317
RU 2143425	C1	19991227	RU 1995-103737	19950317
AT 204863	T	20010915	AT 1995-400590	19950317
PT 673928	T	20020228	PT 1995-400590	19950317
ES 2164746	T3	20020301	ES 1995-400590	19950317
TW 380138	B	20000121	TW 1995-84102614	19950318
CA 2145000	A1	19950919	CA 1995-2145000	19950320

CA 2145000	C	20020507		
JP 08048669	A	19960220	JP 1995-61419	19950320
JP 2922816	B2	19990726		
US 5741910	A	19980421	US 1996-607976	19960229
US 5942523	A	19990824	US 1996-608718	19960229
NO 9705089	A	19950919	NO 1997-5089	19971104
HK 1005137	A1	20020315	HK 1998-104342	19980519
US 6124316	A	20000926	US 1999-306825	19990507
US 6294537	B1	20010925	US 1999-306821	19990507
PRIORITY APPLN. INFO.:			FR 1994-3193	A 19940318
			FR 1994-9478	A 19940729
			FR 1995-571	A 19950119
			US 1995-405833	A3 19950317
			US 1997-880832	B1 19970623
OTHER SOURCE(S):		CASREACT 124:117093; MARPAT 124:117093		
GI				



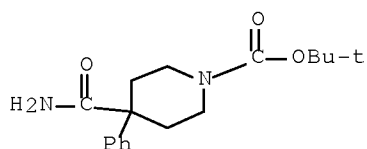
AB The title compds. [I; A = direct bond, CH₂, CH₂CH₂, CH:CH; A1 = (un)substituted 2-pyridyl or Ph; R1 = Me; R2 = HO, alkoxy, CN, (un)substituted NH₂, etc.; R11 = H; such that R1R11 = (CH₂)₃] (e.g., II; m.p. 184°), useful as human NK₃-receptor antagonists (no data) for the treatment of neurokinin B-induced diseases (no data), are prepared

IT 167262-69-3P 167263-14-1P 167263-16-3P
 172734-14-4P 172734-16-6P 172734-20-2P
 172734-44-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of N-[(3,4-dichlorophenyl)propyl]piperidine selective human NK₃-receptor antagonists from)

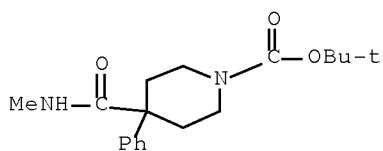
RN 167262-69-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-phenyl-,
 1,1-dimethylethyl ester (CA INDEX NAME)



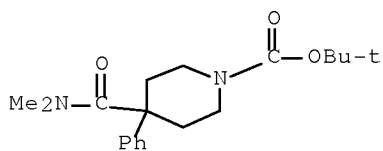
RN 167263-14-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(methylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



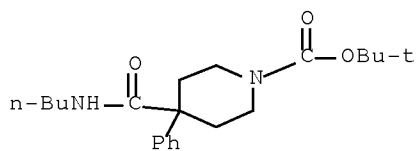
RN 167263-16-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



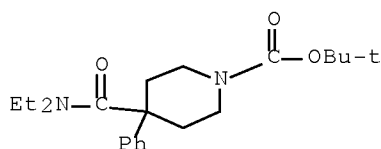
RN 172734-14-4 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(butylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



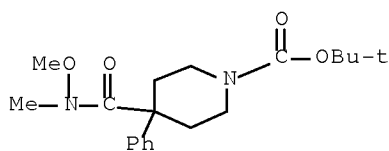
RN 172734-16-6 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(diethylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



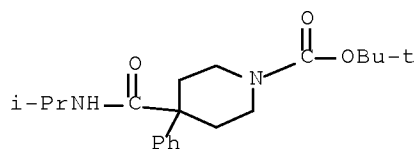
RN 172734-20-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(methoxymethylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 172734-44-0 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[(1-methylethyl)amino]carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 88 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:772578 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 123:198629

ORIGINAL REFERENCE NO.: 123:35453a,35456a

TITLE: Preparation of substituted (pyrrolidin-3-ylalkyl)piperidines as tachykinin antagonists

INVENTOR(S): Burkholder, Timothy P.; Le, Tieu-Binh; Kudlacz, Elizabeth M.; Maynard, George D.

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 238 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

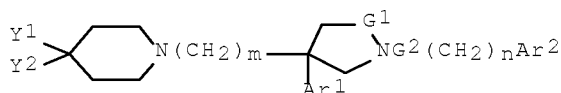
FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9426735	A1	19941124	WO 1994-US4498	19940422
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO,				

RU, SD, SE, SK, UA, UZ, VN
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2160462	A1	19941124	CA 1994-2160462	19940422
CA 2160462	C	19981215		
AU 9469426	A	19941212	AU 1994-69426	19940422
AU 678023	B2	19970515		
EP 696280	A1	19960214	EP 1994-917898	19940422
EP 696280	B1	19970924		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
HU 74085	A2	19961128	HU 1995-3153	19940422
HU 224496	B1	20051028		
JP 09500361	T	19970114	JP 1994-525453	19940422
JP 3424174	B2	20030707		
AT 158580	T	19971015	AT 1994-917898	19940422
ES 2110761	T3	19980216	ES 1994-917898	19940422
IL 109496	A	20000726	IL 1994-109496	19940502
ZA 9403091	A	19950112	ZA 1994-3091	19940504
FI 9505258	A	19951130	FI 1995-5258	19951102
FI 113047	B1	20040227		
NO 9504400	A	19960108	NO 1995-4400	19951103
NO 309144	B1	20001218		
PRIORITY APPLN. INFO.:			US 1993-58606	A 19930506
			US 1994-218483	A 19940328
			US 1994-225371	A 19940419
			WO 1994-US4498	W 19940422
OTHER SOURCE(S):			MARPAT 123:198629	
GI				

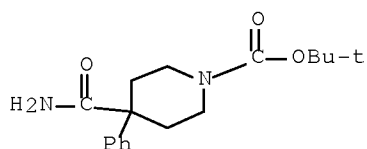


AB Title compds. I (G1, G2 = CH2, CO; m = 2,3; n = 0,1; Ar1, Y2 = (substituted)aryl, (substituted)heterocyclyl; Ar2 = (substituted)Ph or heterocyclyl; Y1 = (substituted)HNCO, (dialkylamino)carbonyl, N-heterocyclylcarbonyl; Y1Y2 together with the C to which they are attached form a substituted spirocyclyl), or stereoisomers, or salts thereof, are prepared I are claimed for treatment of neurogenic inflammatory diseases, asthma, pain, and cough. 3-(3,4-Dichlorophenyl)-3-(2-hydroxyethyl)pyrrolidine (preparation given) was reacted with 2,4-dimethoxybenzoyl chloride to give 3-(3,4-dichlorophenyl)-1-(2,4-dimethoxybenzoyl)-3-(2-hydroxyethyl)pyrrolidine which in 2 steps was converted to I (G1 = H2C, G2 = CO, m = 2, n = 0, Ar1 = 3,4-Cl2C6H3, Ar2 = 2,4-(MeO)2C6H3, Y1 = H2NCO, Y2 = Ph). Tachykinin antagonism was demonstrated.

IT 167262-69-3P 167263-14-1P 167263-16-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of substituted (pyrrolidinylalkyl)piperidines as tachykinin antagonists)

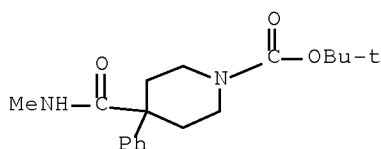
RN 167262-69-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-(aminocarbonyl)-4-phenyl-,
 1,1-dimethylethyl ester (CA INDEX NAME)



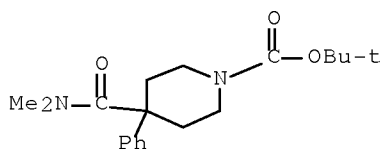
RN 167263-14-1 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(methylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 167263-16-3 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[(dimethylamino)carbonyl]-4-phenyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 89 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:605217 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 121:205217

ORIGINAL REFERENCE NO.: 121:37365a,37368a

TITLE: 4-(aminomethyl/thiomethyl/sulfonylmethyl)-4-phenylpiperidine tachykinin receptor antagonists

INVENTOR(S): Macleod, Angus Murray; Stevenson, Graeme Irvine

PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK

SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

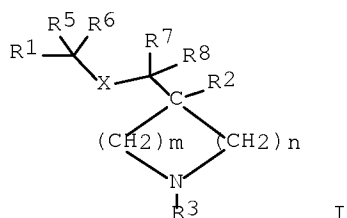
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9413639	A1	19940623	WO 1993-GB2535	19931210
W: AU, CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2150951	A1	19940623	CA 1993-2150951	19931210

AU 9456573	A	19940704	AU 1994-56573	19931210
AU 682838	B2	19971023		
EP 673367	A1	19950927	EP 1994-902065	19931210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08504435	T	19960514	JP 1993-513951	19931210
US 5661162	A	19970826	US 1995-448622	19950606
PRIORITY APPLN. INFO.:			GB 1992-26014	A 19921214
			GB 1993-13726	A 19930702
			GB 1993-14486	A 19930712
			WO 1993-GB2535	W 19931210
OTHER SOURCE(S):	MARPAT 121:205217			
GI				

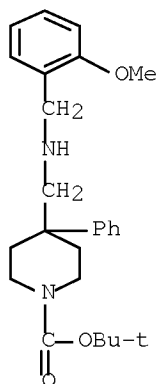


AB The title compds. [I; R1, R2 = (un)substituted C1-6 alkyl, alkenyl, alkynyl, halogen, CN, NO2, CF3, etc.; R3 = H, (un)substituted alkylcarbonyl, (un)substituted CO2H, (un)substituted CONH2, etc.; R5-R8 = H, C1-6 alkyl; X = NR4, SO, SO2; R4 = H, alkyl, CHO, Bz, alkylcarbonyl; m = 2-4; n = 0-2 when m = 2-3 and n = 0-1 when m = 4], useful as tachykinin receptor antagonists (no data), are prepared Thus, 4-(2-methoxybenzylaminomethyl)-4-phenylpiperidine dihydrochloride, m.p. 78-80°, was prepared from 4-cyano-4-phenylpiperidine hydrochloride in 4 steps.

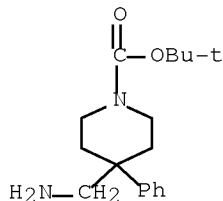
IT 158144-81-1P 158144-82-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and reaction of, in preparation of tachykinin receptor antagonists)

RN 158144-81-1 CAPLUS

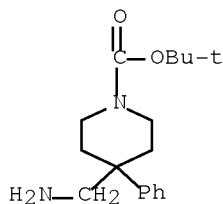
CN 1-Piperidinecarboxylic acid, 4-[[[(2-methoxyphenyl)methyl]amino]methyl]-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 158144-82-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



IT 158144-82-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of tachykinin receptor antagonists)
RN 158144-82-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(aminomethyl)-4-phenyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 90 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1971:22718 CAPLUS Full-text
DOCUMENT NUMBER: 74:22718
ORIGINAL REFERENCE NO.: 74:3671a,3674a
TITLE: Piperidine derivatives
INVENTOR(S): Nakanishi, Michio; Taira, Yoshihisa
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
JP 45028992	B4	19700921	JP	19670916

GI For diagram(s), see printed CA Issue.
AB I, useful as a sedative, analgesic, antispasmodic, and antiinflammatory drug, is manufactured In an example, a mixture of iminodibenzyl, 4-carbamoyl-4-piperidino-1-piperidinecarbonyl chloride, Na2CO3, and C6H6 is refluxed 5 hr to

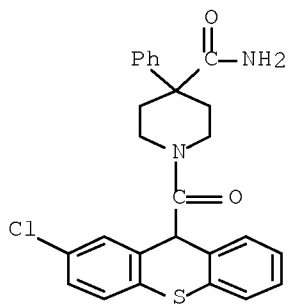
give I (R1 = carbamoyl, R2 = piperidino, X = H, Y = ethylene), m. 208-9°. Similarly manufactured are the following I (R1, R2, X, Y, m.p., and % yield given): OH, m-F3CC6H4, H, ethylene, 185-6°, 73.0; carbamoyl, piperidino, H, vinylene, 208-9°, -; Ac, Ph, H, ethylene, 158-9°, -; H, piperidino, H, ethylene, 132-3°, -; carbamoyl, Ph, Cl, S, 119-22°, -; carbamoyl, piperidino, OMe, S, - (hydrochloride m. 139-41.5°), -; OH, PhCH2, H, ethylene, 140-2°, -; CN, Ph, H, ethylene, 199-201°, -; OH, m-F3CC6H4, H, vinylene, 176-7°, -.

IT 30301-87-2F

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 30301-87-2 CAPLUS

CN Isonipecotamide, 1-[(2-chlorothioxanthen-9-yl)carbonyl]-4-phenyl- (8CI)
(CA INDEX NAME)



L3 ANSWER 91 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1970:531014 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 73:131014

ORIGINAL REFERENCE NO.: 73:21353a,21356a

TITLE: Piperidine derivatives

INVENTOR(S): Nakanishi, Michio; Taira, Yoshihisa

PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd.

SOURCE: Jpn. Tokkyo Koho, 4 pp.

CODEN: JAXXAD

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45025696	B4	19700825	JP	19670616

GI For diagram(s), see printed CA Issue.

AB I, useful as tranquilizers, analgesics, antispasmodics, and antiinflammatory drugs, are manufactured by the reaction of II with III. In an example, 1.3 g II (X = H, Y = CH2CH2, R = Cl) and 1.06 g III (R1 = CONH2, R2 = piperidino) in 40 ml EtOH are refluxed 3 hr with 0.72 ml NEt3 to give 1.9 g I (X = H, Y = CH2CH2, R1 = CONH2, R2 = piperidino), m. 208-9° (PhMeligrine). Similarly prepared are the following I (X, Y, R1, R2, m.p., and % yield given): H, CH2CH2, OH, m-CF3C6H4, 185-6°, 91; H, CH2CH2, CN, Ph, 199-201°, 94.5; H, CH2CH2, OH, PhCH2, 140-2°, 80; H, CH2CH2, Ac, Ph, 158-9°, 92.5; H, CH2CH2, piperidino, H, 132-3°, 76; H, CH:CH, CONH2, piperidino, 20,-9°, 92.5; H, CH:CH, OH, m-CF3C6H4, 176-7°, 83; Cl, S, CONH2, Ph, 119-22°, 90; OMe, S,

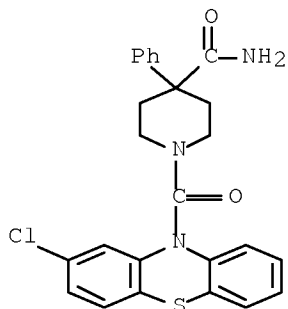
CONH2, piperidino, 139-41.5°, 75.5; CF3, S, Ph, piperidinocarbonyl, 114-15°, 80.

IT 29263-95-4P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 29263-95-4 CAPLUS

CN Isonipecotamide, 1-[(2-chlorophenothiazin-10-yl)carbonyl]-4-phenyl- (8CI)
(CA INDEX NAME)



L3 ANSWER 92 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1951:38847 CAPLUS

DOCUMENT NUMBER: 45:38847

ORIGINAL REFERENCE NO.: 45:6664c-g

TITLE: 4-Aryl-4-aminomethylpiperidines

INVENTOR(S): Kwartler, Charles E.; Lucas, Philip

PATENT ASSIGNEE(S): Sterling Drug Inc.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

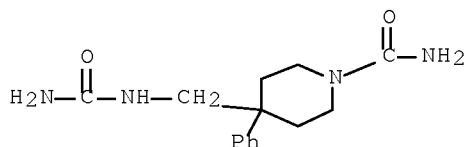
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 2538107		19510116	US 1946-687216	19460730

AB N-Substituted 4-aryl-4-(aminomethyl)piperidines possess value as analgesics, antispasmodics, and sedatives. 4-Cyano-4-phenylpiperidine 55 g. in 400 ml. 15% NH₃ in MeOH with 500 lb. H and 20 g. Raney Ni 14 hrs. gave, on vacuum distillation of the filtrate, 47 g. 4-phenyl-4- (aminomethyl)piperidine (I), b₄ 154° (di-HCl salt, m. 252-4°), also obtained by hydrogenolysis of the 1-benzyl derivative (II) of I over Pd sponge. From II 30 g. and H₂NCONHNO₂ 14.4 g. in 450 cc. H₂O at 90° was obtained on filtration 19 g. 1-benzyl-4-phenyl-4-ureidomethylpiperidine, m. 172-3° (from aqueous Me₂CO), converted by hydrogenolysis to 4-phenyl-4-(ureidomethyl)piperidine (III), m. 186-7° (from H₂O). Similarly 7.3 g. 1-Me derivative of I, b_{12.5} 170-2° (di-HCl salt, m. 287-8°), gave 7 g. 1-Me derivative of III, m. 200-1°, and 11.2 g. I gave 1-carbamyl derivative of III, 11 g., m. 205-6°. II 14 and MeSC(:NH)NH₂.H₂SO₄ 7 g. in 50 ml. H₂O 15 hrs. at room temperature, then 1 hr. at 100°, gave PhCH₂N(C₂H₄)₂CPhCH₂NHrC(:NH)NH₂.0.5H₂SO₄, m. 122-5° (from H₂O); drying at 100° converted it to a vitreous solid, m. about 150°, which analyzed satisfactorily for the above formula. From I 2.8 g. was obtained 3.5 g. H₂NC(:NH)-N(C₂H₄)₂CPhCH₂NHC(:NH)NH₂.H₂SO₄, m. 363-5° (decomposition). Reaction of the aminomethyl compds. with alkyl chloroformates gave the following 4-phenylpiperidines: 1,4-Me(EtOCONHCH₂), m. 86-8° 1,4-PhCH₂(EtOCONH CH₂) HCl

salt, m. 233-5°; 1,4-PhCH₂(MeOCONHCH₂) HCl salt, m. 211° (decomposition); 1,4-PhCH₂(PrOCONHCH₂) HCl salt, m. 211-3° (decomposition); 1,4-PhCH₂(BuOCONHCH₂) HCl salt, m. 208-9° (pH 5.5 for 1% solution); 1,4-PhCH₂(iso-BuOCONHCH₂) HCl salt, 227° (pH 6); 1,4-PhCH₂(AmOCONHCH₂) HCl salt, 205-6° (pH 5.7 for 0.5% solution); and 1,4-PhCH₂(C₆H₁₃OCONHCH₂) HCl salt, m. 193-4°. The pH of a 1% aqueous solution of PhCH₂N(C₂H₄)₂-CPhCH₂NHAc.HCl, m. 271-3°, was 5.8. Cf. C.A. 45, 669g.

IT 873396-12-4P, 1-Piperidinecarboxamide, 4-phenyl-4-ureidomethyl-
 RL: PREP (Preparation)
 (preparation of)
 RN 873396-12-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[(aminocarbonyl) amino]methyl]-4-phenyl- (CA
 INDEX NAME)



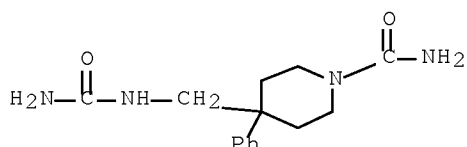
L3 ANSWER 93 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1951:3762 CAPLUS
 DOCUMENT NUMBER: 45:3762
 ORIGINAL REFERENCE NO.: 45:669g-i
 TITLE: 4-Aryl-4-aminomethylpiperidines
 PATENT ASSIGNEE(S): Sterling Drug Inc.
 DOCUMENT TYPE: Patent
 LANGUAGE: Unavailable
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 640168		19500712	GB	

AB 4-Cyano-4-phenylpiperidine and H (Ni) form the 4-aminomethyl compound (I), b₄ 154° (di-HCl salt, m. 252-4°). The 1-Me derivative (II) of I, b_{12.5} 170-2° (di-HCl salt, m. 287-8°), is prepared similarly. II and H₂NCONHNO₂ (III) form 1-methyl-4-phenyl-4- (ureidomethyl)piperidine (IV), m. 200-1°. I and III form the 1-H₂NCO analog of IV, m. 205°. 1-PhCH₂ analog (V) of IV, m. 172-3°. V and H (Pd) form 4-phenyl-4-(ureidomethyl)piperidine, m. 186-7°. Acylation of II with EtO₂CCl forms the N-EtO₂C derivative, m. 86-8°. 1-Benzyl-4-phenyl-4-(aminomethyl)piperidine and chloroformates or acyl chlorides form the HCl salts of the following N-carbalkoxy and acyl derivs. (N-substituent, m.p., and pH of solution given): MeO₂C, 211°; EtO₂C, 233-5°; PrO₂C, 221-3°; BuO₂C, 208-9°, 5.5 in 1% solution; iso-BuO₂C, 227°, 6 in 1% solution; AmO₂C, 205-6°, 5.7 in 0.5% solution; C₆H₁₁O₂C, 193-4°; Ac, 271-3°, 5.8 in 1% solution

IT 873396-12-4P, 1-Piperidinecarboxamide, 4-phenyl-4-ureidomethyl-
 RL: PREP (Preparation)
 (preparation of)
 RN 873396-12-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[(aminocarbonyl) amino]methyl]-4-phenyl- (CA
 INDEX NAME)



L3 ANSWER 94 OF 94 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1948:5791 CAPLUS [Full-text](#)

DOCUMENT NUMBER: 42:5791

ORIGINAL REFERENCE NO.: 42:1270f-i,1271a-d

TITLE: Preparation of substituted 4-(aminomethyl)piperidines and their straight chain analogs

AUTHOR(S): Kwartler, Charles E.; Lucas, Philip

CORPORATE SOURCE: Sterling-Winthrop Research Inst., Rensselaer, NY

SOURCE: Journal of the American Chemical Society (1947), 69, 2582-6

CODEN: JACSAT; ISSN: 0002-7863

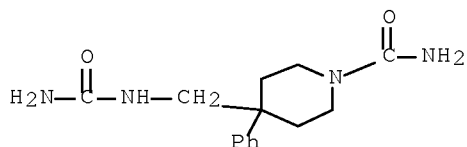
DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

AB The following were prepared according to Eisleb (U.S. 2,167,351, C.A. 33, 8923.1): Et γ -dimethylamino- α -phenylbutyrate, b2 108° (HCl salt, m. 115-17°); γ -diethylamino analog, b3 132-3° (HCl salt, m. 89-90°). 1-Methyl-4-cyano-4-phenylpiperidine (36 g.) in 400 cc. 15% MeOH-NH₃, hydrogenated 20 hrs. over 10 g. Raney Ni at room temperature/500 lb., gives 66.7% 1-methyl-4-(aminomethyl)-4-phenylpiperidine (I), b12.5 170-2° (HCl salt, m. 287-8°); 1-benzyl analog (II), b0.5 201-2° (HCl salt, m. 229-31°). 4-Cyano-4-phenylpiperidine (b2 145-6°; picrate, m. 205-6°) (55 g.) in 500 cc. 10% MeOH-NH₃, hydrogenated 14 hrs. over 20 g. Raney Ni at room temperature/500 lb., gives 47 g. 4-(aminomethyl)-4-phenylpiperidine (III), b4 154° (HCl salt, m. 252-4°); III results also (83.2% yield) by hydrogenating 31 g. II in 78 cc. EtOH and 6 cc. AcOH over 0.5 g. Pd at 55°/40 lb. 4-Carbethoxy-4-phenylpiperidine b3 154-5° (HCl salt, m. 112-13°). II (30 g.) and 14.4 g. nitrourea in 450 cc. H₂O, heated at 90° until gas evolution ceases, give 55% 1-benzyl-4-ureidomethyl-4-phenylpiperidine (IV), m. 172-4°; 1-Me analog m. 200-1°. III (11.2 g.) and 14 g. nitrourea in 140 cc. H₂O, heated 30 min. at 70°, give 80% 1-carbamyl-4-ureidomethyl-4-phenylpiperidine (V), m. 205-6° (decomposition). Hydrogenation of IV in EtOH, AcOH, and H₂O over PdCl₂-C at 50-60°/45 lb. gives 4 g. 4-ureidomethyl-4-phenylpiperidine, m. 186-7°; with nitrourea this yields V. 1-Carbamyl-4-carbethoxy-4-phenylpiperidine, m. 119-20°. 1-Diethylamino-3-phenyl-4-ureidobutane m. 83-4°. II (14 g.), 7 g. methylisothiurea sulfate, and 50 ml. H₂O, stirred 15 hrs. at room temperature and heated 1 hr. on the steam bath, give 30-2% 1-benzyl-4-(guanidinomethyl)-4-phenylpiperidine sulfate, m. 150°; III gives 47% of the 1-guanyl analog (VI), m. 363-5° (decomposition); 1-guanyl-4-carbethoxy-4-phenylpiperidine sulfate (VII), m. 276-7° (decomposition). I (8.16 g.) and 8.3 g. anhydrous K₂CO₃ in 75 ml. dioxane, treated dropwise with 4.34 g. ClCO₂Et in ether and refluxed 90 min., give 45.3% 1-methyl-4-(carbethoxyaminomethyl)-4-phenylpiperidine, m. 86-8°. 2-Phenyl-4-(diethylaminobutyl)guanidine-HI, with 1 mol. H₂O, m. 91-3°; p-chlorophenyl analog m. 93-5°; 3,4-dichlorophenyl analog, with 1 mol. H₂O, m. 122-3°. II (22.4 g.) in 100 ml. C₅H₅N, treated dropwise with 8.68 g. ClCO₂Et in ether, kept 16 hrs. at room temperature, and heated 1 hr. at 60°, gives 71% 1-benzyl-4-(carbethoxyaminomethyl)-4-phenylpiperidine-HCl (VIII), m. 232-3° (decomposition); Me ester m. 210.6-11.2° (decomposition); Pr ester m. 219-27° (decomposition); Bu ester m. 208-8.8°; iso-Bu ester m. 226.6-7.4°; hexyl ester m. 193-4°. The majority of these compds. show mild spasmolytic action and

neg. analgesic action. The effect against acetylcholine spasms of the isolated rabbit ileum was negligible in all cases. Against BaCl₂-induced spasms, VII was approx. 2.5 times as active as papaverine; the remaining compds. were less active. 1-Guanidino-2-phenyl-4-diethylaminobutane sulfate, VI, and VIII were of the same order of activity as papaverine against BaCl₂-induced spasms of the isolated virgin guinea pig uterus; all the other compds. studied were less active.

IT 873396-12-4P, Urea, [(1-carbamoyl-4-phenyl-4-piperidyl)methyl]-
 RL: PREP (Preparation)
 (preparation of)
 RN 873396-12-4 CAPLUS
 CN 1-Piperidinecarboxamide, 4-[[(aminocarbonyl)amino]methyl]-4-phenyl- (CA
 INDEX NAME)



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